



# **STIC Search Report**

## **Biotech-Chem Library**

**STIC Database Tracking Number:** 112742

**TO:** David Lukton  
**Location:** REM3070 *B75*  
**Art Unit:** 1653  
**Friday, January 30, 2004**

**Case Serial Number:** 09/827107

**From:** Noble Jarrell  
**Location:** Biotech-Chem Library  
**Rem** 01B71  
**Phone:** 272-2556

**Noble.jarrell@uspto.gov**

### **Search Notes**



# **STIC Search Report**

## **Biotech-Chem Library**

**STIC Database Tracking Number: 112120**

**TO: David Lukton**  
**Location: REM/3B75**  
**Art Unit: 1653**  
**February 1, 2004**

**Case Serial Number: 09827107**

**From: P. Sheppard**  
**Location: Remsen Building**  
**Phone: (571) 272-2529**

**sheppard@uspto.gov**

### **Search Notes**

112120  
SEARCH REQUEST FORM  
(STIC)

1-14-04

Requestor's Name: David Lukton    Examiner number: 71263    Date: \_\_\_\_\_  
 Art Unit: 1653    Phone number: 571-272-0952    Serial Number: 09.827107  
 Mail Box: ???    Examiner Rm: 3-B-75 (Remsen)    Results format: paper

\*\*\*\*\*

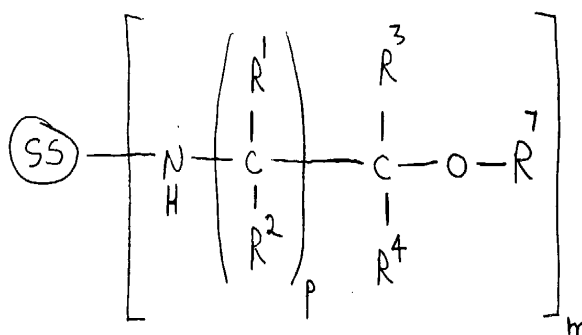
Title of Invention: SOLID PHASE SYNTHESIS SUPPORTS AND METHODS

Applicants: RASMUSSEN, JERALD K.; KREPSKI, LARRY R.

Earliest Priority Date: 4/5/01

\* \* \*

Applicants are claiming the following derivatized solid phase support:



SS = a solid phase support or polymer

R1 = anything;

R2 = anything;

R3 = anything;

R4 = an aromatic group such as phenyl

R7 = anything

p is an integer of at least 1

m is an integer of at least 1

\*\*\*\*\*  
STAFF

Searcher: \_\_\_\_\_  
 Searcher Phone: \_\_\_\_\_  
 Searcher Location: \_\_\_\_\_  
 Date Searched: \_\_\_\_\_  
 Date Completed: \_\_\_\_\_  
 Searcher Prep: \_\_\_\_\_  
 Clerical Prep: \_\_\_\_\_  
 Online Time: \_\_\_\_\_

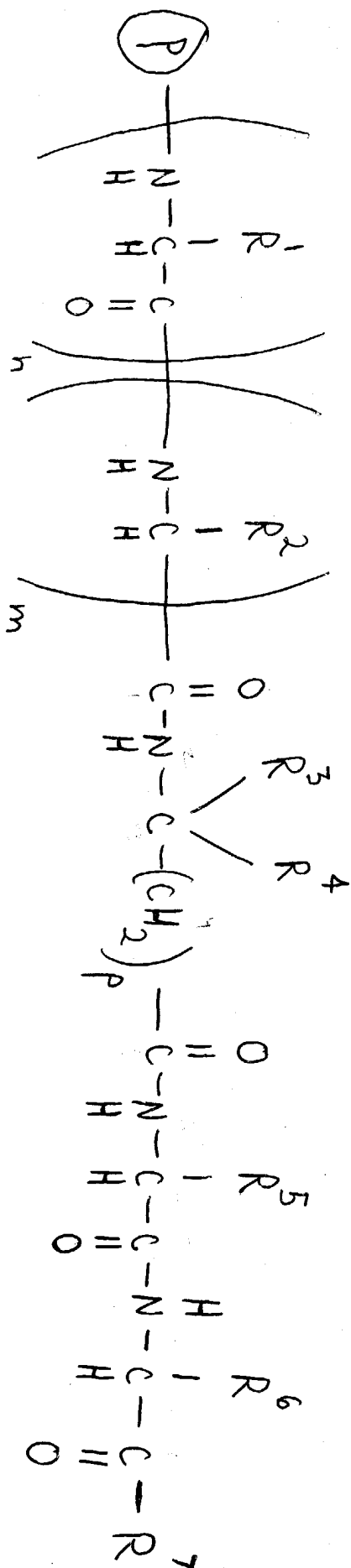
PTO-1590

\*\*\*\*\*  
STAFF USE ONLY

|                                    | Type of Search        | Vendors and cost where applicable |
|------------------------------------|-----------------------|-----------------------------------|
| Searcher: _____                    | NA Sequence (#) _____ | STN _____                         |
| Searcher Phone #: _____            | AA Sequence (#) _____ | Dialog _____                      |
| Searcher Location: _____           | Structure (#) _____   | Questel/Orbit _____               |
| Date Searched Picked Up: _____     | Bibliographic _____   | Dr.Link _____                     |
| Date Completed: _____              | Litigation _____      | Lexis/Nexis _____                 |
| Searcher Prep & Review Time: _____ | Fulltext _____        | Sequence Systems _____            |
| Clerical Prep Time: _____          | Patent Family _____   | WWW/Internet _____                |
| Online Time: _____                 | Other _____           | Other (specify) _____             |

PTO-1590 (8-01)

09/827107



=&gt; b reg

FILE 'REGISTRY' ENTERED AT 13:23:32 ON 30 JAN 2004  
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 COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file  
 provided by InfoChem.

STRUCTURE FILE UPDATES: 29 JAN 2004 HIGHEST RN 643723-14-2  
 DICTIONARY FILE UPDATES: 29 JAN 2004 HIGHEST RN 643723-14-2

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

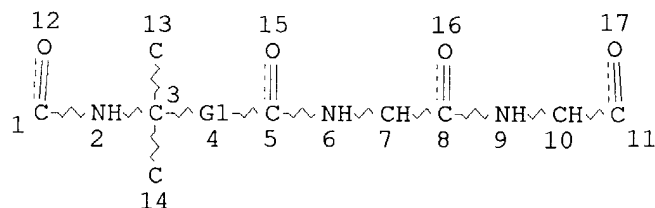
Please note that search-term pricing does apply when  
 conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more  
 information enter HELP PROP at an arrow prompt in the file or refer  
 to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=&gt; d que stat l6

L4 STR



REP G1=(0-1) CH2

NODE ATTRIBUTES:

NSPEC IS RC AT 13

NSPEC IS RC AT 14

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

L6 3659 SEA FILE=REGISTRY SSS FUL L4

100.0% PROCESSED 16293 ITERATIONS  
 SEARCH TIME: 00.00.01

3659 ANSWERS

=&gt; b cap

FILE 'CAPLUS' ENTERED AT 13:23:49 ON 30 JAN 2004  
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FILE COVERS 1907 - 30 Jan 2004 VOL 140 ISS 6  
FILE LAST UPDATED: 29 Jan 2004 (20040129/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'CAPLUS' FILE

=> d que nos l43

```

L4          STR
L6          3659 SEA FILE=REGISTRY SSS FUL L4
L7          1378 SEA FILE=CAPLUS ABB=ON PLU=ON L6
L27         7869 SEA FILE=CAPLUS ABB=ON PLU=ON SOLID PHASE SYNTHESIS + NT/CT
L28         41 SEA FILE=CAPLUS ABB=ON PLU=ON L27 AND L7
L35         35 SEA FILE=CAPLUS ABB=ON PLU=ON SOLID PHAS?/OBI (L) L7
L40         49 SEA FILE=CAPLUS ABB=ON PLU=ON L28 OR L35
L43         37 SEA FILE=CAPLUS ABB=ON PLU=ON L40 AND (PY<2001 OR PRY<2001
          OR AY<2001)

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*Combo of OBI & Solid Phase Synthesis (CT, ET) and*

=> b uspatfull

FILE 'USPATFULL' ENTERED AT 13:24:21 ON 30 JAN 2004  
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 29 Jan 2004 (20040129/PD)  
FILE LAST UPDATED: 29 Jan 2004 (20040129/ED)  
HIGHEST GRANTED PATENT NUMBER: US6684403  
HIGHEST APPLICATION PUBLICATION NUMBER: US2004019947  
CA INDEXING IS CURRENT THROUGH 29 Jan 2004 (20040129/UPCA)  
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 29 Jan 2004 (20040129/PD)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2003  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Dec 2003

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>>> USPAT2 is now available.  USPATFULL contains full text of the  <<<
>>> original, i.e., the earliest published granted patents or      <<<
>>> applications.  USPAT2 contains full text of the latest US     <<<
>>> publications, starting in 2001, for the inventions covered in  <<<
>>> USPATFULL.  A USPATFULL record contains not only the original  <<<
>>> published document but also a list of any subsequent           <<<
>>> publications.  The publication number, patent kind code, and   <<<
>>> publication date for all the US publications for an invention  <<<
>>> are displayed in the PI (Patent Information) field of USPATFULL <<<
>>> records and may be searched in standard search fields, e.g., /PN, <<<
>>> /PK, etc.                                                       <<<

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>>> USPATFULL and USPAT2 can be accessed and searched together      <<<
>>> through the new cluster USPATALL.  Type FILE USPATALL to        <<<
>>> enter this cluster.                                             <<<
>>>                                                                    <<<
>>> Use USPATALL when searching terms such as patent assignees,     <<<
>>> classifications, or claims, that may potentially change from    <<<
>>> the earliest to the latest publication.                          <<<

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d que nos l45

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L4          STR
L6          3659 SEA FILE=REGISTRY SSS FUL L4
L8          191 SEA FILE=USPATFULL ABB=ON  PLU=ON  L6
L41         15919 SEA FILE=USPATFULL ABB=ON  PLU=ON  (SUPPORT? OR SOLID PHASE?
              OR COUPL? (3A) LINK?)/IT
L44         25 SEA FILE=USPATFULL ABB=ON  PLU=ON  L41 AND L8
L45         23 SEA FILE=USPATFULL ABB=ON  PLU=ON  L44 AND (PY<2001 OR
              PRY<2001 OR AY<2001)

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*Contains of structure and Solid Phase Synthesis*

=> dup rem l43 l45

FILE 'CAPLUS' ENTERED AT 13:24:43 ON 30 JAN 2004  
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 PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
 COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPATFULL' ENTERED AT 13:24:43 ON 30 JAN 2004  
 CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)  
 PROCESSING COMPLETED FOR L43  
 PROCESSING COMPLETED FOR L45  
 L46 58 DUP REM L43 L45 (2 DUPLICATES REMOVED)  
 ANSWERS '1-37' FROM FILE CAPLUS  
 ANSWERS '38-58' FROM FILE USPATFULL

=> d ibib abs hitstr 1-

YOU HAVE REQUESTED DATA FROM 58 ANSWERS - CONTINUE? Y/(N):y

L46 ANSWER 1 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 1  
 ACCESSION NUMBER: 2003:390840 CAPLUS  
 DOCUMENT NUMBER: 138:402095  
 TITLE: Short amphipathic peptides with activity against  
 bacteria and intracellular pathogens  
 INVENTOR(S): McLaughlin, Mark L.; Yokum, Thomas S.; Enright,  
 Frederick M.; Elzer, Philip H.; Hammer, Robert P.  
 PATENT ASSIGNEE(S): Board of Supervisors of Louisiana State University and  
 Agricultural and Mechanical College, USA  
 SOURCE: U.S., 21 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE     | APPLICATION NO. | DATE         |
|------------|------|----------|-----------------|--------------|
| US 6566334 | B1   | 20030520 | US 1998-19490   | 19980205 <-- |

PRIORITY APPLN. INFO.:

US 1997-183014P P 19970206 &lt;--

AB Antimicrobial peptides having 50 to 80%  $\alpha,\alpha$ -dialkylated amino acids are disclosed which are short, cationic, amphipathic, and possess a high helix propensity. The peptides exhibit in vitro antibacterial properties at concns. that are not lethal to normal mammalian cells and in vivo bioactivity against intracellular pathogens. The synthesis of 1-Boc,4-Fmoc-protected 4-amino-4-piperidinecarboxylic acid (Api) is described, as are methods for the solid-phase synthesis of the peptides. An example is H-Api-Aib-Aib-Lys-Aib-Aib-Lys-Aib-Aib-Api-NH<sub>2</sub> (Aib =  $\alpha$ -aminoisobutyric acid residue), which showed MIC 4.0  $\mu$ M against E. Coli.

IT 181716-11-0P 181716-12-1P 181716-13-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

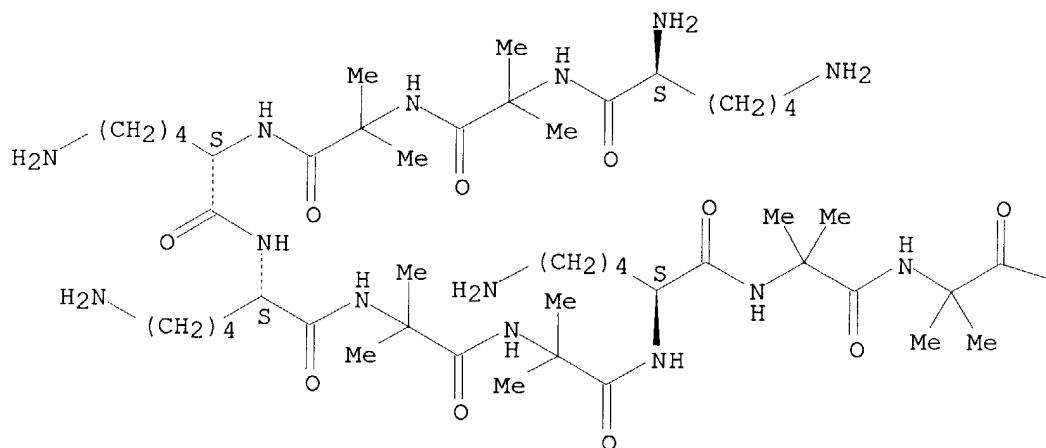
(short amphipathic peptides with activity against bacteria and intracellular pathogens)

RN 181716-11-0 CAPLUS

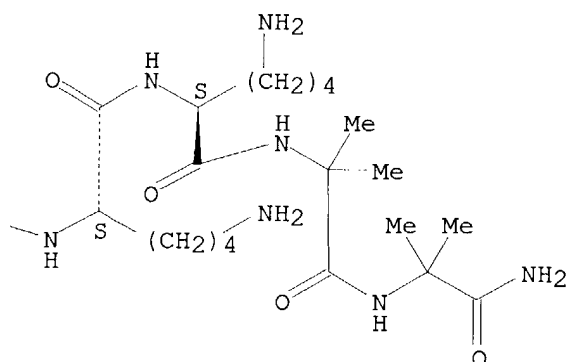
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Absolute stereochemistry.

PAGE 1-A





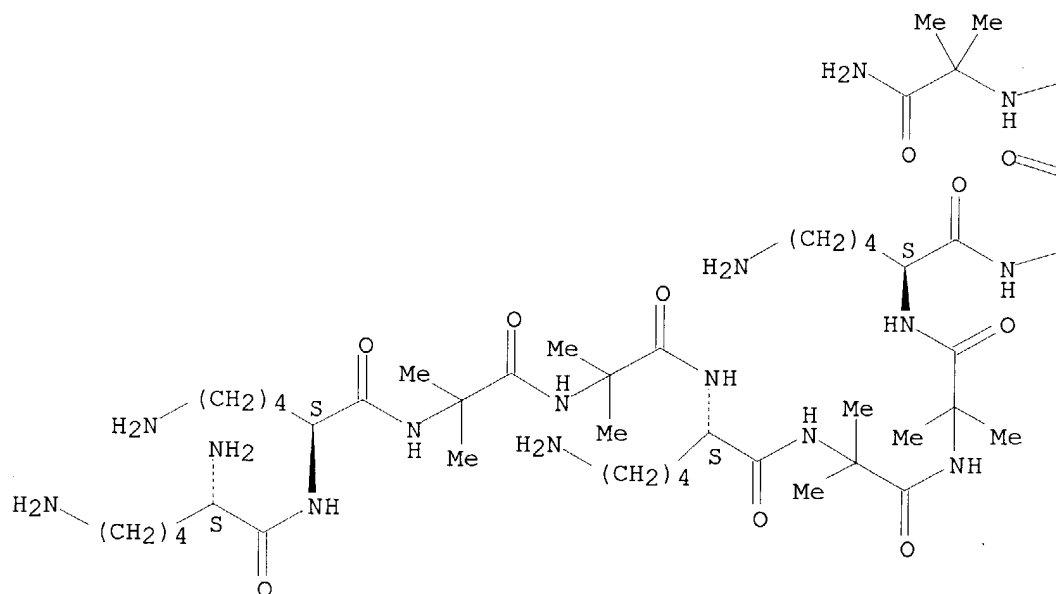


RN 181716-12-1 CAPLUS

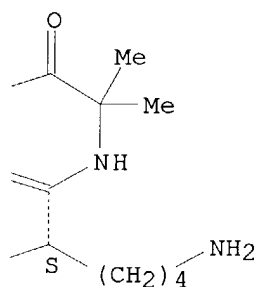
CN Alaninamide, L-lysyl-L-lysyl-2-methylalanyl-2-methylalanyl-L-lysyl-2-methylalanyl-2-methylalanyl-L-lysyl-L-lysyl-2-methylalanyl-2-methyl- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

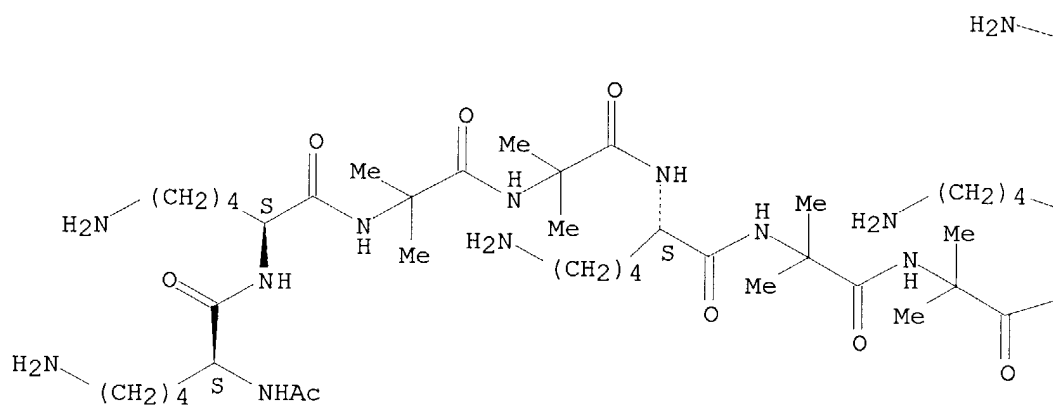


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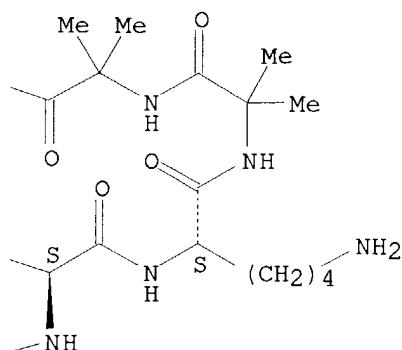
CN Alaninamide, N2-acetyl-L-lysyl-L-lysyl-2-methylalanyl-2-methylalanyl-L-lysyl-2-methylalanyl-2-methylalanyl-L-lysyl-L-lysyl-2-methylalanyl-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



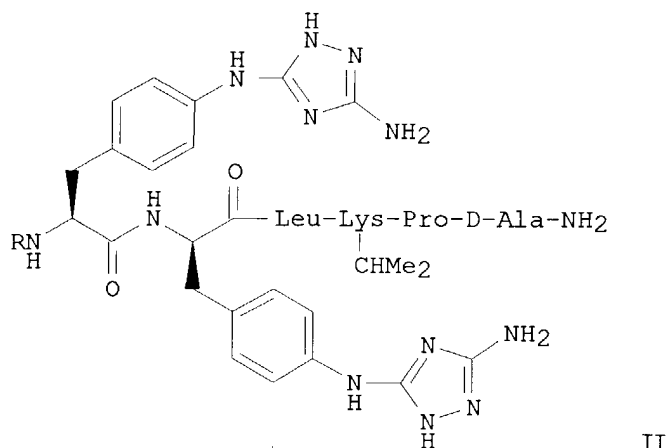
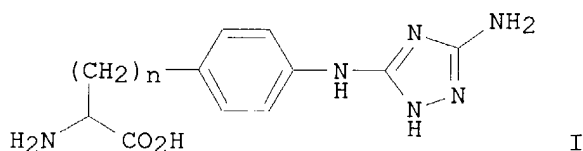
PAGE 1-B



REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 2 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 2  
ACCESSION NUMBER: 1996:754439 CAPLUS  
DOCUMENT NUMBER: 126:89780  
TITLE: Preparation of aminotriazole-containing peptides as GnRH  
analogs  
INVENTOR(S): Hoeger, Carl A.; Rivier, Jean E. F.; Theobald, Paula  
G.; Porter, John S.  
PATENT ASSIGNEE(S): Salk Institute for Biological Studies, USA  
SOURCE: U.S., 17 pp., Cont.-in-part of U.S. 5,352,796.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 5  
PATENT INFORMATION:

| PATENT NO.  | KIND | DATE             | APPLICATION NO. | DATE            |
|---|------|------------------|-----------------|-----------------|
| US 5580957  | A    | 19961203         | US 1994-210619  | 19940318 <--    |
| US 5169932  | A    | 19921208         | US 1990-545239  | 19900627 <--    |
| ZA 9008575  | A    | 19910828         | ZA 1990-8575    | 19901025 <--    |
| IL 118659   | A1   | 19990620         | IL 1992-118659  | 19920226 <--    |
| EP 575490   | A1   | 19931229         | EP 1992-908108  | 19920311 <--    |
| EP 575490   | B1   | 19990804         |                 |                 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE |      |                  |                 |                 |
| JP 06505751   | T2   | 19940630         | JP 1992-508317  | 19920311 <--    |
| JP 2522628  | B2   | 19960807         |                 |                 |
| AU 664989   | B2   | 19951214         | AU 1992-15882   | 19920311 <--    |
| US 5296468  | A    | 19940322         | US 1993-6729    | 19930121 <--    |
| US 5352796  | A    | 19941004         | US 1993-78965   | 19930617 <--    |
| KR 123009   | B1   | 19971124         | KR 1993-72730   | 19930913 <--    |
| US 5744450  | A    | 19980428         | US 1995-460246  | 19950602 <--    |
| PRIORITY APPLN. INFO.:                                    |      |                  | US 1989-428827  | B2 19891030 <-- |
|   |      |                  | US 1990-545239  | A2 19900627 <-- |
|   |      |                  | US 1991-669695  | B2 19910314 <-- |
|   |      |                  | US 1993-6729    | A2 19930121 <-- |
|   |      |                  | US 1993-78965   | A2 19930617 <-- |
|   |      |                  | IL 1992-101074  | A3 19920226 <-- |
|   |      |                  | WO 1992-US1921  | W 19920311 <--  |
|   |      |                  | US 1994-210619  | A3 19940318 <-- |
| OTHER SOURCE(S):  |      | MARPAT 126:89780 |                 |                 |
| GI  |      |                  |                 |                 |



AB Peptides which include unnatural amino acids and which either promote or inhibit the secretion of gonadotropins by the pituitary gland and inhibit the release of steroids by the gonads. Administration of an effective amount of such peptides that are GnRH antagonists prevents ovulation of female mammalian eggs and/or the release of steroids by the gonads and may be used to treat steroid-dependent tumors. The agonists can be used for control of reproduction processes, to treat precocious puberty, endometriosis, and the like. The peptides are analogs of the decapeptide GnRH wherein there is at least one residue of an unnatural amino acid in the 3-, 5-, 6- and/or 8-positions. Unnatural amino acids I ( $n = 1-3$ ) are incorporated in a preferred group of synthesized peptides. Methods for synthesizing such peptides having the triazole side chains are disclosed wherein one side chain modification (or two simultaneously) is carried out on an amino-substituted phenylalanine residue in a peptide chain which is a part of a peptide resin. Thus, peptide II [ $R = \text{Ac-D-Nal-D-Phe(4-Cl)-D-Pal}$ ;  $\text{Nal} = 3-(2\text{-naphthyl})\text{alanine}$ ;  $\text{Phe(4-Cl)} = 4\text{-chlorophenylalanine}$ ;  $\text{Pal} = 3-(3\text{-pyridyl})\text{alanine}$ ], prepared by standard solid-phase methods using  $N\alpha$ -tert-butoxycarbonyl (Boc) protection on a MBHA resin support, inhibited ovulation in rats at doses of 2.5 and 1.0  $\mu\text{g}$ .

IT **156431-24-2P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of aminotriazole-containing peptides as GnRH analogs)

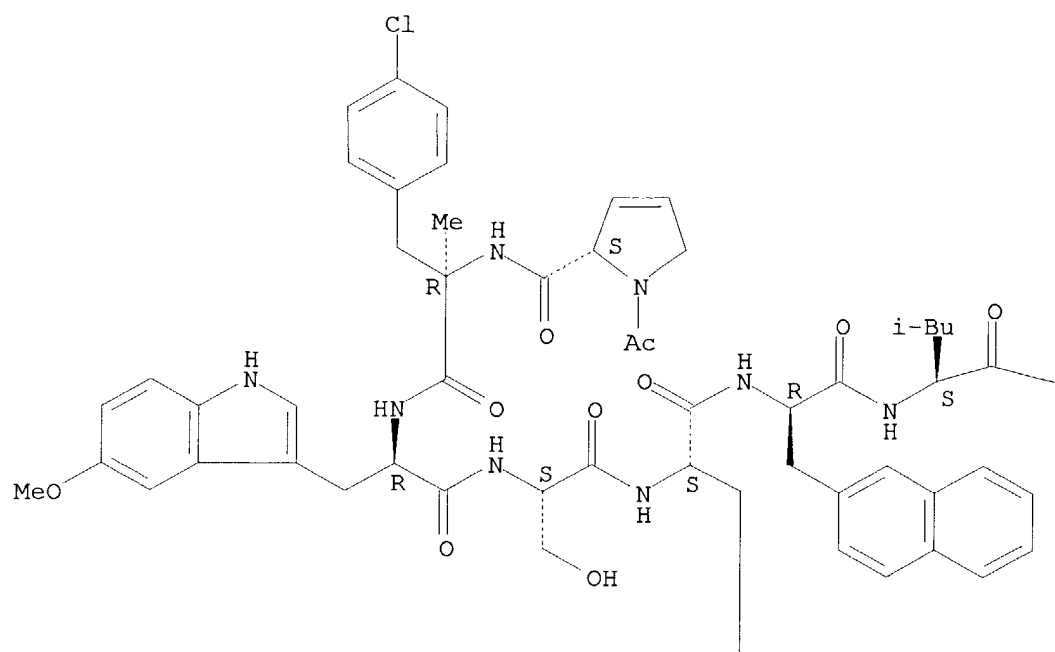
RN 156431-24-2 CAPLUS

CN D-Alaninamide, 1-acetyl-3,4-didehydro-L-prolyl-4-chloro- $\alpha$ -methyl-D-phenylalanyl-5-methoxy-D-tryptophyl-L-seryl-3-iodo-L-tyrosyl-3-(2-naphthalenyl)-D-alanyl-L-leucyl-N5-[(cyanoamino)(propylamino)methylene]-L-ornithyl-L-prolyl- (9CI) (CA INDEX NAME)

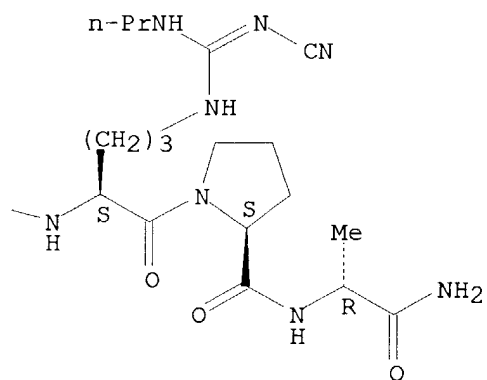
Absolute stereochemistry.

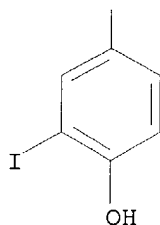
Double bond geometry unknown.

PAGE 1-A



PAGE 1-B





L46 ANSWER 3 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2001:636087 CAPLUS  
 DOCUMENT NUMBER: 135:190403  
 TITLE: Synthesis of bombesin peptide analogs and their uses  
 in treatment of cancer  
 INVENTOR(S): Burman, Anand C.; Prasad, Sudhanan; Mukherjee, Rama;  
 Jaggi, Manu; Singh, Anu T.; Mathur, Archana  
 PATENT ASSIGNEE(S): Dabur Research Foundation, India  
 SOURCE: PCT Int. Appl., 35 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE           |
|--|------|----------|-----------------|----------------|
| WO 2001062777  | A1   | 20010830 | WO 2000-US20873 | 20000731 <--   |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,<br>CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,<br>HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,<br>LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,<br>SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU,<br>ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM<br>RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,<br>DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,<br>CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG |      |          |                 |                |
| AU 2000065053  | A5   | 20010903 | AU 2000-65053   | 20000731 <--   |
| EP 1261626   | A1   | 20021204 | EP 2000-952333  | 20000731 <--   |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,<br>IE, SI, LT, LV, FI, RO, MK, CY, AL   |      |          |                 |                |
| US 2003105009  | A1   | 20030605 | US 2002-186226  | 20020628 <--   |
| PRIORITY APPLN. INFO.:   |      |          | IN 2000-DE147   | A 20000224 <-- |
|  |      |          | WO 2000-US20873 | W 20000731 <-- |
|  |      |          | US 2001-308273P | P 20010727     |

OTHER SOURCE(S): MARPAT 135:190403

AB The invention discloses sequences of novel peptides that are antagonists to bombesin and bombesin like peptides and their uses in the treatment of cancer. The invention particularly relates to the design and synthesis of the novel peptides incorporating  $\alpha, \alpha$ -amino acids in a site specific manner. The invention also provides methods for the generation of these peptides, compns. containing the peptides and the pharmacol. applications of these peptides especially in the treatment and prevention of cancer.

IT **357175-68-9P 357175-69-0P 357175-71-4P**

357175-80-5P 357176-08-0P 357176-33-1P

357176-55-7P 357176-70-6P 357176-83-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

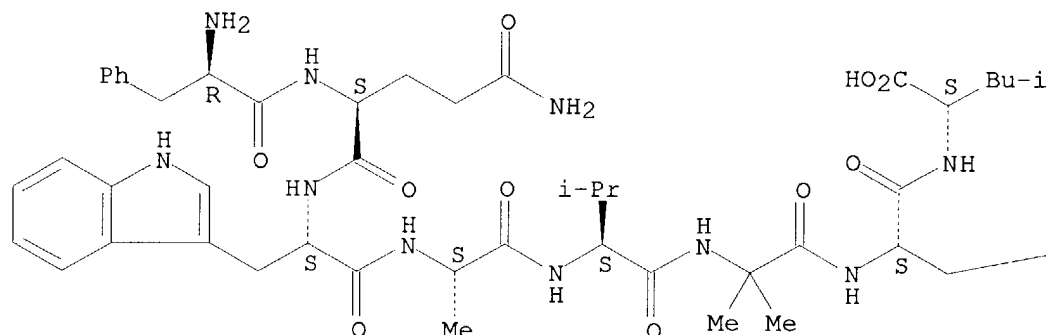
(amino acid sequence; synthesis of bombesin peptide analogs and their uses in treatment of cancer)

RN 357175-68-9 CAPLUS

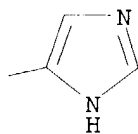
CN L-Leucine, D-phenylalanyl-L-glutaminyl-L-tryptophyl-L-alanyl-L-valyl-2-methylalanyl-L-histidyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

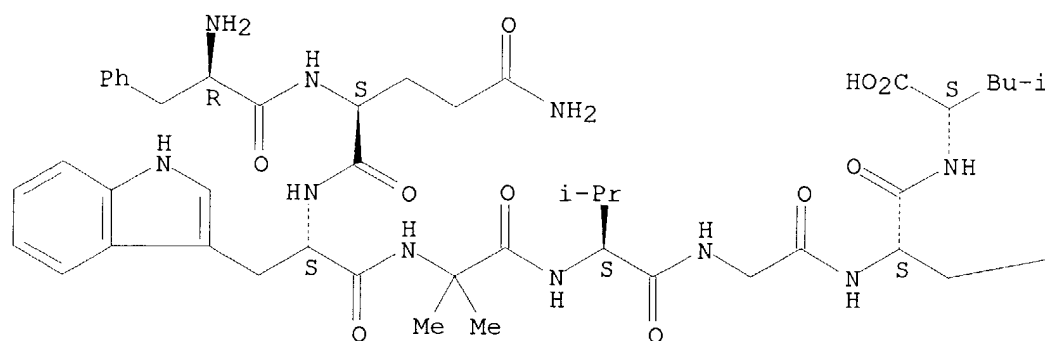


RN 357175-69-0 CAPLUS

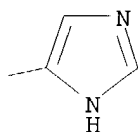
CN L-Leucine, D-phenylalanyl-L-glutaminyl-L-tryptophyl-2-methylalanyl-L-valylglycyl-L-histidyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

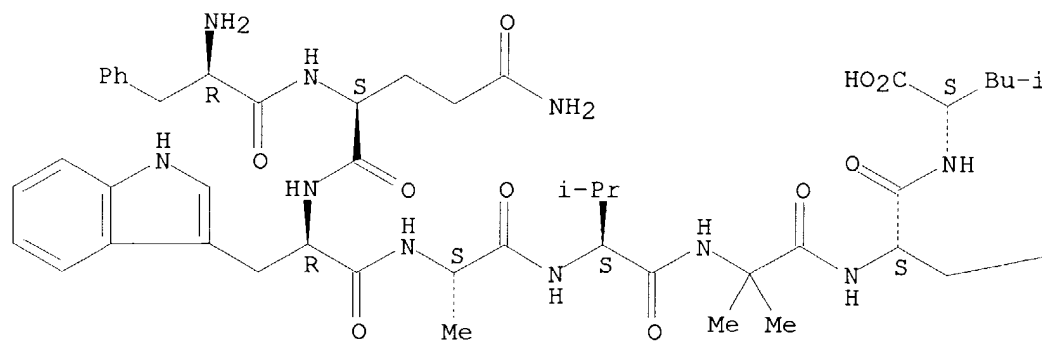


RN 357175-71-4 CAPLUS

CN L-Leucine, D-phenylalanyl-L-glutaminyl-D-tryptophyl-L-alanyl-L-valyl-2-methylalanyl-L-histidyl- (9CI) (CA INDEX NAME)

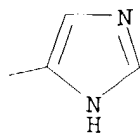
Absolute stereochemistry.

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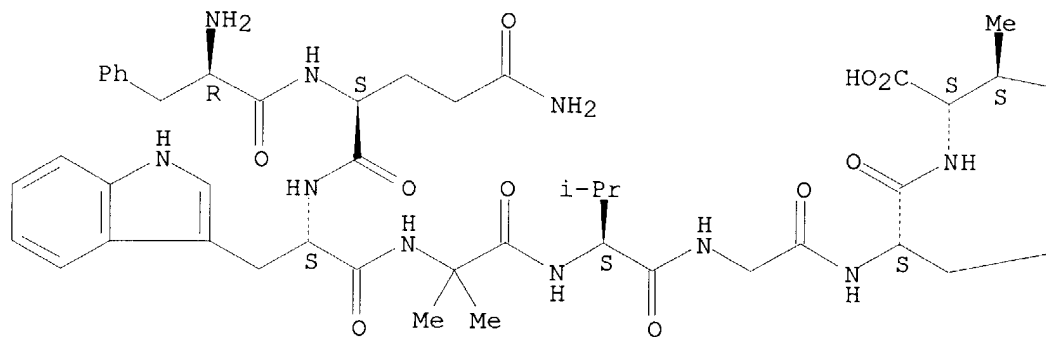


RN 357175-80-5 CAPLUS

CN L-Isoleucine, D-phenylalanyl-L-glutaminyl-L-tryptophyl-2-methylalanyl-L-valylglycyl-L-histidyl- (9CI) (CA INDEX NAME)

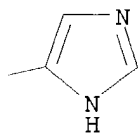
Absolute stereochemistry.

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PAGE 1-B

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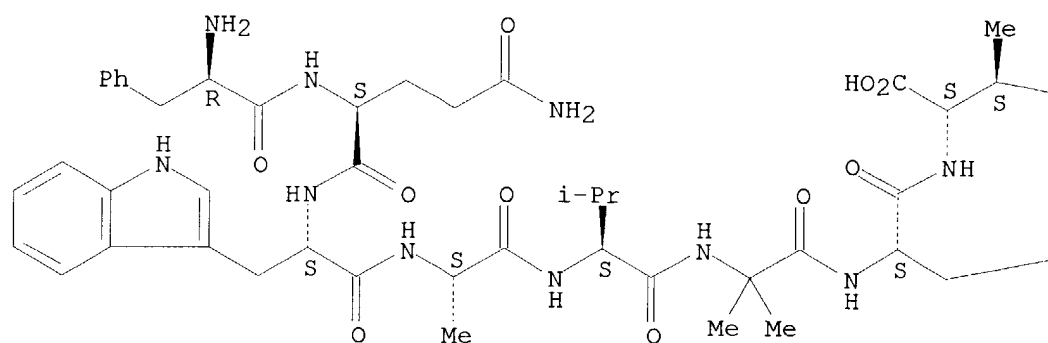


RN 357176-08-0 CAPLUS

CN L-Isoleucine, D-phenylalanyl-L-glutaminyl-L-tryptophyl-L-alanyl-L-valyl-2-methylalanyl-L-histidyl- (9CI) (CA INDEX NAME)

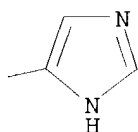
Absolute stereochemistry.

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PAGE 1-B

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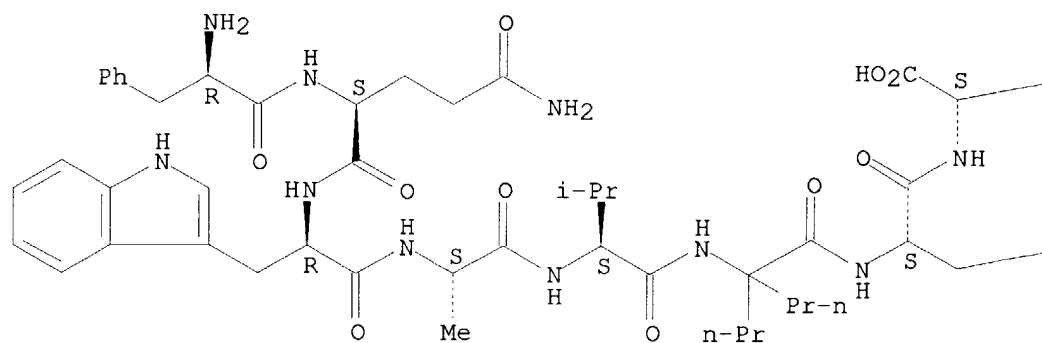


RN 357176-33-1 CAPLUS

CN L-Leucine, D-phenylalanyl-L-glutaminyl-D-tryptophyl-L-alanyl-L-valyl-2-propylnorvalyl-L-histidyl- (9CI) (CA INDEX NAME)

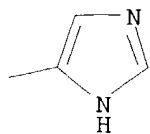
Absolute stereochemistry.

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Bu-i

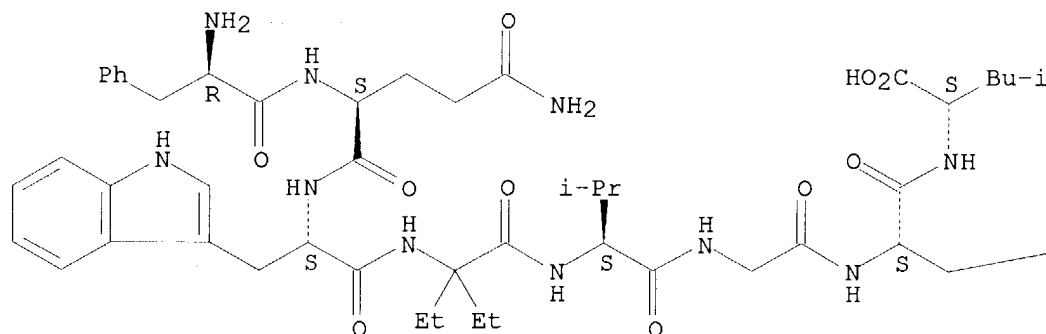


RN 357176-55-7 CAPLUS

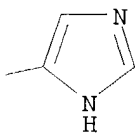
CN L-Leucine, D-phenylalanyl-L-glutaminyl-L-tryptophyl-2-amino-2-ethylbutanoyl-L-valylglycyl-L-histidyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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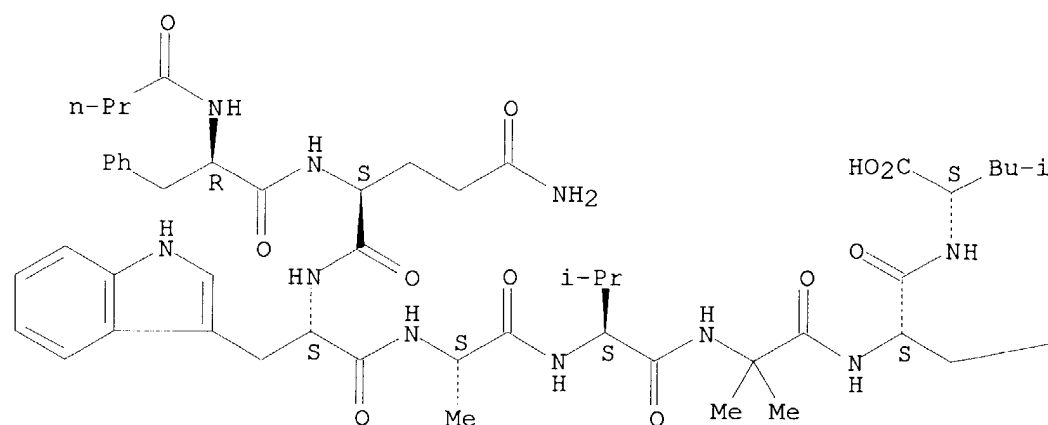


RN 357176-70-6 CAPLUS

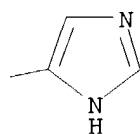
CN L-Leucine, N-(1-oxobutyl)-D-phenylalanyl-L-glutaminyl-L-tryptophyl-L-alanyl-L-valyl-2-methylalanyl-L-histidyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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PAGE 1-B

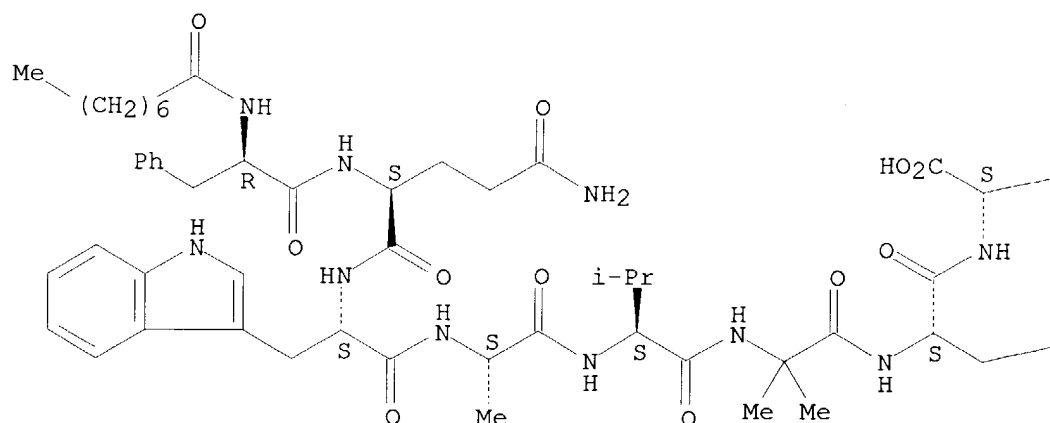


RN 357176-83-1 CAPLUS

CN L-Leucine, N-(1-oxooctyl)-D-phenylalanyl-L-glutaminyl-L-tryptophyl-L-alanyl-L-valyl-2-methylalanyl-L-histidyl- (9CI) (CA INDEX NAME)

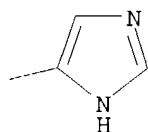
Absolute stereochemistry.

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—Bu-i



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 4 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:168124 CAPLUS

DOCUMENT NUMBER: 134:218936

TITLE: Crystal structure of CDC25 proteins and its use in rational design of inhibitors

INVENTOR(S): Taylor, Neil R.; Borhani, David; Epstein, David; Rudolph, Johannes; Ritter, Kurt; Fujimori, Taro; Robinson, Simon; Eckstein, Jens; Haupt, Andreas; Walker, Nigel; Dixon, Richard W.; Choquette, Deborah; Blanchard, Jill; Kluge, Arthur; Pal, Kollol; Bockovich, Nicholas; Come, Jon; Hediger, Mark

PATENT ASSIGNEE(S): Basf Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 314 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

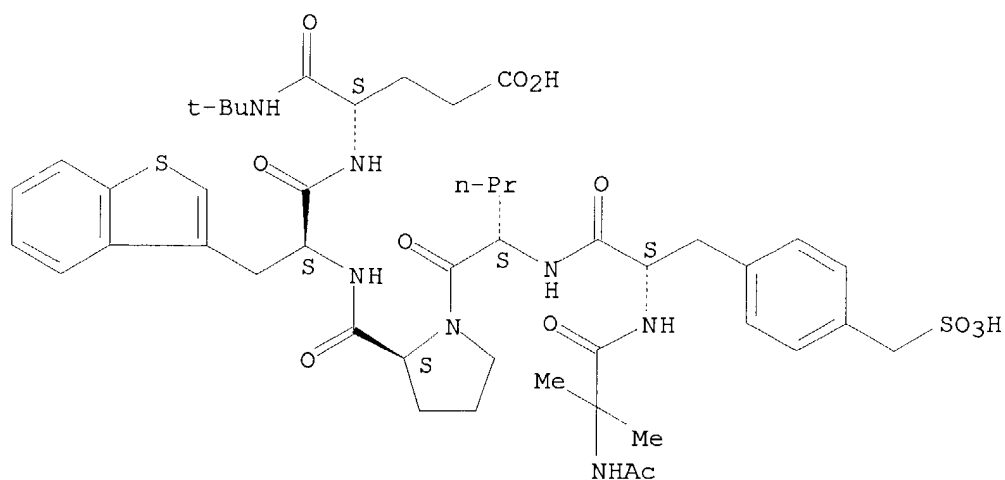
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

## PATENT INFORMATION:

| PATENT NO.  | KIND  | DATE     | APPLICATION NO. | DATE           |
|---|---|----------|-----------------|----------------|
| WO 2001016300   | A2  | 20010308 | WO 2000-US23473 | 20000825 <--   |
| WO 2001016300   | A3  | 20020530 |                 |                |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM<br>RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG |   |          |                 |                |
| EP 1226237  | A2  | 20020731 | EP 2000-959449  | 20000825 <--   |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL   |   |          |                 |                |
| PRIORITY APPLN. INFO.:  |   |          | US 1999-172215P | P 19990831 <-- |
|   |   |          | WO 2000-US23473 | W 20000825 <-- |
| OTHER SOURCE(S): MARPAT 134:218936  |   |          |                 |                |
| AB  | <p>The present invention relates to polypeptides which comprise the ligand binding domain of CDC25, crystalline forms of these polypeptides, and the use of these crystalline forms to determine the 3-dimensional structure of the catalytic domain of CDC25 alone and in complexes with pentapeptide inhibitors. Atomic coordinates are provided from x-ray diffraction of crystals of CDC25A and CDC25B catalytic domains in the presence and absence of various inhibitors. The invention also relates to the use of the 3-dimensional structure of the CDC25 catalytic domain in methods of designing and/or identifying potential inhibitors of CDC25 activity, for example, compds. which inhibit the binding of a native substrate to the CDC25 catalytic domain. The method comprises the steps of (1) identifying one or more functional groups capable of interacting with one or more subsites of the CDC25 catalytic domain, and (2) identifying a scaffold which presents the functional group or functional groups in a suitable orientation for interacting with one or more subsites of the CDC25 catalytic domain. Since CDC25 is a potential target for therapies aimed at controlling proliferative disease, the atomic coordinates allow rational structure-based design of potential agents for the treatment of cancer, restenosis, reocclusion of coronary artery, or inflammation.</p> |          |                 |                |
| IT  | <p><b>329273-97-4P 329275-05-0P 329275-40-3P</b><br/> <b>329275-41-4P 329275-44-7P 329275-45-8P</b><br/> <b>329275-60-7P 329275-61-8P</b><br/>           RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)<br/>           (crystal structure of CDC25 proteins and its use in rational design of inhibitors)</p>   |          |                 |                |
| RN  | 329273-97-4 CAPLUS  |          |                 |                |
| CN  | <p>L-<math>\alpha</math>-Glutamine, N-acetyl-2-methylalanyl-4-(sulfomethyl)-L-phenylalanyl-L-norvalyl-L-prolyl-3-benzo[b]thien-3-yl-L-alanyl-N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)</p>   |          |                 |                |

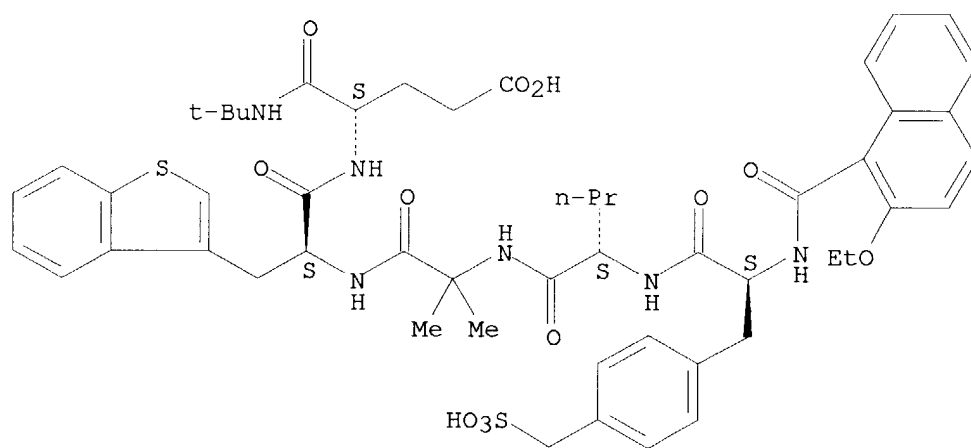
Absolute stereochemistry.



RN 329275-05-0 CAPLUS

CN L- $\alpha$ -Glutamine, N-[(2-ethoxy-1-naphthalenyl)carbonyl]-4-(sulfomethyl)-  
L-phenylalanyl-L-norvalyl-2-methylalanyl-3-benzo[b]thien-3-yl-L-alanyl-N-  
(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

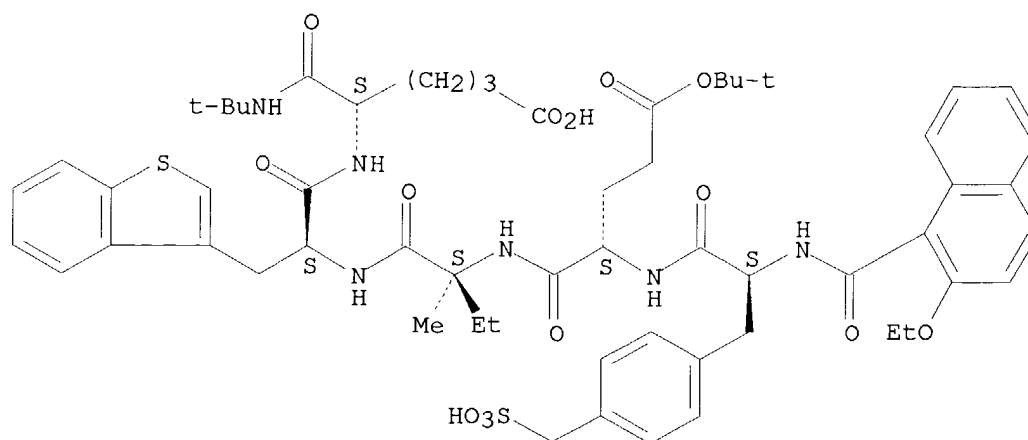
Absolute stereochemistry.



RN 329275-40-3 CAPLUS

CN L-Norvalinamide, N-[(2-ethoxy-1-naphthalenyl)carbonyl]-4-(sulfomethyl)-L-  
phenylalanyl-L- $\alpha$ -glutamyl-L-isovalyl-3-benzo[b]thien-3-yl-L-alanyl-5-  
carboxy-N-(1,1-dimethylethyl)-, 2-(1,1-dimethylethyl) ester (9CI) (CA  
INDEX NAME)

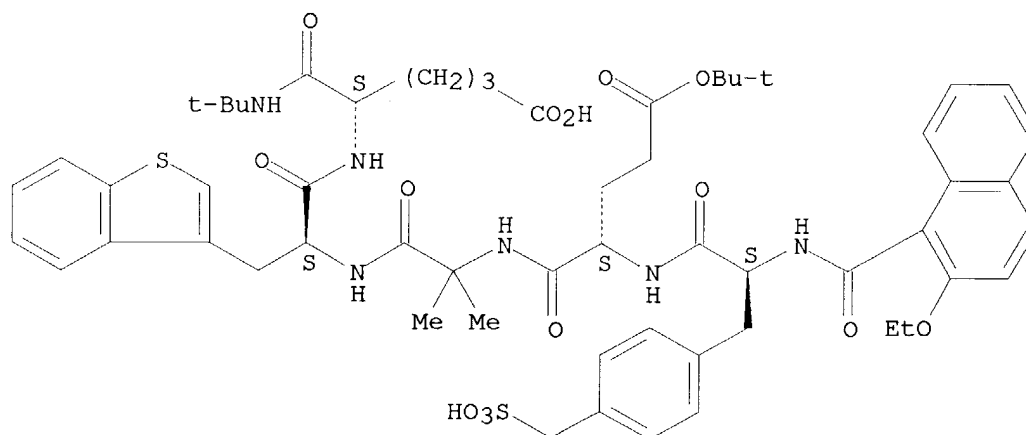
Absolute stereochemistry.



RN 329275-41-4 CAPLUS

CN L-Norvalinamide, N-[(2-ethoxy-1-naphthalenyl)carbonyl]-4-(sulfomethyl)-L-phenylalanyl-L-α-glutamyl-2-methylalanyl-3-benzo[b]thien-3-yl-L-alanyl-5-carboxy-N-(1,1-dimethylethyl)-, 2-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



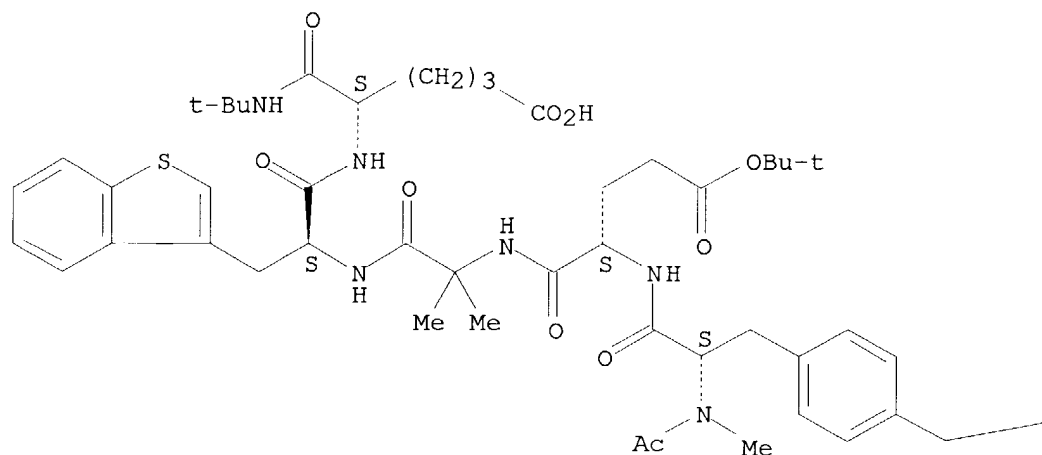
RN 329275-44-7 CAPLUS

CN L-Norvalinamide, N-acetyl-N-methyl-4-(sulfomethyl)-L-phenylalanyl-L-α-glutamyl-2-methylalanyl-3-benzo[b]thien-3-yl-L-alanyl-5-carboxy-N-(1,1-dimethylethyl)-, 2-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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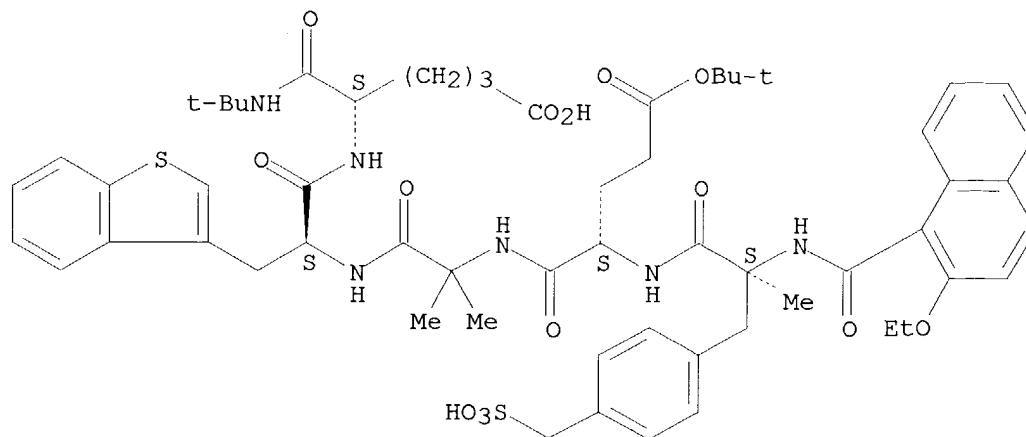


PAGE 1-B

 $\text{SO}_3\text{H}$ 

|    |  |        |
|----|--|--------|
| RN | 329275-45-8  | CAPLUS |
| CN | L-Norvalinamide, N-[(2-ethoxy-1-naphthalenyl)carbonyl]- $\alpha$ -methyl-4-(sulfomethyl)-L-phenylalanyl-L- $\alpha$ -glutamyl-2-methylalanyl-3-benzo[b]thien-3-yl-L-alanyl-5-carboxy-N-(1,1-dimethylethyl)-, 2-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME) |        |

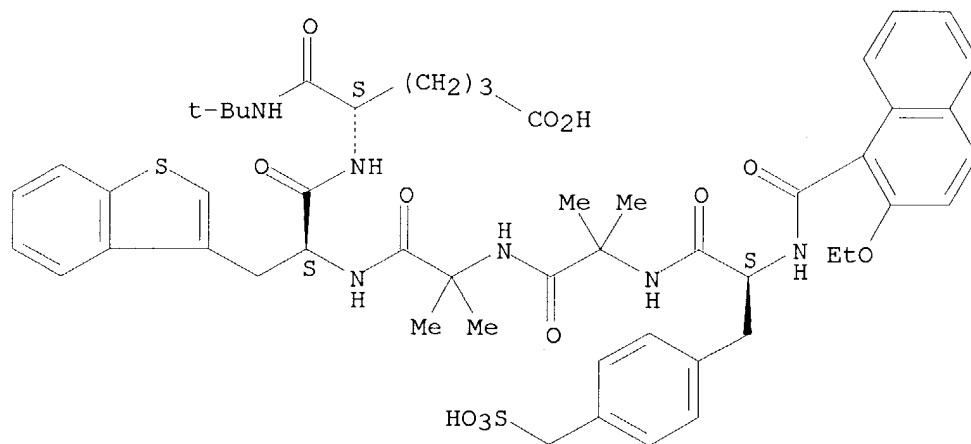
Absolute stereochemistry.



RN 329275-60-7 CAPLUS

CN L-Norvalinamide, N-[(2-ethoxy-1-naphthalenyl)carbonyl]-4-(sulfomethyl)-L-phenylalanyl-2-methylalanyl-2-methylalanyl-3-benzo[b]thien-3-yl-L-alanyl-5-carboxy-N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

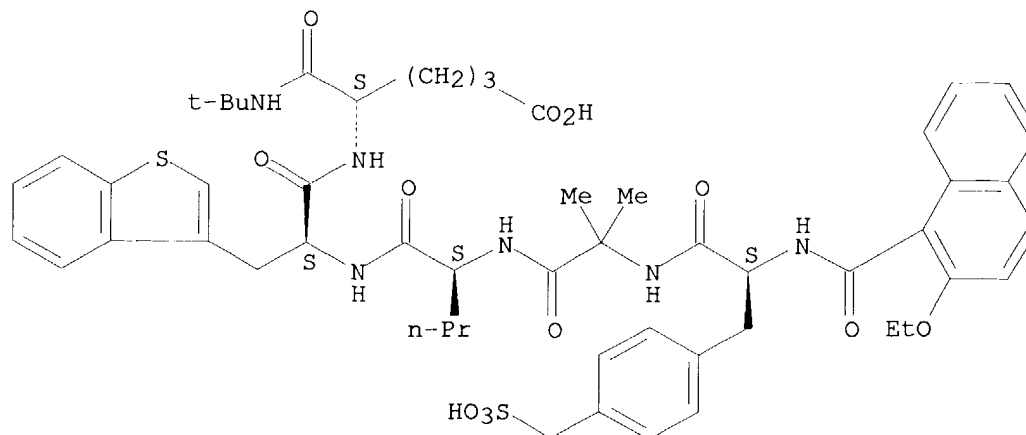
Absolute stereochemistry.



RN 329275-61-8 CAPLUS

CN L-Norvalinamide, N-[(2-ethoxy-1-naphthalenyl)carbonyl]-4-(sulfomethyl)-L-phenylalanyl-2-methylalanyl-L-norvalyl-3-benzo[b]thien-3-yl-L-alanyl-5-carboxy-N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L46 ANSWER 5 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2000:909678 CAPLUS  
DOCUMENT NUMBER: 134:42449  
TITLE: Synthesis of peptide inhibitors of integrin  
αvβ6  
INVENTOR(S): Jonczyk, Alfred; Diefenbach, Beate; Groth, Ulrich;  
Zischinsky, Gunther  
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany  
SOURCE: Ger. Offen., 34 pp.  
CODEN: GWXXBX  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE     | APPLICATION NO.    | DATE         |
|------------------------|--|----------|--------------------|--------------|
| DE 19929410            | A1   | 20001228 | DE 1999-19929410   | 19990626 <-- |
| WO 2001000660          | A1   | 20010104 | WO 2000-EP5404     | 20000613 <-- |
| W:                     | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                    |              |
| RW:                    | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG   |          |                    |              |
| EP 1189930             | A1   | 20020327 | EP 2000-949177     | 20000613 <-- |
| R:                     | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO   |          |                    |              |
| BR 2000011954          | A  | 20020507 | BR 2000-11954      | 20000613 <-- |
| JP 2003503422          | T2   | 20030128 | JP 2001-507066     | 20000613 <-- |
| NO 2001006341          | A  | 20020225 | NO 2001-6341       | 20011221 <-- |
| PRIORITY APPLN. INFO.: |  |          | DE 1999-19929410 A | 19990626 <-- |
|                        |  |          | WO 2000-EP5404 W   | 20000613 <-- |
| OTHER SOURCE(S):       | MARPAT 134:42449   |          |                    |              |
| AB                     | The invention describes the solid-phase synthesis of peptides H3CC(O)-Arg-X1-Asp-X2-X3-X4-X5-X6-NH2 [(I); X1 = Ser, Gly, Thr, Asp, Ar  |          |                    |              |

Val, Tyr, His or Ala; X2 = Leu, Ile, Nle, Val or Phe; X3 = Asp, Glu, Lys, Phe, Aib, Nal, Gly, Ala, Bgl or Phg; X4 = Gly, Ala, Ser,  $\beta$ Ala or  $\omega$ Abu; X5 = Leu, Ile, Nle, Val, Phe; X6 = Arg, Har, Lys, Leu, Orn, Phe, Ala, Tyr, Gly, Ser or Asp] for use as inhibitors of  $\alpha v \beta 6$  integrin in the treatment of disease. Thus I [X1 = Gly; X2 = Leu; X3 = D-Asp; X4 = Ser; X5 = Leu; X6 = Arg (II)] was synthesized using solid-phase techniques. In in vitro binding tests, using peptide H3CC(O)-Arg-Thr-Asp-Leu-Asp-Ser-Leu-Arg-NH<sub>2</sub> as standard, II had Q-value (IC<sub>50</sub> test peptide/IC<sub>50</sub> standard) 0.15 at 75 nM.

IT **313247-02-8P**

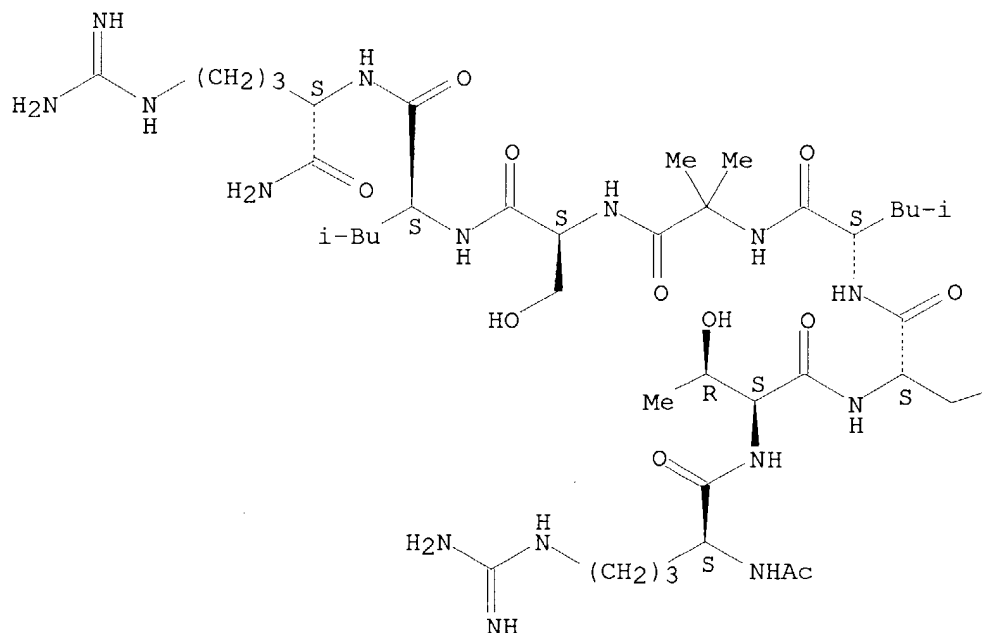
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of peptide inhibitors of integrin  $\alpha v \beta 6$  for treatment of disease)

RN 313247-02-8 CAPLUS

CN L-Argininamide, N2-acetyl-L-arginyl-L-threonyl-L- $\alpha$ -aspartyl-L-leucyl-2-methylalanyl-L-seryl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



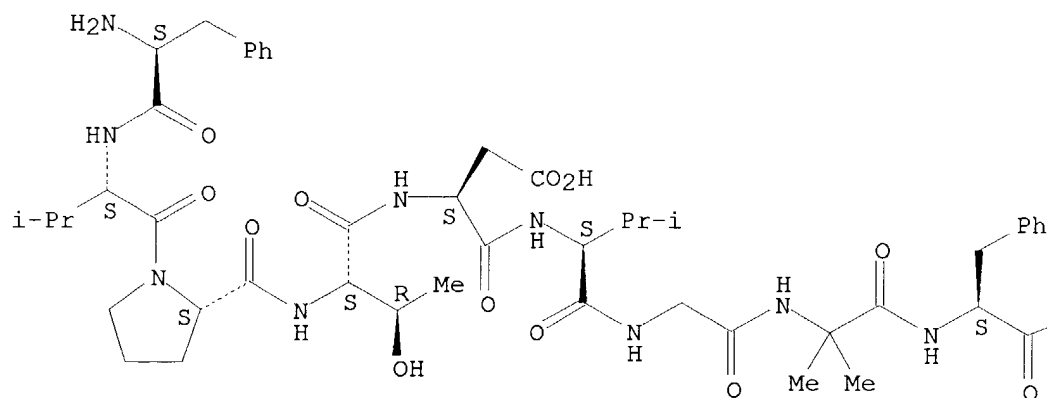
CO<sub>2</sub>H

L46 ANSWER 6 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1999:96518 CAPLUS  
DOCUMENT NUMBER: 130:153978  
TITLE: Solid-phase synthesis of peptide CGRP-antagonists for  
use as medicaments  
INVENTOR(S): Beck-Sickinger, Annette; Rist, Beate; Entzeroth,  
Michael  
PATENT ASSIGNEE(S): Dr. Karl Thomae G.m.b.H., Germany  
SOURCE: Ger. Offen., 20 pp.  
CODEN: GWXXBX  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

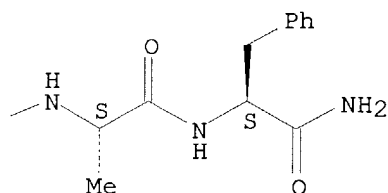
| PATENT NO.             | KIND   | DATE     | APPLICATION NO.  | DATE         |
|------------------------|--|----------|------------------|--------------|
| -----                  | ----   | -----    | -----            | -----        |
| DE 19732944            | A1   | 19990204 | DE 1997-19732944 | 19970731 <-- |
| PRIORITY APPLN. INFO.: |  |          | DE 1997-19732944 | 19970731 <-- |
| OTHER SOURCE(S):       | MARPAT 130:153978  |          |                  |              |
| AB                     | Variations on the r-CGRP-alpha-27-37 partial sequence H-F27-V28-P29-T30-N31-V32-G33-S34-E35-A36-F37-NH2 (see text for specifications) were prepared using solid-phase peptide synthesis techniques, for use in acute and prophylactic treatment of headache, non-insulin-dependent diabetes mellitus, cardiovascular disease, skin disease, inflammatory disease, allergic rhinitis, asthma, clotting disorders, and morphine tolerance (no data). |          |                  |              |
| IT                     | <b>201613-75-4P 220198-90-3P 220199-04-2P</b>  |          |                  |              |
|                        | RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)<br>(preparation of via <b>solid-phase</b> synthesis as<br>CGRP-antagonists for use as medicaments)  |          |                  |              |
| RN                     | 201613-75-4 CAPLUS   |          |                  |              |
| CN                     | L-Phenylalaninamide, L-phenylalanyl-L-valyl-L-prolyl-L-threonyl-L- $\alpha$ -aspartyl-L-valylglycyl-2-methylalanyl-L-phenylalanyl-L-alanyl- (9CI) (CA INDEX NAME)  |          |                  |              |

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

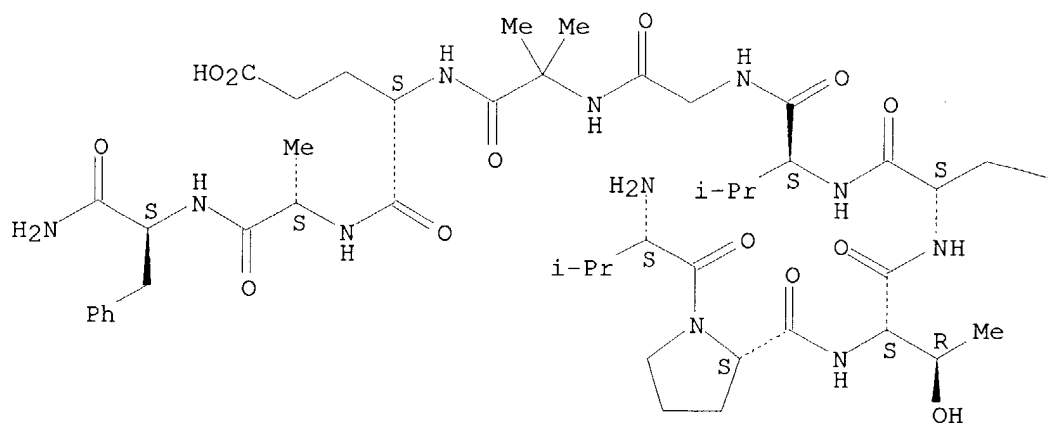


RN 220198-90-3 CAPLUS

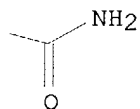
CN L-Phenylalaninamide, L-valyl-L-prolyl-L-threonyl-L-asparaginyll-L-valylglycyl-2-methylalanyl-L- $\alpha$ -glutamyl-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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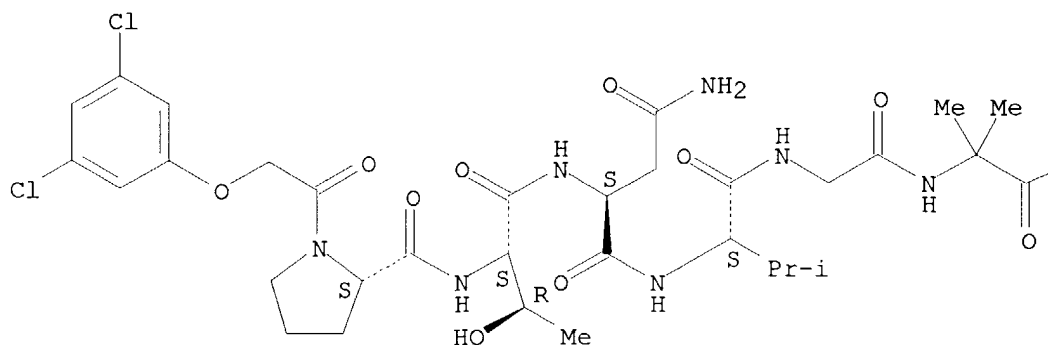


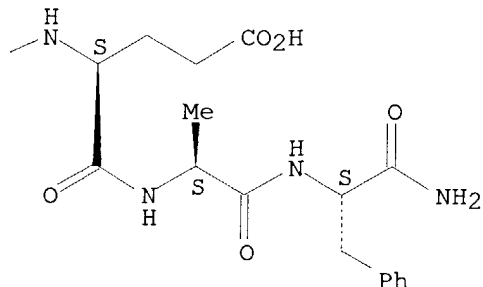
RN 220199-04-2 CAPLUS

CN L-Phenylalaninamide, 1-[(3,5-dichlorophenoxy)acetyl]-L-prolyl-L-threonyl-L-asparaginyl-L-valylglycyl-2-methylalanyl-L-α-glutamyl-L-alanyl-  
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A





L46 ANSWER 7 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:625536 CAPLUS

DOCUMENT NUMBER: 131:351665

TITLE: The parallel synthesis of peptide based phosphine ligands

AUTHOR(S): Gilbertson, Scott R.; Wang, Xifang

CORPORATE SOURCE: Department of Chemistry, Washington University, St. Louis, MO, 63130, USA

SOURCE: Tetrahedron (1999), 55(39), 11609-11618

CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 131:351665

AB Chemical is reported that allows for the synthesis and screening of phosphine ligands by standard combinatorial technol. To demonstrate the method, libraries of phosphine containing peptides were synthesized. Rhodium was complexed to the phosphine ligands while they were attached to the synthesis support. Each member of the library was screened for its ability to catalyze the asym. hydrogenation of enamides.

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RL: CAT (Catalyst use); USES (Uses)

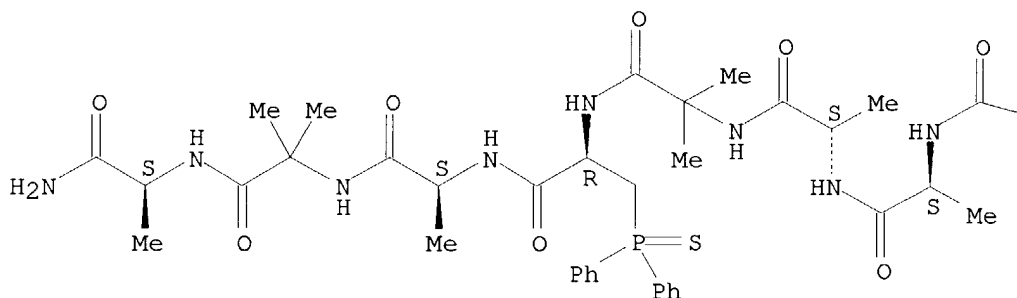
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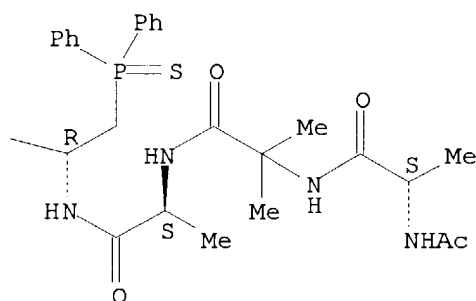
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Absolute stereochemistry.

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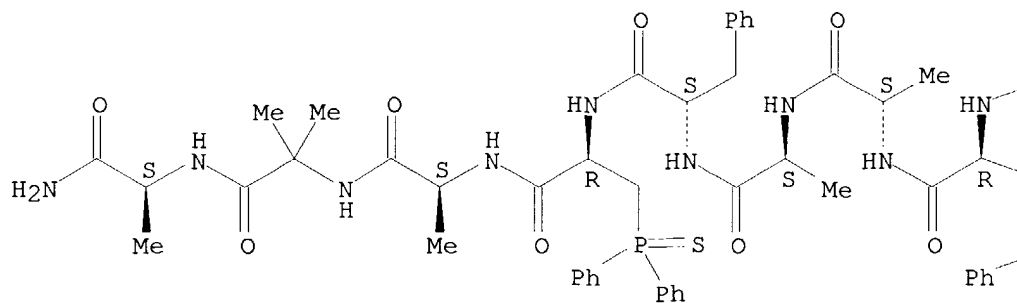


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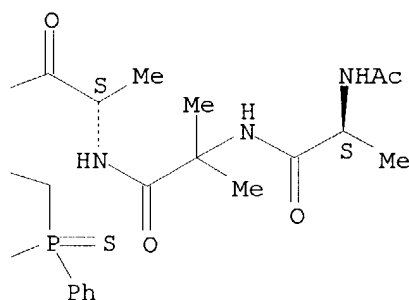
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Absolute stereochemistry.

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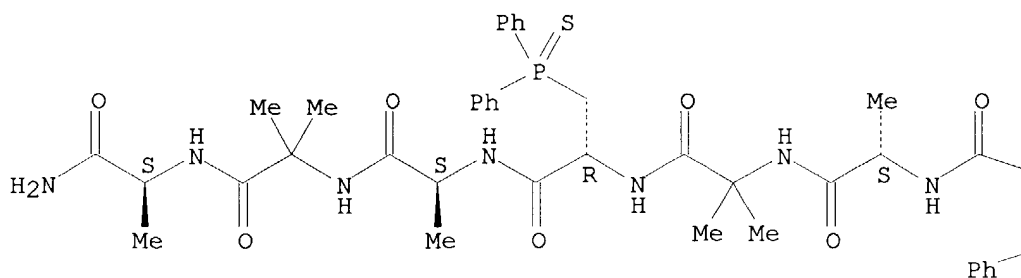


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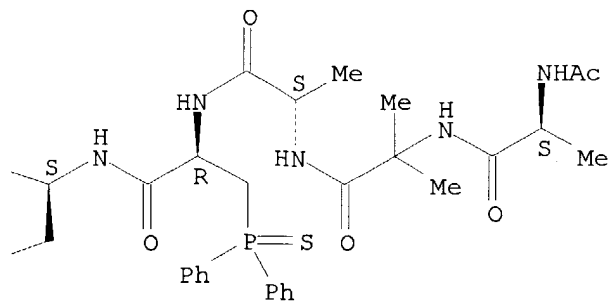
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Absolute stereochemistry.

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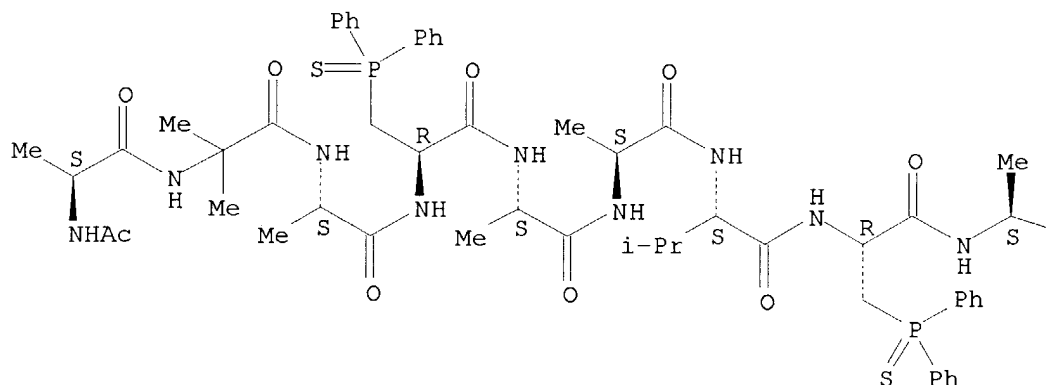


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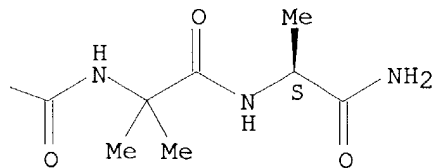
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Absolute stereochemistry.

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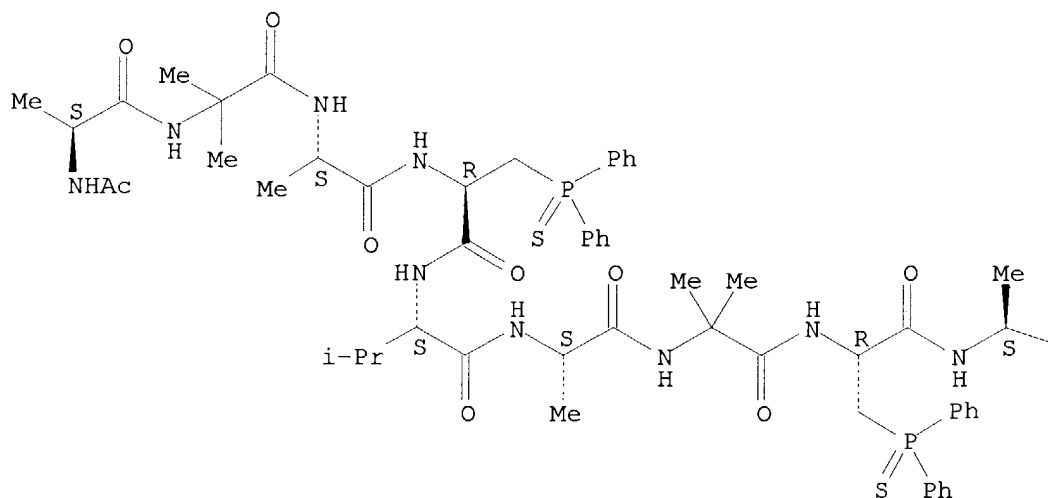


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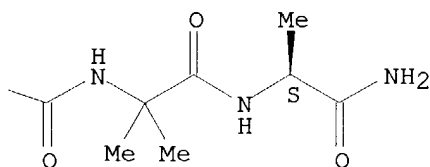
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Absolute stereochemistry.

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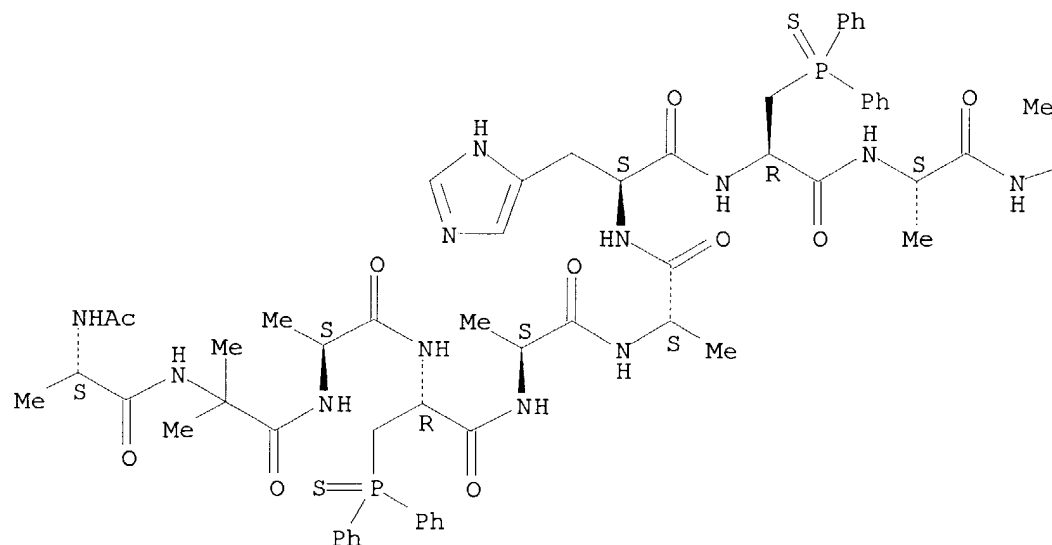


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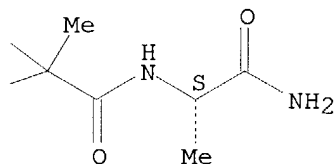
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Absolute stereochemistry.

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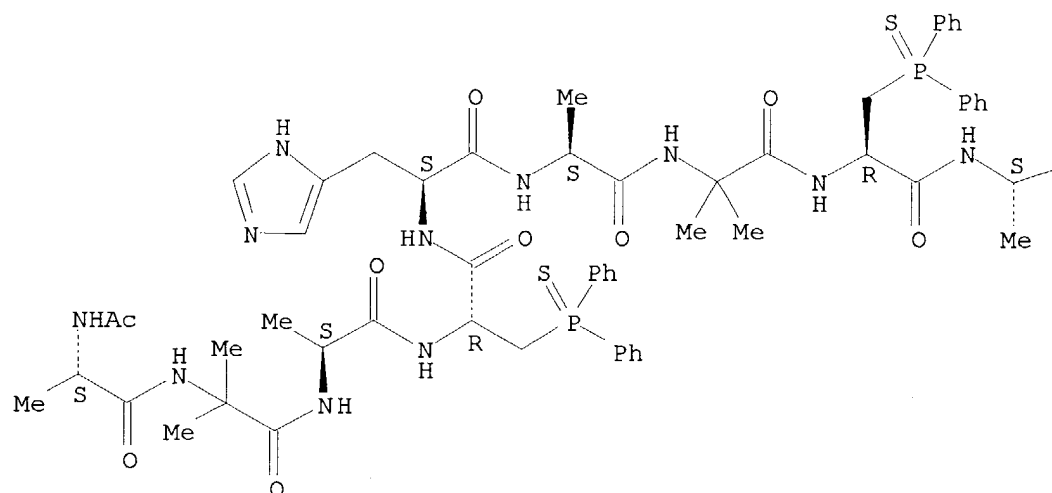


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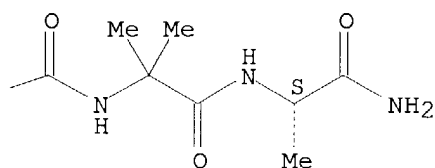
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Absolute stereochemistry.

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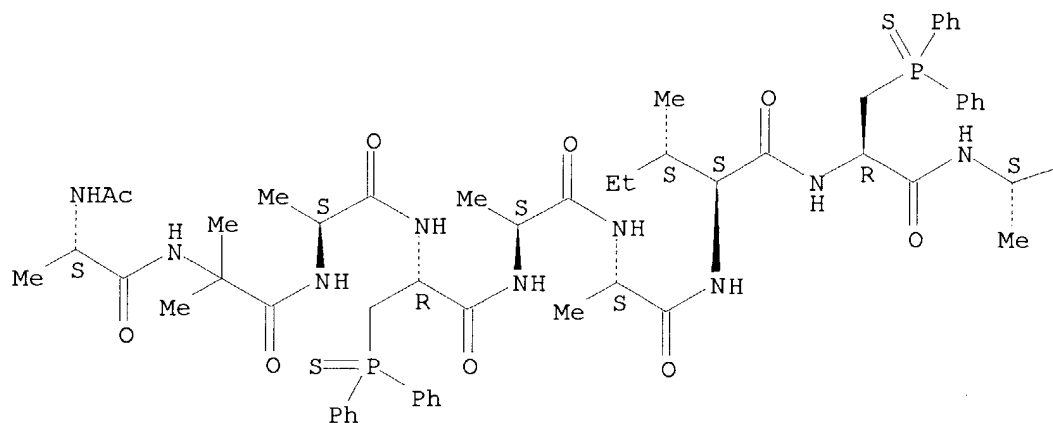


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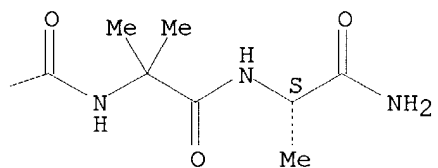
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Absolute stereochemistry.

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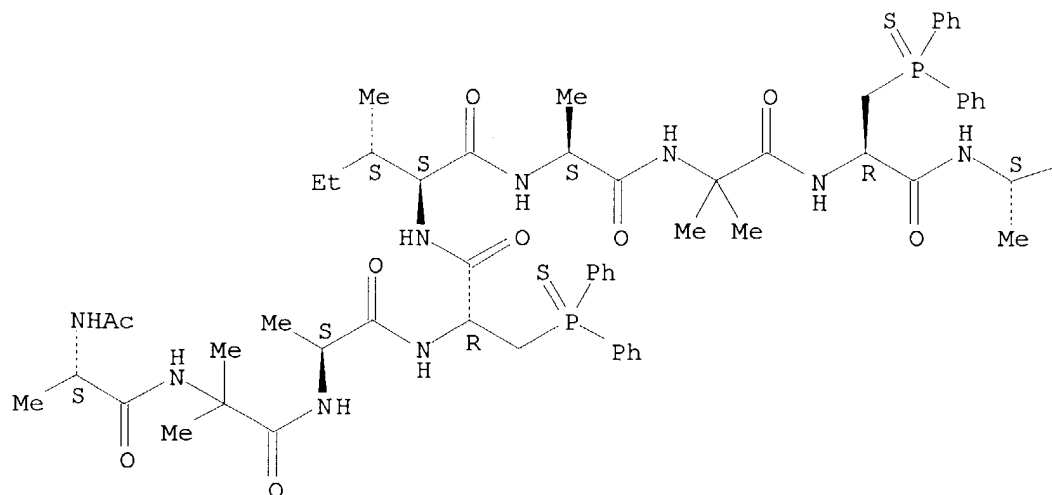
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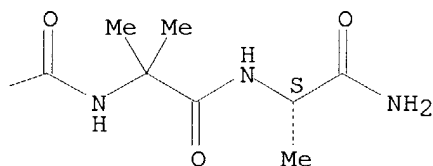
Absolute stereochemistry.



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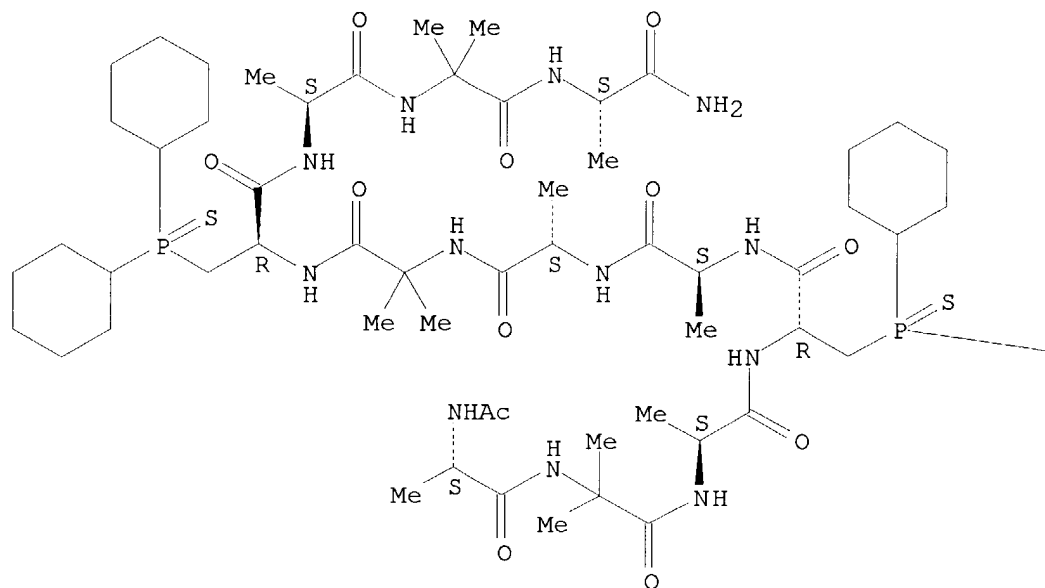


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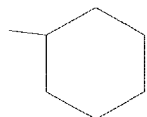
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Absolute stereochemistry.

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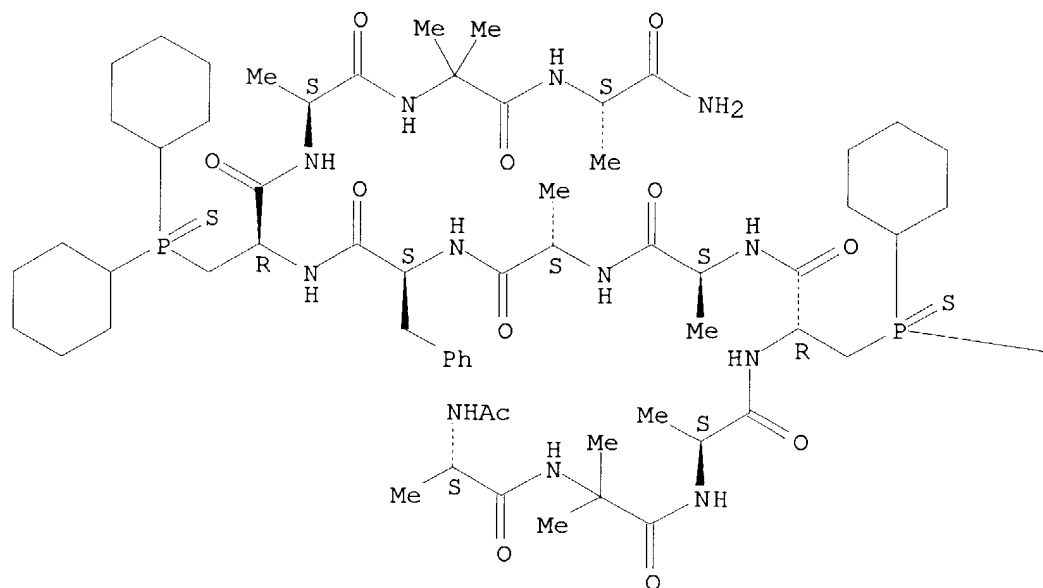


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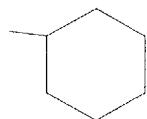
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Absolute stereochemistry.

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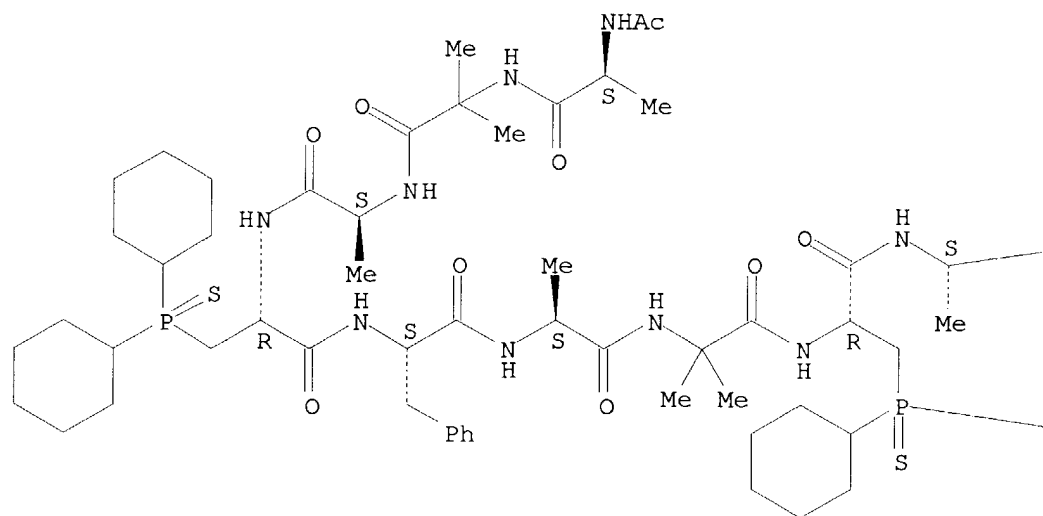
PAGE 1-B



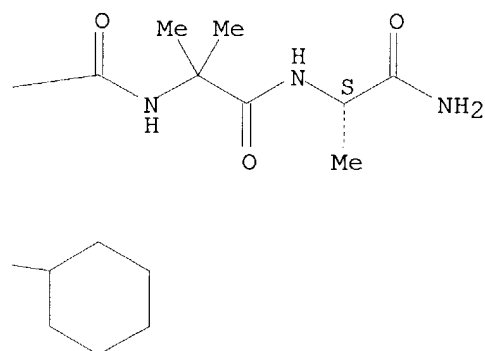
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Absolute stereochemistry.

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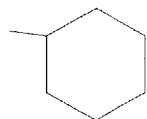
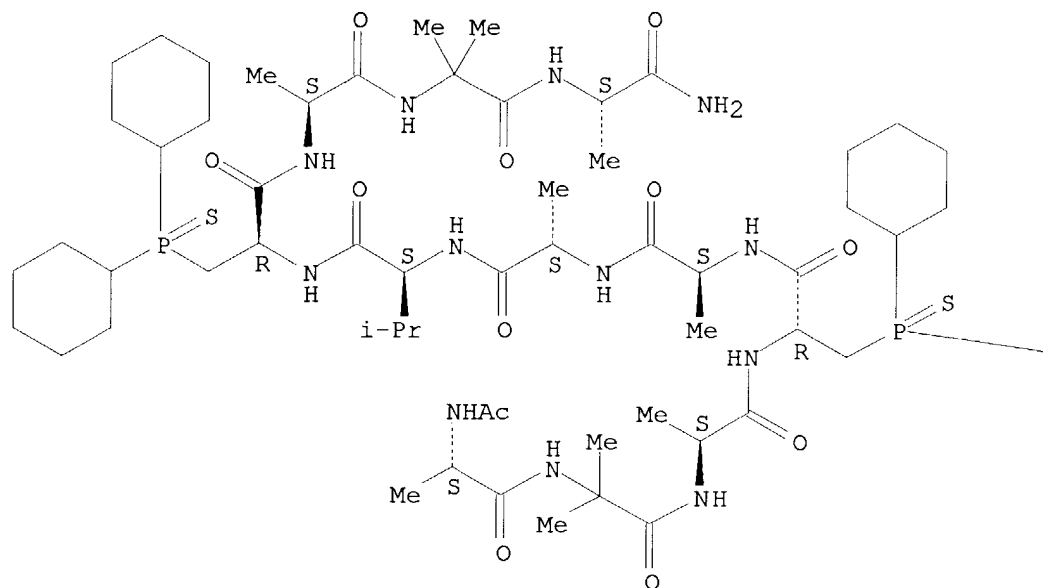
PAGE 1-B



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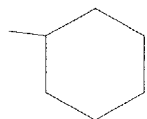
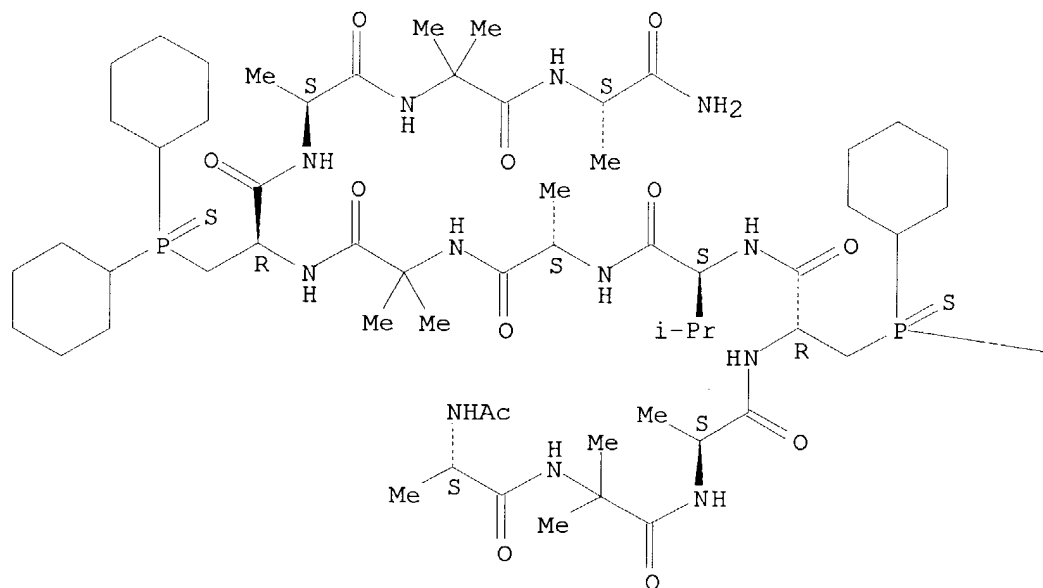
Absolute stereochemistry.



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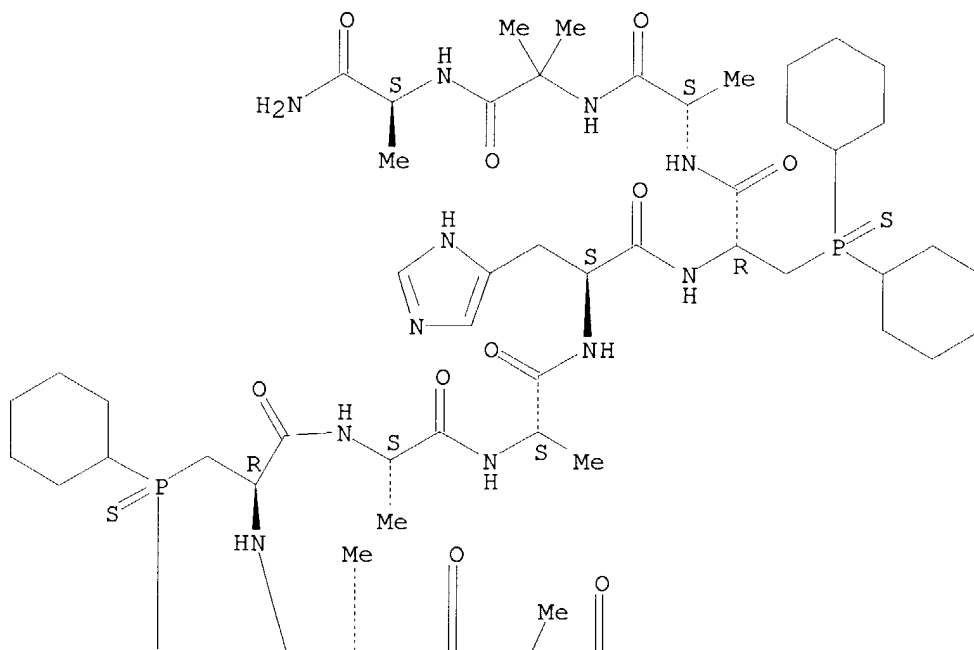
Absolute stereochemistry.



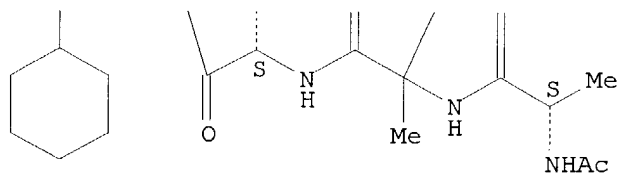
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Absolute stereochemistry.

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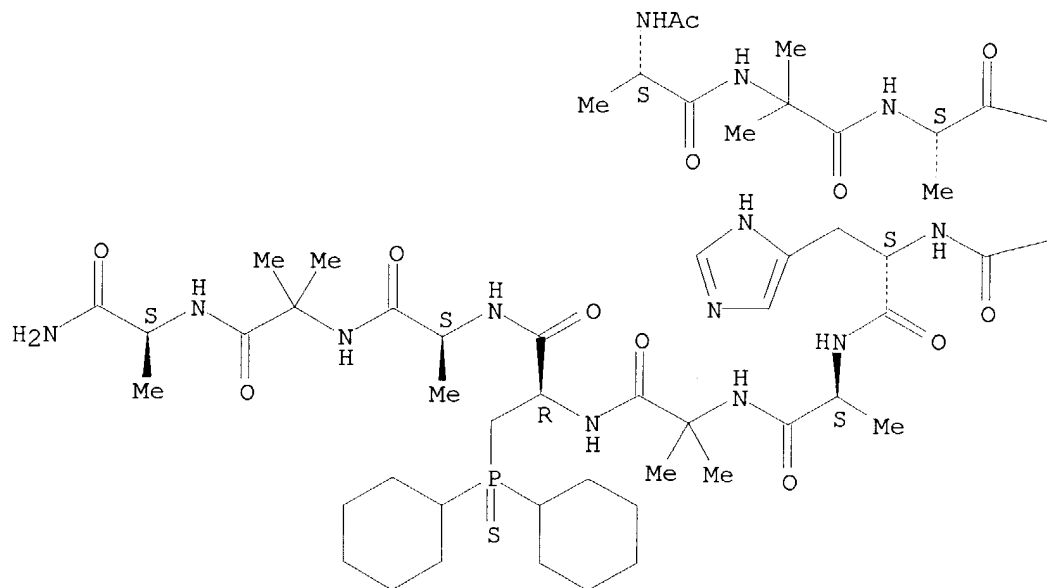


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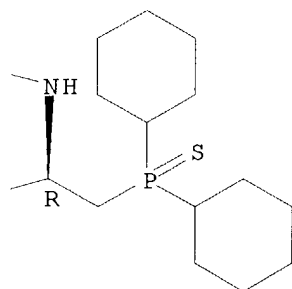
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(CA INDEX NAME)

Absolute stereochemistry.

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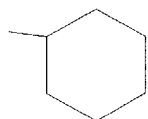
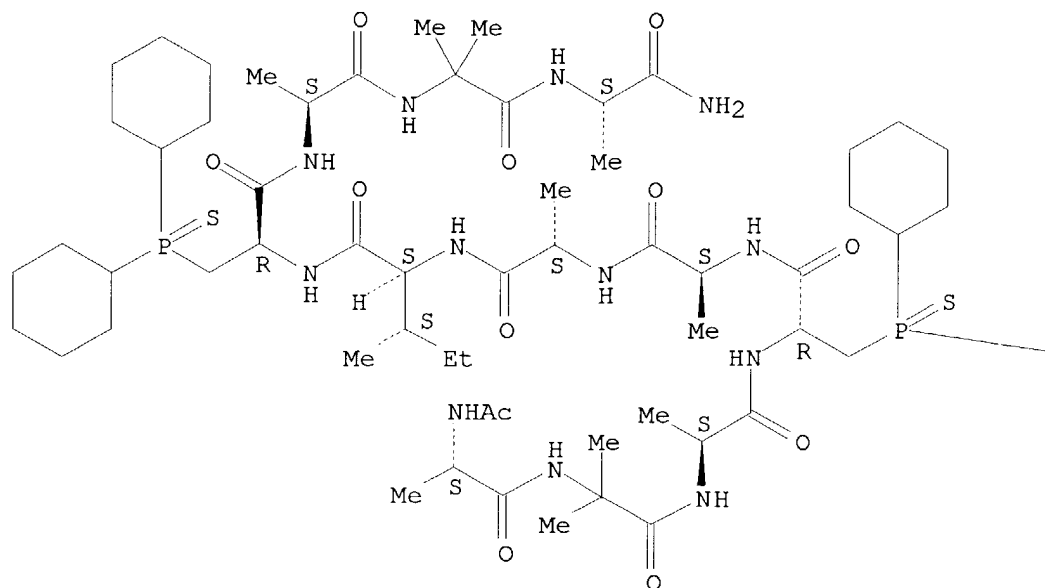


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Absolute stereochemistry.



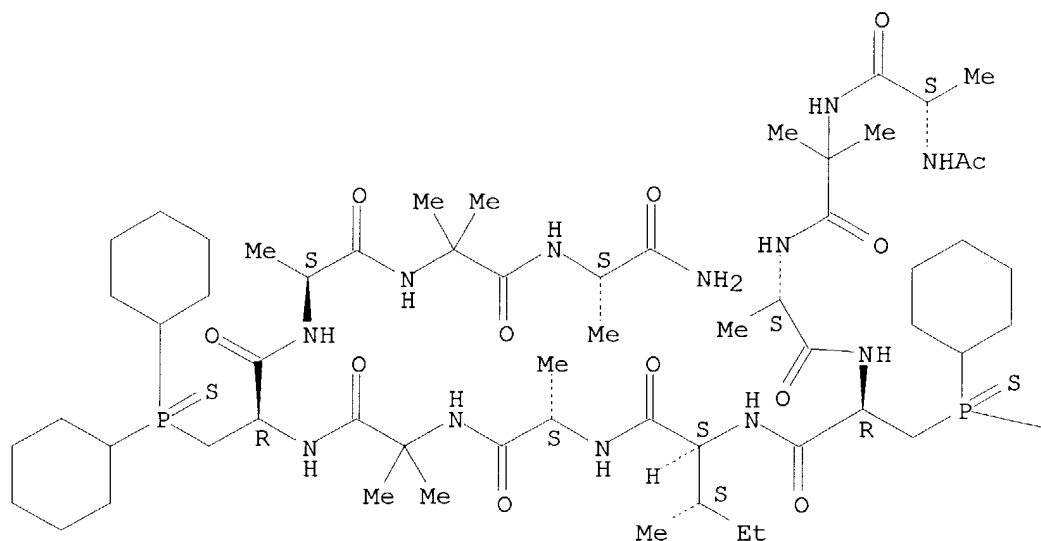


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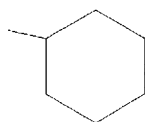
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(CA INDEX NAME)

Absolute stereochemistry.

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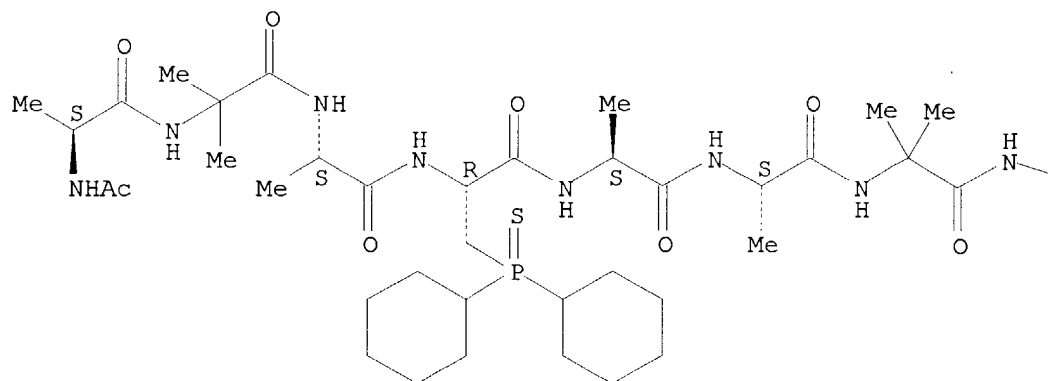
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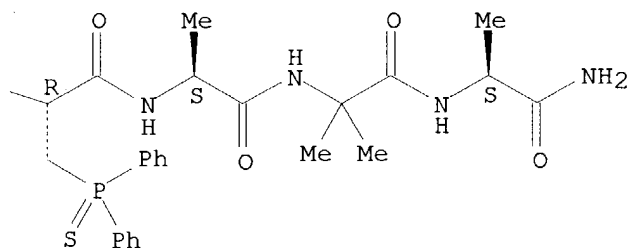
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Absolute stereochemistry.



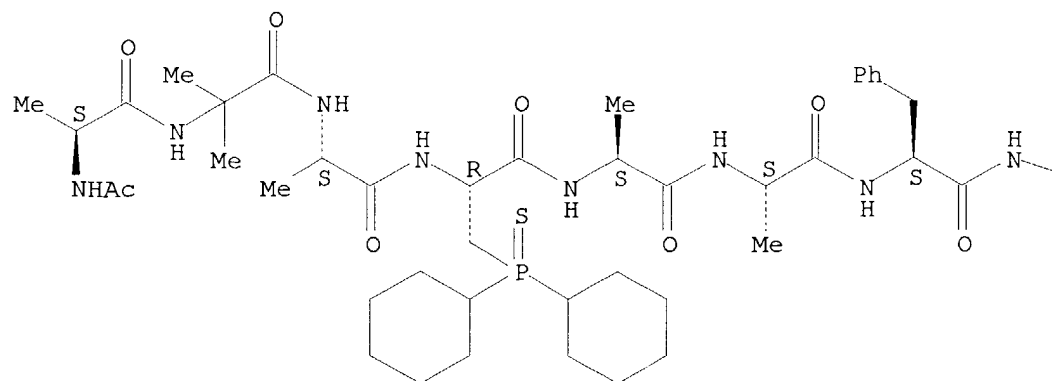
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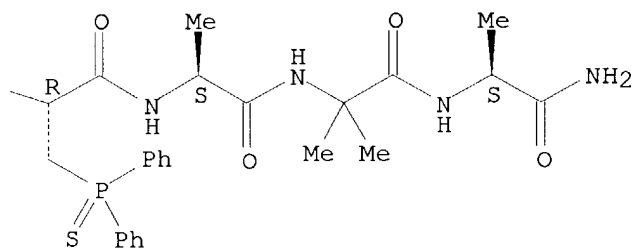
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Absolute stereochemistry.

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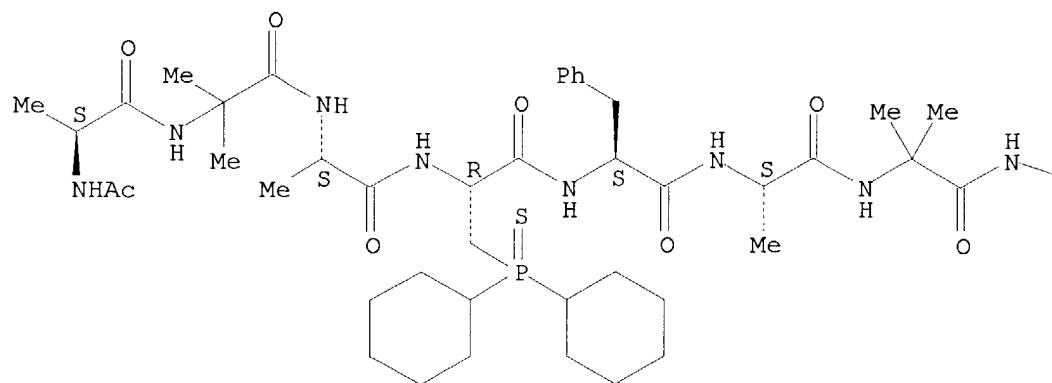


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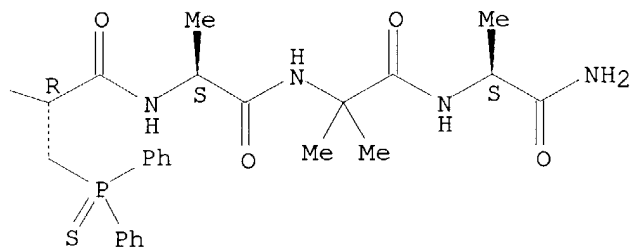
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Absolute stereochemistry.

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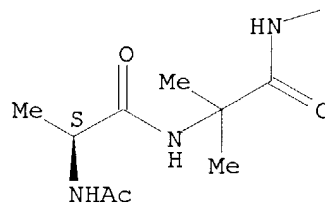
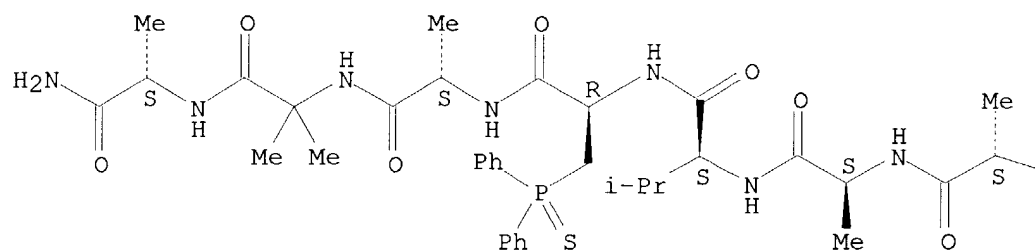


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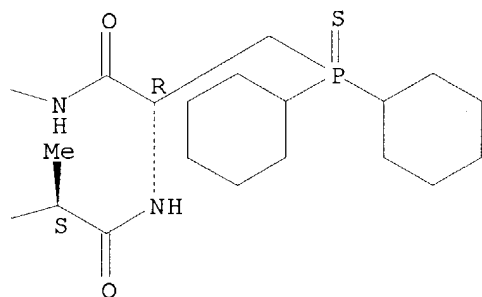
CN L-Alaninamide, N-acetyl-L-alanyl-2-methylalanyl-L-alanyl-3-(dicyclohexylphosphinothioyl)-L-alanyl-L-alanyl-L-alanyl-L-valyl-3-(diphenylphosphinothioyl)-L-alanyl-L-alanyl-2-methylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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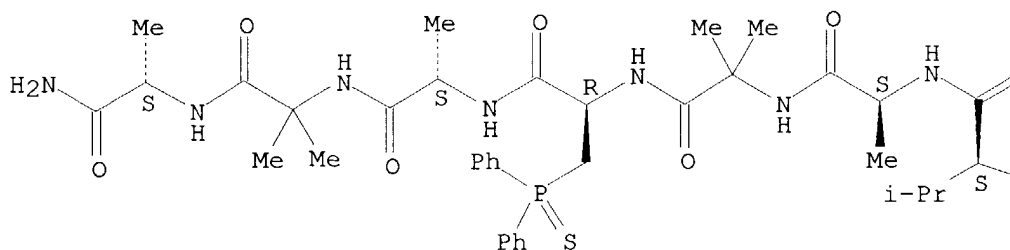


RN 250594-24-2 CAPLUS

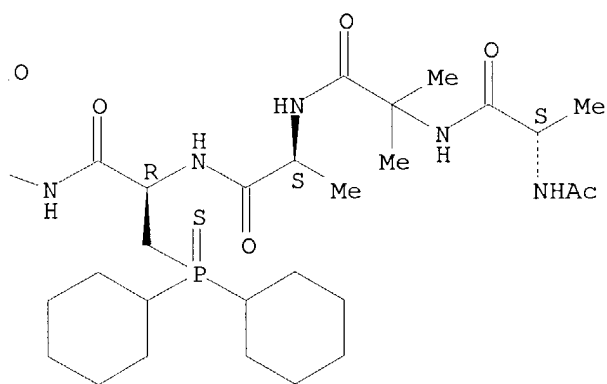
CN L-Alaninamide, N-acetyl-L-alanyl-2-methylalanyl-L-alanyl-3-(dicyclohexylphosphinothioyl)-L-alanyl-L-valyl-L-alanyl-2-methylalanyl-3-(diphenylphosphinothioyl)-L-alanyl-L-alanyl-2-methylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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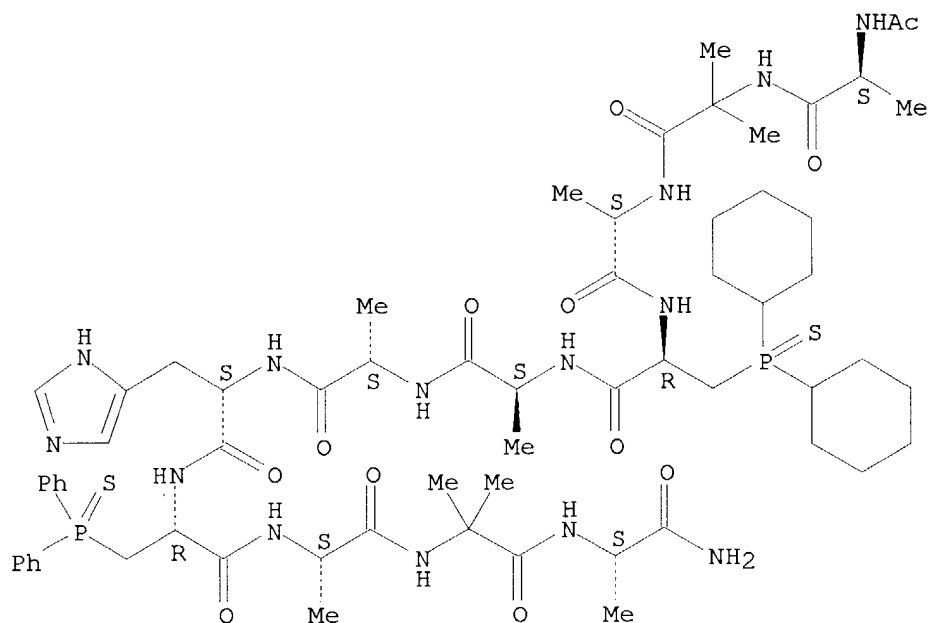
PAGE 1-B



RN 250594-25-3 CAPLUS

CN L-Alaninamide, N-acetyl-L-alanyl-2-methylalanyl-L-alanyl-3-(dicyclohexylphosphinothioyl)-L-alanyl-L-alanyl-L-alanyl-L-histidyl-3-(diphenylphosphinothioyl)-L-alanyl-L-alanyl-2-methylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

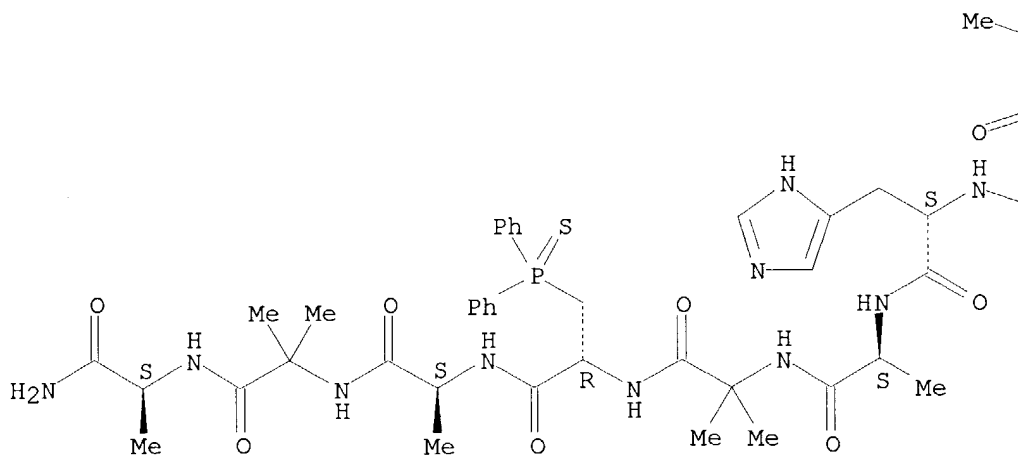


RN 250594-26-4 CAPLUS

CN L-Alaninamide, N-acetyl-L-alanyl-2-methylalanyl-L-alanyl-3-(dicyclohexylphosphinothioyl)-L-alanyl-L-histidyl-L-alanyl-2-methylalanyl-3-(diphenylphosphinothioyl)-L-alanyl-L-alanyl-2-methylalanyl- (9CI) (CA INDEX NAME)

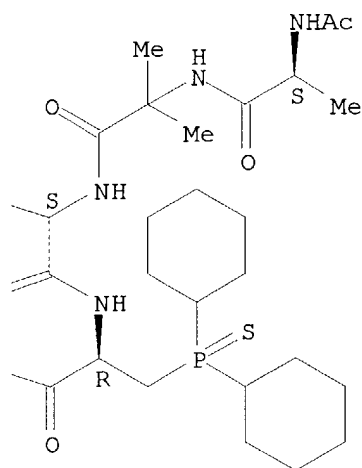
Absolute stereochemistry.

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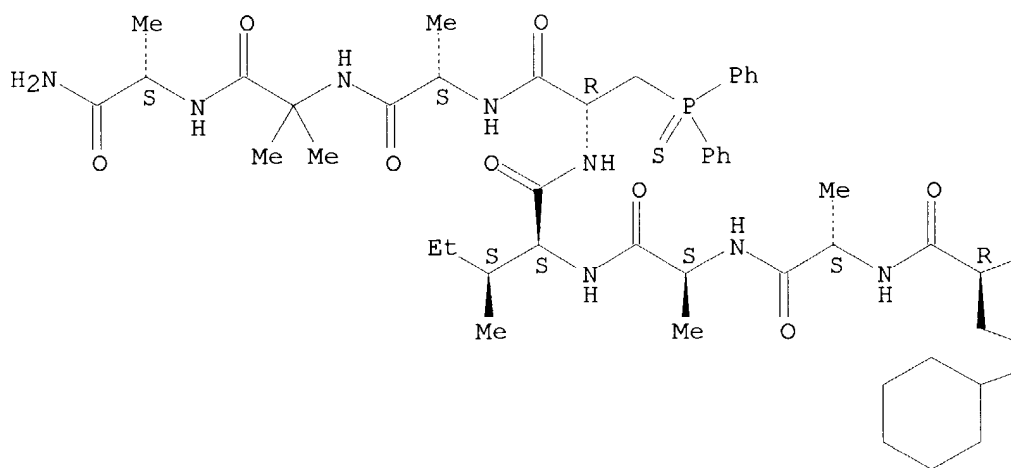


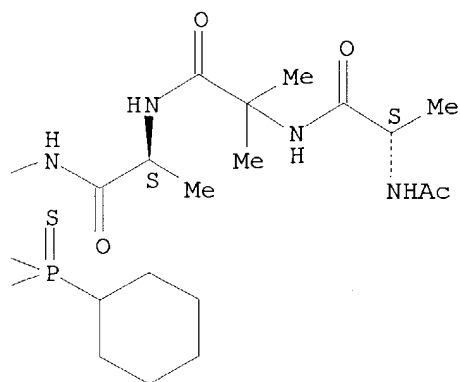
RN 250594-27-5 CAPLUS

CN L-Alaninamide, N-acetyl-L-alanyl-2-methylalanyl-L-alanyl-3-(dicyclohexylphosphinothioyl)-L-alanyl-L-alanyl-L-alanyl-L-isoleucyl-3-(diphenylphosphinothioyl)-L-alanyl-L-alanyl-2-methylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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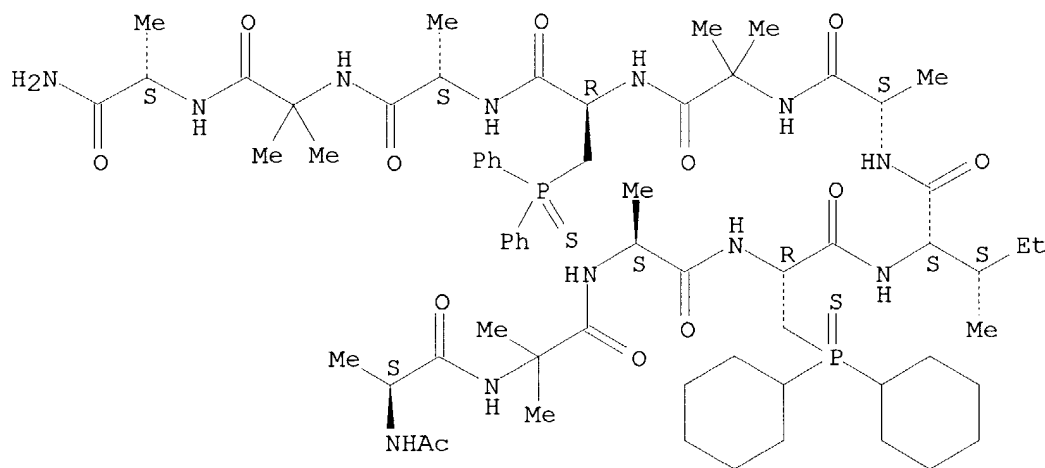




RN 250594-28-6 CAPLUS

CN L-Alaninamide, N-acetyl-L-alanyl-2-methylalanyl-L-alanyl-3-(dicyclohexylphosphinothioyl)-L-alanyl-L-isoleucyl-L-alanyl-2-methylalanyl-3-(diphenylphosphinothioyl)-L-alanyl-L-alanyl-2-methylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

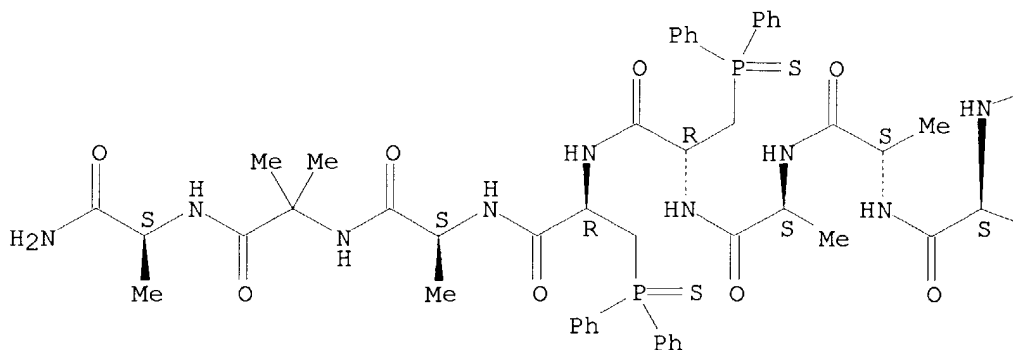


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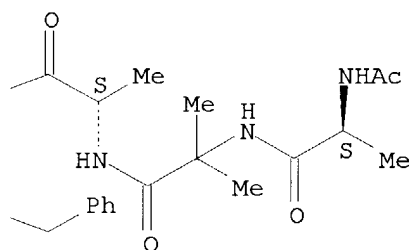
CN L-Alaninamide, N-acetyl-L-alanyl-2-methylalanyl-L-alanyl-L-phenylalanyl-L-alanyl-L-alanyl-3-(diphenylphosphinothioyl)-L-alanyl-3-(diphenylphosphinothioyl)-L-alanyl-L-alanyl-2-methylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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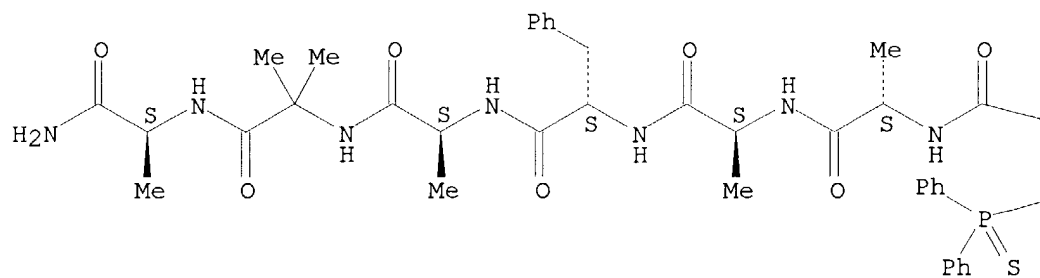


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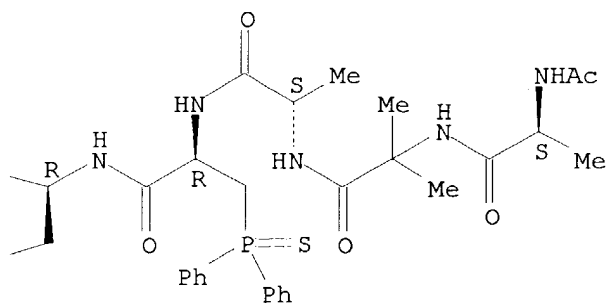
CN L-Alaninamide, N-acetyl-L-alanyl-2-methylalanyl-L-alanyl-3-(diphenylphosphinothioyl)-L-alanyl-3-(diphenylphosphinothioyl)-L-alanyl-L-alanyl-L-alanyl-L-phenylalanyl-L-alanyl-2-methylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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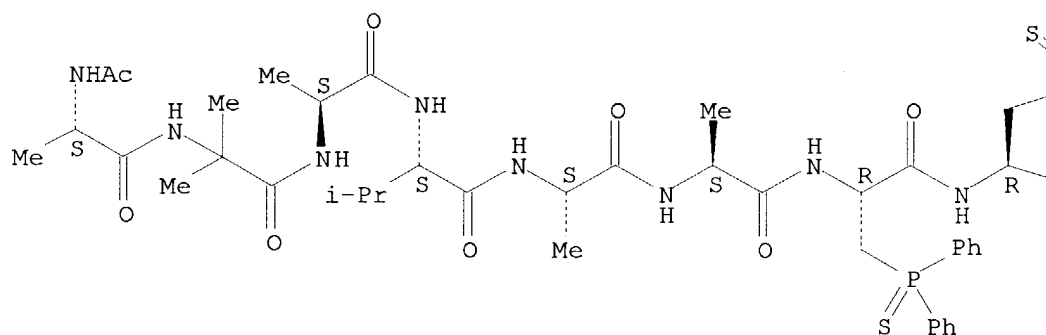


RN 250594-31-1 CAPLUS

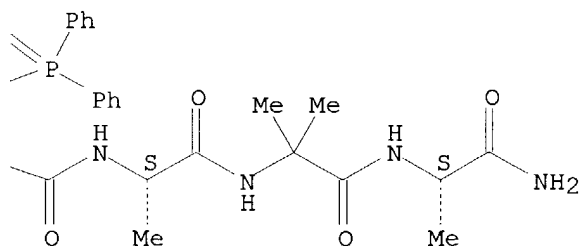
CN L-Alaninamide, N-acetyl-L-alanyl-2-methylalanyl-L-alanyl-L-valyl-L-alanyl-L-alanyl-3-(diphenylphosphinothioyl)-L-alanyl-3-(diphenylphosphinothioyl)-L-alanyl-L-alanyl-2-methylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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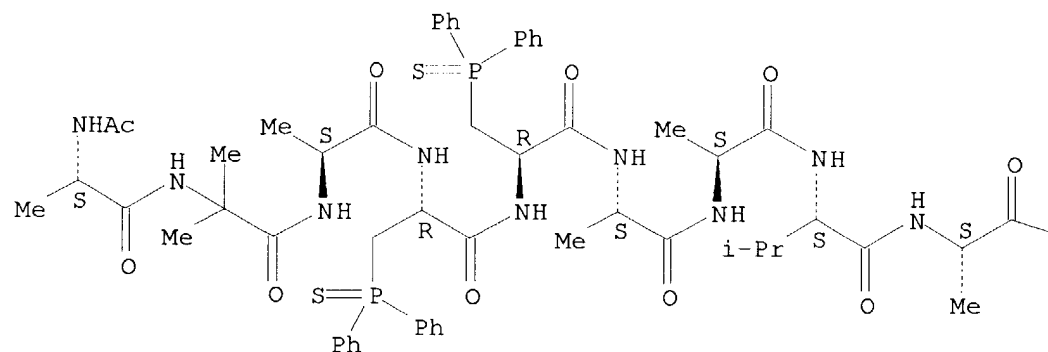


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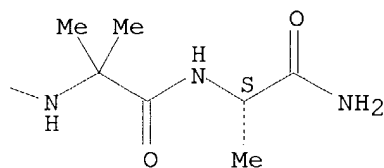
CN L-Alaninamide, N-acetyl-L-alanyl-2-methylalanyl-L-alanyl-3-(diphenylphosphinothioyl)-L-alanyl-3-(diphenylphosphinothioyl)-L-alanyl-L-alanyl-L-alanyl-L-valyl-L-alanyl-2-methylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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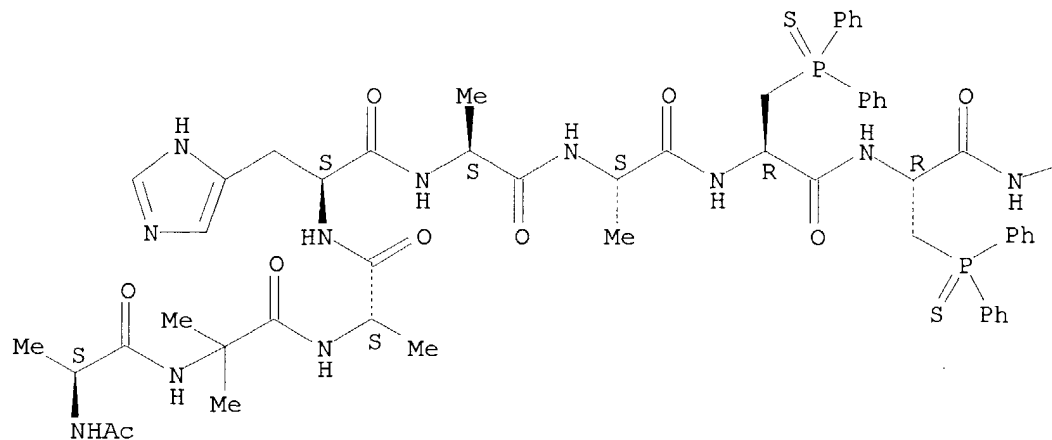


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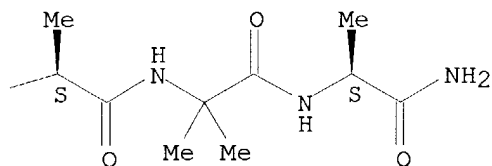
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|----|--|
| CN | L-Alaninamide, N-acetyl-L-alanyl-2-methylalanyl-L-alanyl-L-histidyl-L-alanyl-L-alanyl-3-(diphenylphosphinothioyl)-L-alanyl-3-(diphenylphosphinothioyl)-L-alanyl-L-alanyl-2-methylalanyl- (9CI) (CA INDEX NAME) |
|----|--|

Absolute stereochemistry.

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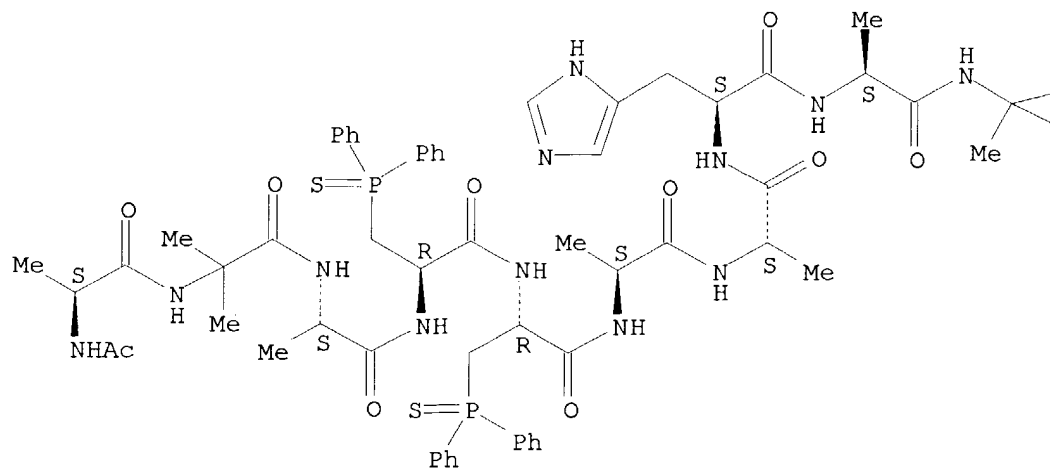


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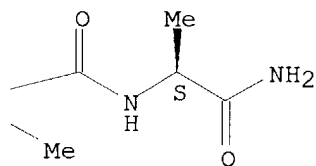
CN L-Alaninamide, N-acetyl-L-alanyl-2-methylalanyl-L-alanyl-3-(diphenylphosphinothioyl)-L-alanyl-3-(diphenylphosphinothioyl)-L-alanyl-L-alanyl-L-alanyl-L-histidyl-L-alanyl-2-methylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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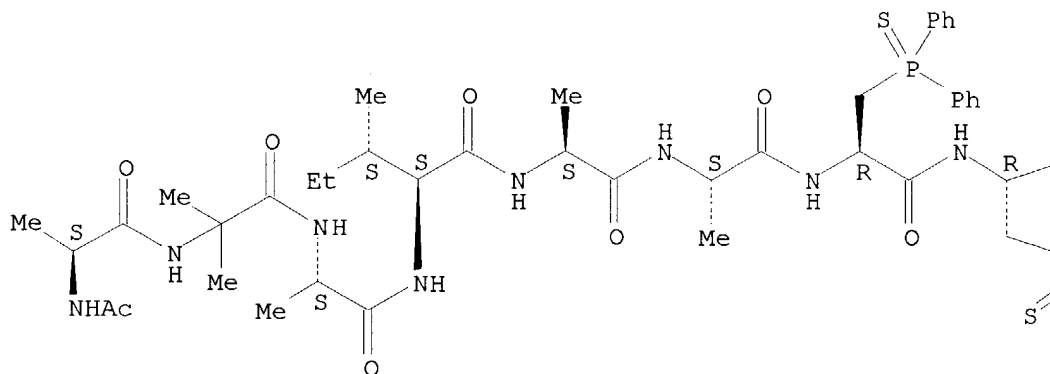


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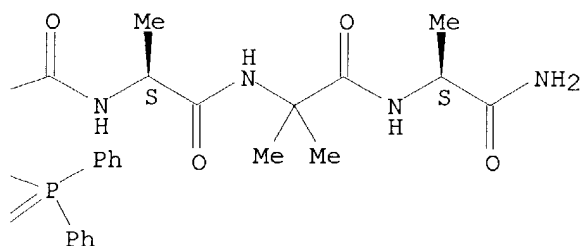
CN L-Alaninamide, N-acetyl-L-alanyl-2-methylalanyl-L-alanyl-L-isoleucyl-L-alanyl-L-alanyl-3-(diphenylphosphinothioyl)-L-alanyl-3-(diphenylphosphinothioyl)-L-alanyl-L-alanyl-2-methylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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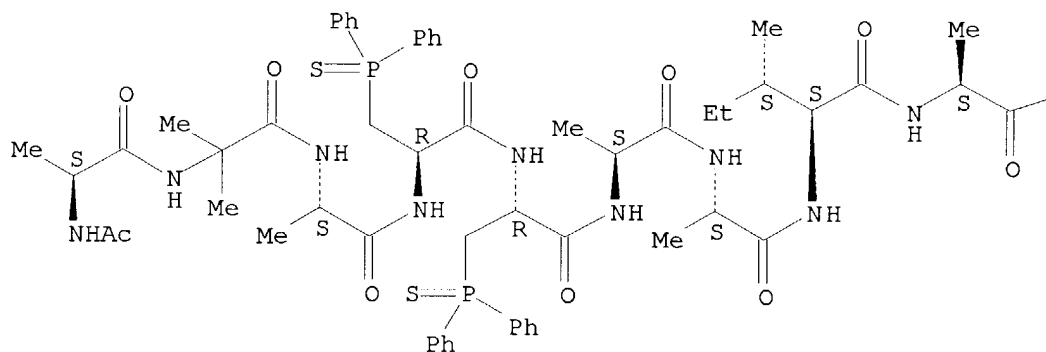


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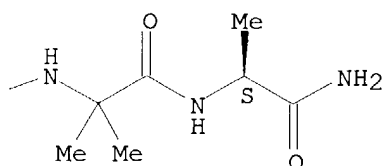
CN L-Alaninamide, N-acetyl-L-alanyl-2-methylalanyl-L-alanyl-3-(diphenylphosphinothioyl)-L-alanyl-3-(diphenylphosphinothioyl)-L-alanyl-L-alanyl-L-isoleucyl-L-alanyl-2-methylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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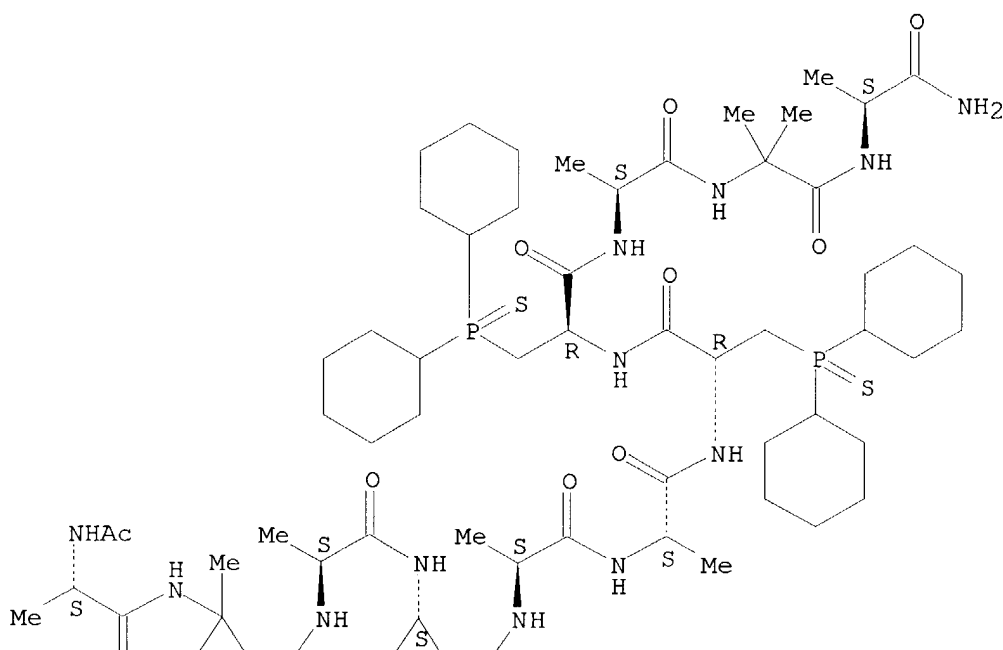
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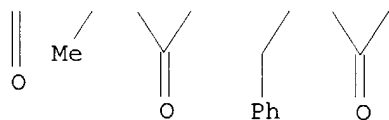


Absolute stereochemistry.

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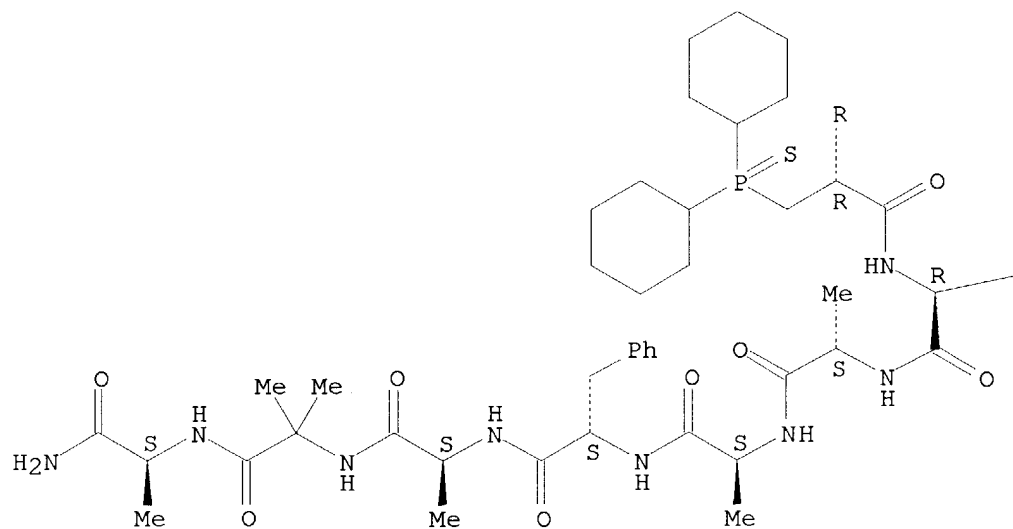


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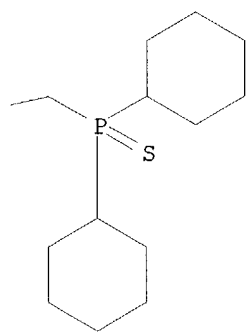
CN L-Alaninamide, N-acetyl-L-alanyl-2-methylalanyl-L-alanyl-3-(dicyclohexylphosphinothioyl)-L-alanyl-3-(dicyclohexylphosphinothioyl)-L-alanyl-L-alanyl-L-alanyl-L-phenylalanyl-L-alanyl-2-methylalanyl- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

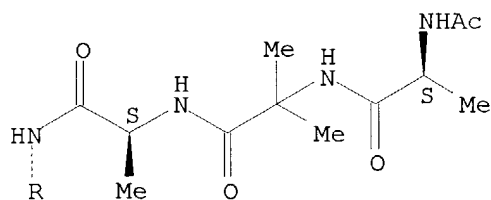
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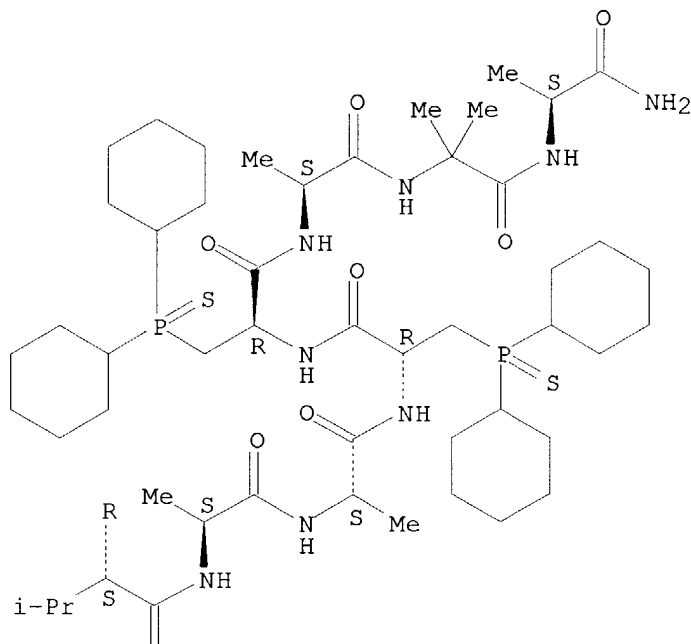


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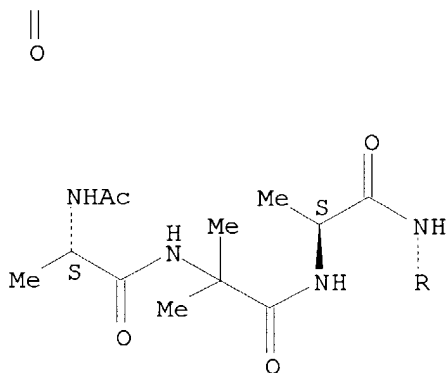
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Absolute stereochemistry.

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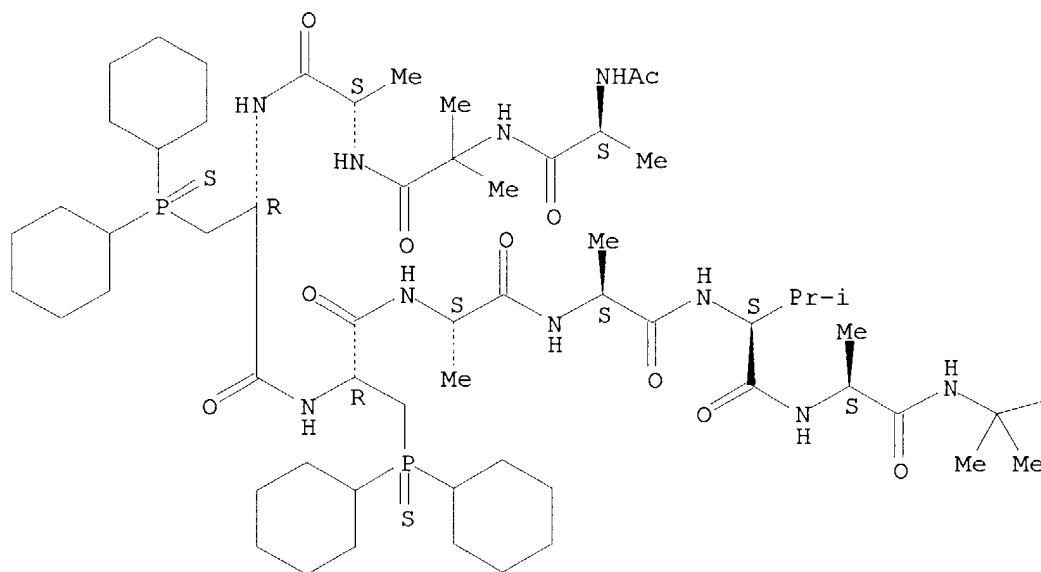


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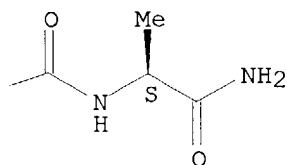
CN L-Alaninamide, N-acetyl-L-alanyl-2-methylalanyl-L-alanyl-3-(dicyclohexylphosphinothioyl)-L-alanyl-3-(dicyclohexylphosphinothioyl)-L-alanyl-L-alanyl-L-alanyl-L-valyl-L-alanyl-2-methylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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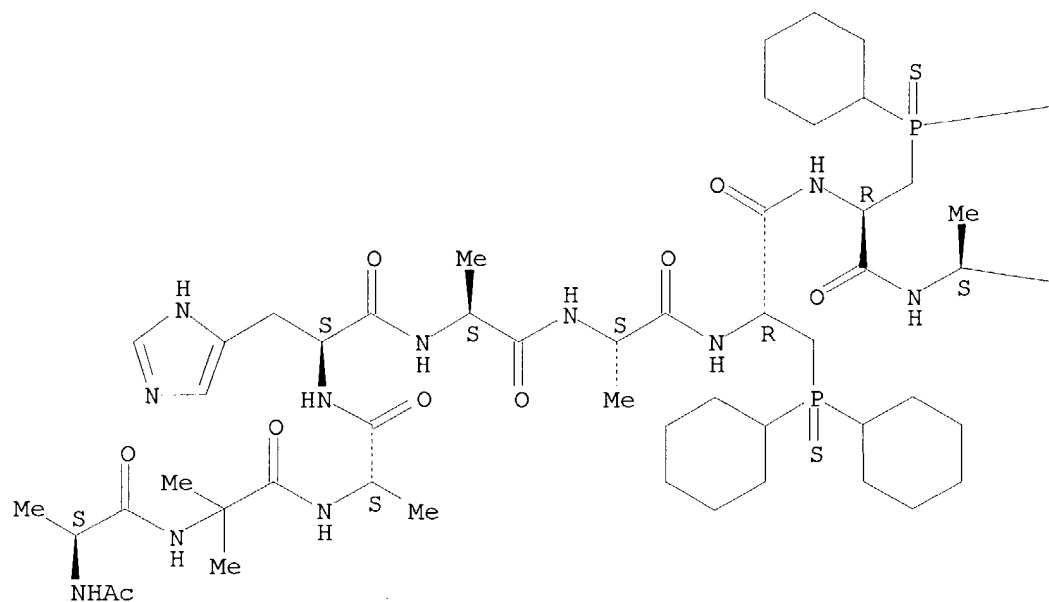


RN 250594-41-3 CAPLUS

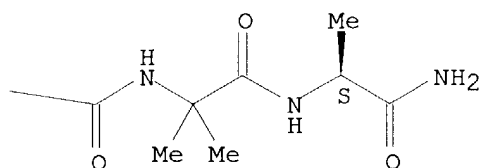
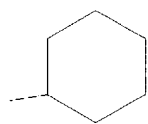
CN L-Alaninamide, N-acetyl-L-alanyl-2-methylalanyl-L-alanyl-L-histidyl-L-alanyl-L-alanyl-3-(dicyclohexylphosphinothioyl)-L-alanyl-L-alanyl-2-methylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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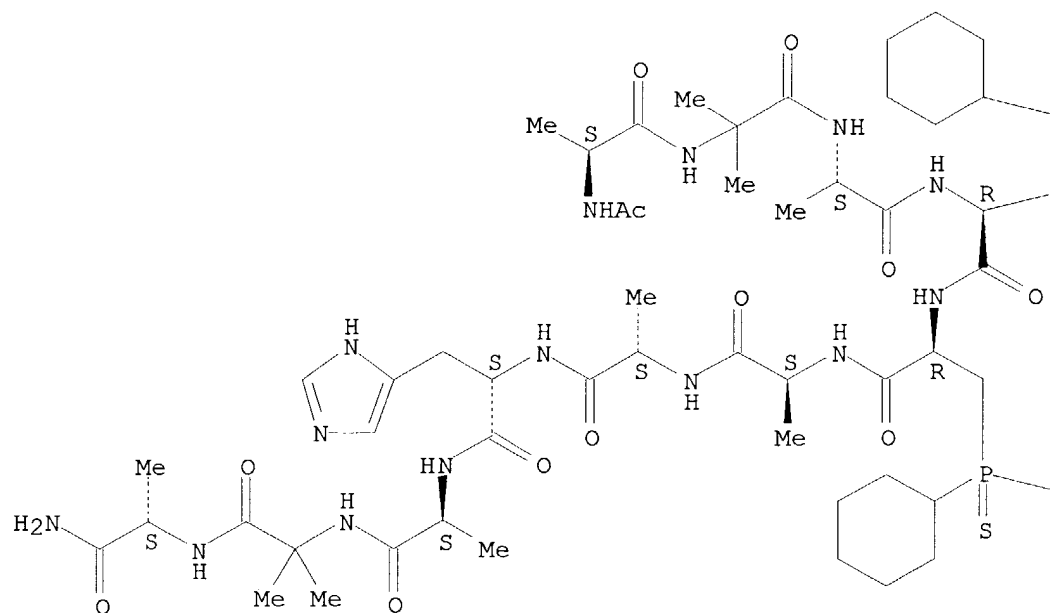


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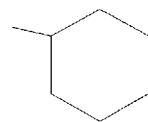
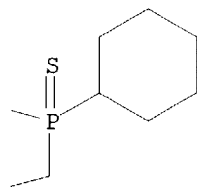
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Absolute stereochemistry.

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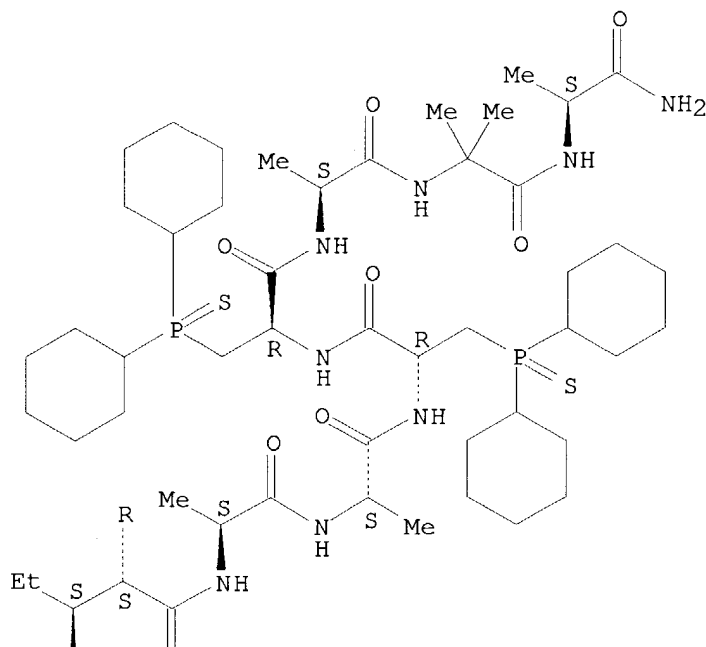
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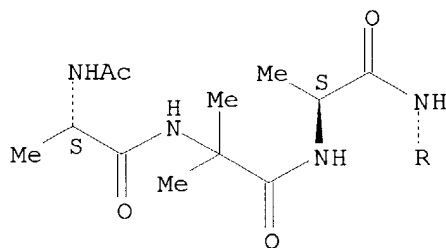
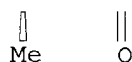
RN 250594-43-5 CAPLUS  
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Absolute stereochemistry.

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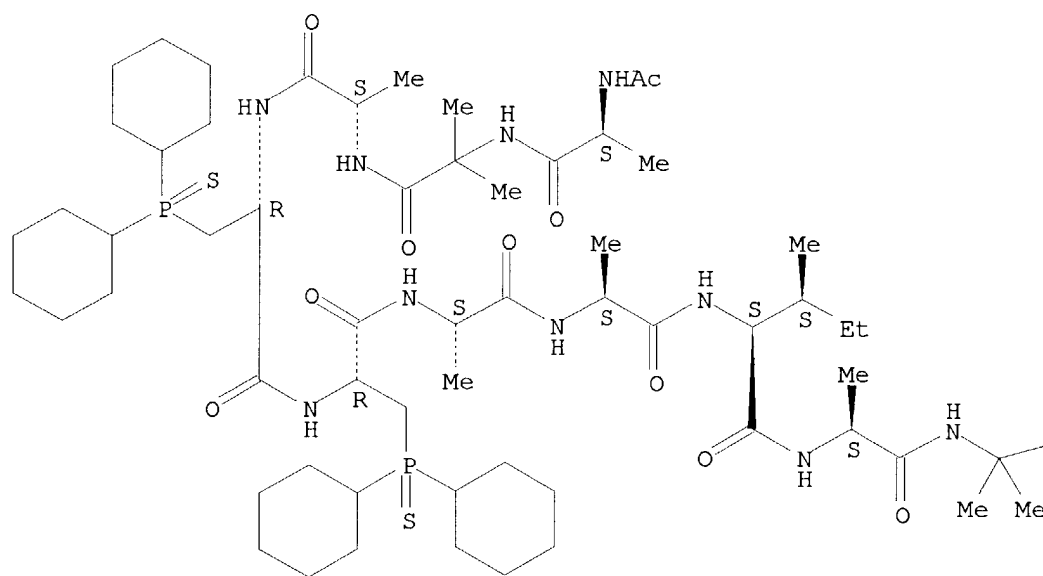


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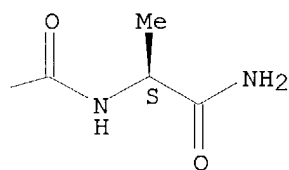
CN L-Alaninamide, N-acetyl-L-alanyl-2-methylalanyl-L-alanyl-3-(dicyclohexylphosphinothioyl)-L-alanyl-3-(dicyclohexylphosphinothioyl)-L-alanyl-L-alanyl-L-isoleucyl-L-alanyl-2-methylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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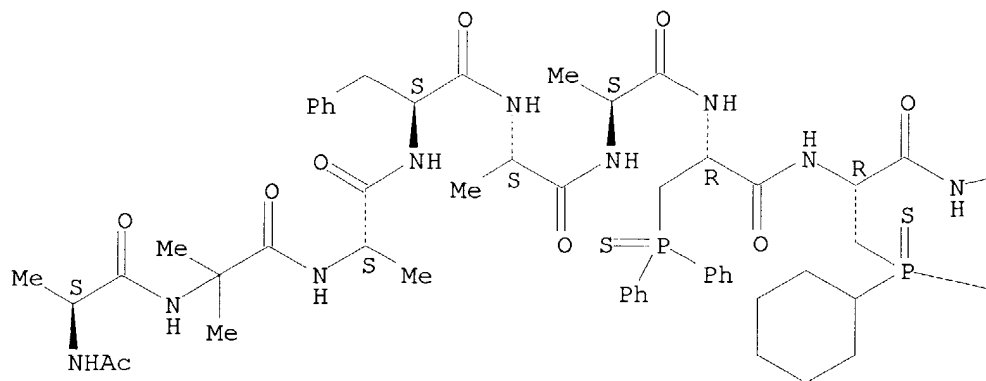
RN 250594-46-8 CAPLUS

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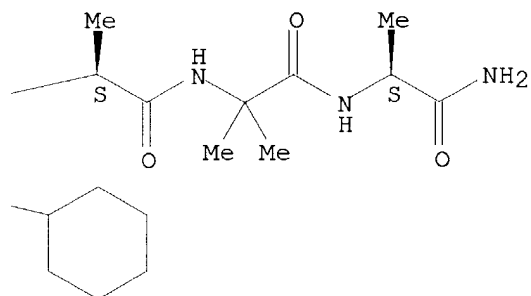
Absolute stereochemistry.



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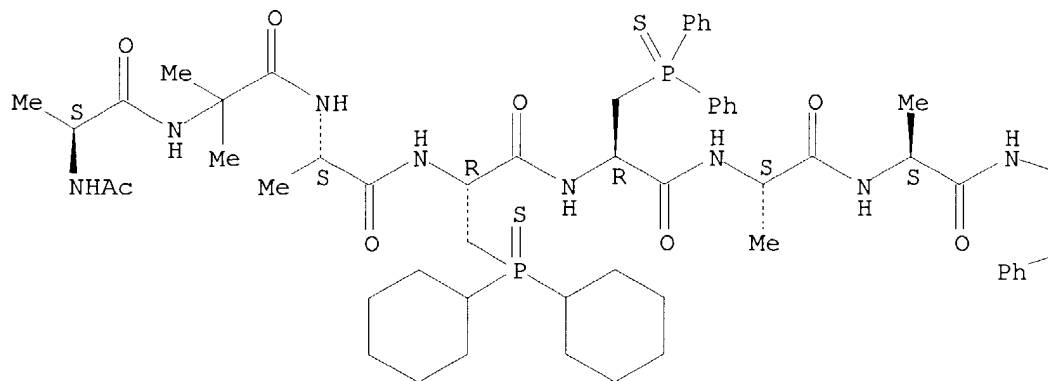


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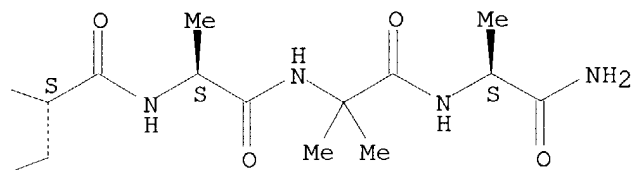
CN L-Alaninamide, N-acetyl-L-alanyl-2-methylalanyl-L-alanyl-3-(dicyclohexylphosphinothioyl)-L-alanyl-3-(diphenylphosphinothioyl)-L-alanyl-L-alanyl-L-alanyl-L-phenylalanyl-L-alanyl-2-methylalanyl- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

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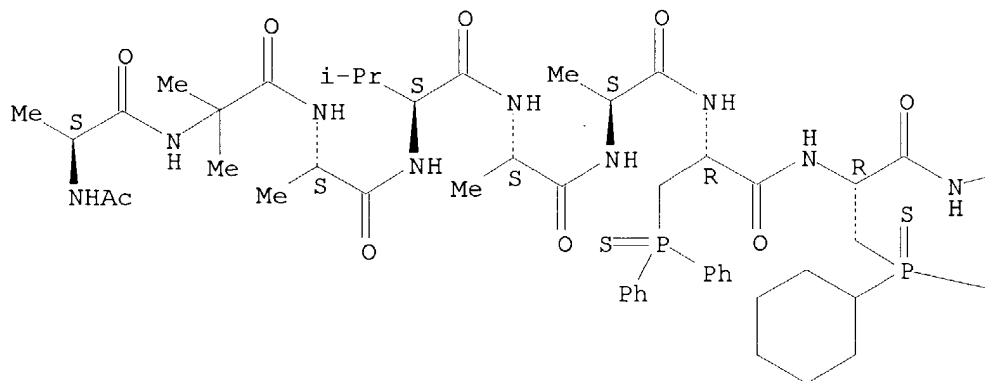


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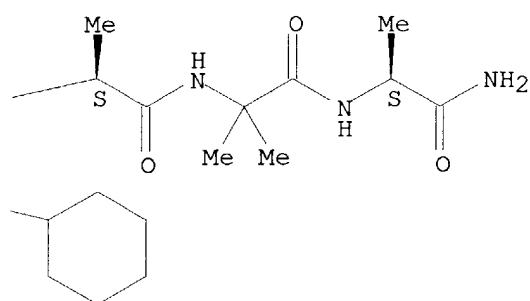
CN L-Alaninamide, N-acetyl-L-alanyl-2-methylalanyl-L-alanyl-L-valyl-L-alanyl-L-alanyl-3-(diphenylphosphinothioyl)-L-alanyl-3-(dicyclohexylphosphinothioyl)-L-alanyl-L-alanyl-2-methylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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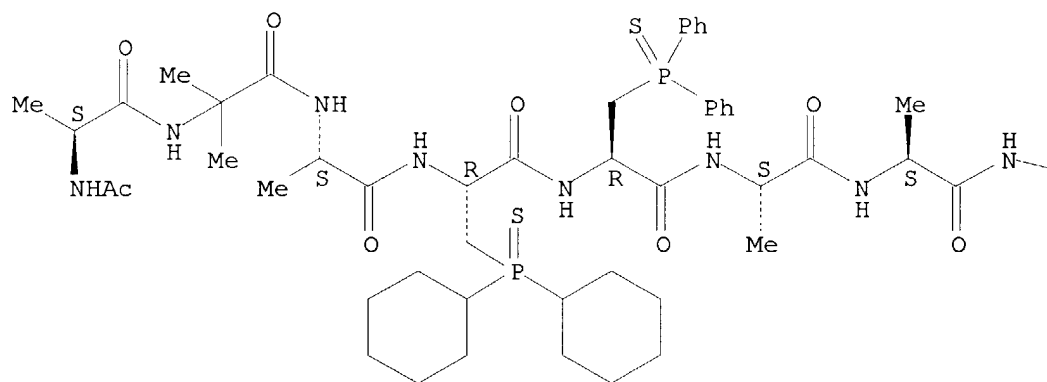


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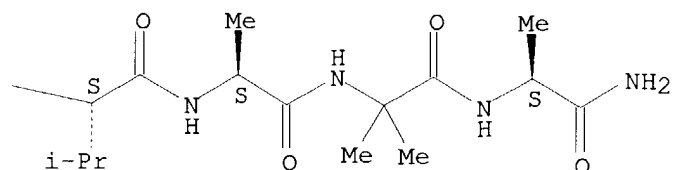
CN L-Alaninamide, N-acetyl-L-alanyl-2-methylalanyl-L-alanyl-3-(dicyclohexylphosphinothioyl)-L-alanyl-3-(diphenylphosphinothioyl)-L-alanyl-L-alanyl-L-valyl-L-alanyl-2-methylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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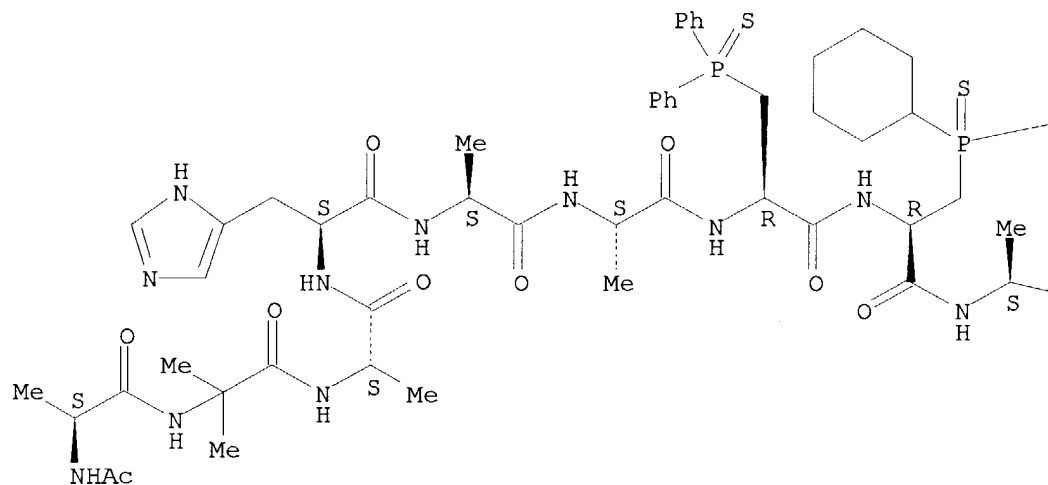


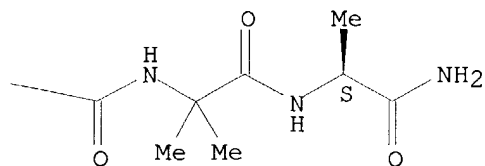
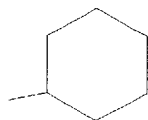
RN 250594-50-4 CAPLUS

|    |  |
|----|--|
| CN | L-Alaninamide, N-acetyl-L-alanyl-2-methylalanyl-L-alanyl-L-histidyl-L-alanyl-L-alanyl-3-(diphenylphosphinothioyl)-L-alanyl-3-(dicyclohexylphosphinothioyl)-L-alanyl-L-alanyl-2-methylalanyl- (9CI) (CA INDEX NAME) |
|----|--|

Absolute stereochemistry.

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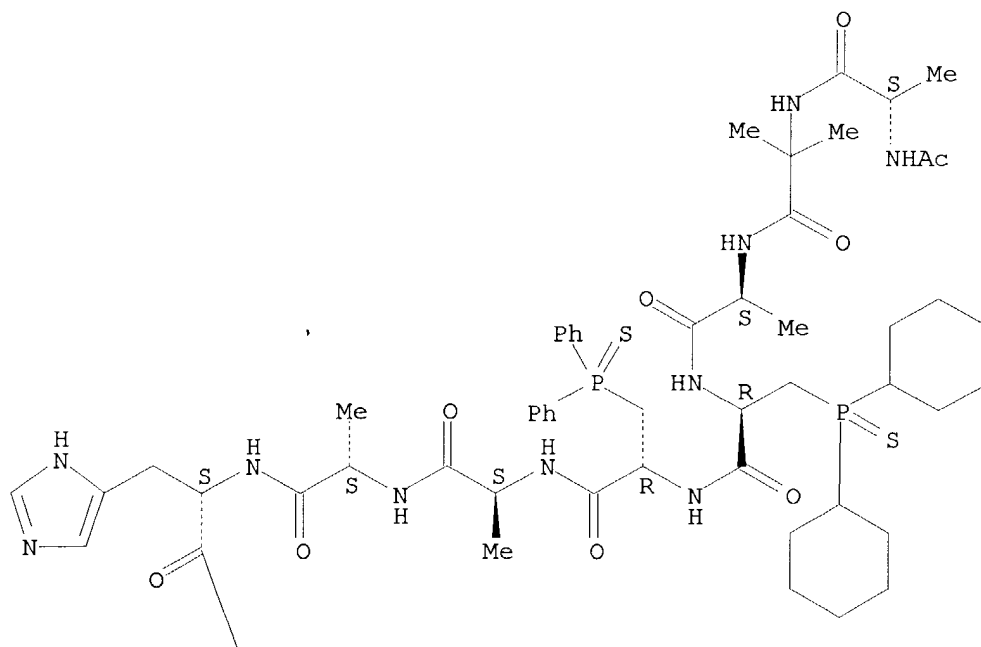




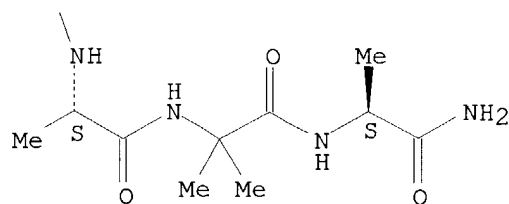
RN 250594-51-5 CAPLUS

CN L-Alaninamide, N-acetyl-L-alanyl-2-methylalanyl-L-alanyl-3-(dicyclohexylphosphinothioyl)-L-alanyl-3-(diphenylphosphinothioyl)-L-alanyl-L-alanyl-L-alanyl-L-histidyl-L-alanyl-2-methylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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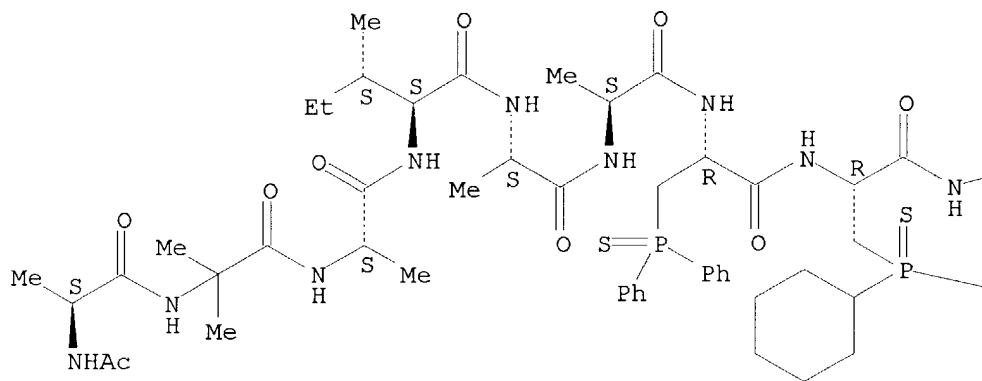


RN 250594-52-6 CAPLUS

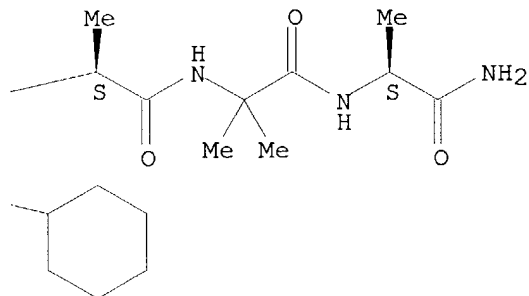
CN L-Alaninamide, N-acetyl-L-alanyl-2-methylalanyl-L-alanyl-L-isoleucyl-L-alanyl-L-alanyl-3-(diphenylphosphinothioyl)-L-alanyl-3-(dicyclohexylphosphinothioyl)-L-alanyl-L-alanyl-2-methylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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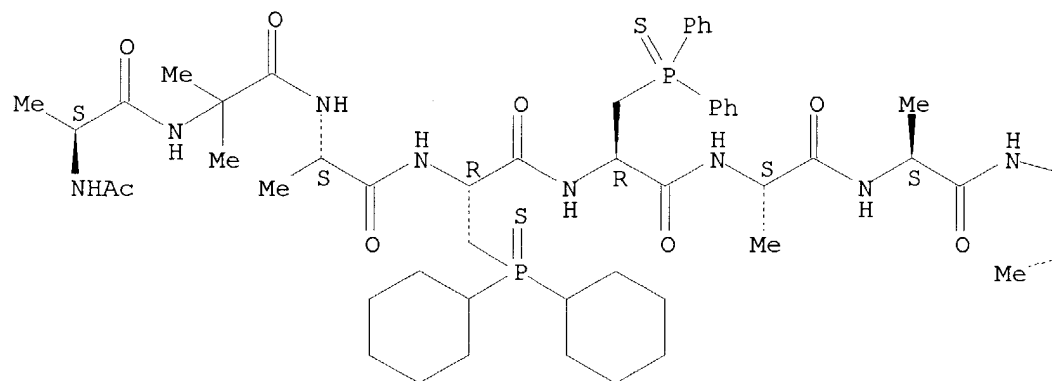
RN 250594-53-7 CAPLUS

CN L-Alaninamide, N-acetyl-L-alanyl-2-methylalanyl-L-alanyl-3-

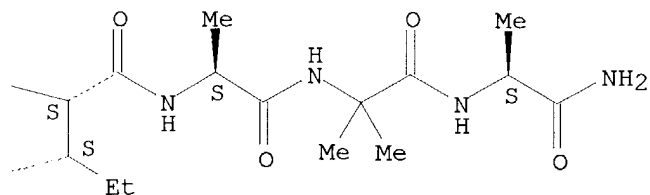
(dicyclohexylphosphinothioyl)-L-alanyl-3-(diphenylphosphinothioyl)-L-alanyl-L-alanyl-L-isoleucyl-L-alanyl-2-methylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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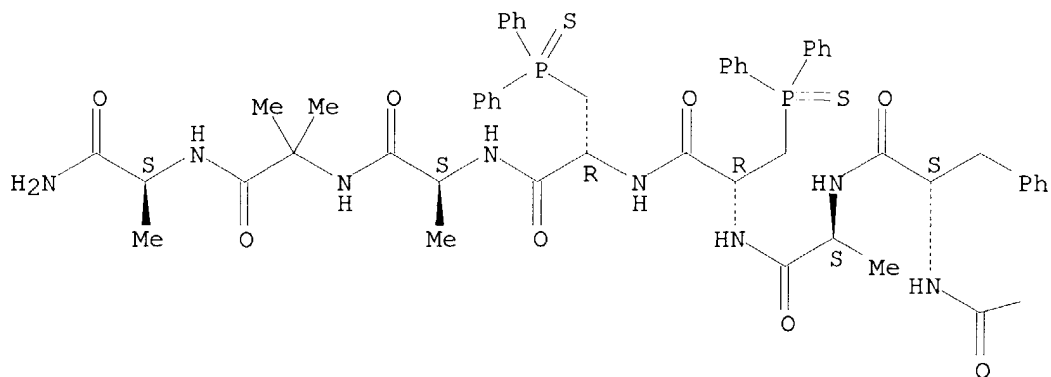


RN 250594-54-8 CAPLUS

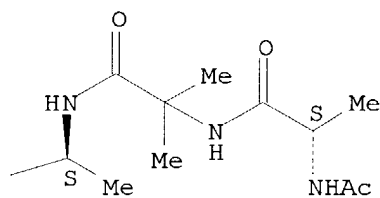
CN L-Alaninamide, N-acetyl-L-alanyl-2-methylalanyl-L-alanyl-L-phenylalanyl-L-alanyl-3-(diphenylphosphinothioyl)-L-alanyl-3-(diphenylphosphinothioyl)-L-alanyl-L-alanyl-2-methylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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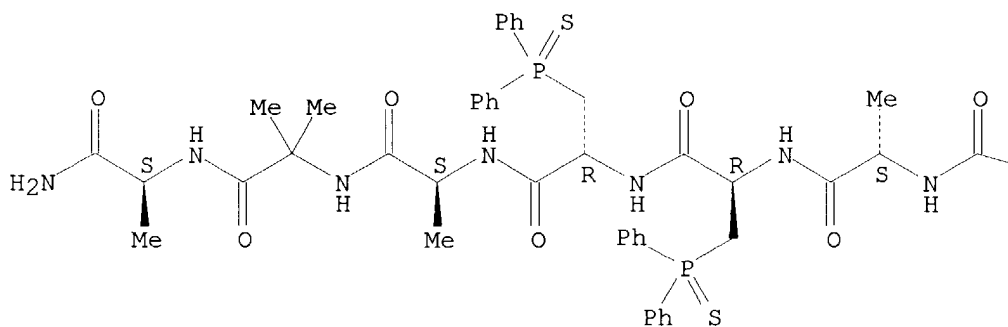


RN 250594-55-9 CAPLUS

CN L-Alaninamide, N-acetyl-L-alanyl-2-methylalanyl-L-alanyl-L-valyl-L-alanyl-3-(diphenylphosphinothioyl)-L-alanyl-3-(diphenylphosphinothioyl)-L-alanyl-L-alanyl-2-methylalanyl- (9CI) (CA INDEX NAME)

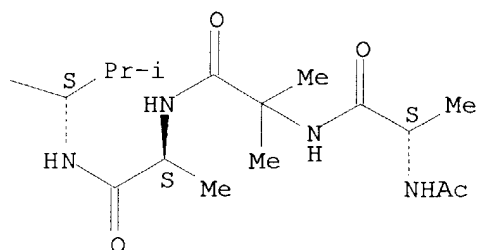
Absolute stereochemistry.

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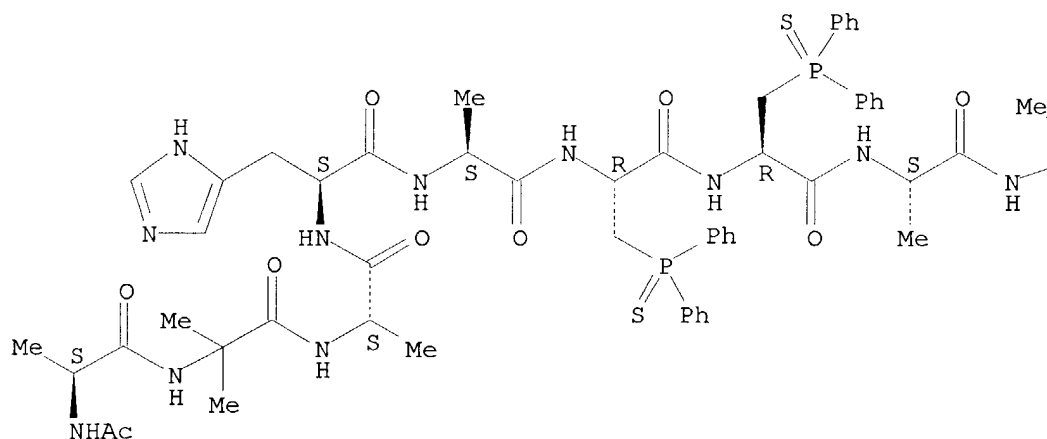


RN 250594-56-0 CAPLUS

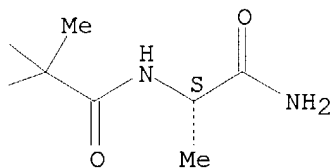
CN L-Alaninamide, N-acetyl-L-alanyl-2-methylalanyl-L-alanyl-L-histidyl-L-alanyl-3-(diphenylphosphinothioyl)-L-alanyl-3-(diphenylphosphinothioyl)-L-alanyl-L-alanyl-2-methylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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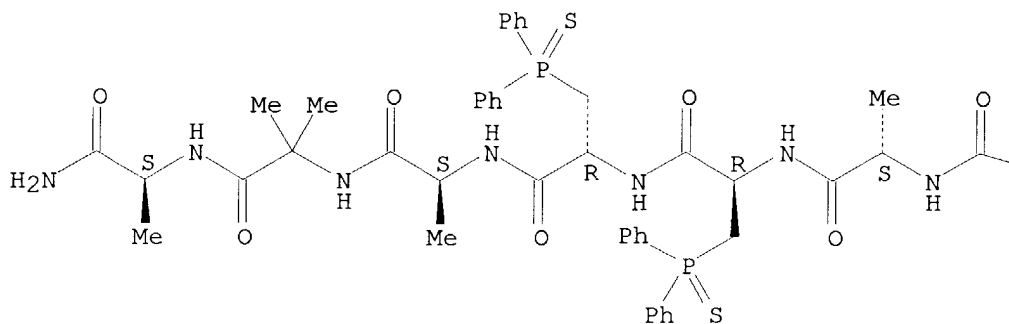


RN 250594-57-1 CAPLUS

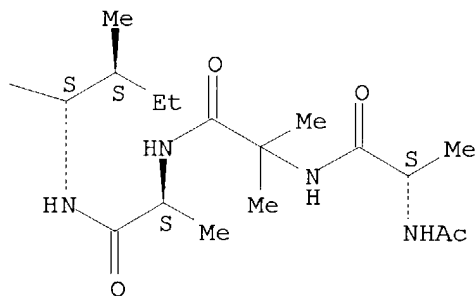
CN L-Alaninamide, N-acetyl-L-alanyl-2-methylalanyl-L-alanyl-L-isoleucyl-L-alanyl-3-(diphenylphosphinothioyl)-L-alanyl-3-(diphenylphosphinothioyl)-L-alanyl-L-alanyl-2-methylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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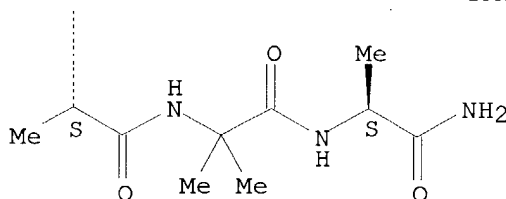
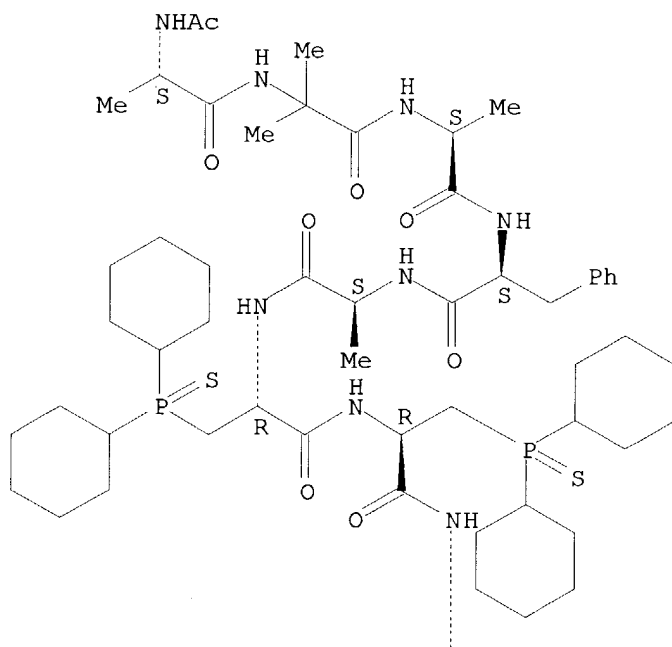
PAGE 1-B



RN 250594-58-2 CAPLUS

CN L-Alaninamide, N-acetyl-L-alanyl-2-methylalanyl-L-alanyl-L-phenylalanyl-L-alanyl-3-(dicyclohexylphosphinothioyl)-L-alanyl-3-(dicyclohexylphosphinothioyl)-L-alanyl-L-alanyl-2-methylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

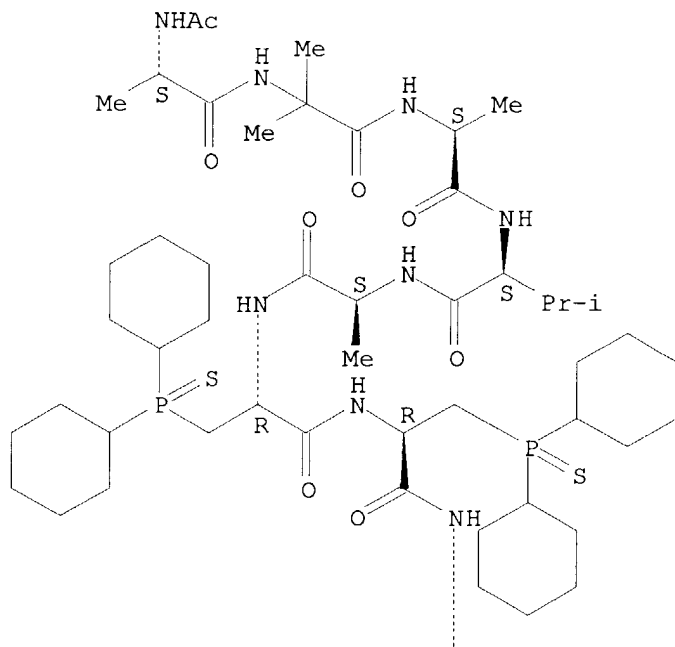


RN 250594-59-3 CAPLUS

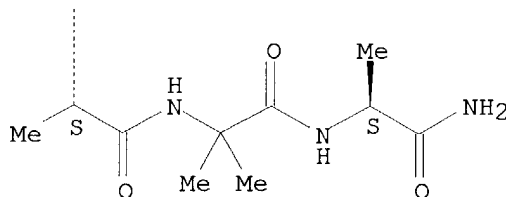
CN L-Alaninamide, N-acetyl-L-alanyl-2-methylalanyl-L-alanyl-L-valyl-L-alanyl-3-(dicyclohexylphosphinothioyl)-L-alanyl-3-(dicyclohexylphosphinothioyl)-L-alanyl-L-alanyl-2-methylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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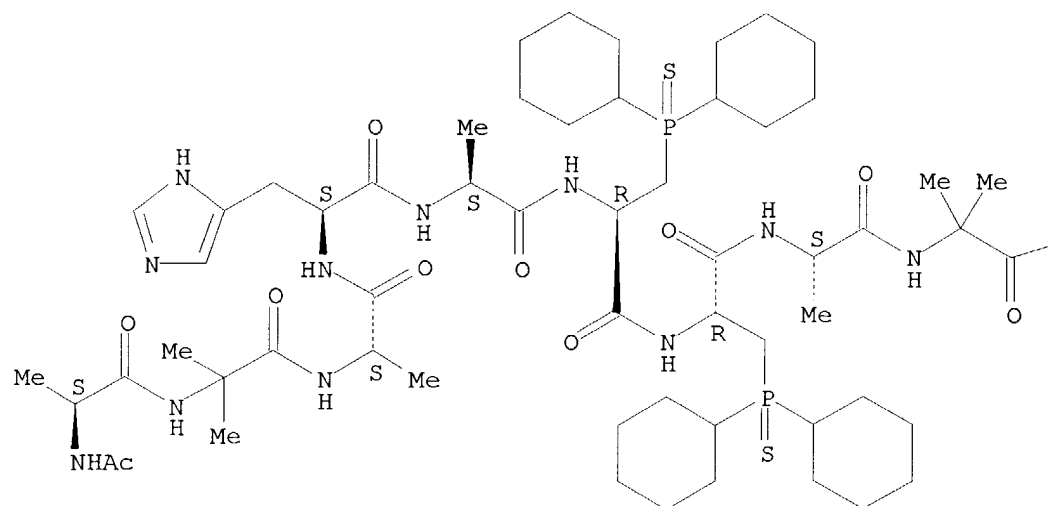


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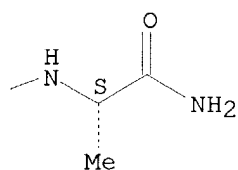
CN L-Alaninamide, N-acetyl-L-alanyl-2-methylalanyl-L-alanyl-L-histidyl-L-alanyl-3-(dicyclohexylphosphinothioyl)-L-alanyl-3-(dicyclohexylphosphinothioyl)-L-alanyl-L-alanyl-2-methylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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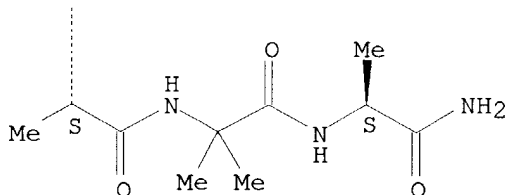
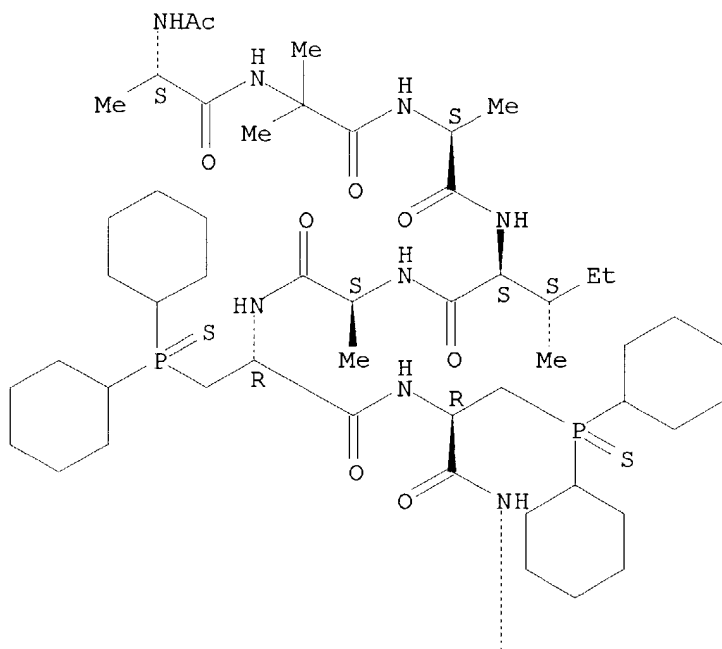
PAGE 1-B



RN 250594-61-7 CAPLUS

CN L-Alaninamide, N-acetyl-L-alanyl-2-methylalanyl-L-alanyl-L-isoleucyl-L-alanyl-3-(dicyclohexylphosphinothioyl)-L-alanyl-3-(dicyclohexylphosphinothioyl)-L-alanyl-L-alanyl-2-methylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

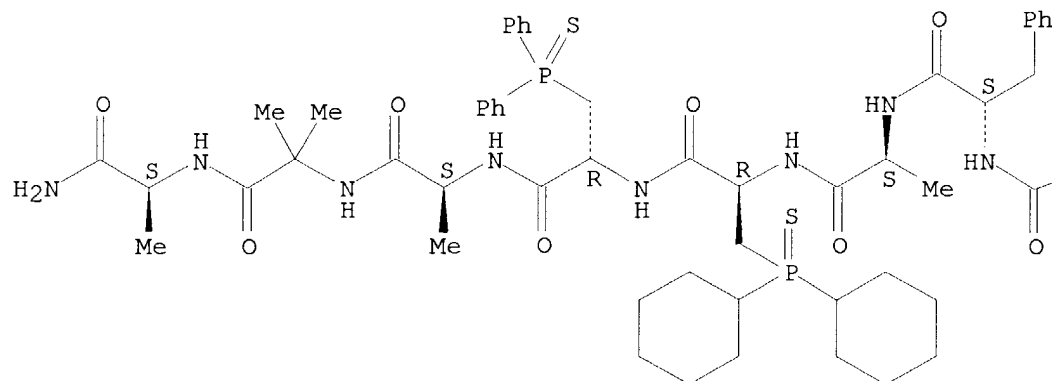


RN 250594-62-8 CAPLUS

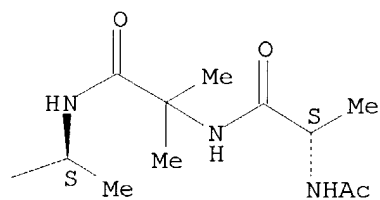
CN L-Alaninamide, N-acetyl-L-alanyl-2-methylalanyl-L-alanyl-L-phenylalanyl-L-alanyl-3-(dicyclohexylphosphinothioyl)-L-alanyl-3-(diphenylphosphinothioyl)-L-alanyl-L-alanyl-2-methylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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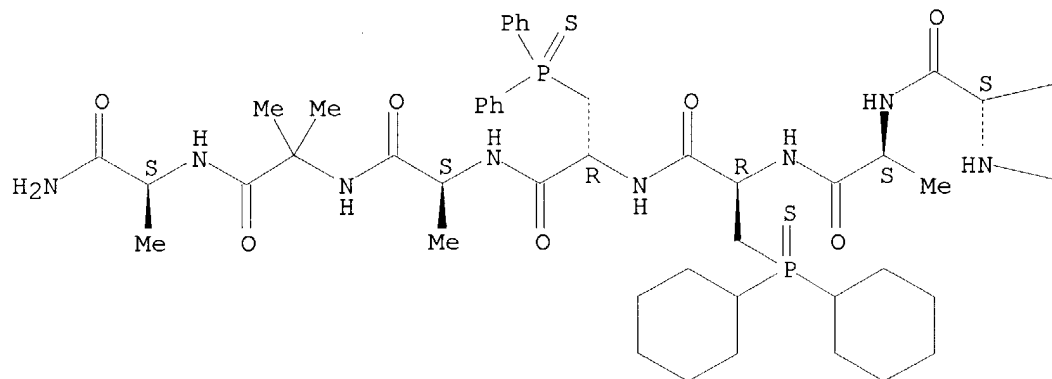


RN 250594-63-9 CAPLUS

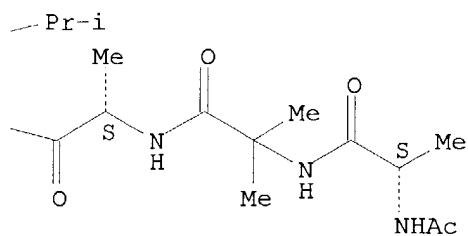
CN L-Alaninamide, N-acetyl-L-alanyl-2-methylalanyl-L-alanyl-L-valyl-L-alanyl-3-(dicyclohexylphosphinothioyl)-L-alanyl-3-(diphenylphosphinothioyl)-L-alanyl-L-alanyl-2-methylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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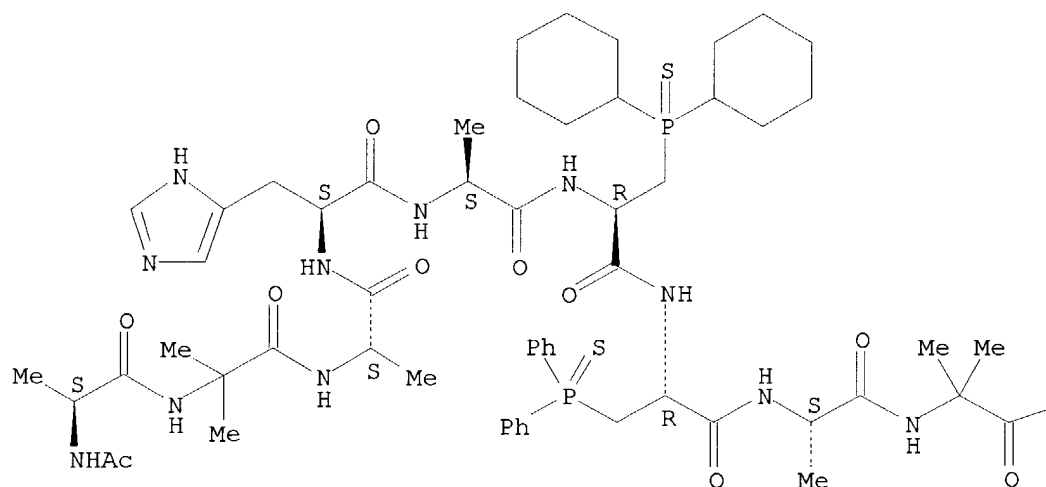


RN 250594-64-0 CAPLUS

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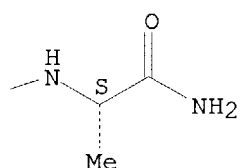
Absolute stereochemistry.

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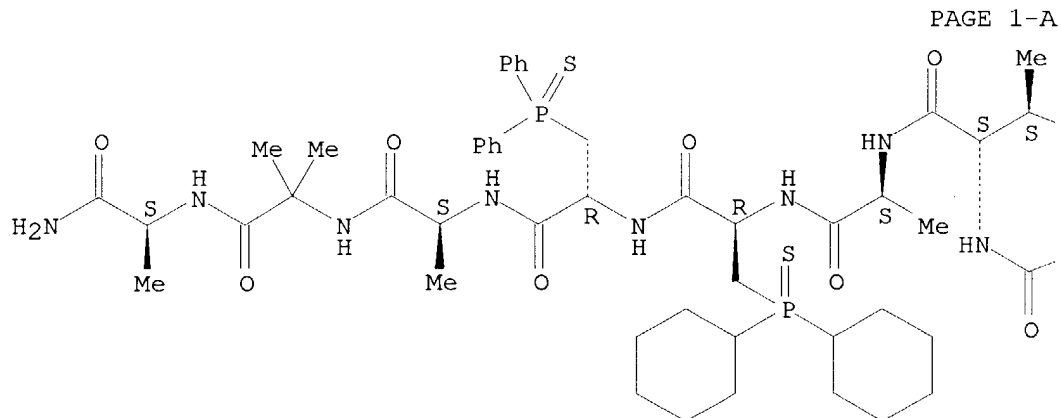
PAGE 1-B



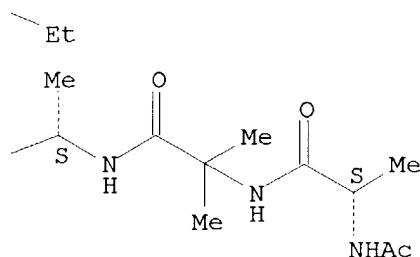
RN 250594-65-1 CAPLUS

CN L-Alaninamide, N-acetyl-L-alanyl-2-methylalanyl-L-alanyl-L-isoleucyl-L-alanyl-3-(dicyclohexylphosphinothioyl)-L-alanyl-3-(diphenylphosphinothioyl)-L-alanyl-L-alanyl-2-methylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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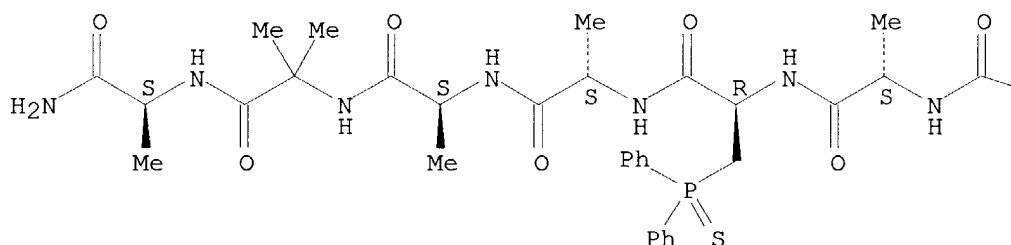


RN 250594-66-2 CAPLUS

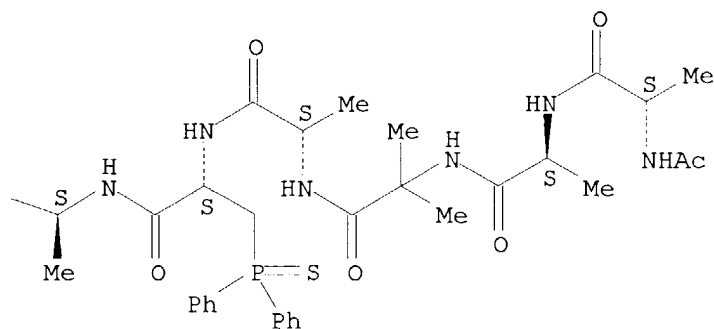
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| CN | L-Alaninamide, N-acetyl-L-alanyl-L-alanyl-2-methylalanyl-L-alanyl-3-(diphenylphosphinothioyl)-D-alanyl-L-alanyl-L-alanyl-3-(diphenylphosphinothioyl)-L-alanyl-L-alanyl-L-alanyl-2-methylalanyl- (9CI)<br>(CA INDEX NAME) |
|----|--|

Absolute stereochemistry.

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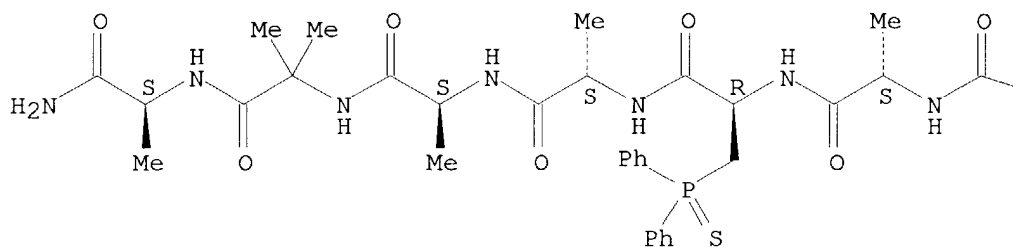


RN 250594-66-2 CAPLUS

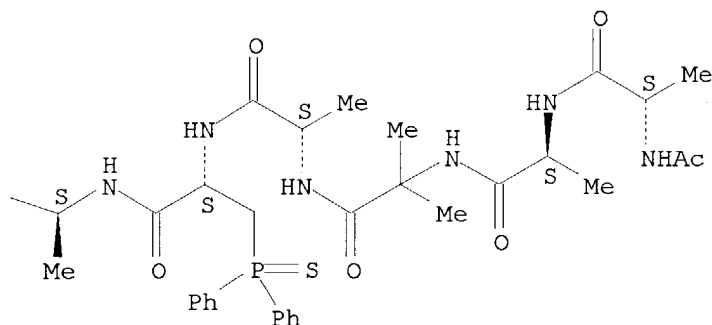
CN L-Alaninamide, N-acetyl-L-alanyl-L-alanyl-2-methylalanyl-L-alanyl-3-(diphenylphosphinothioyl)-D-alanyl-L-alanyl-L-alanyl-3-(diphenylphosphinothioyl)-L-alanyl-L-alanyl-L-alanyl-2-methylalanyl- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

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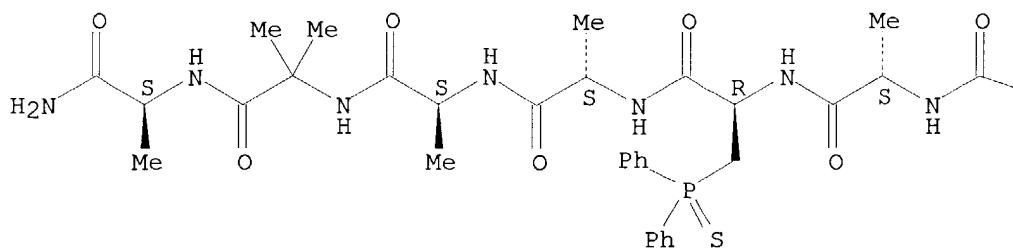


RN 250594-67-3 CAPLUS

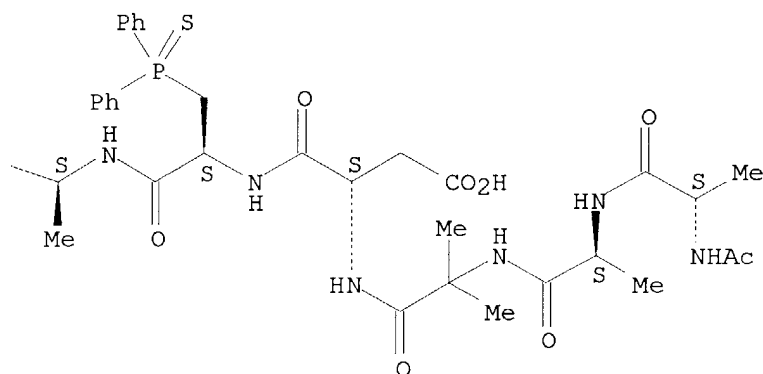
CN L-Alaninamide, N-acetyl-L-alanyl-L-alanyl-2-methylalanyl-L- $\alpha$ -aspartyl-3-(diphenylphosphinothioyl)-D-alanyl-L-alanyl-L-alanyl-3-(diphenylphosphinothioyl)-L-alanyl-L-alanyl-L-alanyl-2-methylalanyl- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

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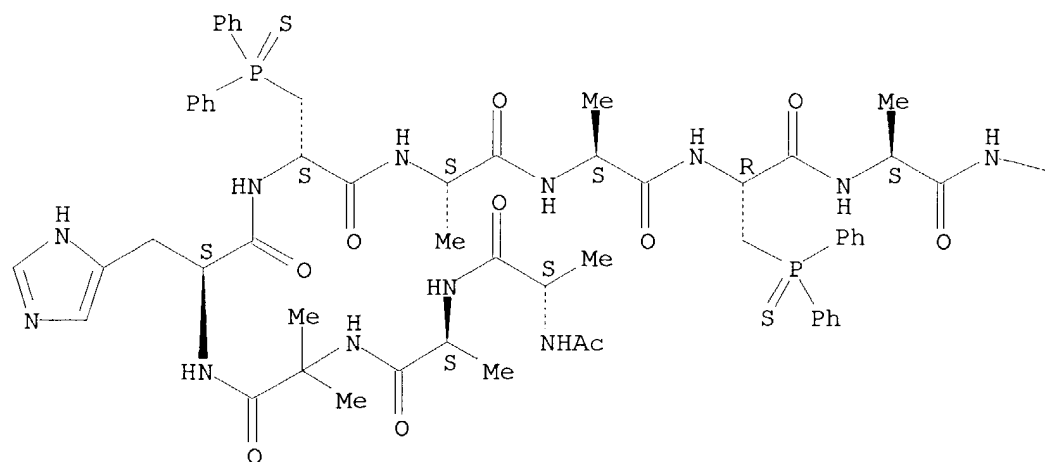


RN 250594-68-4 CAPLUS

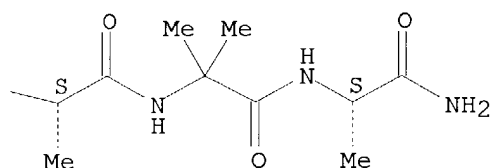
CN L-Alaninamide, N-acetyl-L-alanyl-L-alanyl-2-methylalanyl-L-histidyl-3-(diphenylphosphinothioyl)-D-alanyl-L-alanyl-L-alanyl-3-(diphenylphosphinothioyl)-L-alanyl-L-alanyl-L-alanyl-2-methylalanyl- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

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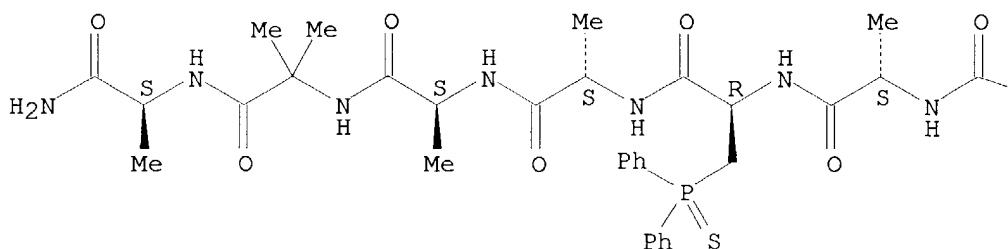


RN 250594-69-5 CAPLUS

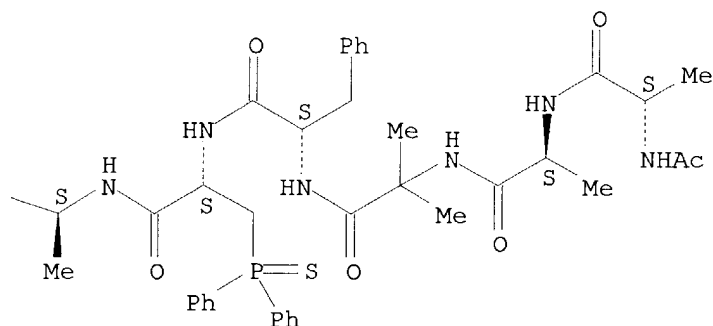
|    |  |
|----|--|
| CA | L-Alaninamide, N-acetyl-L-alanyl-L-alanyl-2-methylalanyl-L-phenylalanyl-3-(diphenylphosphinothioyl)-D-alanyl-L-alanyl-L-alanyl-3-(diphenylphosphinothioyl)-L-alanyl-L-alanyl-L-alanyl-2-methylalanyl- (9CI)<br>(CA INDEX NAME) |
|----|--|

Absolute stereochemistry.

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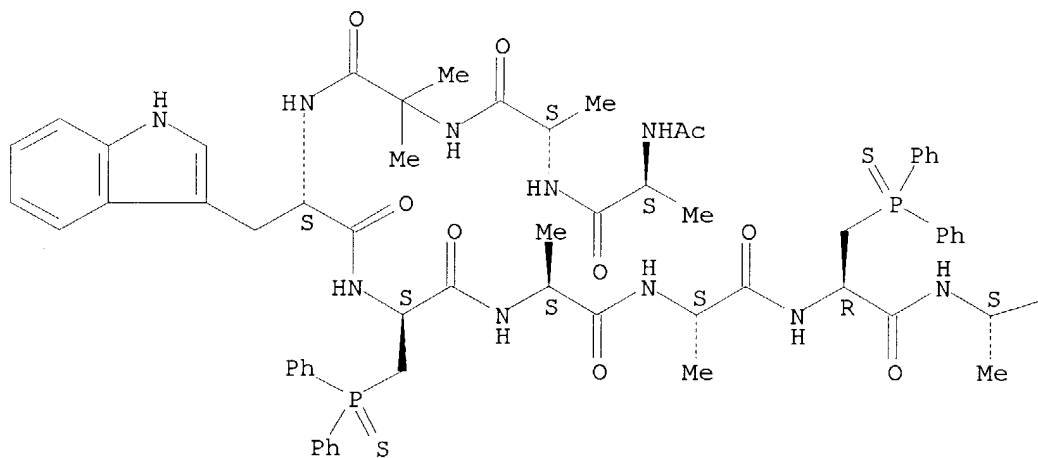


RN 250594-70-8 CAPLUS

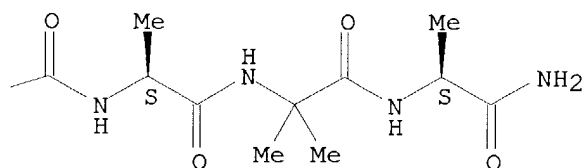
CN L-Alaninamide, N-acetyl-L-alanyl-L-alanyl-2-methylalanyl-L-tryptophyl-3-(diphenylphosphinothioyl)-D-alanyl-L-alanyl-L-alanyl-3-(diphenylphosphinothioyl)-L-alanyl-L-alanyl-L-alanyl-2-methylalanyl- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

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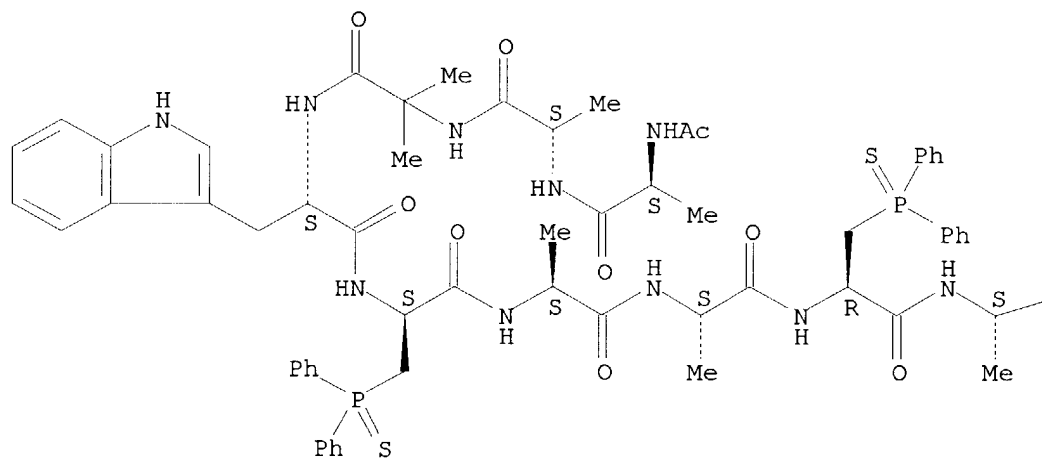


RN 250594-70-8 CAPLUS

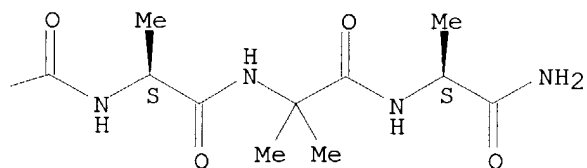
CN L-Alaninamide, N-acetyl-L-alanyl-L-alanyl-2-methylalanyl-L-tryptophyl-3-  
(diphenylphosphinothioyl)-D-alanyl-L-alanyl-L-alanyl-3-  
(diphenylphosphinothioyl)-L-alanyl-L-alanyl-L-alanyl-2-methylalanyl- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

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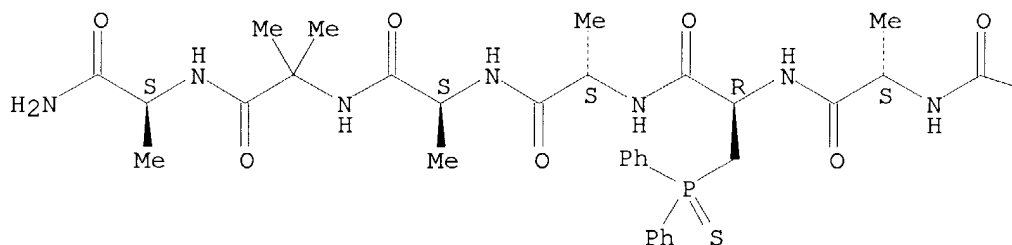


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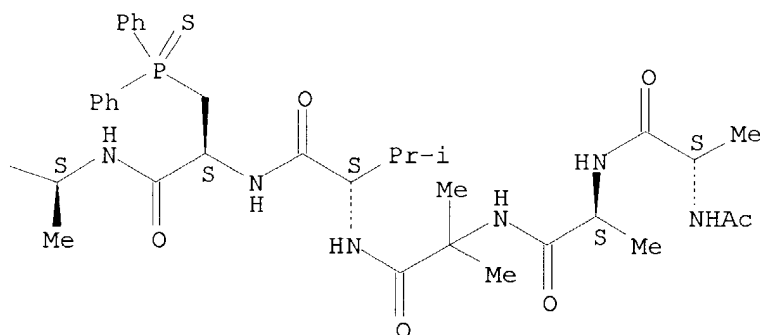
CN L-Alaninamide, N-acetyl-L-alanyl-L-alanyl-2-methylalanyl-L-valyl-3-(diphenylphosphinothioyl)-D-alanyl-L-alanyl-L-alanyl-3-(diphenylphosphinothioyl)-L-alanyl-L-alanyl-L-alanyl-2-methylalanyl- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

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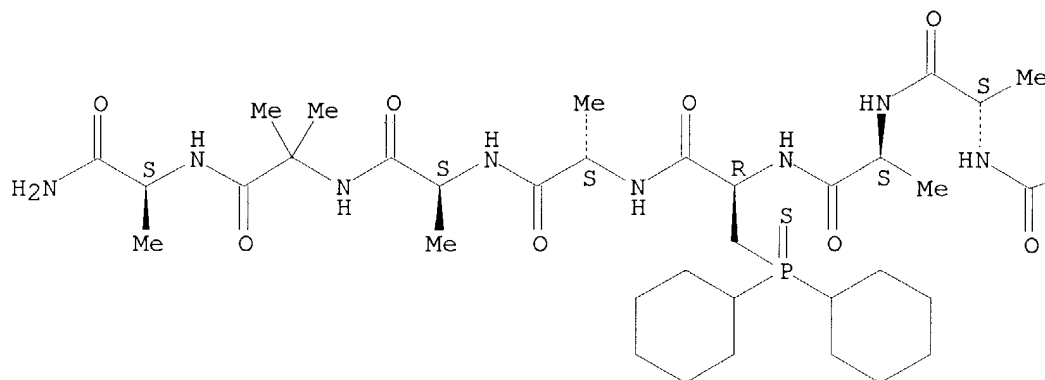


RN 250594-72-0 CAPLUS

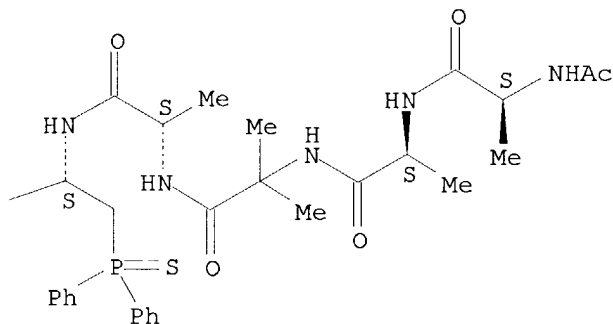
CN L-Alaninamide, N-acetyl-L-alanyl-L-alanyl-2-methylalanyl-L-alanyl-3-(diphenylphosphinothioyl)-D-alanyl-L-alanyl-L-alanyl-3-(dicyclohexylphosphinothioyl)-L-alanyl-L-alanyl-L-alanyl-2-methylalanyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

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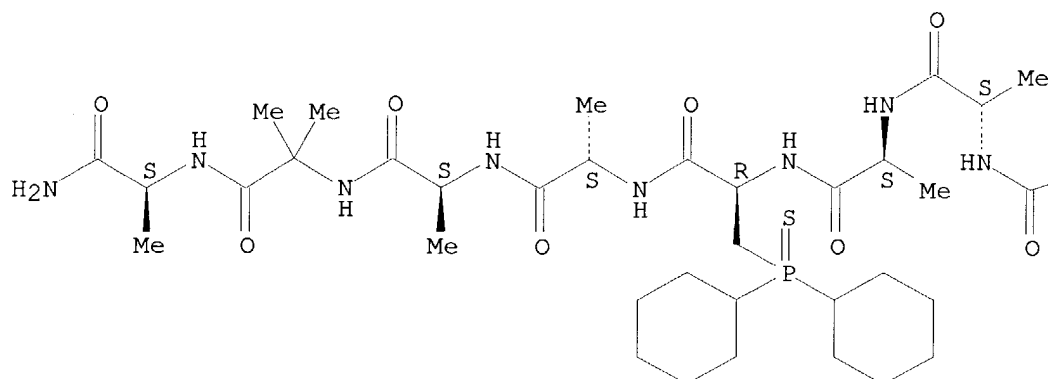


RN 250594-73-1 CAPLUS

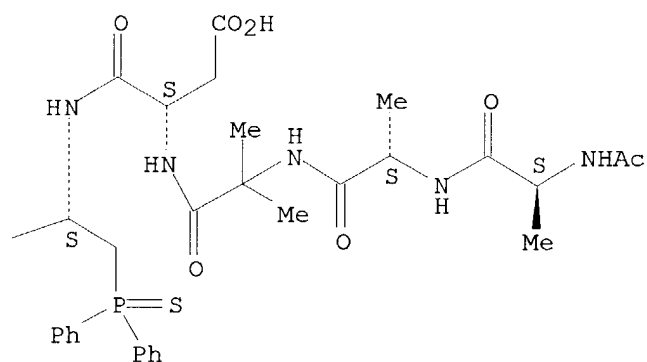
CN L-Alaninamide, N-acetyl-L-alanyl-L-alanyl-2-methylalanyl-L- $\alpha$ -aspartyl-3-(diphenylphosphinothioyl)-D-alanyl-L-alanyl-L-alanyl-3-(dicyclohexylphosphinothioyl)-L-alanyl-L-alanyl-L-alanyl-2-methylalanyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

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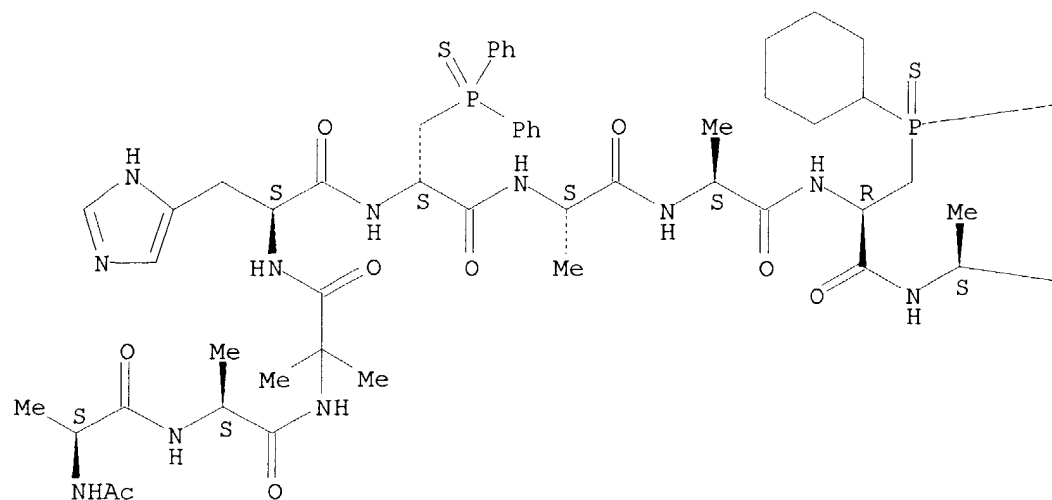


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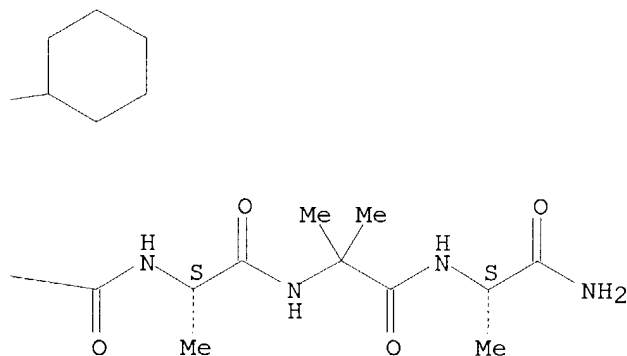
CN L-Alaninamide, N-acetyl-L-alanyl-L-alanyl-2-methylalanyl-L-histidyl-3-(diphenylphosphinothioyl)-D-alanyl-L-alanyl-L-alanyl-3-(dicyclohexylphosphinothioyl)-L-alanyl-L-alanyl-L-alanyl-2-methylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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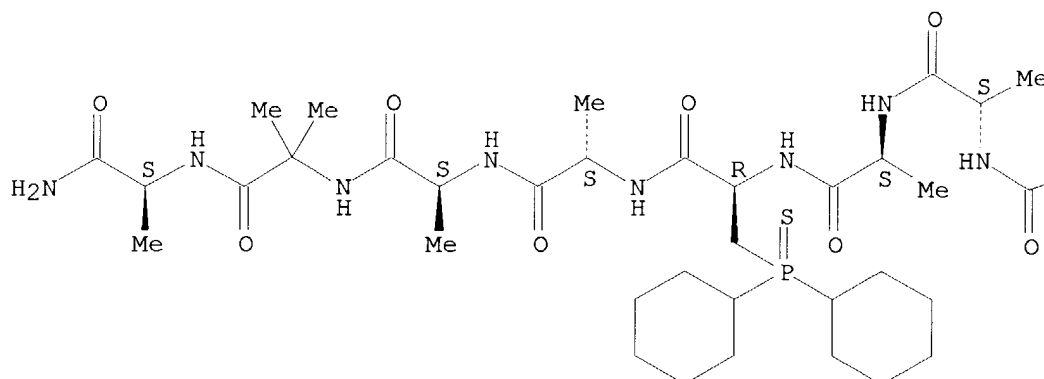


RN 250594-75-3 CAPLUS

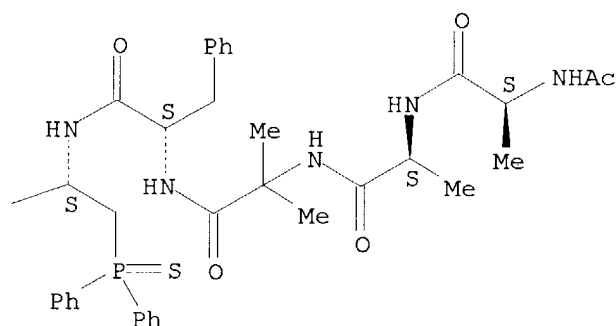
CN L-Alaninamide, N-acetyl-L-alanyl-L-alanyl-2-methylalanyl-L-phenylalanyl-3-(diphenylphosphinothioyl)-D-alanyl-L-alanyl-L-alanyl-3-(dicyclohexylphosphinothioyl)-L-alanyl-L-alanyl-L-alanyl-2-methylalanyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

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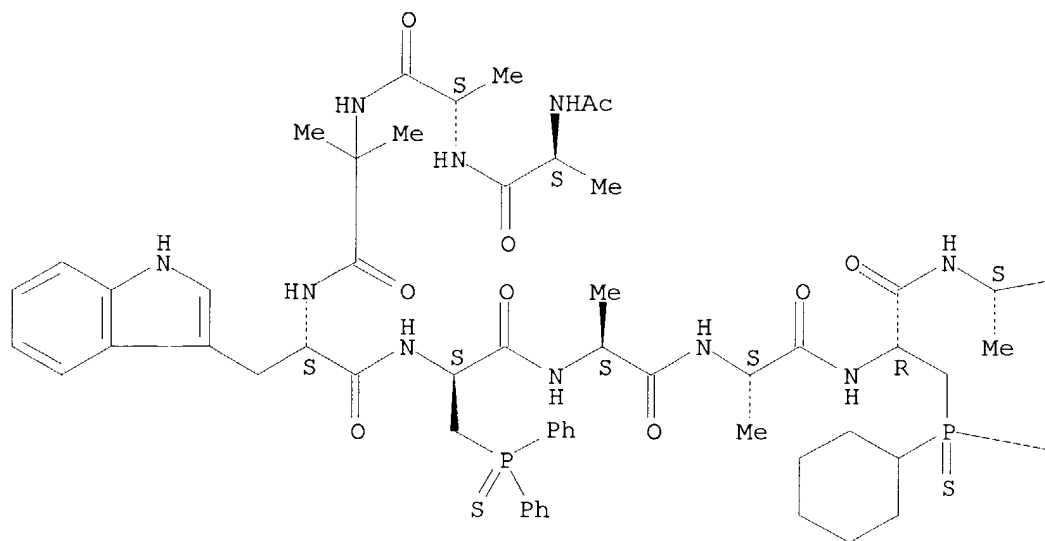


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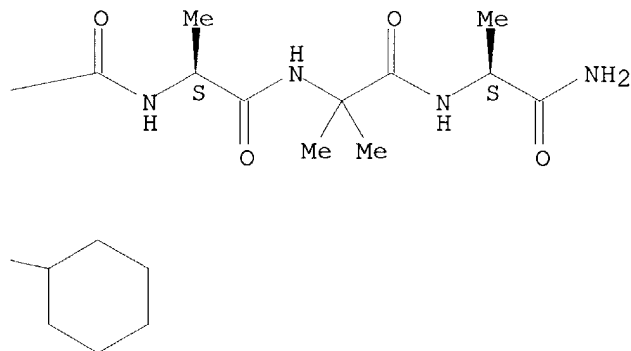
CN L-Alaninamide, N-acetyl-L-alanyl-L-alanyl-2-methylalanyl-L-tryptophyl-3-(diphenylphosphinothioyl)-D-alanyl-L-alanyl-L-alanyl-3-(dicyclohexylphosphinothioyl)-L-alanyl-L-alanyl-L-alanyl-2-methylalanyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

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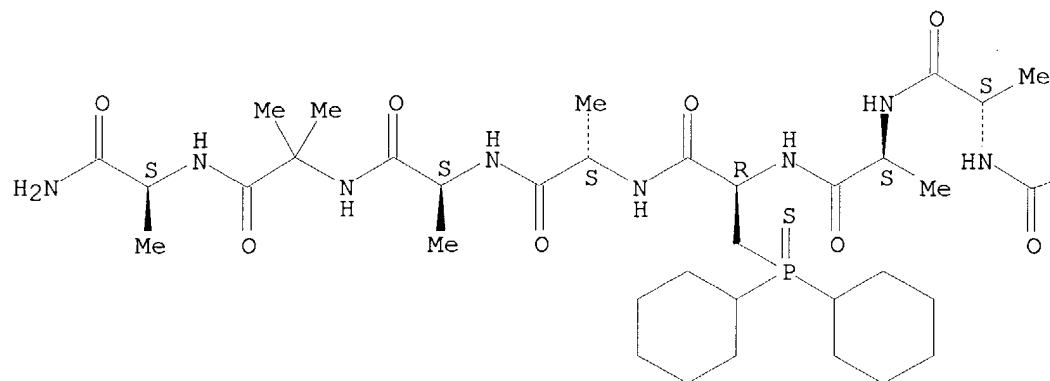


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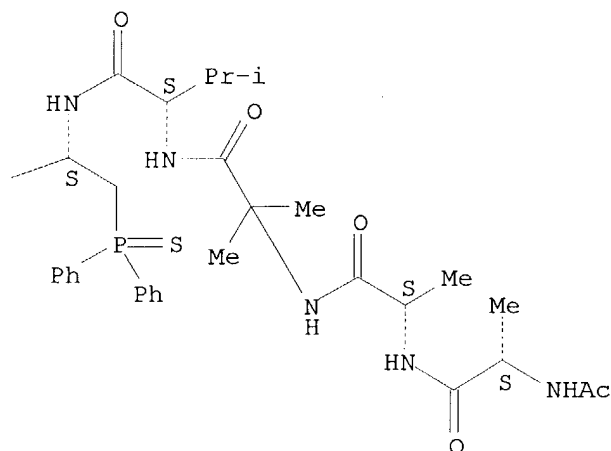
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Absolute stereochemistry.

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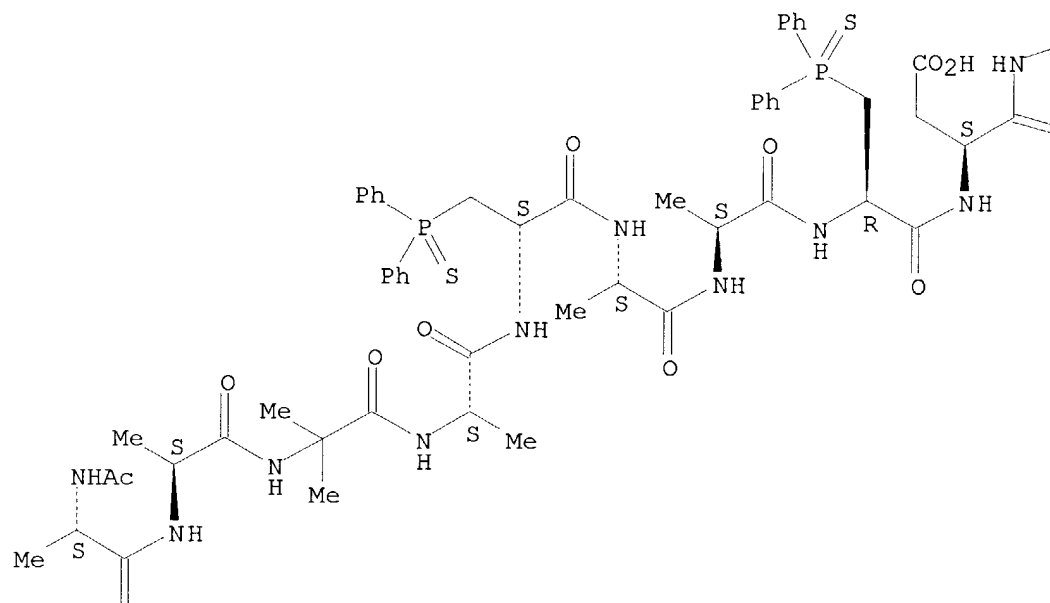


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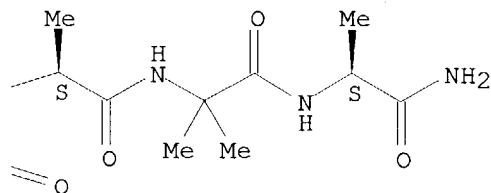
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Absolute stereochemistry.

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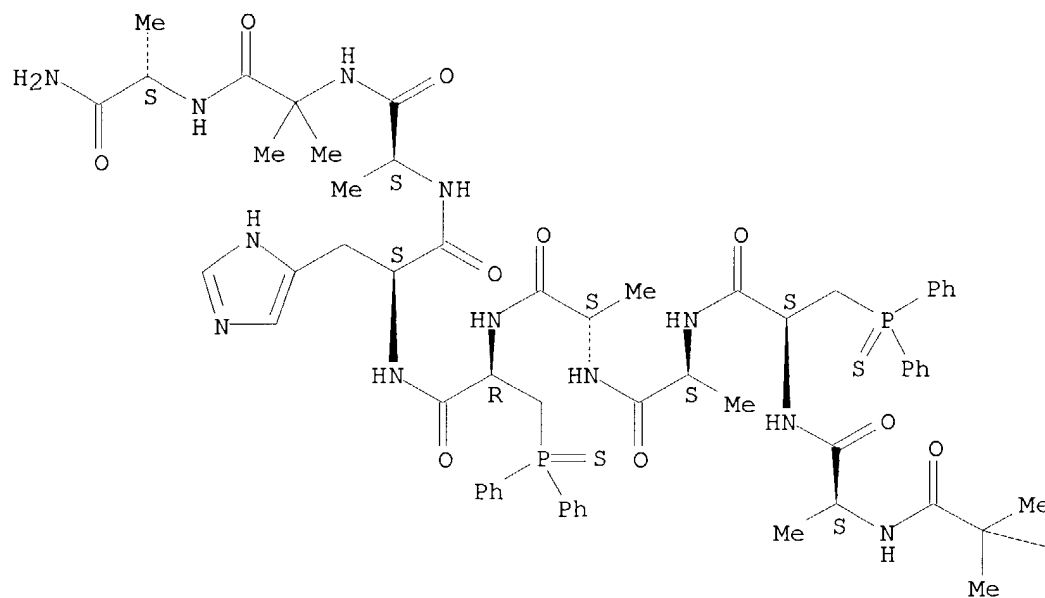
PAGE 2-A



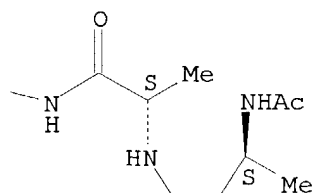
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Absolute stereochemistry.

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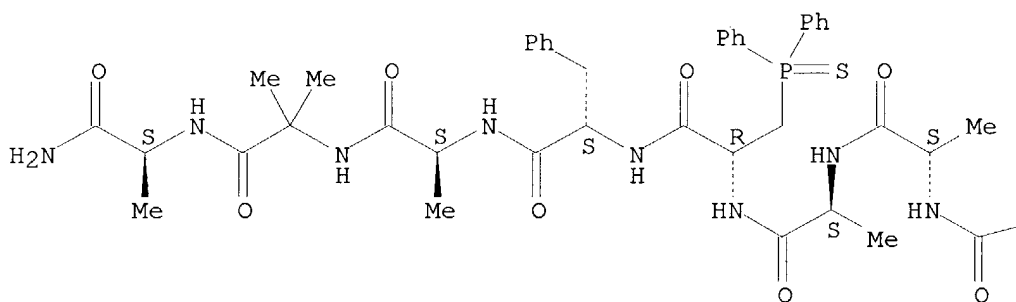
PAGE 2-B



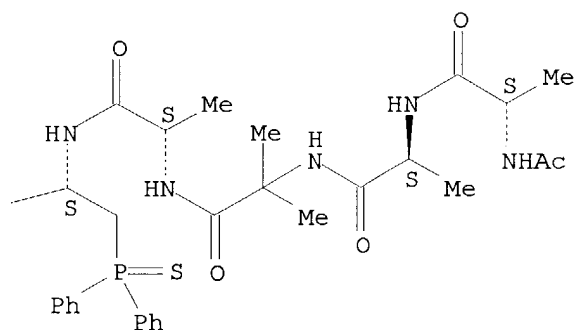
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Absolute stereochemistry.

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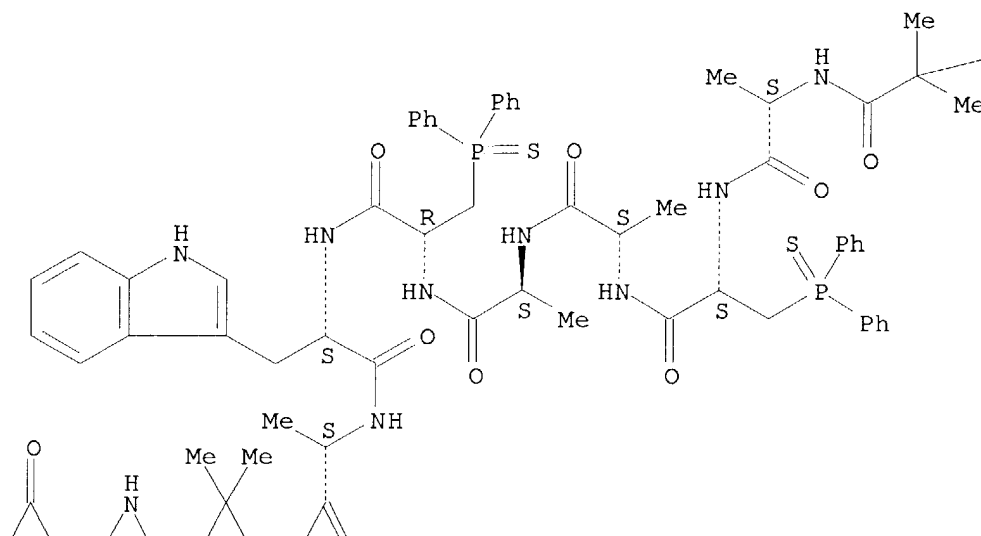
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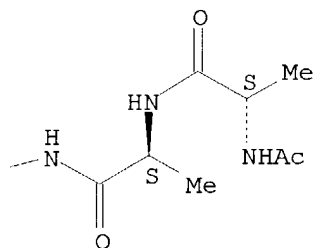
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Absolute stereochemistry.

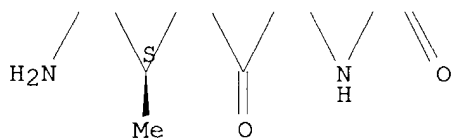
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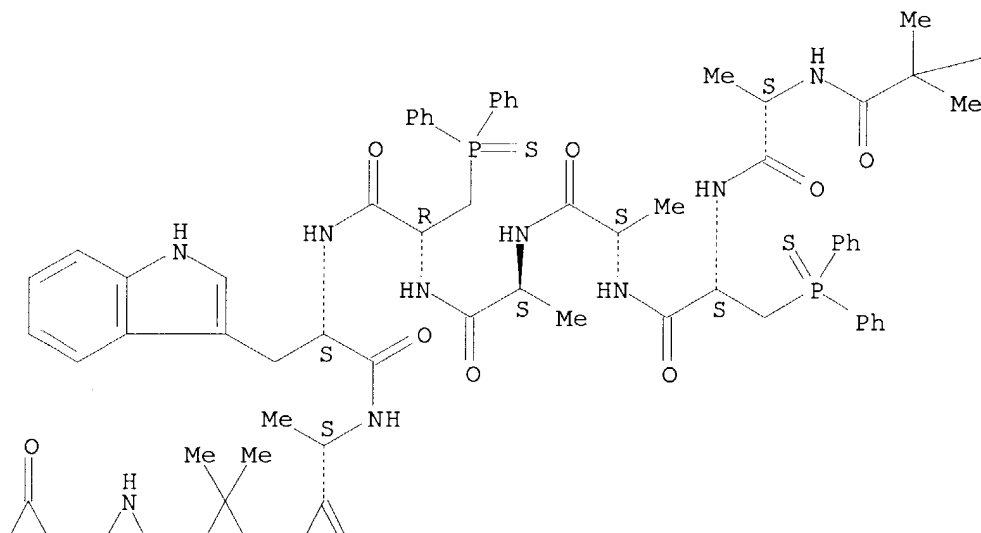


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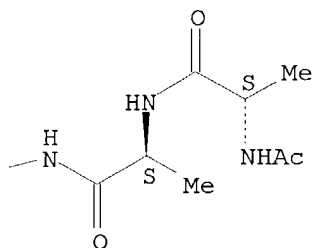
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Absolute stereochemistry.

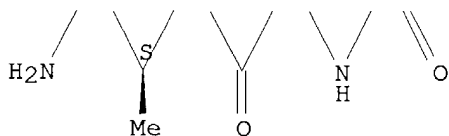
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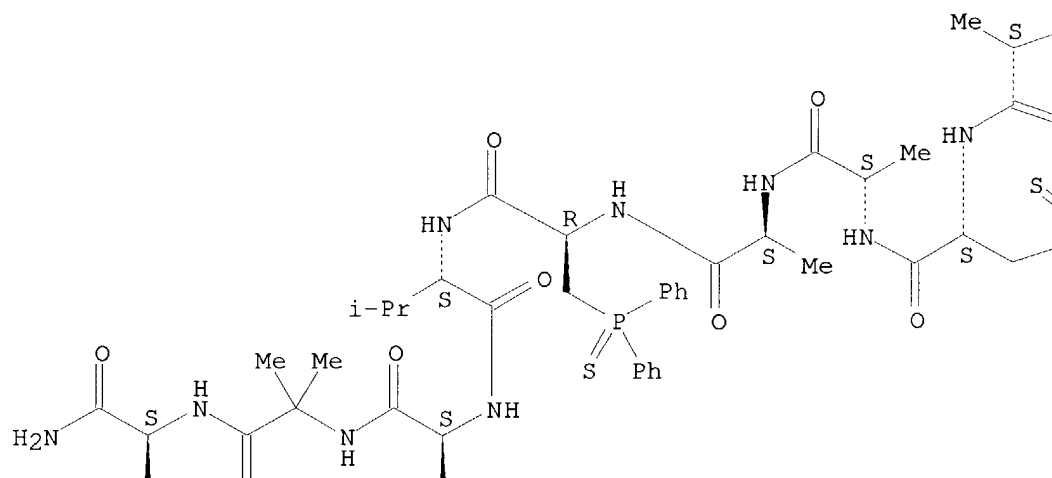


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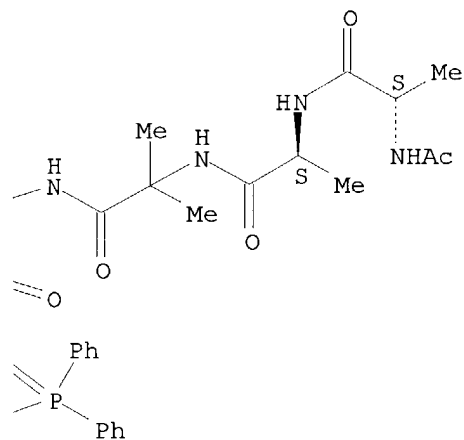
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(CA INDEX NAME)

Absolute stereochemistry.

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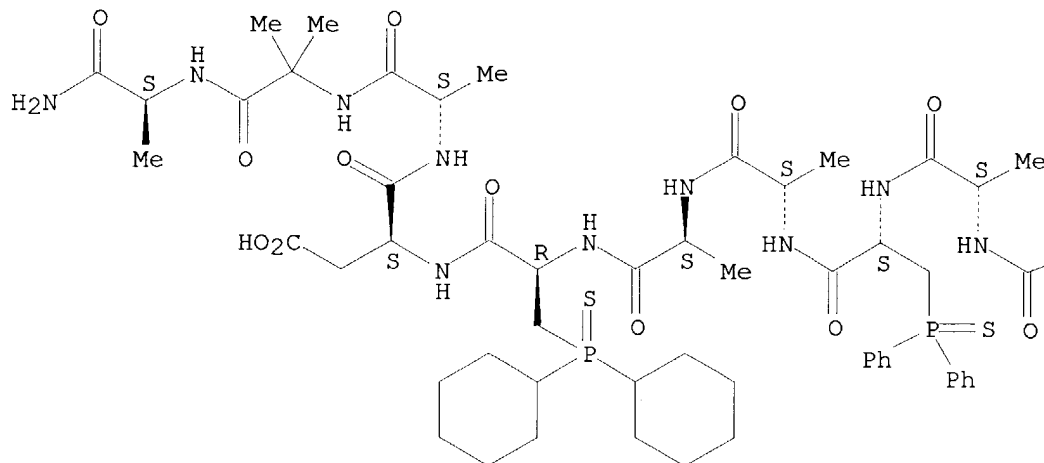
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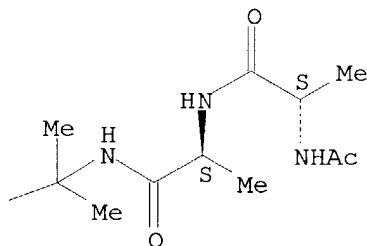
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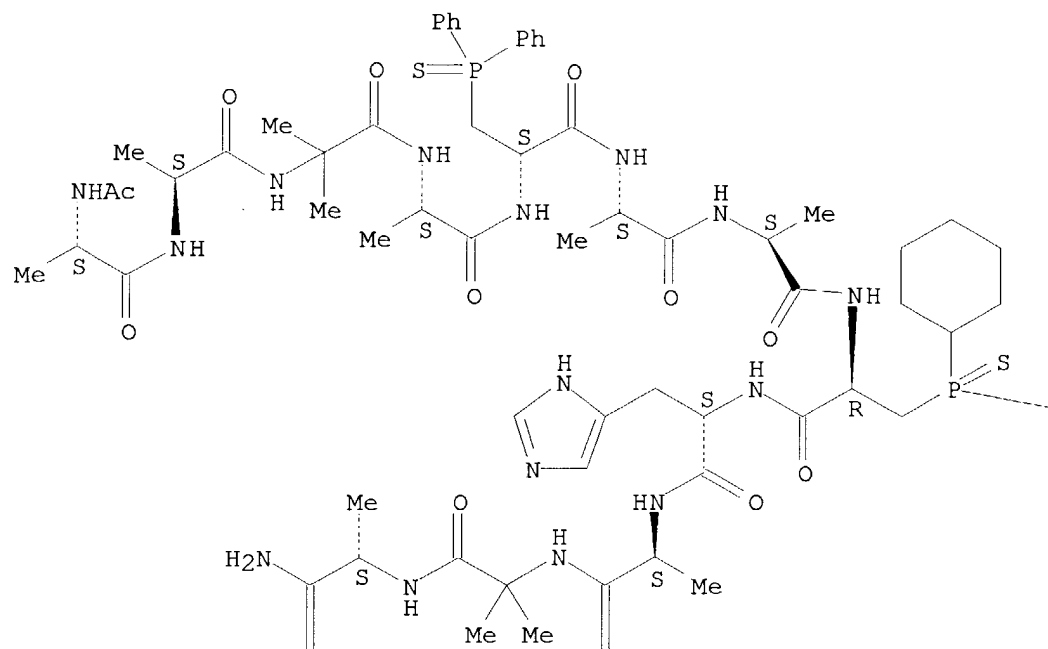
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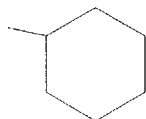
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Absolute stereochemistry.

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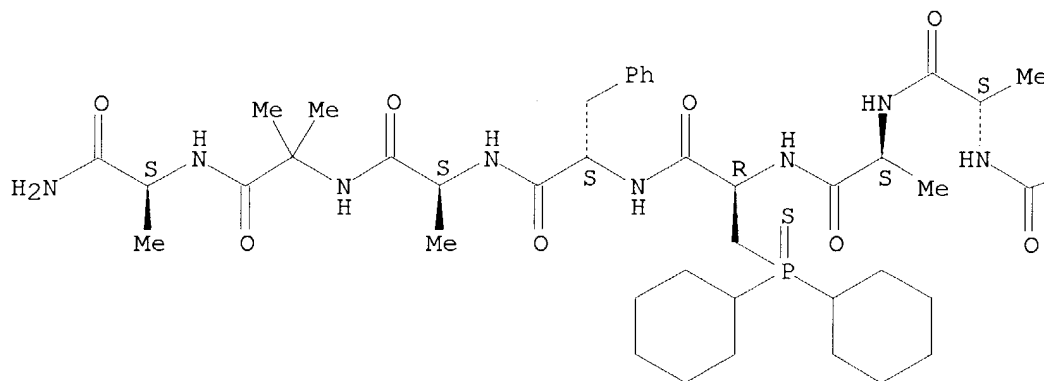


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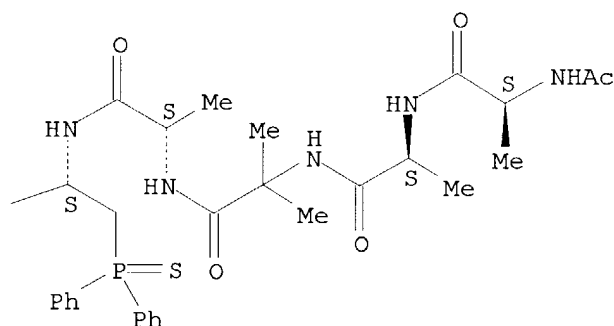
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Absolute stereochemistry.

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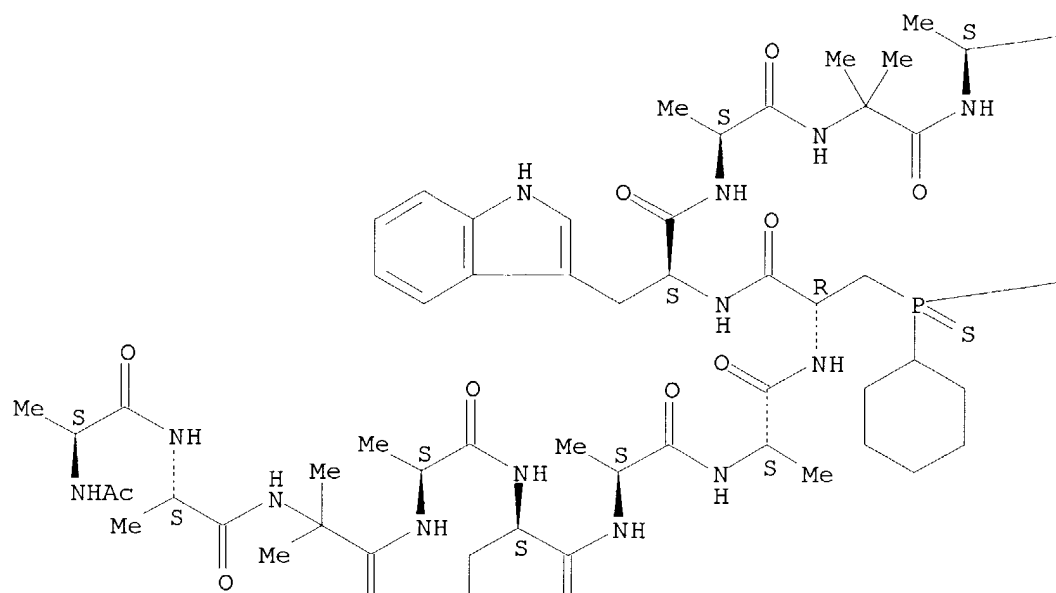


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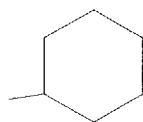
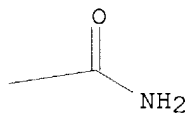
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Absolute stereochemistry.

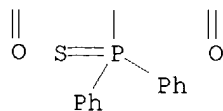
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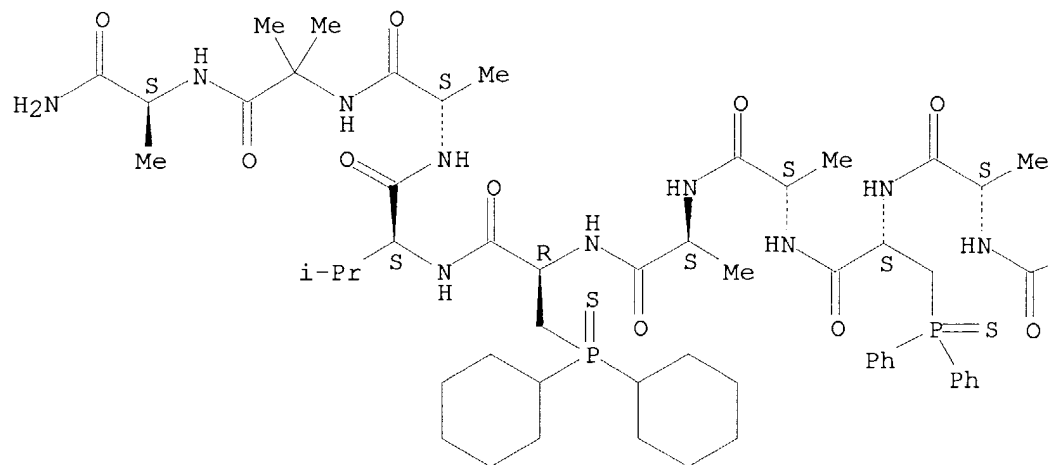
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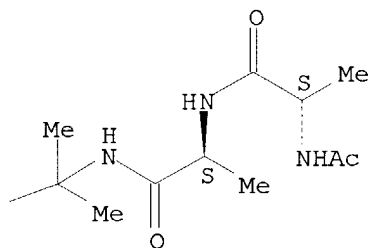
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

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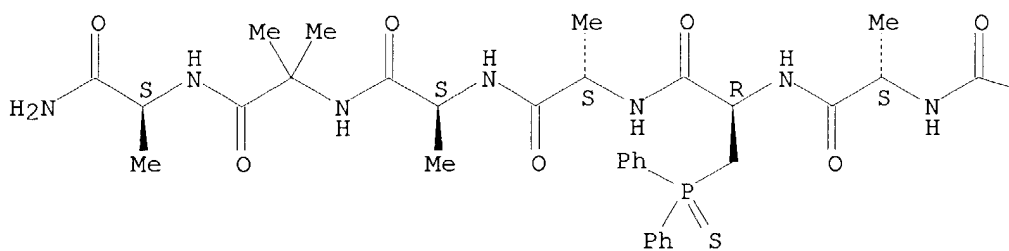


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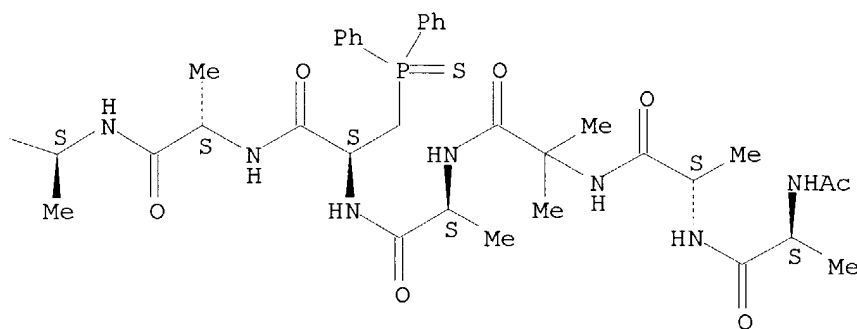
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(CA INDEX NAME)

Absolute stereochemistry.

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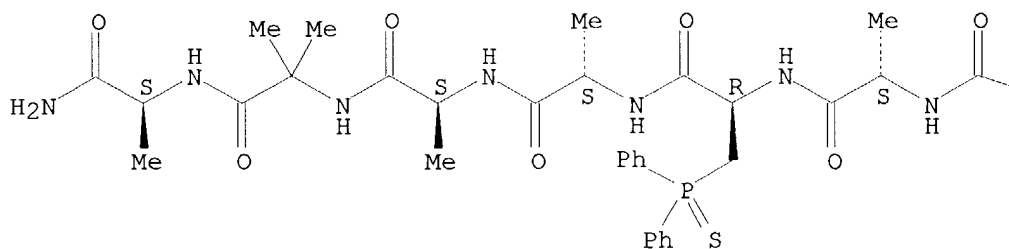


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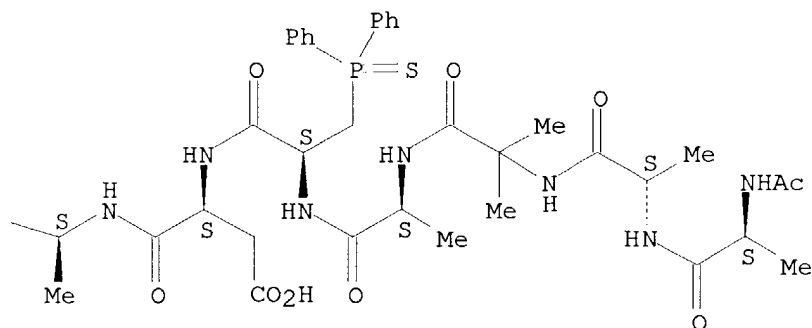
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(CA INDEX NAME)

Absolute stereochemistry.

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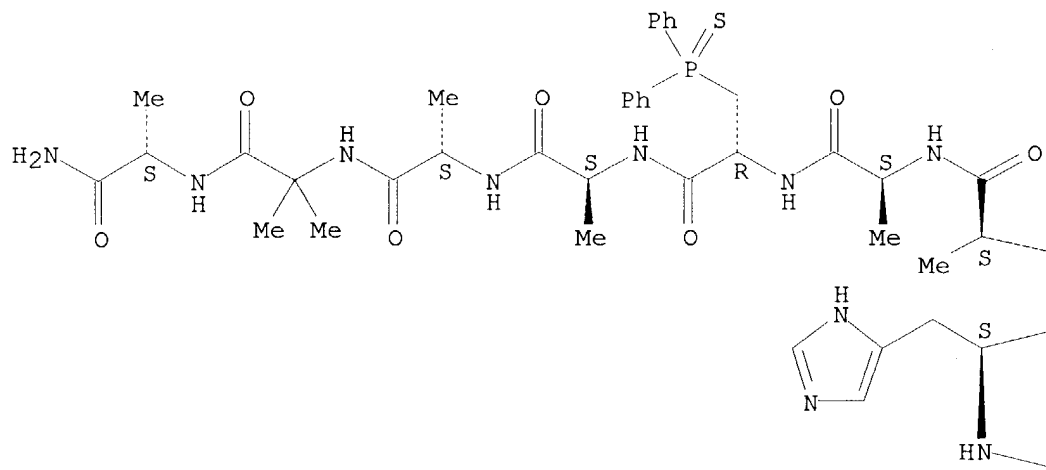


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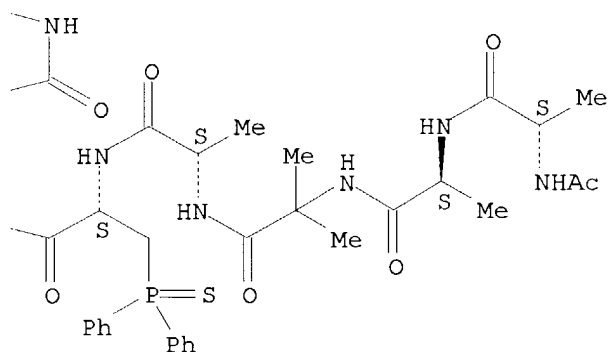
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(CA INDEX NAME)

Absolute stereochemistry.

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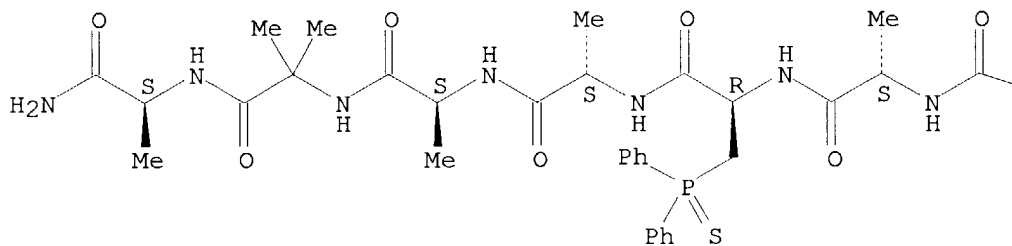


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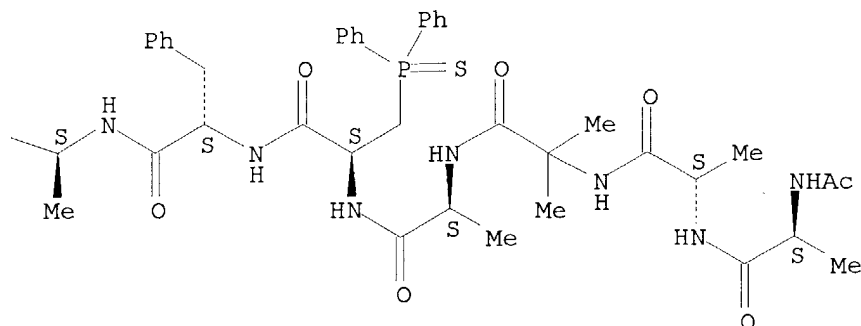
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(CA INDEX NAME)

Absolute stereochemistry.

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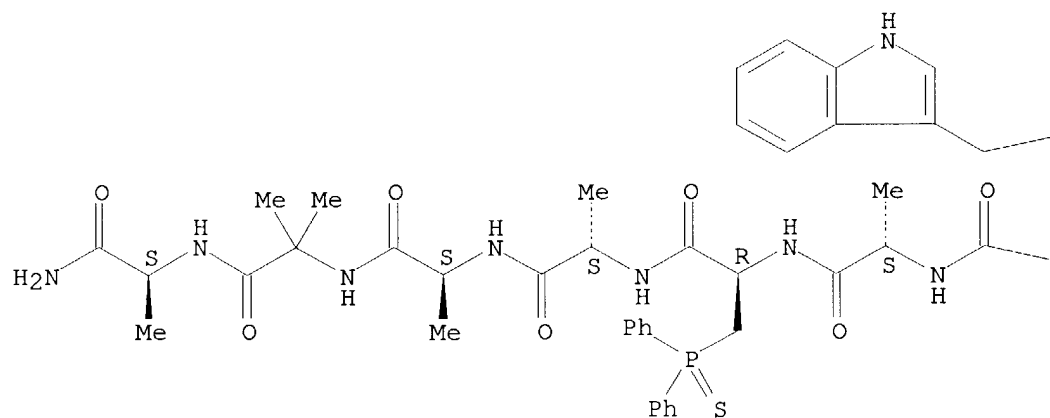


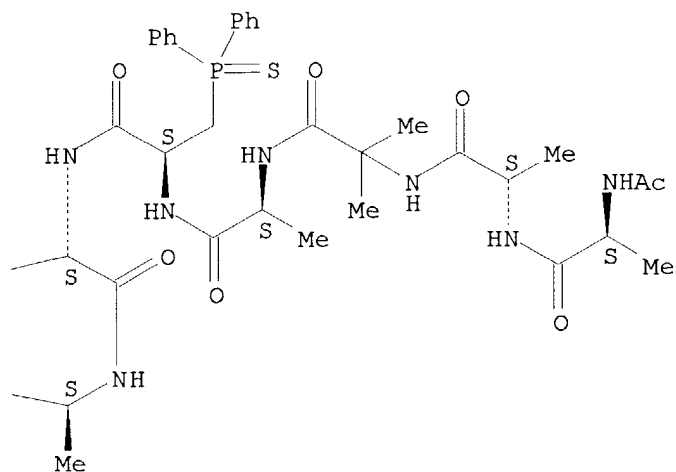
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(CA INDEX NAME)

Absolute stereochemistry.

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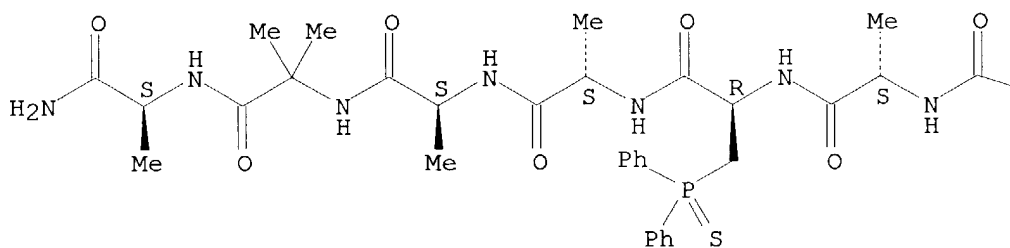


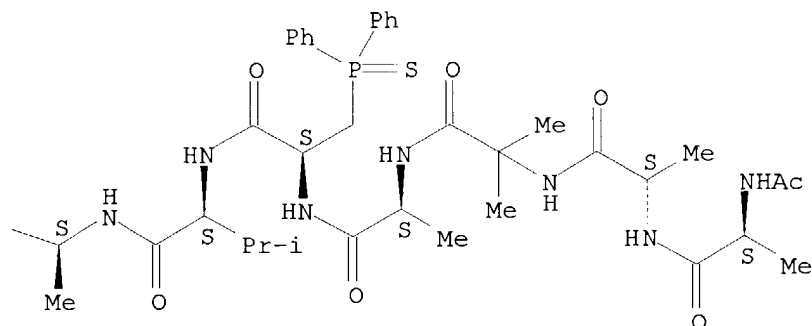


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(CA INDEX NAME)

Absolute stereochemistry.

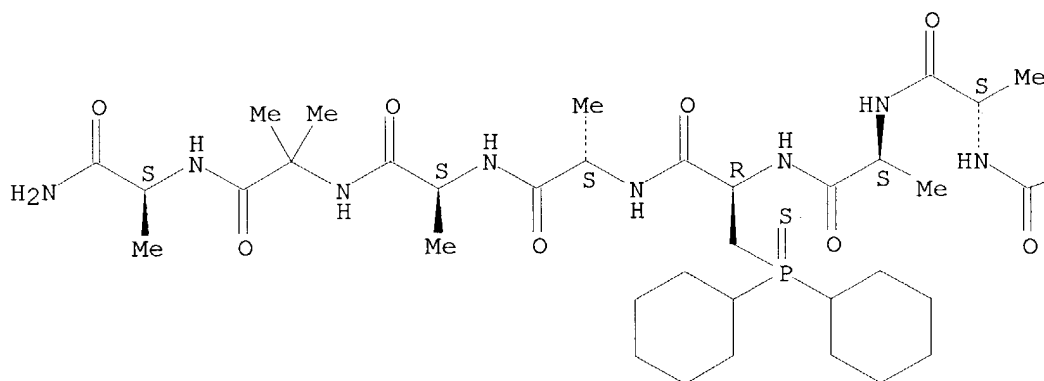


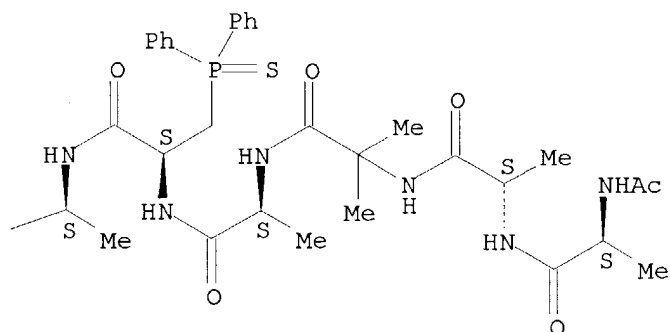


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Absolute stereochemistry.

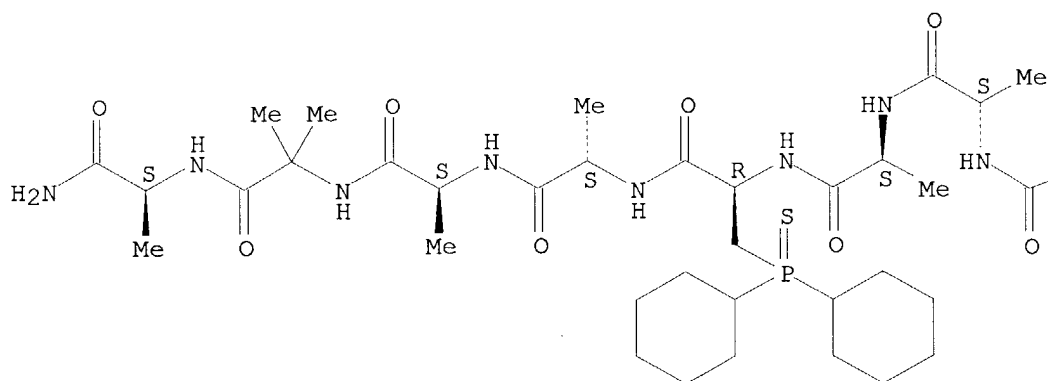




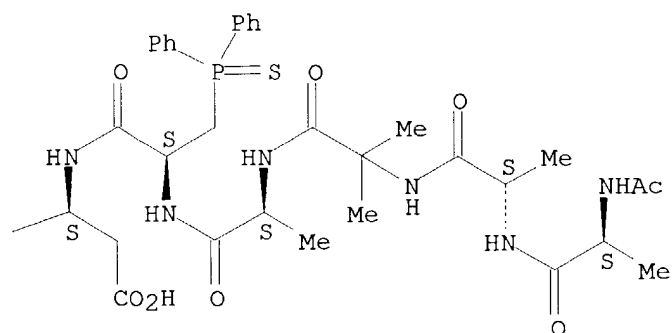
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Absolute stereochemistry.



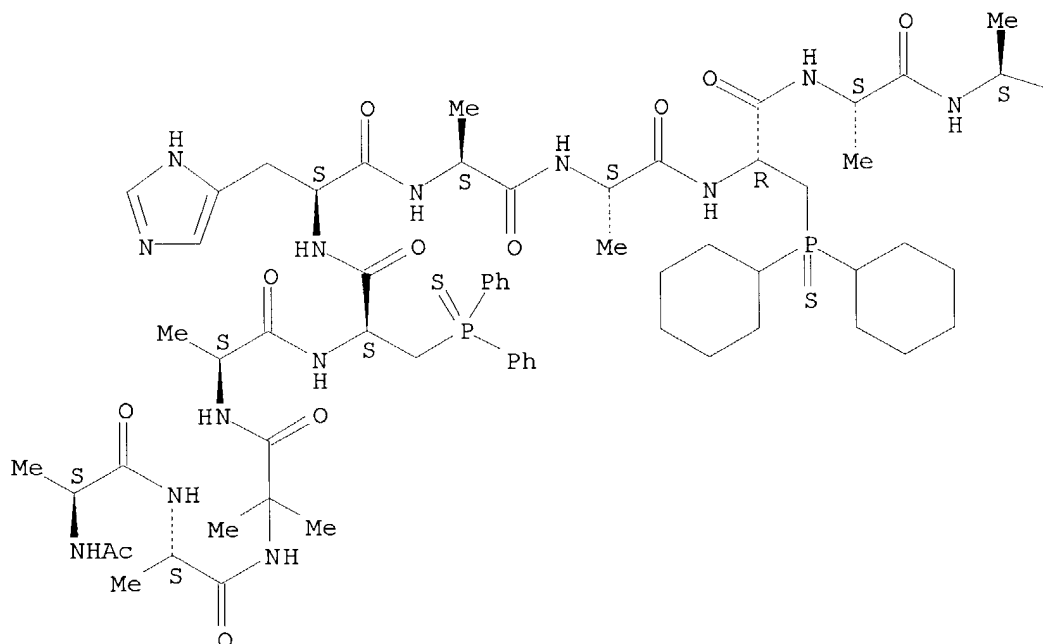




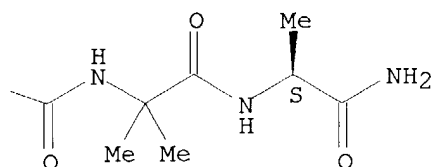
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Absolute stereochemistry.



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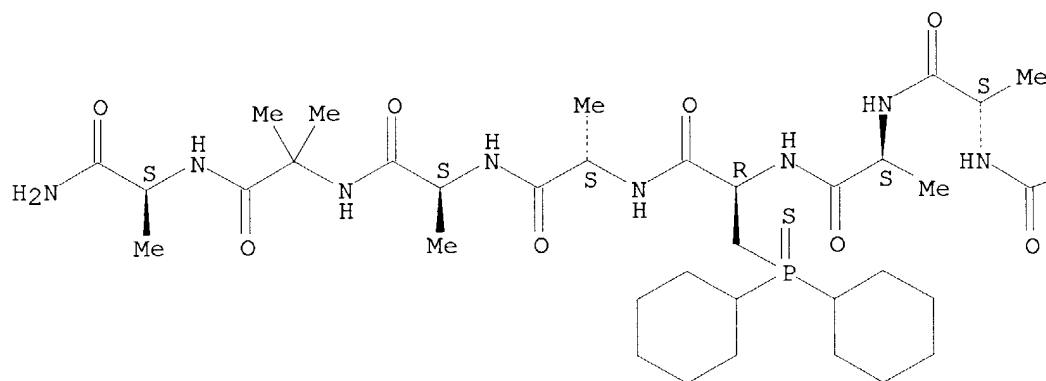


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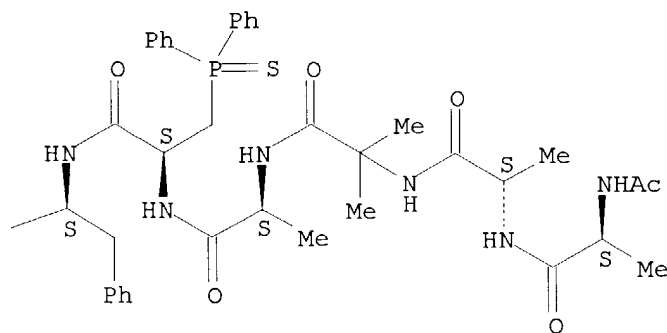
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Absolute stereochemistry.

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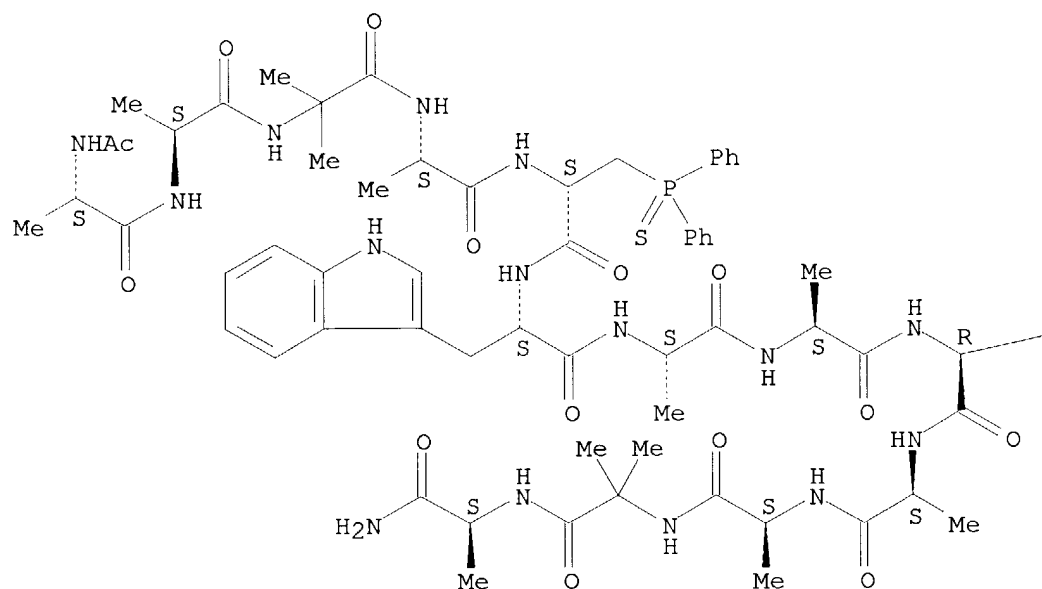
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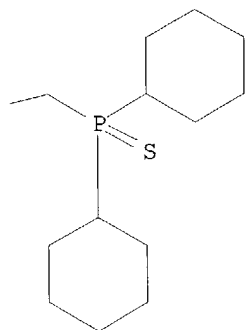
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

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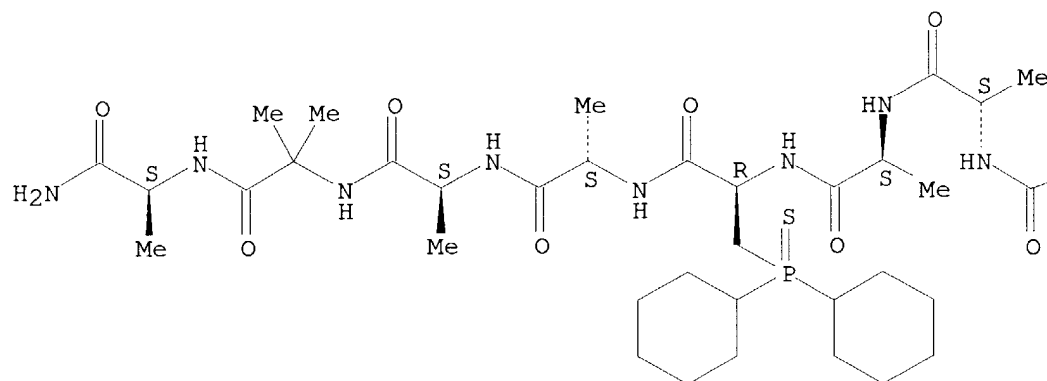


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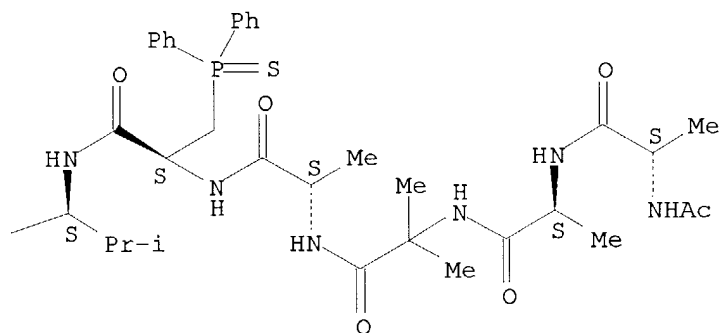
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

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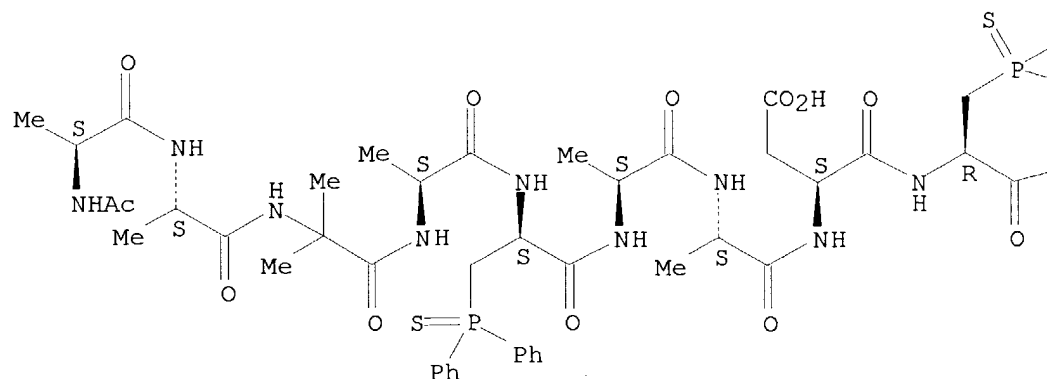


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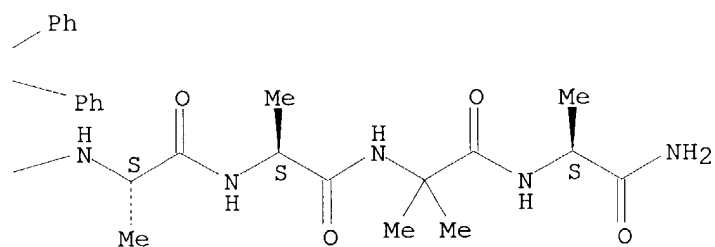
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(CA INDEX NAME)

Absolute stereochemistry.

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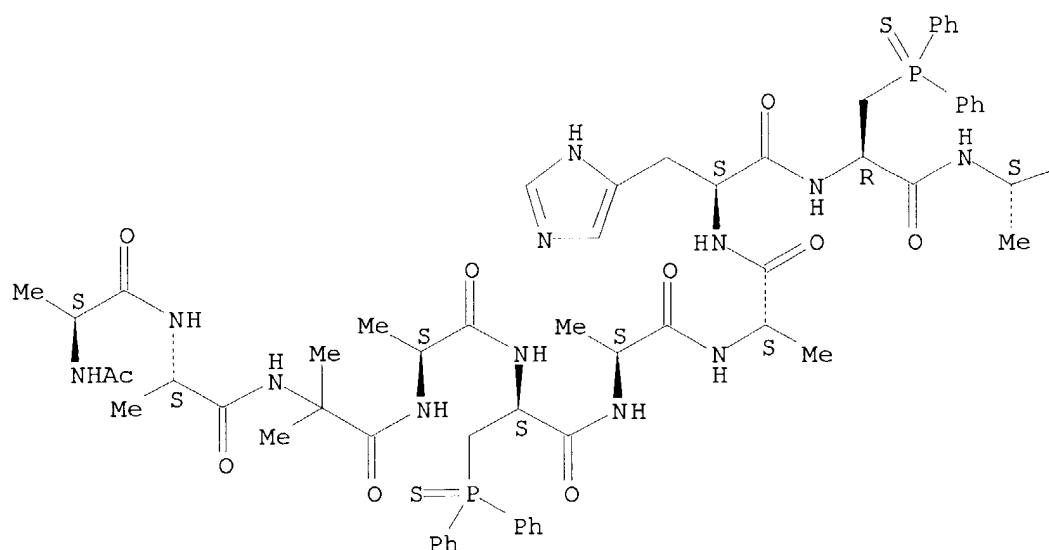


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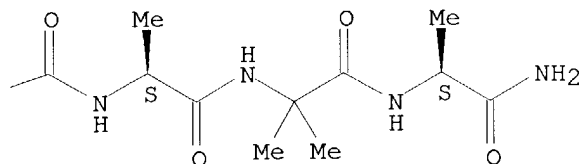
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(CA INDEX NAME)

Absolute stereochemistry.

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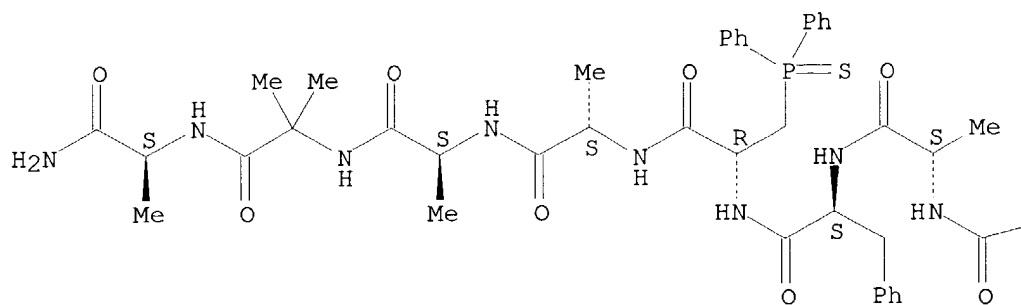


RN 250595-03-0 CAPLUS

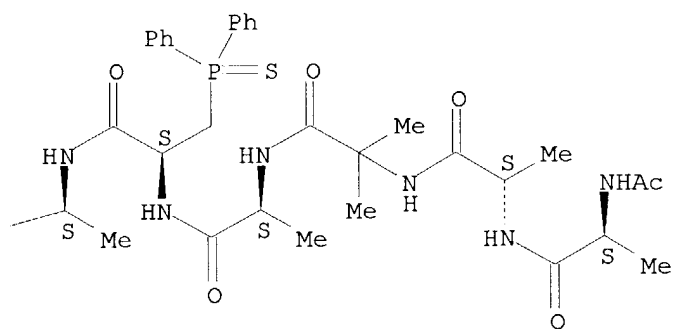
CN L-Alaninamide, N-acetyl-L-alanyl-L-alanyl-2-methylalanyl-L-alanyl-3-(diphenylphosphinothioyl)-D-alanyl-L-alanyl-L-alanyl-L-phenylalanyl-3-(diphenylphosphinothioyl)-L-alanyl-L-alanyl-L-alanyl-2-methylalanyl- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

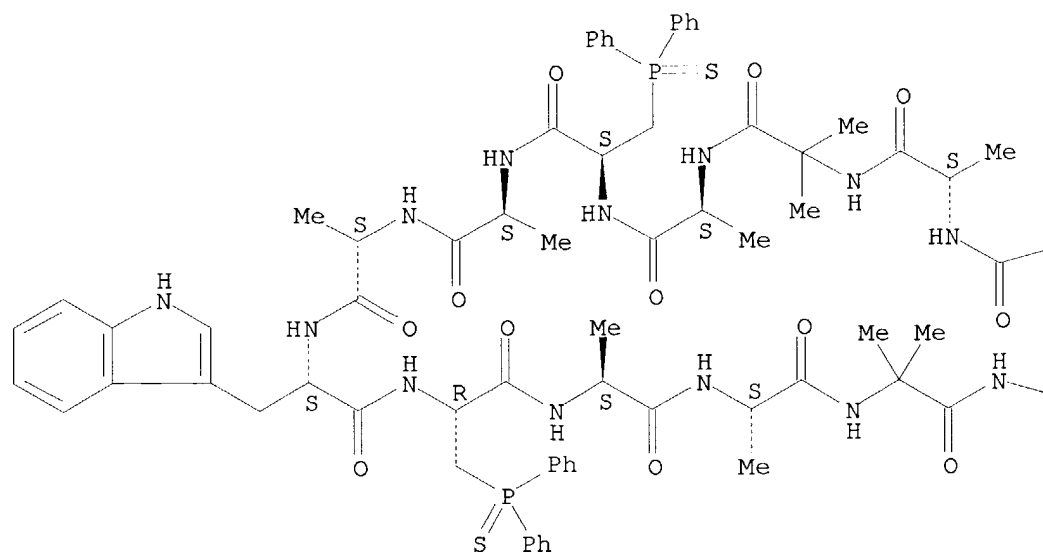


RN 250595-04-1 CAPLUS

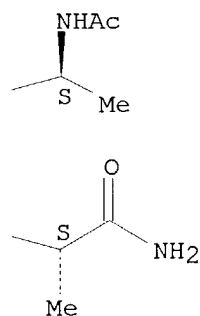
CN L-Alaninamide, N-acetyl-L-alanyl-L-alanyl-2-methylalanyl-L-alanyl-3-(diphenylphosphinothioyl)-D-alanyl-L-alanyl-L-alanyl-L-tryptophyl-3-(diphenylphosphinothioyl)-L-alanyl-L-alanyl-L-alanyl-2-methylalanyl- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



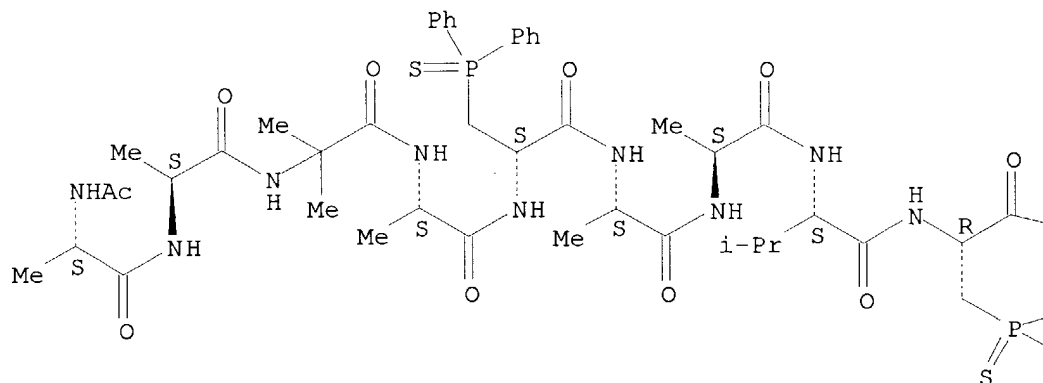
RN 250595-05-2 CAPLUS

CN L-Alaninamide, N-acetyl-L-alanyl-L-alanyl-2-methylalanyl-L-alanyl-3-(diphenylphosphinothioyl)-D-alanyl-L-alanyl-L-alanyl-L-valyl-3-(diphenylphosphinothioyl)-L-alanyl-L-alanyl-L-alanyl-2-methylalanyl- (9CI)  
(CA INDEX NAME)

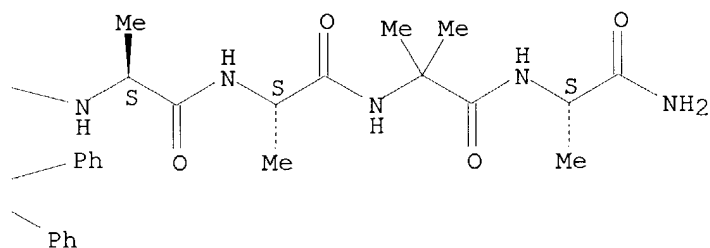
Absolute stereochemistry.



PAGE 1-A



PAGE 1-B

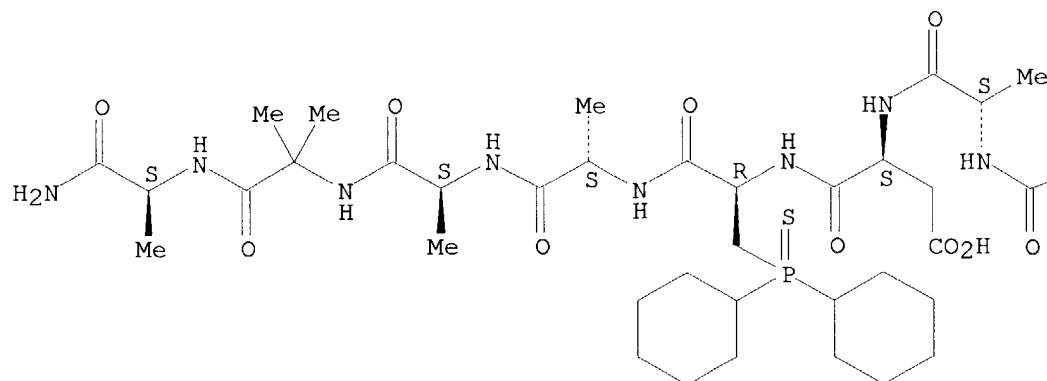


RN 250595-06-3 CAPLUS

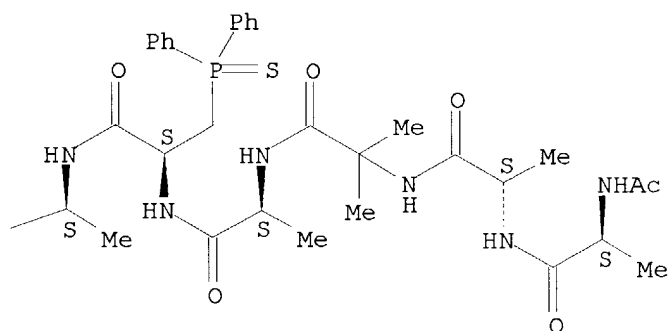
CN L-Alaninamide, N-acetyl-L-alanyl-L-alanyl-2-methylalanyl-L-alanyl-3-(diphenylphosphinothioyl)-D-alanyl-L-alanyl-L-alanyl-L- $\alpha$ -aspartyl-3-(dicyclohexylphosphinothioyl)-L-alanyl-L-alanyl-L-alanyl-2-methylalanyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

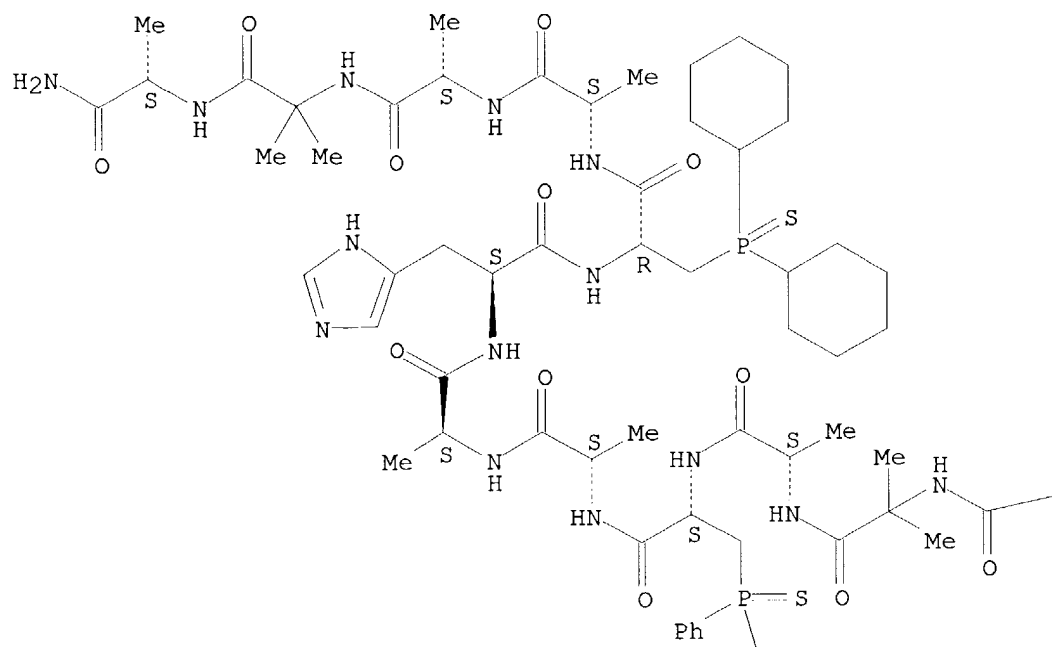


RN 250595-07-4 CAPLUS

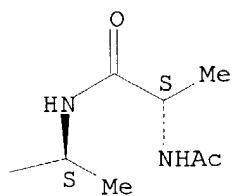
L-Alaninamide, N-acetyl-L-alanyl-L-alanyl-2-methylalanyl-L-alanyl-3-  
 (diphenylphosphinothioyl)-D-alanyl-L-alanyl-L-alanyl-L-histidyl-3-  
 (dicyclohexylphosphinothioyl)-L-alanyl-L-alanyl-L-alanyl-2-methylalanyl-  
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



PAGE 2-A

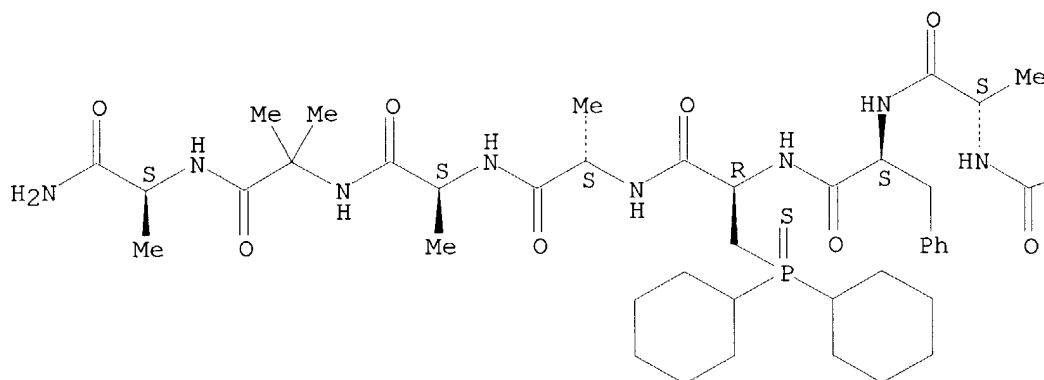
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RN 250595-08-5 CAPLUS

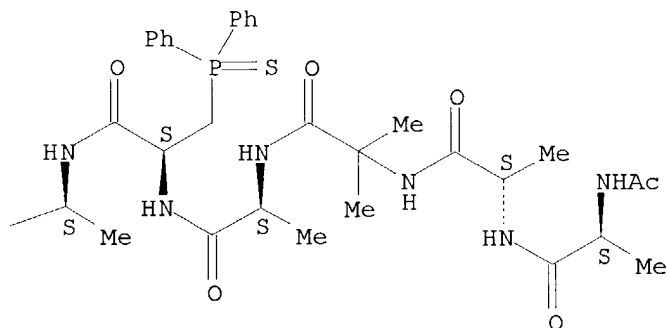
CN L-Alaninamide, N-acetyl-L-alanyl-L-alanyl-2-methylalanyl-L-alanyl-3-(diphenylphosphinothioyl)-D-alanyl-L-alanyl-L-alanyl-L-phenylalanyl-3-(dicyclohexylphosphinothioyl)-L-alanyl-L-alanyl-L-alanyl-2-methylalanyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



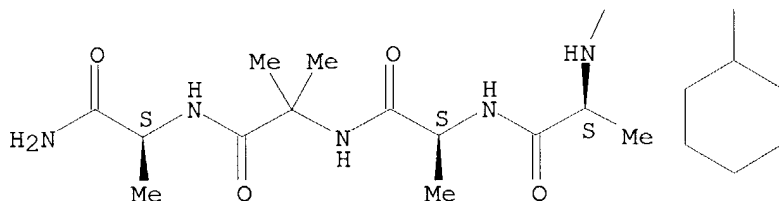
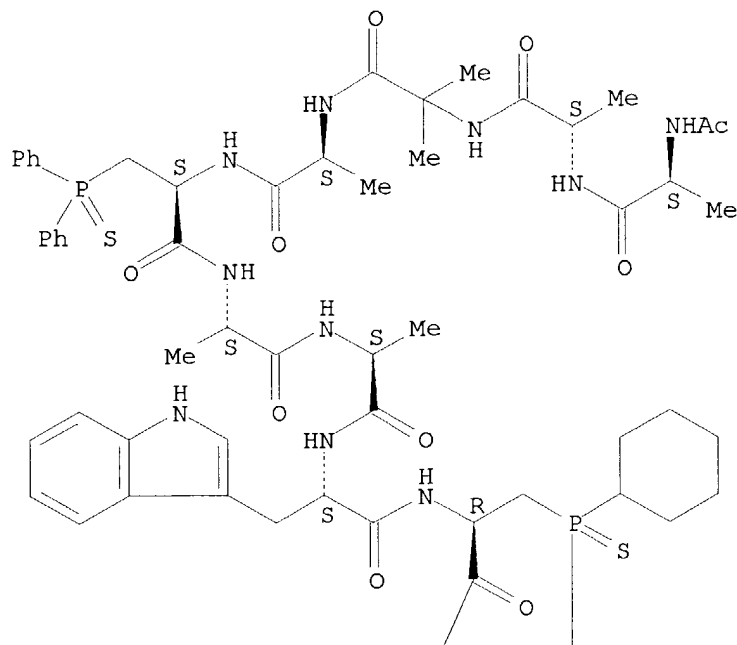
PAGE 1-B



RN 250595-09-6 CAPLUS

CN L-Alaninamide, N-acetyl-L-alanyl-L-alanyl-2-methylalanyl-L-alanyl-3-(diphenylphosphinothioyl)-D-alanyl-L-alanyl-L-alanyl-L-tryptophyl-3-(dicyclohexylphosphinothioyl)-L-alanyl-L-alanyl-L-alanyl-2-methylalanyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

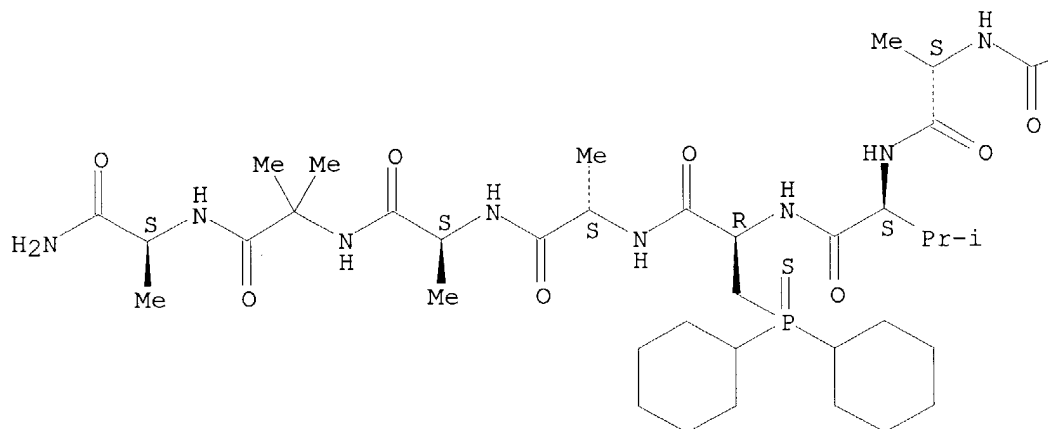


RN 250595-10-9 CAPLUS

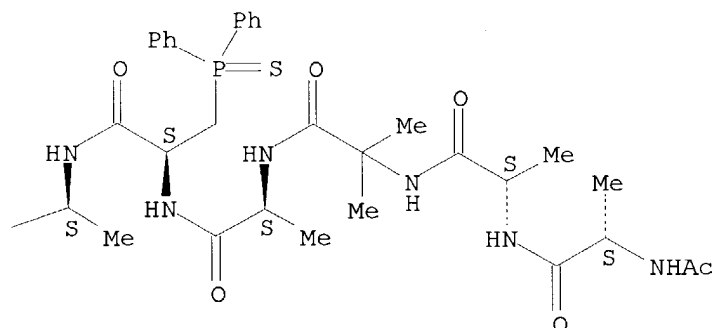
CN L-Alaninamide, N-acetyl-L-alanyl-L-alanyl-2-methylalanyl-L-alanyl-3-(diphenylphosphinothioyl)-D-alanyl-L-alanyl-L-alanyl-L-valyl-3-(dicyclohexylphosphinothioyl)-L-alanyl-L-alanyl-L-alanyl-2-methylalanyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 8 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:369559 CAPLUS

DOCUMENT NUMBER: 131:116512

TITLE: The diisopropylcarbodiimide/1-hydroxy-7-azabenzotriazole system: segment coupling and stepwise peptide assembly

AUTHOR(S): Carpino, Louis A.; El-Faham, Ayman

CORPORATE SOURCE: Department of Chemistry, University of Massachusetts, Amherst, MA, 01003-4510, USA

SOURCE: Tetrahedron (1999), 55(22), 6813-6830

CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB For a group of model peptide segments, coupling reactions carried out using solution- or solid-phase techniques have demonstrated the advantages of DIC/HOAt system over DIC/HOBt, and also, for systems involving other selected carbodiimides and substituted HOBt derivs. bearing electron-withdrawing substituents. Very little, if any, loss of configuration occurred in DCM (dichloromethane) regardless of the additive used, although the relative order of efficiency was similar in solvents such as DMF in which more extensive epimerization resulted. Using DIC/HOAt system for stepwise peptide assembly by solid-phase techniques, it was found that the hindered pyridine base 2,4,6-collidine enhanced the step involving preactivation of the carboxylic acid residue in contrast to the normal situation in which bases such as DIEA, NMM, or non-hindered pyridine bases inhibit this step. These results led to the development of a stepwise procedure for peptide assembly in which 2,4,6-collidine is added to enhance activation and subsequently DIEA is added to enhance coupling.

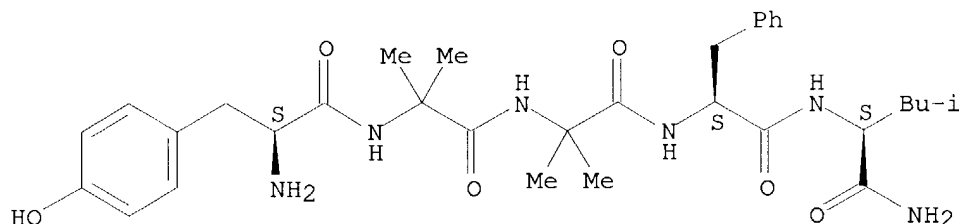
IT 95852-71-4P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(enhancements in peptide assembly using various  
carbodiimides/benzotriazoles as coupling reagents)

RN 95852-71-4 CAPLUS

CN L-Leucinamide, L-tyrosyl-2-methylalanyl-2-methylalanyl-L-phenylalanyl-  
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 9 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:304472 CAPLUS

DOCUMENT NUMBER: 131:88171

TITLE: Amino Acid Side Chain Attachment Approach and Its  
Application to the Synthesis of Tyrosine-Containing  
Cyclic Peptides

AUTHOR(S): Cabrele, Chiara; Langer, Michael; Beck-Sickinger,  
Annette G.

CORPORATE SOURCE: Department of Pharmacy Pharmaceutical Biochemistry,  
ETH Zurich, Zurich, 8057, Switz.

SOURCE: Journal of Organic Chemistry (1999), 64(12),  
4353-4361

CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

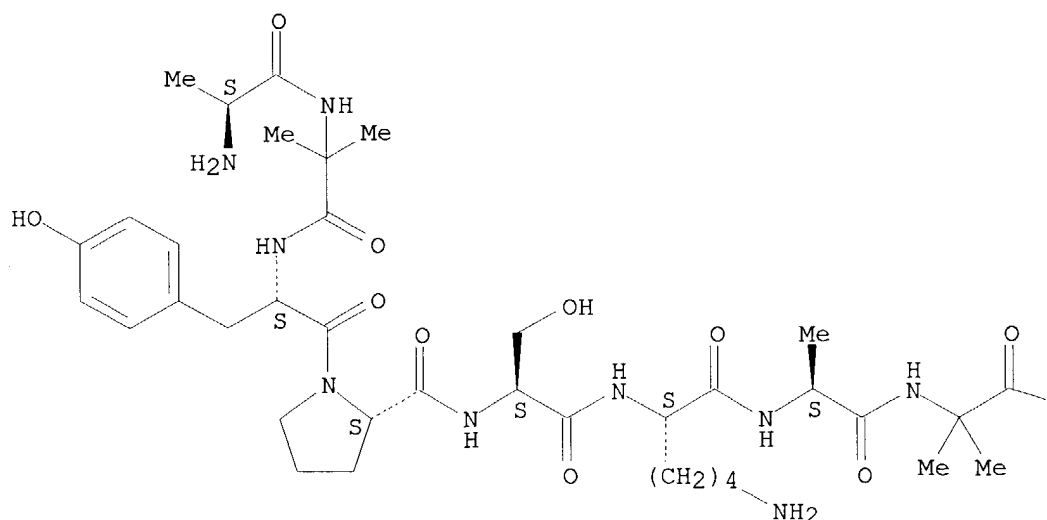
AB The technique of resin loading by the attachment of the amino acid side

chain represents a powerful tool for the synthesis of cyclopeptides by solid phase. We investigated the anchoring of the side chain of N-(9-fluorenylmethoxycarbonyl, Fmoc)-tyrosine Me ester to benzyl-type resins by the Mitsunobu reaction. Satisfactory loading was obtained for HMPB-MBHA and Wang resins. The suitability of the preloaded resins for solid-phase peptide synthesis by using the Fmoc strategy, combined with the head-to-tail cyclization on the solid support, was illustrated by the preparation of three cyclic analogs of neuropeptide Y (NPY), a 36-residue peptide hormone and one of the most abundant neuropeptides in the brain. Each peptide contained the N- and C-terminal tetrapeptide segments of NPY, joined by different spacers: 6-aminohexanoic acid,  $\beta$ -alanine, or Ala-Aib. First the synthesis of the peptide Me esters was performed, followed by saponification and cyclization on the resin. HOBT/DIC or HOBT/TBTU was used for the ring closure. The CD spectra of the three cyclopeptides in 30% trifluoroethanol showed a type I and III  $\beta$ -turns structure, which was already adopted by the (Ala-Aib)-containing cyclopeptide in water. The CD spectra, together with the biol. assays, confirmed the suitability of these cyclopeptides as conformationally restricted peptides that may serve as lead structures in drug development.

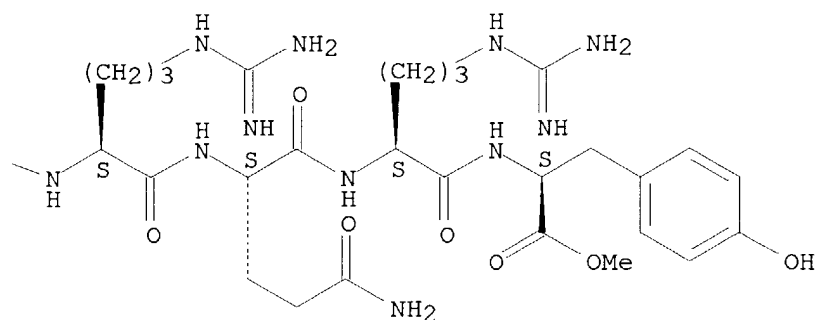
IT 229307-18-ODP, polymer-bound 229307-21-5DP,  
polymer-bound  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and reaction of in the synthesis of tyrosine-containing cyclic  
peptides using side chain attachment **solid-phase**  
synthesis)  
RN 229307-18-0 CAPLUS  
CN L-Tyrosine, L-alanyl-2-methylalanyl-L-tyrosyl-L-prolyl-L-seryl-L-lysyl-L-  
alanyl-2-methylalanyl-L-arginyl-L-glutamyl-L-arginyl-, methyl ester  
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

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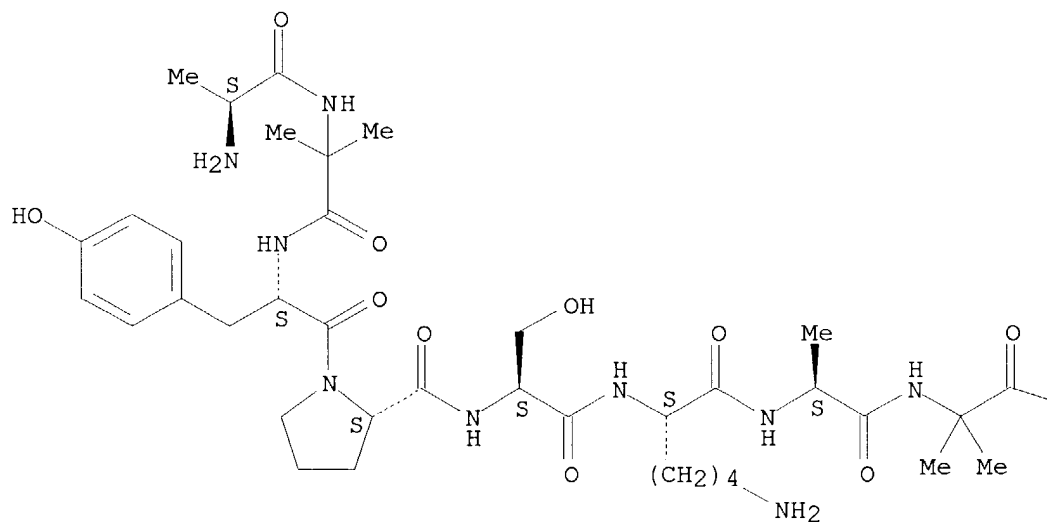


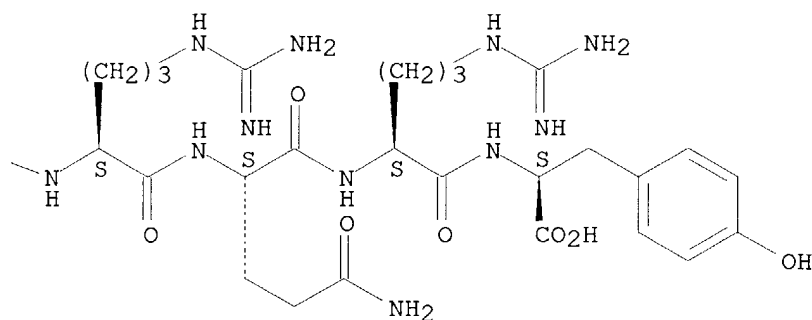


RN 229307-21-5 CAPLUS

CN L-Tyrosine, L-alanyl-2-methylalanyl-L-tyrosyl-L-prolyl-L-seryl-L-lysyl-L-alanyl-2-methylalanyl-L-arginyl-L-glutaminyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





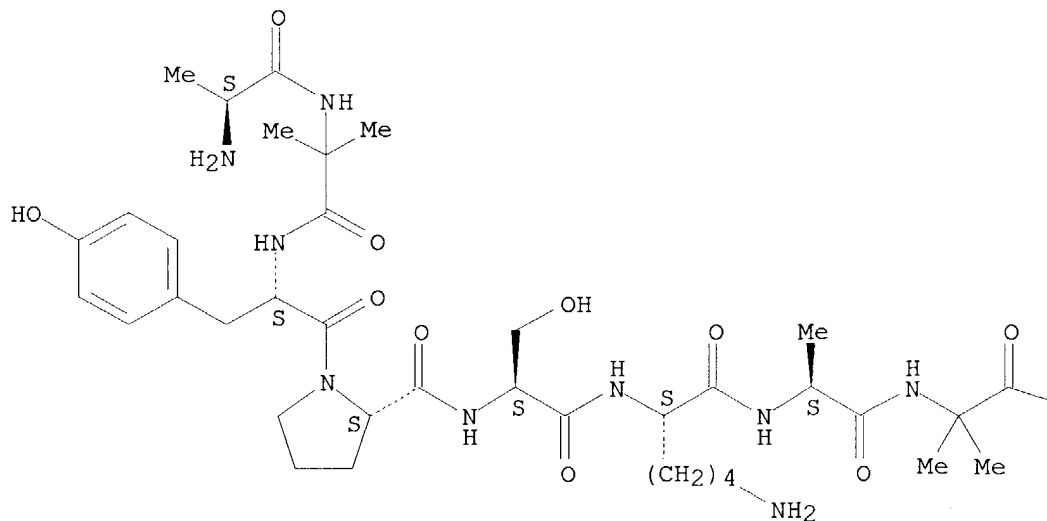
IT 229307-18-0P 229307-21-5P

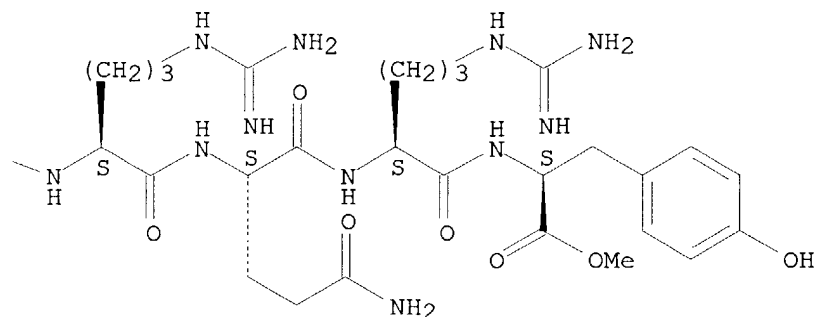
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of using side chain attachment **solid-phase**  
synthesis)

RN 229307-18-0 CAPLUS

CN L-Tyrosine, L-alanyl-2-methylalanyl-L-tyrosyl-L-prolyl-L-seryl-L-lysyl-L-alanyl-2-methylalanyl-L-arginyl-L-glutamyl-L-arginyl-, methyl ester  
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

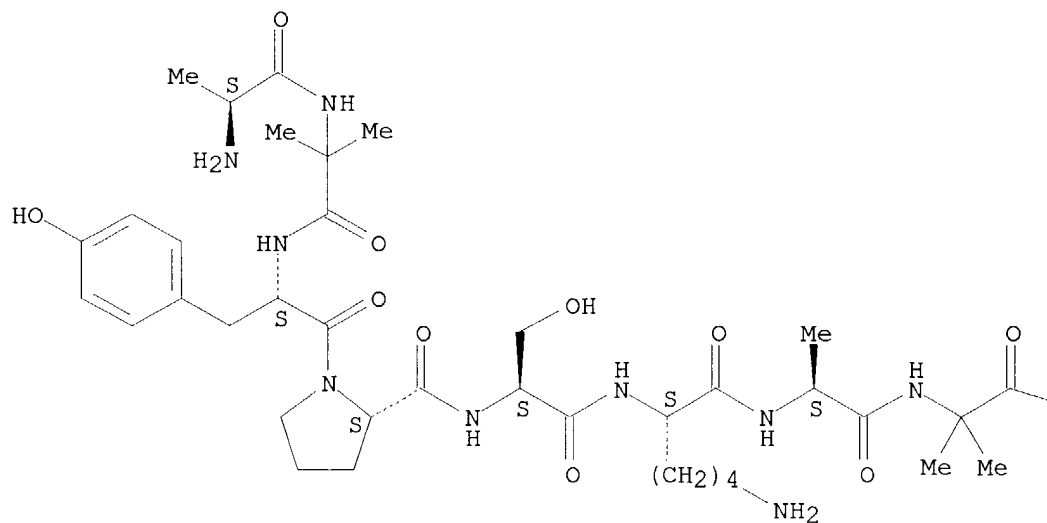


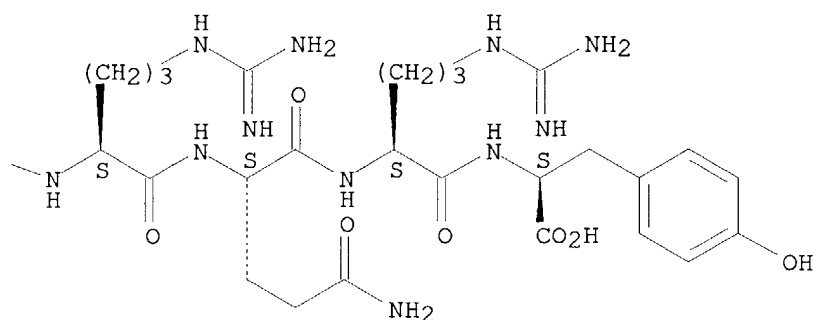


RN 229307-21-5 CAPLUS

CN L-Tyrosine, L-alanyl-2-methylalanyl-L-tyrosyl-L-prolyl-L-seryl-L-lysyl-L-alanyl-2-methylalanyl-L-arginyl-L-glutamyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 10 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:376918 CAPLUS

DOCUMENT NUMBER: 131:157957

TITLE: Modular Nucleic Acid Surrogates. Solid Phase Synthesis of  $\alpha$ -Helical Peptide Nucleic Acids ( $\alpha$ PNAs)  
AUTHOR(S): Garner, Philip; Dey, Subhakar; Huang, Yumei; Zhang, Xiao

CORPORATE SOURCE: Department of Chemistry, Case Western Reserve University, Cleveland, OH, 44106-7078, USA

SOURCE: Organic Letters (1999), 1(3), 403-405

CODEN: ORLEF7; ISSN: 1523-7060

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The synthesis and characterization of prototype  $\alpha$ -helical peptide nucleic acid ( $\alpha$ PNA) modules, e.g., Ac-C(Acm)-G-ST-D-A-E-ST-A-A-K-ST-A-A-E-ST-A-Aib-A-ST-K-G-NH<sub>2</sub> [1; Acm = acetamidomethyl, ST = 1-[(Ser)methyl]thymine residue, Aib = 2-aminoisobutyric acid residue] as well as disulfide dimers are reported. These mols. combine an  $\alpha$ -helical peptidyl scaffold with well-defined nucleobase mol. recognition patterns and could serve as a basis for novel antisense and/or antigene agents. Structure assignments for these  $\alpha$ PNAs were supported by MALDI-TOF mass spectrometry, and the  $\alpha$ -helical nature of 1 dimer in water was confirmed by CD spectroscopy.

IT 236755-57-0P 236755-58-1P 236755-59-2P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (solid phase synthesis of  $\alpha$ -helical peptide nucleic acids)

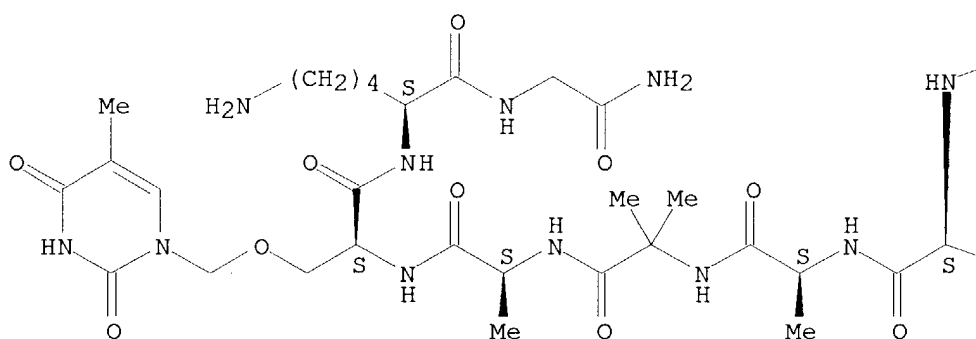
RN 236755-57-0 CAPLUS

CN Glycinamide, N-acetyl-S-[(acetylamino)methyl]-L-cysteinyglycyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L- $\alpha$ -

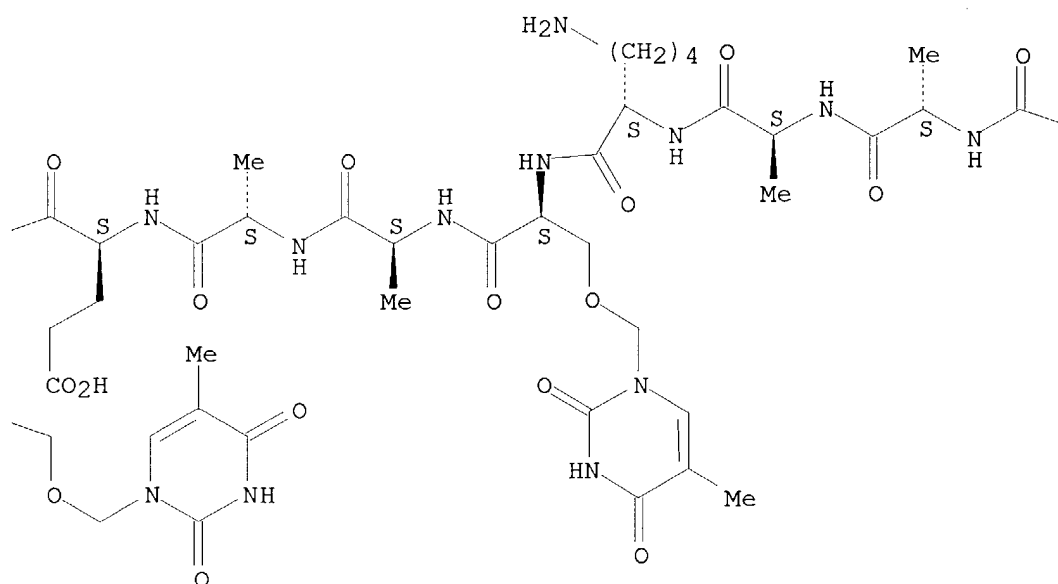
aspartyl-L-alanyl-L- $\alpha$ -glutamyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L- $\alpha$ -glutamyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-2-methylalanyl-L-alanyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-lysyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

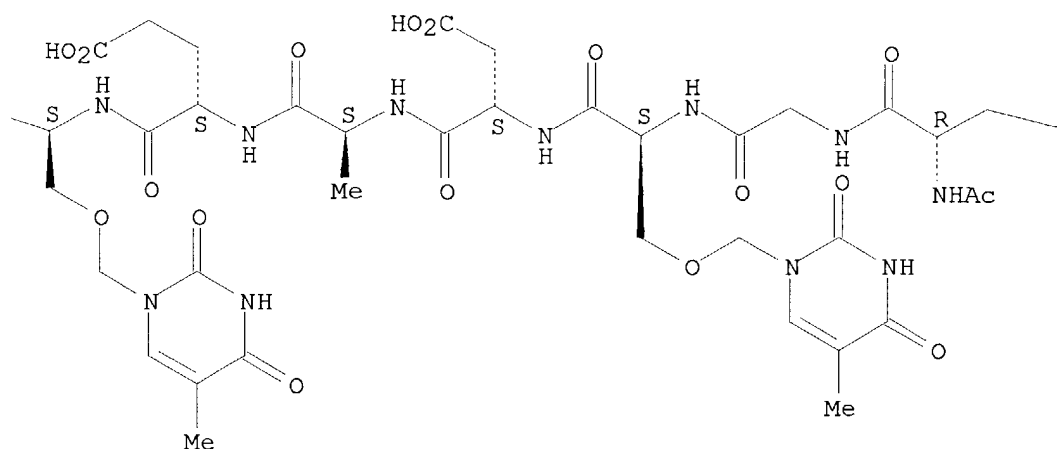
PAGE 1-A



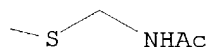
PAGE 1-B



PAGE 1-C



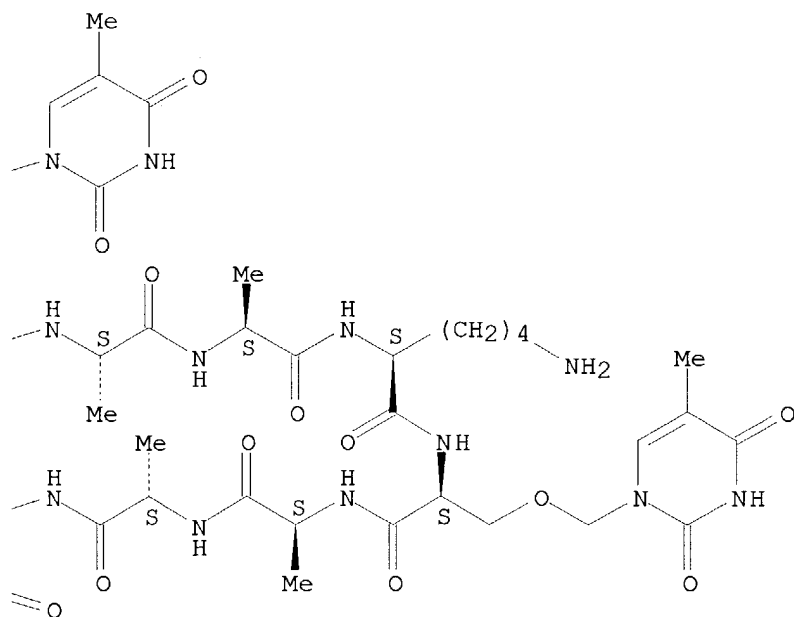
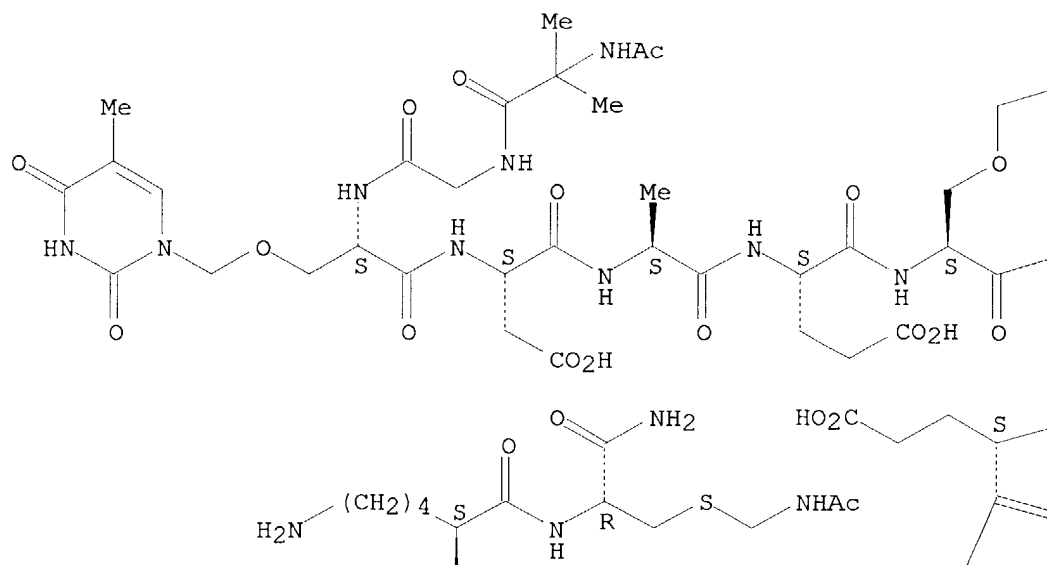
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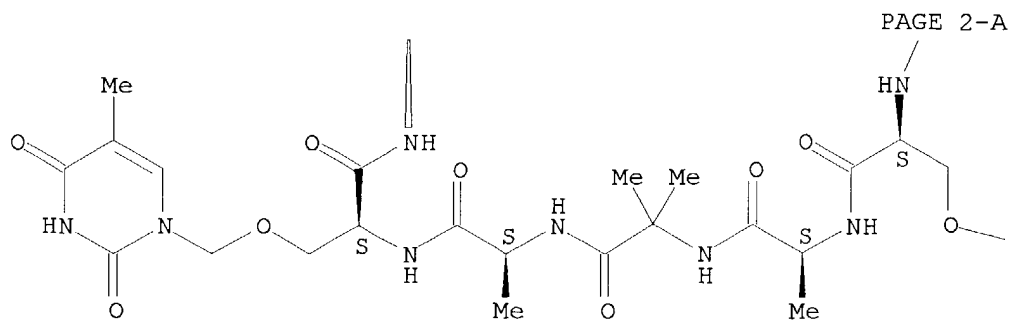


RN 236755-58-1 CAPLUS

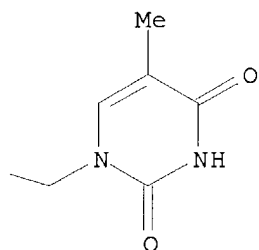
CN L-Cysteinamide, N-acetyl-2-methylalanylglycyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L- $\alpha$ -aspartyl-L-alanyl-L- $\alpha$ -glutamyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L- $\alpha$ -glutamyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-2-methylalanyl-L-alanyl-O-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-lysyl-S-[(acetylamino)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





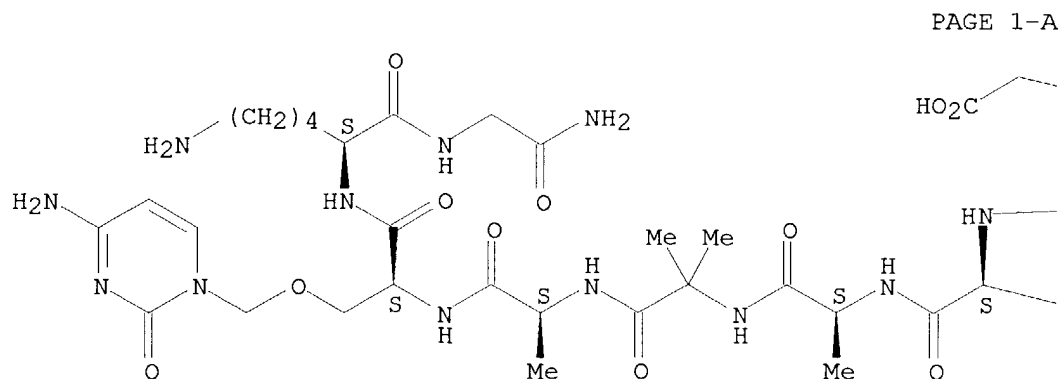
PAGE 2-B



RN 236755-59-2 CAPLUS

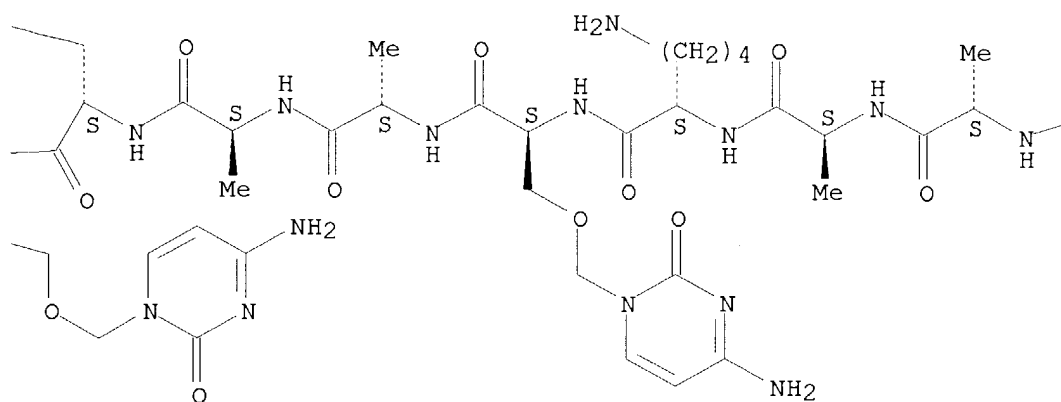
CN Glycinamide, N-acetyl-S-[(acetylamino)methyl]-L-cysteinylglycyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L- $\alpha$ -aspartyl-L-alanyl-L- $\alpha$ -glutamyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L-lysyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-L-alanyl-L- $\alpha$ -glutamyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-alanyl-2-methylalanyl-L-alanyl-O-[(4-amino-2-oxo-1(2H)-pyrimidinyl)methyl]-L-seryl-L-lysyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

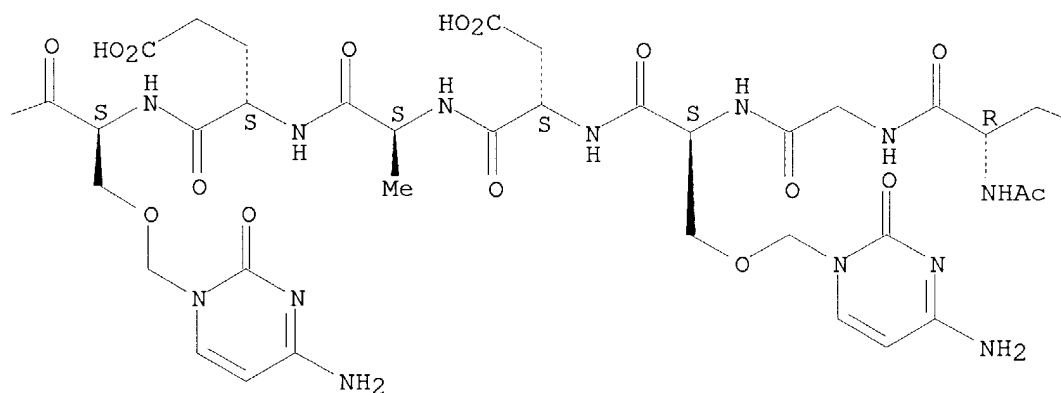




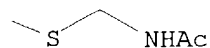
PAGE 1-B



PAGE 1-C



PAGE 1-D



REFERENCE COUNT:

44

THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 11 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:306685 CAPLUS

DOCUMENT NUMBER: 131:73960

TITLE: Heterobifunctionalized tetraethylene glycol: A spacer for surface attachment of viral peptide epitopes for

ELISA and derivatization of membrane modifying peptides

AUTHOR(S): Mack, Jurgen; Kienle, Stefan; Leipert, Dietmar; Redemann, Thomas; Kraas, Wolfgang; Jung, Gunther

CORPORATE SOURCE: Department of Organic Chemistry, University of Tübingen, Tübingen, D-72076, Germany

SOURCE: Letters in Peptide Science (1999), 6(2-3), 135-142

CODEN: LPSCEM; ISSN: 0929-5666

PUBLISHER: Kluwer Academic Publishers

DOCUMENT TYPE: Journal

LANGUAGE: English

AB New hydrophilic linkers of the formula Fmoc-NHCH<sub>2</sub>CH<sub>2</sub>COO(CH<sub>2</sub>CH<sub>2</sub>O)<sub>4</sub>X (X = COCH<sub>2</sub>CH<sub>2</sub>COOH Fmoc-Ats (2), X = CONHCH<sub>2</sub>COOH Fmoc-Atg, and X = CONHCH<sub>2</sub>CH<sub>2</sub>COOH Fmoc-Ata) have been prepared by hetero-bifunctional modification of tetra-ethylene glycol as starting material. These linkers represent a useful tool for solid phase peptide synthesis according to Fmoc/tBu strategy. Two examples are presented to illustrate the applicability of these building blocks: (i) spacing between biotin and a peptide epitope of the hepatitis C virus and evaluation in a biotin-streptavidin ELISA, and (ii) coupling of the new linker to the N- and C-terminus of the peptide antibiotic alamethicin to show eventual influences on the peptide's  $\alpha$ -helical conformation.

IT 59588-86-2P, Alamethicin I 229010-38-2P  
229010-39-3P

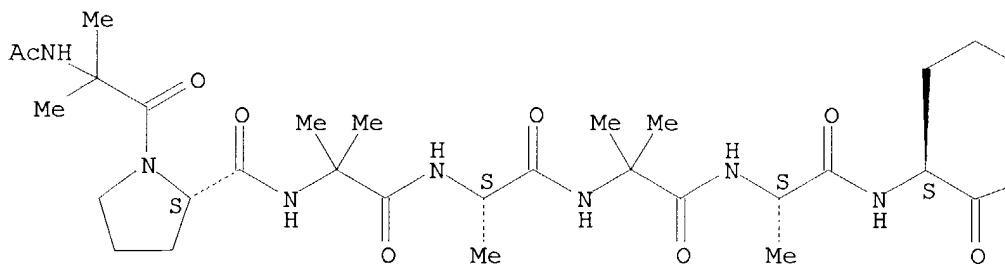
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation and properties of as spacer and peptide for ELISA)

RN 59588-86-2 CAPLUS

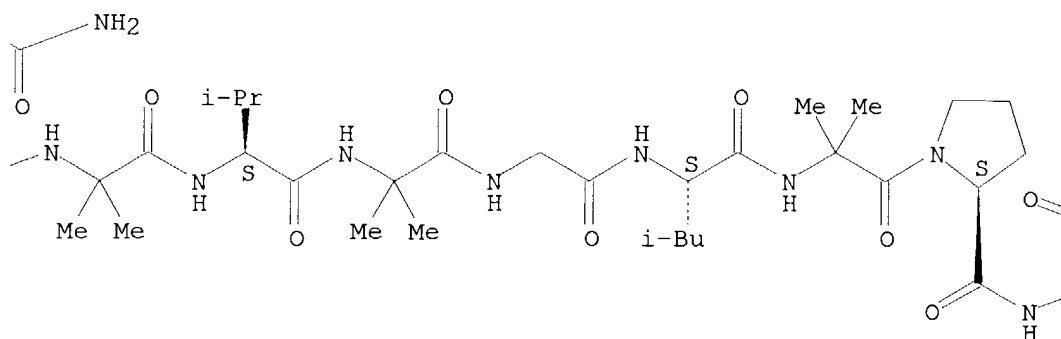
CN Alamethicin I (9CI) (CA INDEX NAME)

Absolute stereochemistry.

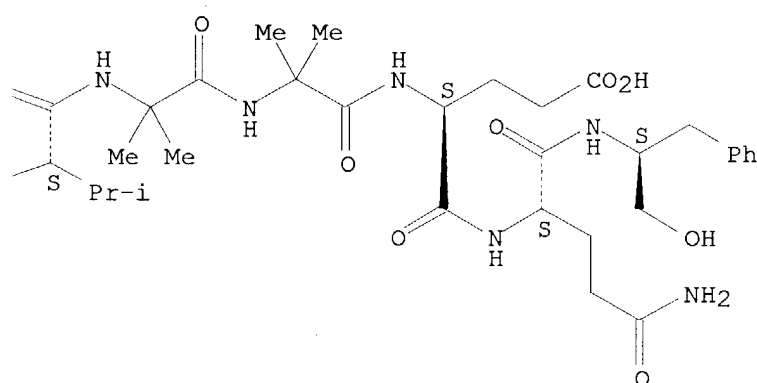
PAGE 1-A



PAGE 1-B



PAGE 1-C

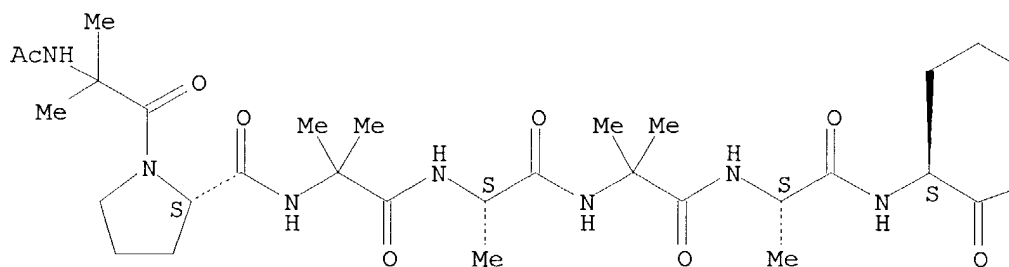


RN 229010-38-2 CAPLUS

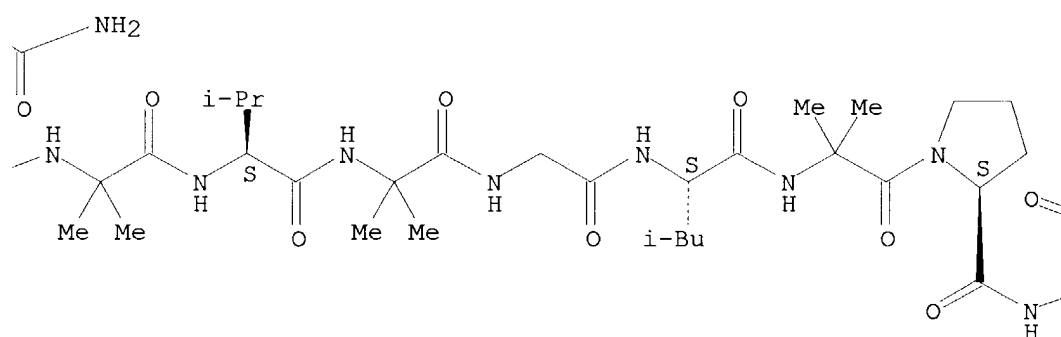
CN  $\beta$ -Alanine, N-acetyl-2-methylalanyl-L-prolyl-2-methylalanyl-L-alanyl-2-methylalanyl-L-alanyl-L-glutamyl-2-methylalanyl-L-valyl-2-methylalanylglycyl-L-leucyl-2-methylalanyl-L-prolyl-L-valyl-2-methylalanyl-2-methylalanyl-L- $\alpha$ -glutamyl-L-glutamyl-L-phenylalanyl-, 21-(15-carboxy-13-oxo-3,6,9,12-tetraoxapentadec-1-yl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

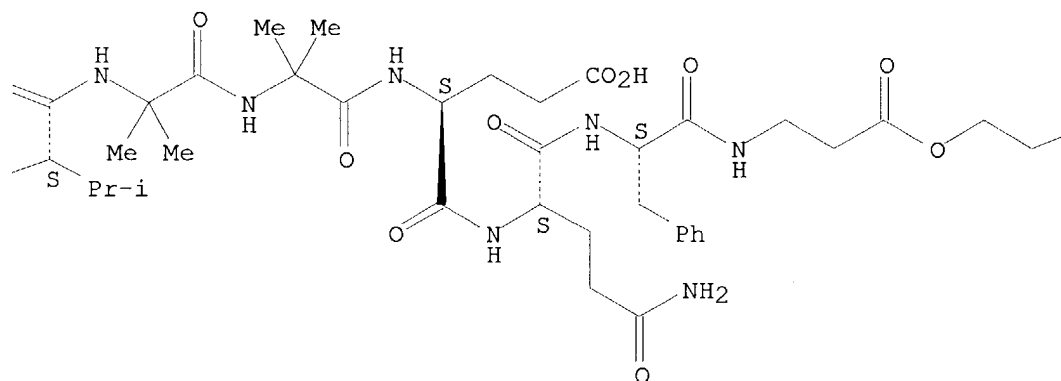
PAGE 1-A



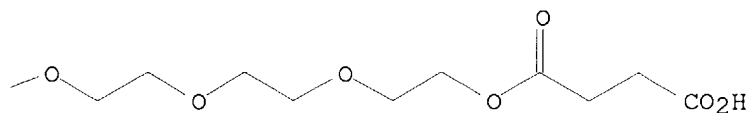
PAGE 1-B



PAGE 1-C



PAGE 1-D

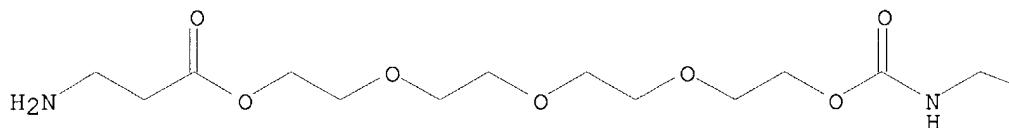


RN 229010-39-3 CAPLUS

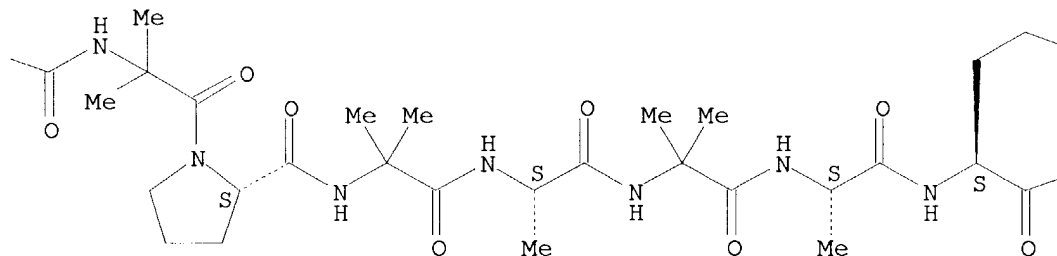
CN  $\beta$ -Alanine,  $\beta$ -alanyl-13-hydroxy-2,5,8,11-tetraoxatridecanoylglycyl-2-methylalanyl-L-prolyl-2-methylalanyl-L-alanyl-2-methylalanyl-L-alanyl-L-glutaminy-2-methylalanyl-L-valyl-2-methylalanylglycyl-L-leucyl-2-methylalanyl-L-prolyl-L-valyl-2-methylalanyl-2-methylalanyl-L- $\alpha$ -glutamyl-L-glutaminy-L-phenylalanyl-, 24-(15-carboxy-13-oxo-3,6,9,12-tetraoxapentadec-1-yl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

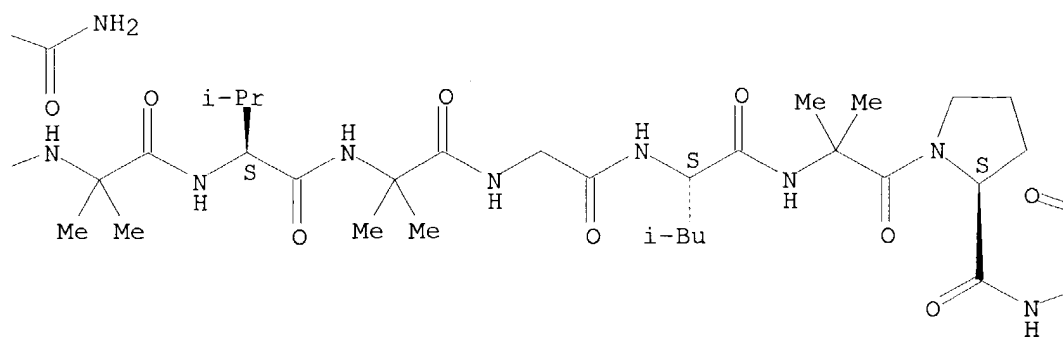
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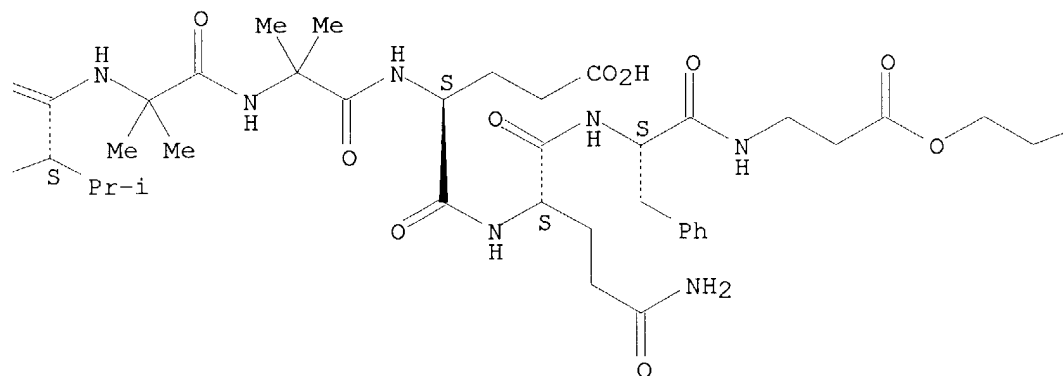
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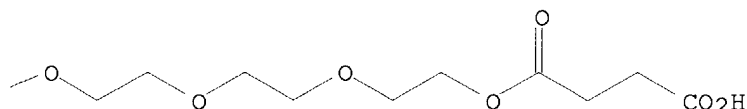


PAGE 1-C

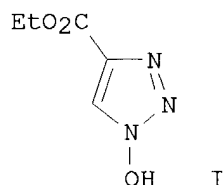


PAGE 1-D





L46 ANSWER 12 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1998:730386 CAPLUS  
DOCUMENT NUMBER: 130:81866  
TITLE: Synthesis and application of a novel coupling reagent,  
ethyl 1-hydroxy-1H -1,2,3-triazole-4-carboxylate  
AUTHOR(S): Jiang, Lu; Davison, Amanda; Tennant, George; Ramage,  
Robert  
CORPORATE SOURCE: Albachem Ltd. and the Edinburgh Centre for Protein  
Technology, Department of Chemistry, University of  
Edinburgh, Edinburgh, EH9 3JJ, UK  
SOURCE: Tetrahedron (1998), 54(47), 14233-14254  
CODEN: TETRAB; ISSN: 0040-4020  
PUBLISHER: Elsevier Science Ltd.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 130:81866  
GI



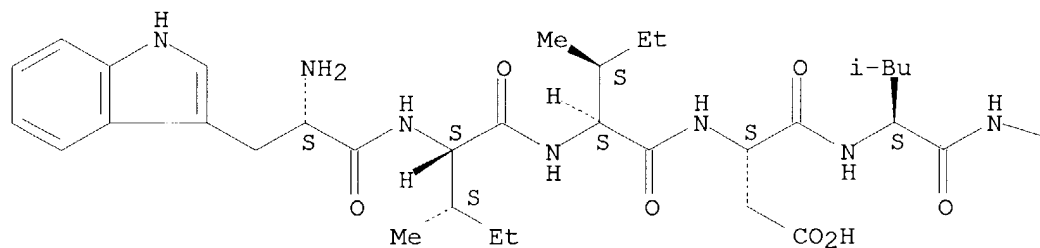
AB Title compound 1 (HOCT), an optimal peptide coupling reagent, has been designed and synthesized for application to solid phase peptide synthesis using 9-fluorenylmethoxycarbonyl (Fmoc) chemical. It is used in combination with carbodiimide reagents, has very high coupling efficiency, and does not absorb at 302 nm, thus allowing real-time monitoring of each coupling cycle. Its applications in the synthesis of endothelin analogs and difficult sequences are also discussed.

IT **218958-20-4P**  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of hydroxytriazolecarboxylate ester as novel peptide coupling

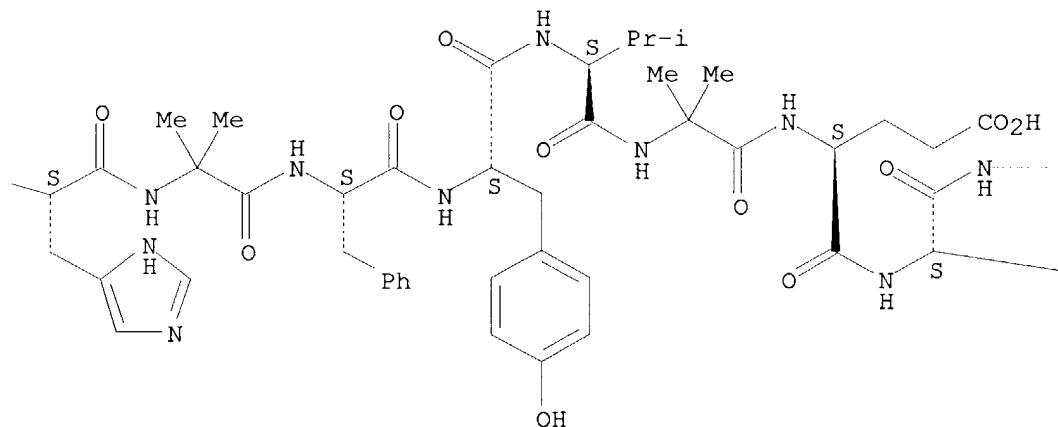
agent)  
 RN 218958-20-4 CAPLUS  
 CN Alanine, L-tryptophyl-L-isoleucyl-L-isoleucyl-L- $\alpha$ -aspartyl-L-leucyl-L-histidyl-2-methylalanyl-L-phenylalanyl-L-tyrosyl-L-valyl-2-methylalanyl-L- $\alpha$ -glutamyl-L-lysyl-L- $\alpha$ -aspartyl-L-leucyl-L-leucyl-L-seryl-L-seryl-2-methylalanyl-L-seryl-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

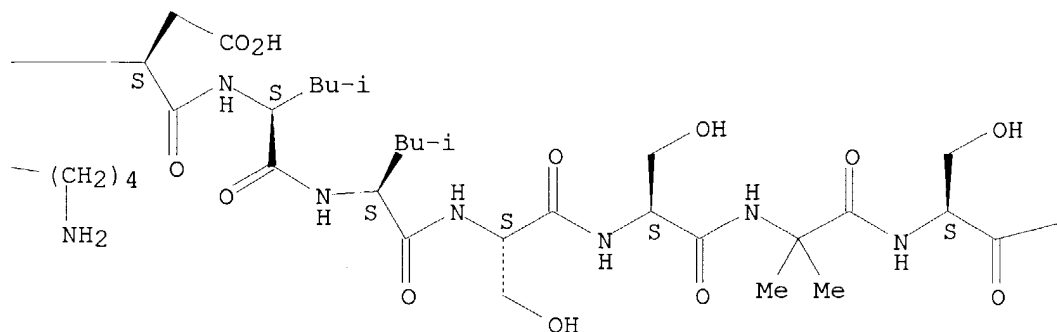


PAGE 1-B

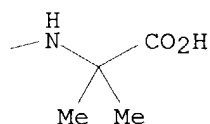




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REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 13 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1998:259750 CAPLUS  
 DOCUMENT NUMBER: 129:28197  
 TITLE: Novel acylation catalysts in peptide synthesis: derivatives of N-hydroxytriazoles and N-hydroxytetrazoles  
 AUTHOR(S): Spetzler, Jane C.; Meldal, Morten; Felding, Jakob; Vedso, Per; Begtrup, Mikael  
 CORPORATE SOURCE: Department of Chemistry, Carlsberg Laboratory, Valby, DK-2500, Den.  
 SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1998), (10), 1727-1732  
 CODEN: JCPRB4; ISSN: 0300-922X

|                |                            |
|----------------|----------------------------|
| PUBLISHER:     | Royal Society of Chemistry |
| DOCUMENT TYPE: | Journal                    |
| LANGUAGE:      | English                    |

AB Six new derivs. of 1-hydroxy-1,2,3-triazole (referred to as 1-hydroxytriazole hereafter) and N-hydroxytetrazole have been evaluated in a direct competition assay to investigate their efficiency as catalysts in the formation of peptide bonds. Also, three well known catalysts, 1-hydroxy-7-azabenzotriazole (HOAt), 3,4-dihydro-3-hydroxy-4-oxo-1,2,3-benzotriazine (Dhbt-OH) and 1-hydroxybenzotriazole (HOBt) have been compared. All nine compds. have been used for activation in combination with N,N'-diisopropylcarbodiimide (DIPCDI) in solid-phase synthesis using the 9-fluorenylmethoxycarbonyl (Fmoc) strategy. The capability of the catalysts to suppress racemization has also been analyzed. The results show that three of the new compds. are competitive with HOAt and HOBt in the suppression of racemization; 5-Chloro-1-hydroxytriazole is found to be a highly efficient acylation catalyst but it does not sufficiently suppress racemization. Its catalytic effect in the synthesis of Aib-Aib-containing peptides [Aib = NHC(Me)<sub>2</sub>CO] is superior to that of HOAt. Also, 2-hydroxytetrazole has a catalytic efficiency superior to that of HOAt and it suppressed racemization as efficiently as HOBt. The hydroxytetrazoles are explosive in a hammer test whereas the triazoles are stable compds.

IT 207861-00-5DP, resin-bound

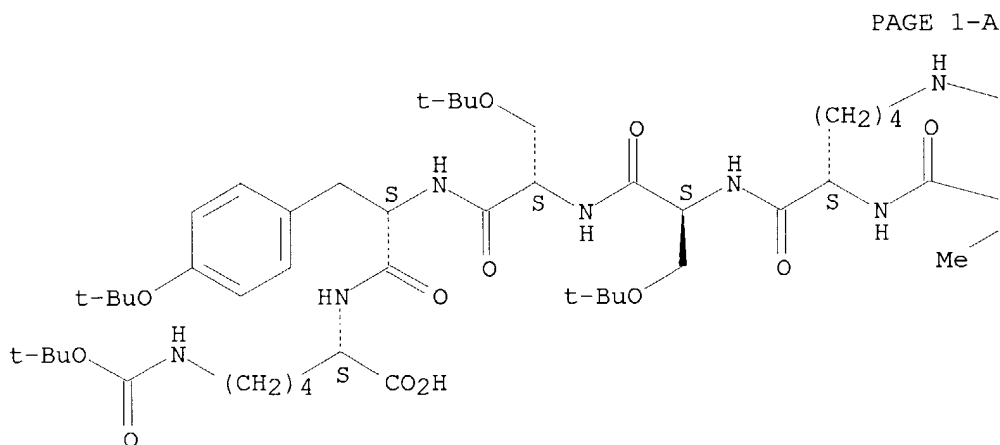
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

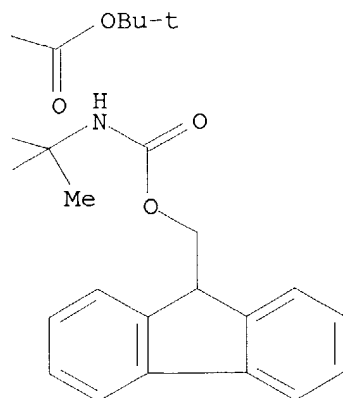
(study of N-hydroxytriazole and N-hydroxytetrazole derivs. as novel acylation catalysts in peptide synthesis)

RN 207861-00-5 CAPLUS

CN L-Lysine, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-2-methylalanyl-N6-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-O-(1,1-dimethylethyl)-L-seryl-O-(1,1-dimethylethyl)-L-seryl-O-(1,1-dimethylethyl)-L-tyrosyl-N6-[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





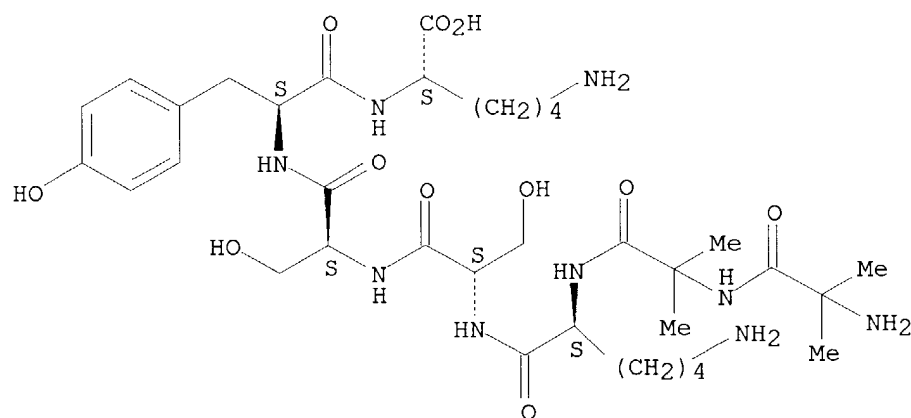
IT 207861-13-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (study of N-hydroxytriazole and N-hydroxytetrazole derivs. as novel  
 acylation catalysts in peptide synthesis)

RN 207861-13-0 CAPLUS

CN L-Lysine, 2-methylalanyl-2-methylalanyl-L-lysyl-L-seryl-L-seryl-L-tyrosyl-  
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 14 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:597975 CAPLUS

DOCUMENT NUMBER: 130:14247

TITLE:  $\psi$ Prolines: applications in peptide chemistry

AUTHOR(S): Wahl, Franck; Dumy, Pascal; Keller, Michael;  
 Rohwedder, Barbara; Ryan, Declan; Sato, Tatsunori;  
 Woehr, Torsten; Mutter, Manfred

CORPORATE SOURCE: Institute of Organic Chemistry, University of  
 Lausanne, BCH-Dorigny, Lausanne, CH-1015, Switz.

SOURCE: Peptides 1996, Proceedings of the European Peptide Symposium, 24th, Edinburgh, Sept. 8-13, 1996 (1998), Meeting Date 1996, 893-894. Editor(s): Ramage, Robert; Epton, Roger. Mayflower Scientific: Kingswinford, UK.  
CODEN: 66RCA5

DOCUMENT TYPE: Conference

LANGUAGE: English

AB A symposium report on the use of serine-, threonine-, and cysteine-derived pseudoproline ( $\psi$ Pro) dipeptide building blocks for the solid-phase preparation of difficult peptide sequences. The pseudoproline residues disrupt  $\beta$ -sheet structures, which are major sources of intramol. aggregation during chain assembly.

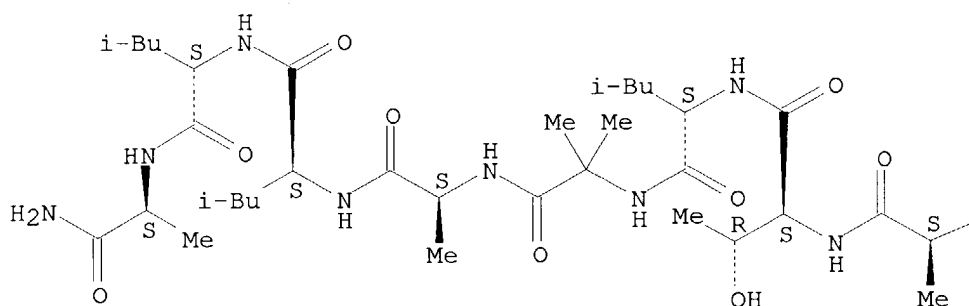
IT **183958-88-5P**  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(**solid-phase** preparation of difficult peptide sequences using pseudoproline building blocks)

RN 183958-88-5 CAPLUS

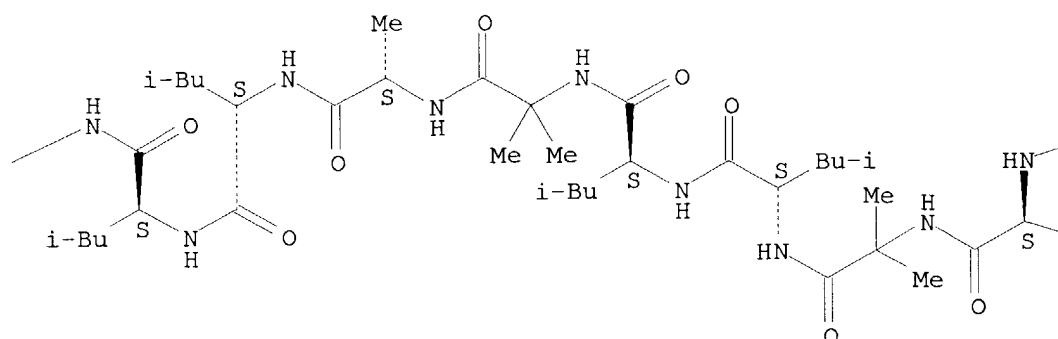
CN L-Alaninamide, N-acetyl-L-leucyl-L-alanyl-L-alanyl-L-leucyl-L-leucyl-2-methylalanyl-L-leucyl-L-leucyl-2-methylalanyl-L-alanyl-L-leucyl-L-leucyl-L-alanyl-L-threonyl-L-leucyl-2-methylalanyl-L-alanyl-L-leucyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

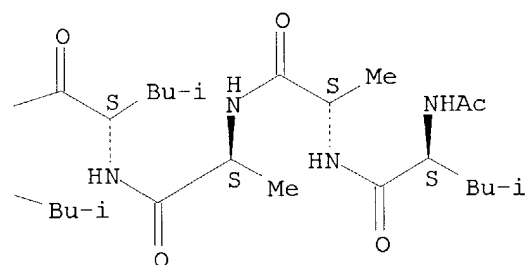
PAGE 1-A



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REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 15 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:720449 CAPLUS

DOCUMENT NUMBER: 130:95815

TITLE: Peptides derived from  $\alpha$ -hydroxymethylserine: aspects of solid-phase synthesis

AUTHOR(S): Stasiak, Marcin; Leplawy, Mirosław T.

CORPORATE SOURCE: Institute of Organic Chemistry, Technical University of Łódź, Łódź, PL-90-924, Pol.

SOURCE: Letters in Peptide Science (1998), 5(5-6), 449-453

CODEN: LPSCEM; ISSN: 0929-5666

PUBLISHER: Kluwer Academic Publishers

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The solid-phase synthesis of peptides derived from the sterically hindered  $\alpha$ -hydroxymethylserine (HmS) was investigated. The acid-sensitive, O,O-isopropylidene (Ipr) protection of HmS is compatible with the Fmoc

chemical, represented here by the Fmoc-HmS(Ipr)-OH and Fmoc-HmS(Ipr)-F derivs. Three analogs of the opioid pentapeptide [D-Ala2, D-Leu5]-enkephalin with a single or two consecutive HmS residue(s) were synthesized using Wang resin as the solid support. The HATU method has been shown to effectively accomplish "difficult" couplings with the HmS(Ipr) residue. Wang resin is not suitable for the synthesis of sequences with a C-terminal HmS because of the easy formation of the diketopiperazine resulting from the cyclization of the susceptible dipeptide sequence AA-HmS(Ipr) bound to the resin. A further drawback of the Wang resin methodol. is the increased danger of the undesired N→O-acyl shift, when long-lasting acidic cleavage is applied. These side reactions are totally suppressed when the 2-chlorotrityl polystyrene is used as a solid support. The mild conditions (AcOH/TFE/DCM) applied for the peptide detachment from this resin do not affect the Ipr protection, affording highly pure fragments with HmS(Ipr) residues suitable for post-cleavage condensation, cyclization or controlled side-chain deprotection. This approach is documented by the efficient synthesis of linear and cyclic analogs of the opioid hexapeptide [D-Thr2, Thr6]-Leu-enkephalin containing two residues of HmS or HmS(Ipr) in positions 2 and 6.

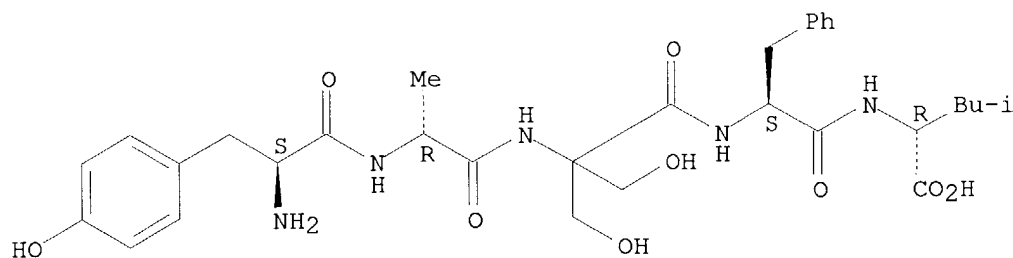
IT 219497-70-8P 219497-71-9P 219497-72-0P  
219497-73-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(solid phase synthesis of hydroxymethylserine  
containing peptides)

RN 219497-70-8 CAPLUS

CN D-Leucine, L-tyrosyl-D-alanyl-2-(hydroxymethyl)seryl-L-phenylalanyl- (9CI)  
(CA INDEX NAME)

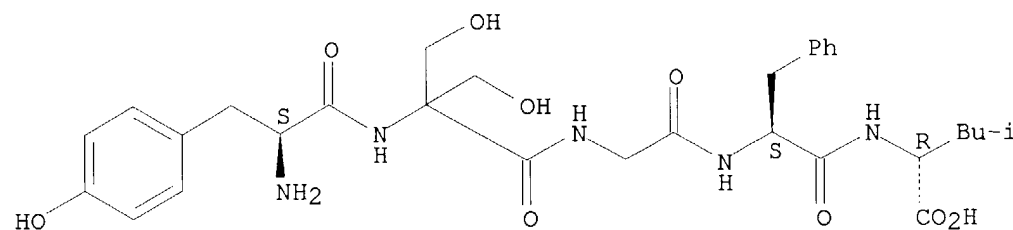
Absolute stereochemistry.



RN 219497-71-9 CAPLUS

CN D-Leucine, L-tyrosyl-2-(hydroxymethyl)serylglycyl-L-phenylalanyl- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

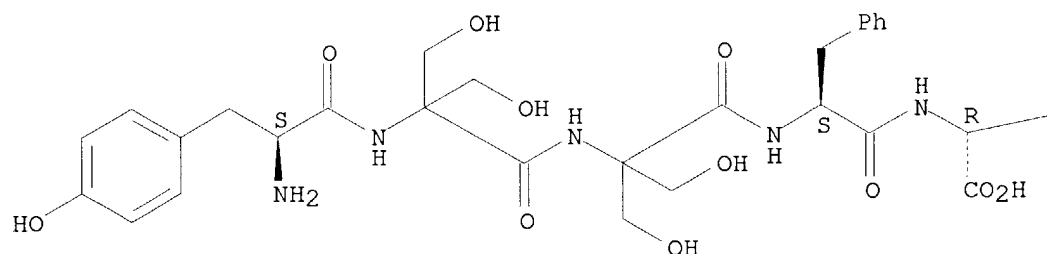


RN 219497-72-0 CAPLUS

CN D-Leucine, L-tyrosyl-2-(hydroxymethyl)seryl-2-(hydroxymethyl)seryl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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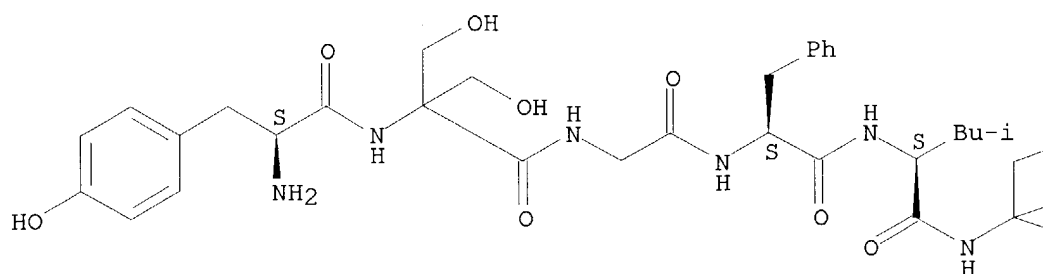
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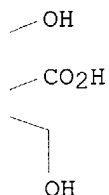
RN 219497-73-1 CAPLUS

CN Serine, L-tyrosyl-2-(hydroxymethyl)serylglycyl-L-phenylalanyl-L-leucyl-2-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A





REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 16 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:557115 CAPLUS

DOCUMENT NUMBER: 129:276318

TITLE: Large-scale production of peptides using the solid phase continuous flow method. Part 2: preparative synthesis of a 26-Mer peptide thrombin inhibitor

AUTHOR(S): Caciagli, Valerio; Cardinali, Franco; Bonelli, Fabio; Lombardi, Paolo

CORPORATE SOURCE: Department of Chemistry, Menarini Recherche Spa, Rome, 00040, Italy

SOURCE: Journal of Peptide Science (1998), 4(5), 327-334

CODEN: JPSIEI; ISSN: 1075-2617

PUBLISHER: John Wiley & Sons Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A preparative method for the preparation of large peptides is described. An advantageous theor. weight of peptide/weight of starting resin ratio (tPw/Rw) of

about 0.3 was successfully experimented. The esterification of the first amino acid was realized with a racemization of <1%. The study of the coupling conditions led to the use of a diluted acylating mixture that allowed a 56% consumption of the amino acid derivs. (percentage use of amino acids) introduced in the synthesis. The cost anal. of the synthesis showed that the recovery of the amino acid derivs. was not worthwhile.

IT 213774-03-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

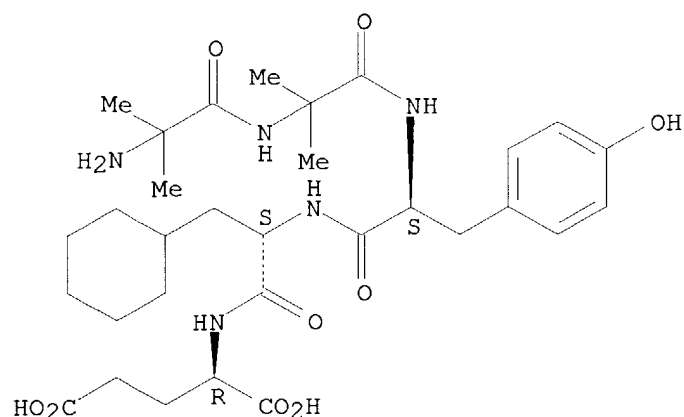
(large-scale production of thrombin inhibitor 26-mer peptide using the **solid phase** continuous flow method)

RN 213774-03-9 CAPLUS

CN D-Glutamic acid, 2-methylalanyl-2-methylalanyl-L-tyrosyl-3-cyclohexyl-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





IT 160588-96-5P, Hirunorm V

RL: SPN (Synthetic preparation); PREP (Preparation)

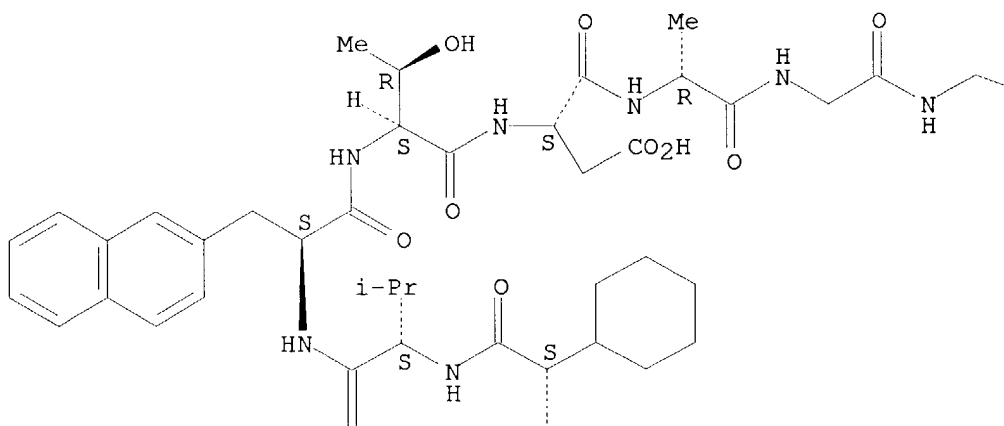
(large-scale production of thrombin inhibitor 26-mer peptide using the **solid phase** continuous flow method)

RN 160588-96-5 CAPLUS

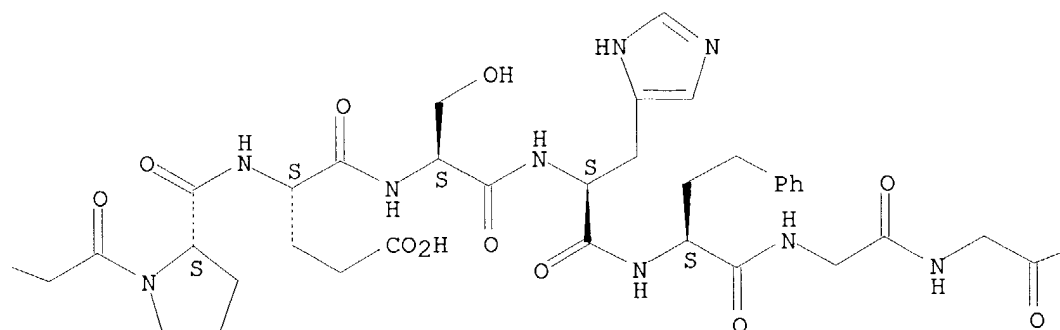
CN D-Glutamic acid, (2S)-2-cyclohexylglycyl-L-valyl-3-(2-naphthalenyl)-L-alanyl-L-threonyl-L- $\alpha$ -aspartyl-D-alanylglycyl- $\beta$ -alanyl-L-prolyl-L- $\alpha$ -glutamyl-L-seryl-L-histidyl-4-phenyl-(2S)-2-aminobutanoylglycylglycyl-L- $\alpha$ -aspartyl-L-tyrosyl-L- $\alpha$ -glutamyl-L- $\alpha$ -glutamyl-L-isoleucyl-L-prolyl-2-methylalanyl-2-methylalanyl-L-tyrosyl-3-cyclohexyl-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

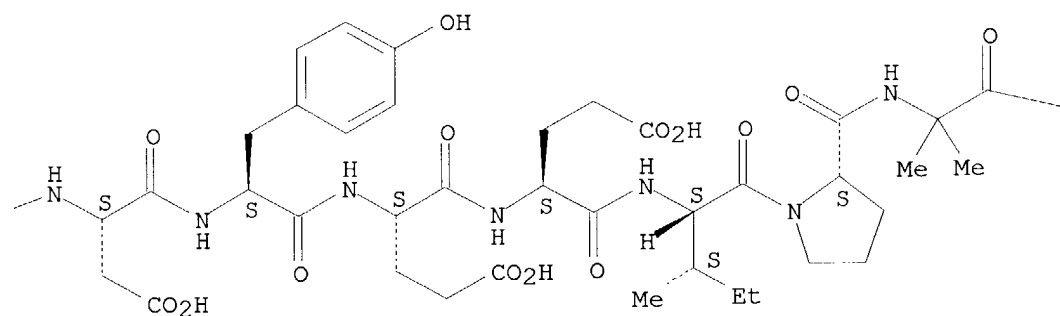
PAGE 1-A



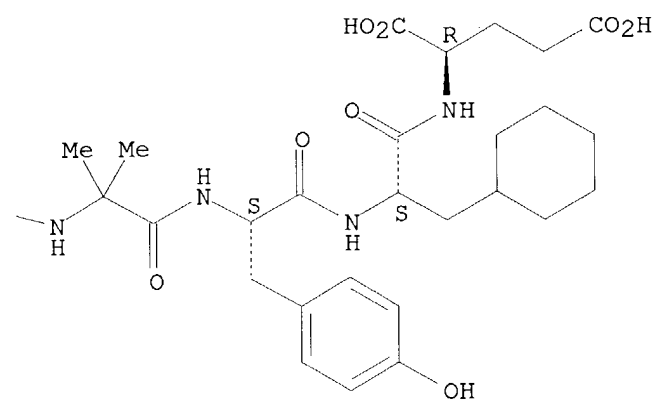
PAGE 1-B



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NH<sub>2</sub>

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 17 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1997:256071 CAPLUS

DOCUMENT NUMBER: 126:330838

TITLE: Azido acids in a novel method of solid-phase peptide synthesis

AUTHOR(S): Meldal, Morten; Juliano, Maria A.; Jansson, Anita M.

CORPORATE SOURCE: Carlsberg Laboratory, Department of Chemistry, Valby, DK-2500, Den.

SOURCE: Tetrahedron Letters (1997), 38(14), 2531-2534

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Azido acids were produced from  $\alpha$ -branched acids by  $\alpha$ -bromination with NBS followed by substitution with sodium azide and the products were used in a novel method of solid-phase synthesis. The azido acids were transformed into the highly activated acid chlorides and used in the synthesis of extremely hindered peptides containing up to four successive diphenylglycine or Aib residues. By reaction of genetically encoded amino acids with TfN<sub>3</sub> and then SOCl<sub>2</sub>, they were transformed into  $\alpha$ -azido acid chlorides used in solid-phase peptide synthesis without racemization.

IT **189571-34-4P 189571-35-5P**

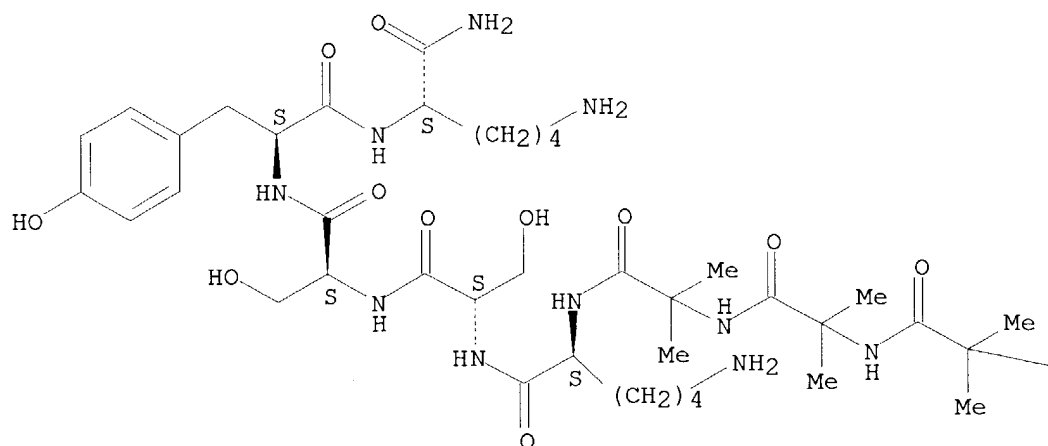
RL: SPN (Synthetic preparation); PREP (Preparation)  
(azido acids in novel method of **solid-phase** peptide synthesis)

RN 189571-34-4 CAPLUS

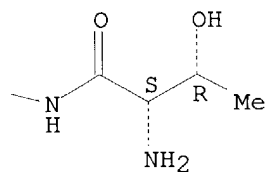
CN L-Lysinamide, L-threonyl-2-methylalanyl-2-methylalanyl-2-methylalanyl-L-lysyl-L-seryl-L-seryl-L-tyrosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



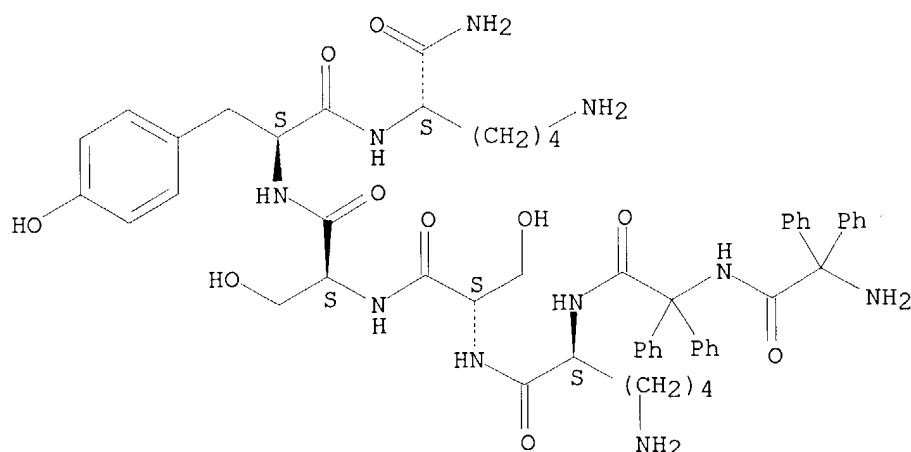
PAGE 1-B



RN 189571-35-5 CAPLUS

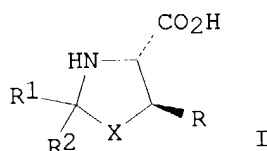
CN L-Lysinamide, 2,2-diphenylglycyl-2,2-diphenylglycyl-L-lysyl-L-seryl-L-seryl-L-tyrosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 18 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1996:619211 CAPLUS  
 DOCUMENT NUMBER: 126:19203  
 TITLE: Pseudo-Prolines as a Solubilizing,  
 Structure-Disrupting Protection Technique in Peptide  
 Synthesis  
 AUTHOR(S): Woehr, Torsten; Wahl, Franck; Nefzi, Adel; Rohwedder,  
 Barbara; Sato, Tatsunori; Sun, Xicheng; Mutter,  
 Manfred  
 CORPORATE SOURCE: Institute of Organic Chemistry, University of  
 Lausanne, Lausanne, CH-1015, Switz.  
 SOURCE: Journal of the American Chemical Society (1996  
 ), 118(39), 9218-9227  
 CODEN: JACSAT; ISSN: 0002-7863  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



AB Serine-, threonine-, and cysteine-derived cyclic building blocks I [X = O, R = H, Me, R1 = R2 = H, Me; X = S, R = H, R1 = R2 = H, Me; R1 = H, R2 = 2,4-(Me)2C6H3] (pseudo-prolines,  $\psi$ Pro's) serve as reversible protecting groups for Ser, Thr, and Cys and prove to be versatile tools for overcoming some intrinsic problems in the field of peptide chemical. The presence of  $\psi$ Pro within a peptide sequence results in the disruption of  $\beta$ -sheet structures considered as a source of intermol. aggregation during chain elongation, thus increasing solvation and coupling kinetics

in peptide assembly. Due to their easy synthetic access and variability in the chemical stability by modifications introduced in the C-2 position of the oxazolidine/thiazolidine ring system, this protection technique is adaptable to all common strategies in peptide synthesis. New types of  $\psi$ Pro building blocks suitable for standard Fmoc/tert-Bu-based solid phase peptide synthesis, convergent strategies, and chemoselective ligation techniques are described, as well as their use as a structure-disrupting, solubilizing protection technique for the example of peptides generally considered as "difficult sequences".

IT 168639-41-6P 183958-87-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

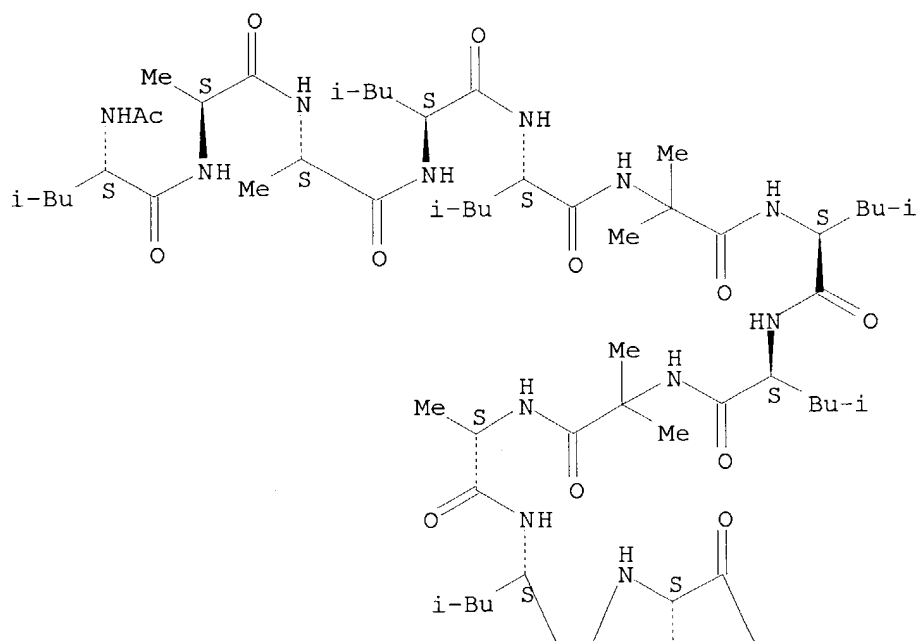
(use of pseudoprolines as solubilizing structure-disrupting protection in **solid-phase** peptide synthesis)

RN 168639-41-6 CAPLUS

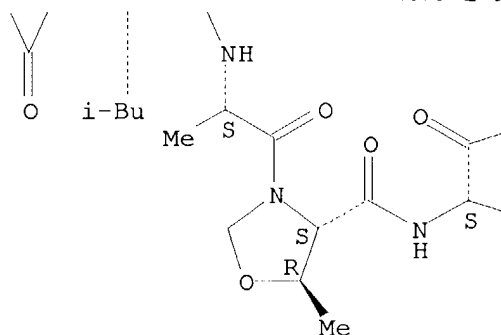
L-Alaninamide, N-acetyl-L-leucyl-L-alanyl-L-alanyl-L-leucyl-L-leucyl-2-methylalanyl-L-leucyl-L-leucyl-2-methylalanyl-L-alanyl-L-leucyl-L-leucyl-L-alanyl-(4S,5R)-5-methyl-4-oxazolidinonecarbonyl-L-leucyl-2-methylalanyl-L-alanyl-L-leucyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

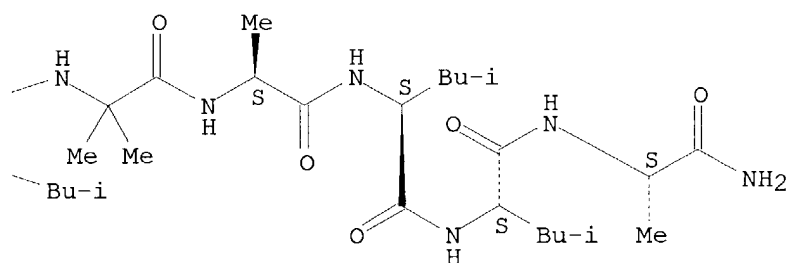
PAGE 1-A



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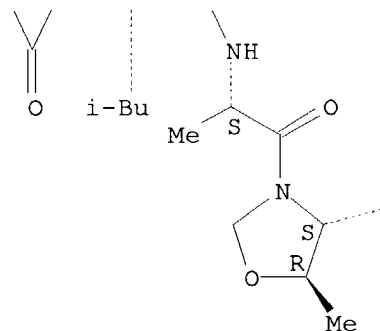
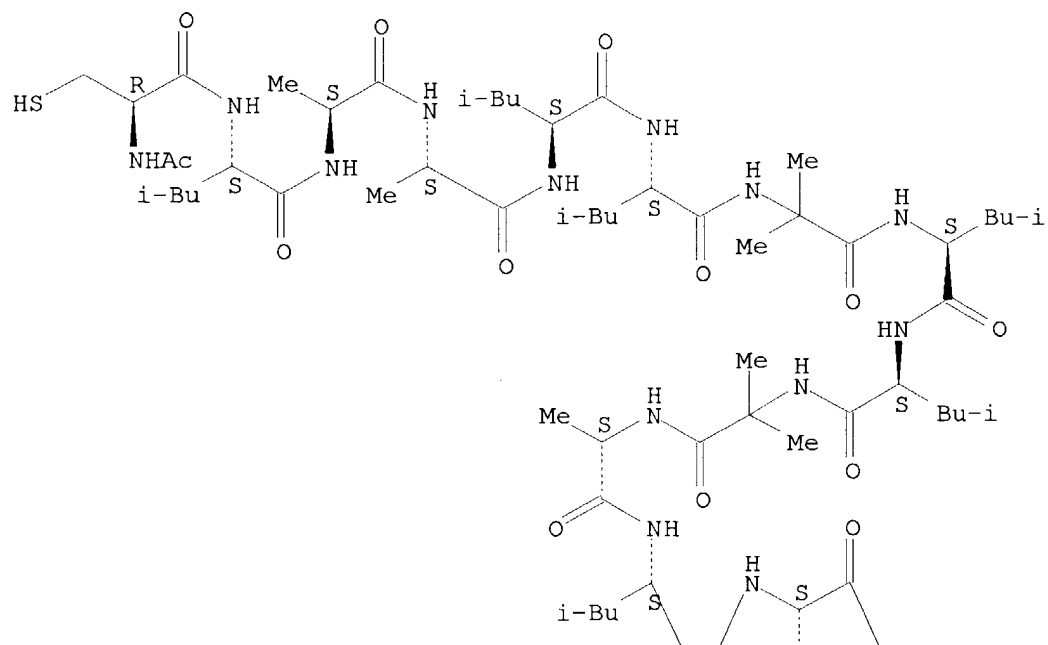
PAGE 2-B



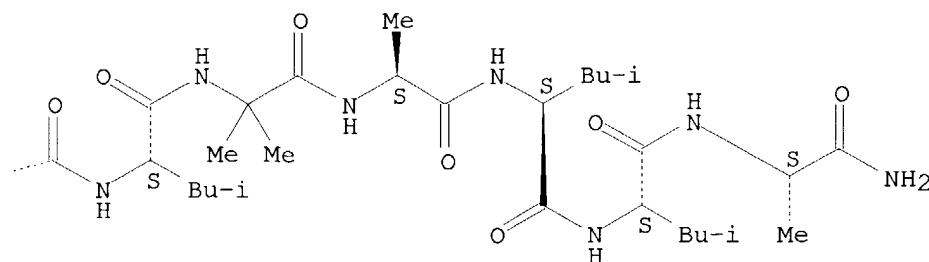
RN 183958-87-4 CAPLUS

CN L-Alaninamide, N-acetyl-L-cysteiny-L-leucyl-L-alanyl-L-alanyl-L-leucyl-L-leucyl-2-methylalanyl-L-leucyl-L-leucyl-2-methylalanyl-L-alanyl-L-leucyl-L-leucyl-L-alanyl-(4S,5R)-5-methyl-4-oxazolidinecarbonyl-L-leucyl-2-methylalanyl-L-alanyl-L-leucyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.







IT 183958-88-5P

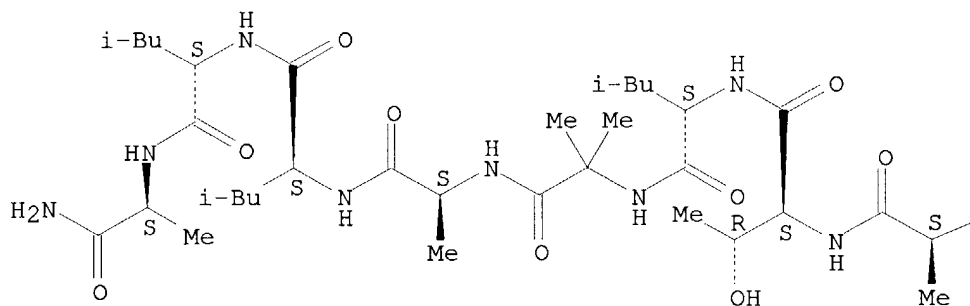
RL: SPN (Synthetic preparation); PREP (Preparation)

(use of pseudoprolines as solubilizing structure-disrupting protection in **solid-phase** peptide synthesis)

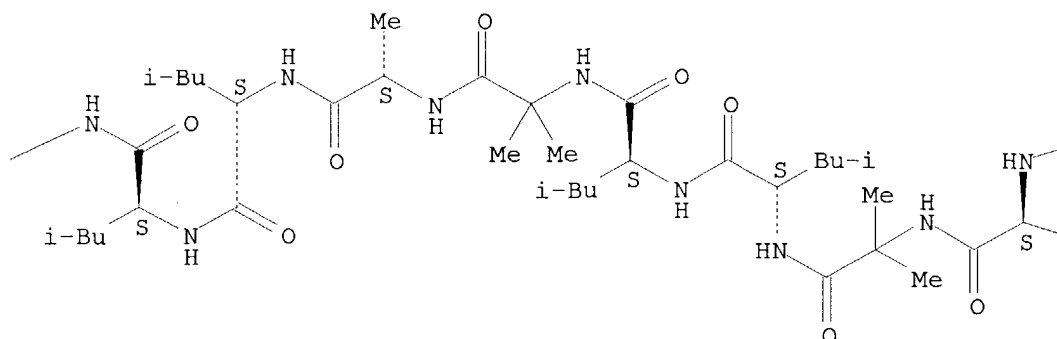
RN 183958-88-5 CAPLUS

CN L-Alaninamide, N-acetyl-L-leucyl-L-alanyl-L-alanyl-L-leucyl-L-leucyl-2-methylalanyl-L-leucyl-L-leucyl-2-methylalanyl-L-alanyl-L-leucyl-L-leucyl-L-alanyl-L-threonyl-L-leucyl-2-methylalanyl-L-alanyl-L-leucyl-L-leucyl- (9CI) (CA INDEX NAME)

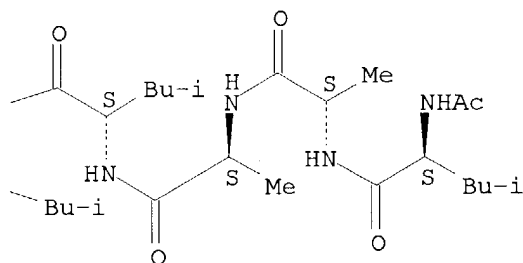
Absolute stereochemistry.



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PAGE 1-C



L46 ANSWER 19 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:574862 CAPLUS

DOCUMENT NUMBER: 125:276554

TITLE: Incorporation of  $\alpha$ -methyl amino acids by solid phase peptide synthesis in a peptide sequence

AUTHOR(S): Brunissen, Alie; Ayoub, Mimoun; Lavielle, Solange

CORPORATE SOURCE: Lab. Chim. Org. Biol., Univ. P. et M. Curie, Paris, 75252, Fr.

SOURCE: Tetrahedron Letters (1996), 37(37), 6713-6716

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

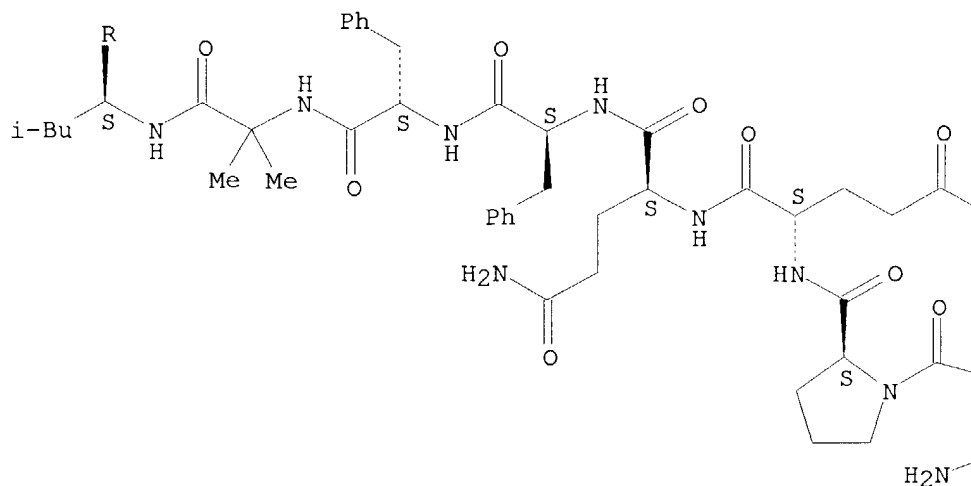
LANGUAGE: English

AB (S)- $\alpha$ -methylmethionine, (S)- $\alpha$ -methylleucine, 2-aminoisobutyric acid, and (S)- $\alpha$ -methylphenylalanine have been incorporated by solid phase peptide strategy in a peptide sequence. The coupling reactions of these Boc- $\alpha$ -Me amino acids and of the following residue in the sequence were readily achieved after silylation with chlorotrimethylsilane

of the amine function on the resin.  
 IT 182566-16-1P 182566-17-2P 182566-18-3P  
 182566-19-4P 182566-20-7P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (use of chlorotrimethylsilane in **solid-phase** preparation  
 of Me amino acid-containing peptides)  
 RN 182566-16-1 CAPLUS  
 CN Substance P, 9-(2-methylalanine)- (9CI) (CA INDEX NAME)

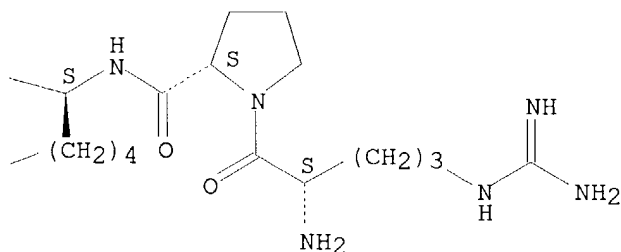
Absolute stereochemistry. Rotation (-).

PAGE 1-A

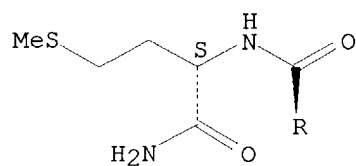


PAGE 1-B

NH<sub>2</sub>



PAGE 2-A

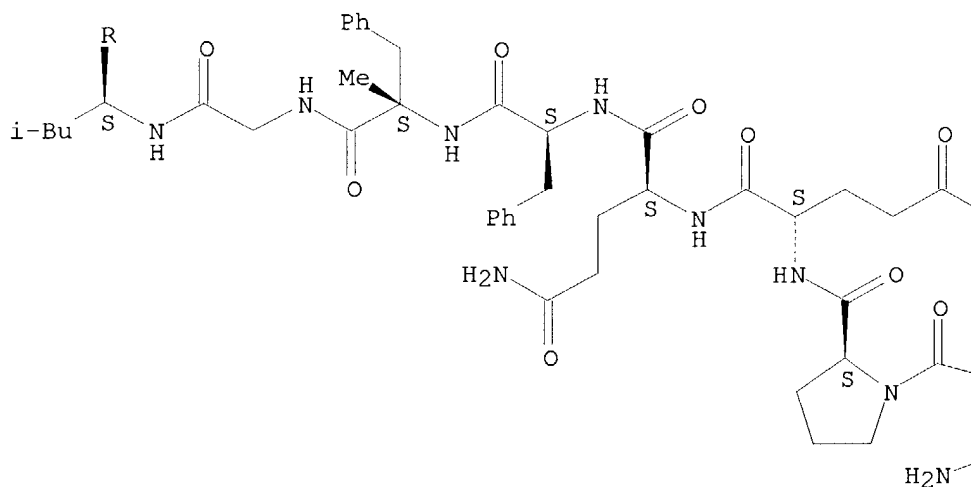


RN 182566-17-2 CAPLUS

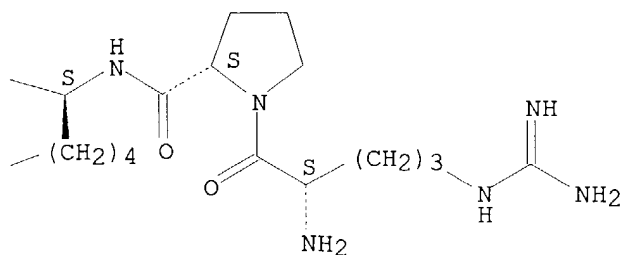
CN Substance P, 8-( $\alpha$ -methyl-L-phenylalanine)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

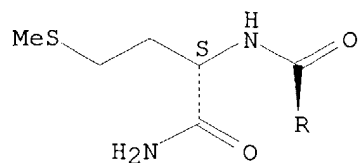
PAGE 1-A



PAGE 1-B

NH<sub>2</sub>

PAGE 2-A

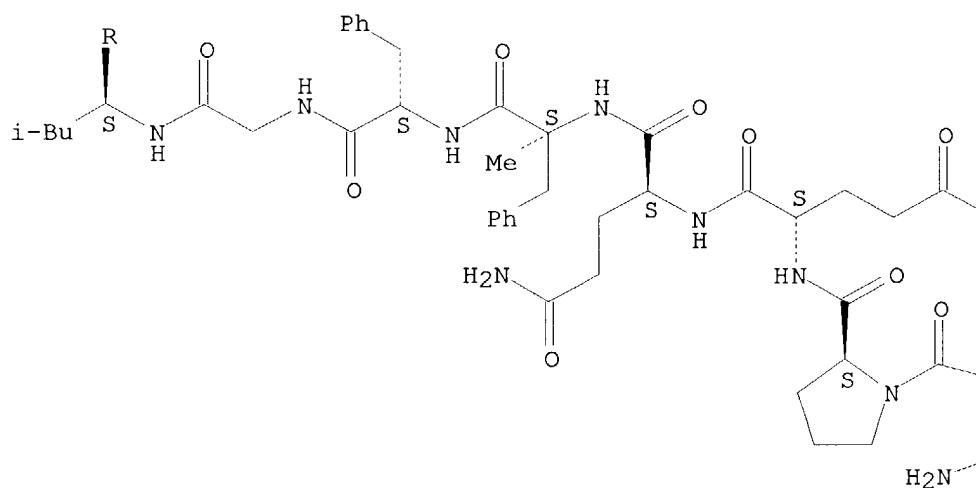


RN 182566-18-3 CAPLUS

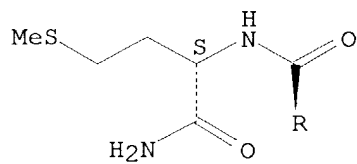
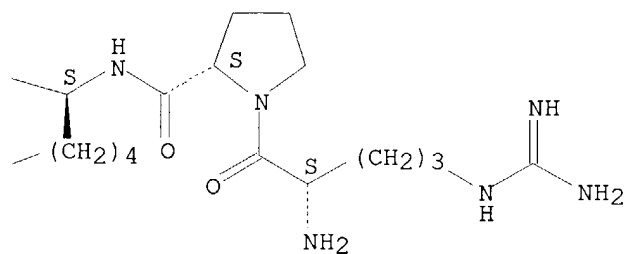
CN Substance P, 7-( $\alpha$ -methyl-L-phenylalanine)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

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NH<sub>2</sub>

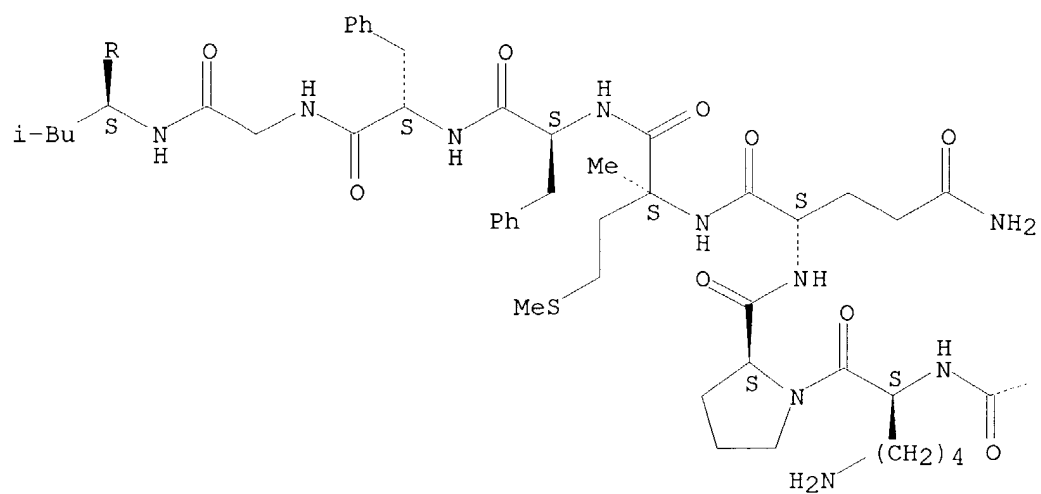


RN 182566-19-4 CAPLUS

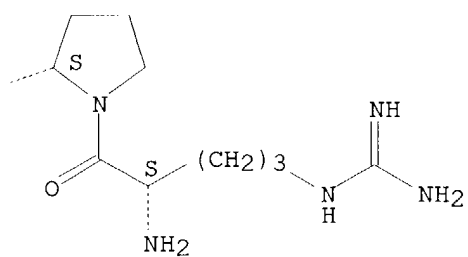
CN Substance P, 6-[4-(methylthio)-L-isovaline]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

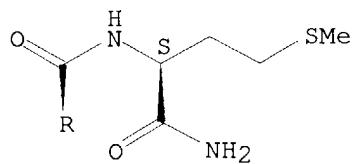
PAGE 1-A



PAGE 1-B



PAGE 2-A

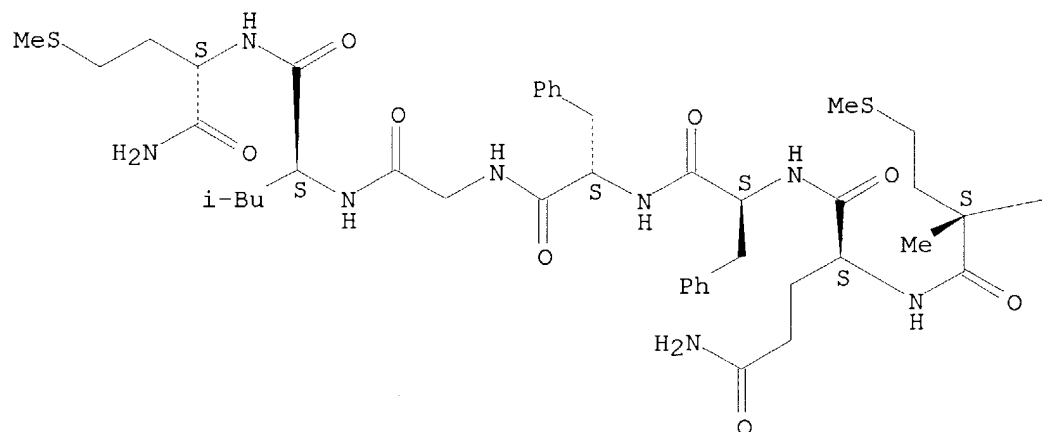


RN 182566-20-7 CAPLUS

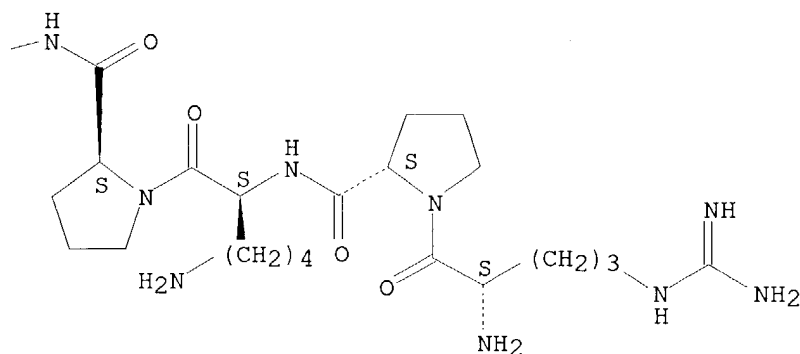
CN Substance P, 5-[4-(methylthio)-L-isovaline]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

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L46 ANSWER 20 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1997:412406 CAPLUS

DOCUMENT NUMBER: 127:66168

TITLE: Large-scale solid phase continuous-flow preparation of a 26-mer peptide thrombin inhibitor

AUTHOR(S): Caciagli, Valerio; Cardinali, Franco; Bonelli, Fabio; Lombardi, Paolo

CORPORATE SOURCE: Menarini Ricerche Sud, Pomezia, 00040, Italy

SOURCE: Innovation and Perspectives in Solid Phase Synthesis &amp; Combinatorial Libraries: Peptides, Proteins and



Nucleic Acids--Small Molecule Organic Chemical  
Diversity, Collected Papers, International Symposium,  
4th, Edinburgh, Sept. 12-16, 1995 (1996),  
Meeting Date 1995, 335-338. Editor(s): Epton, Roger.  
Mayflower Scientific: Birmingham, UK.  
CODEN: 64ONA9

DOCUMENT TYPE:

Conference

LANGUAGE:

English

AB A symposium report on the large scale, solid phase, continuous flow synthesis of a 26-mer peptide using Macrosorb resin and 9-fluorenylmethoxycarbonyl (Fmoc) chemical Racemization of the first amino acid and the coupling reactions were studied in detail. The overall yield, calculated on the mmoles of the first amino acid on the resin, was 46.2%.

IT 160588-96-5P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

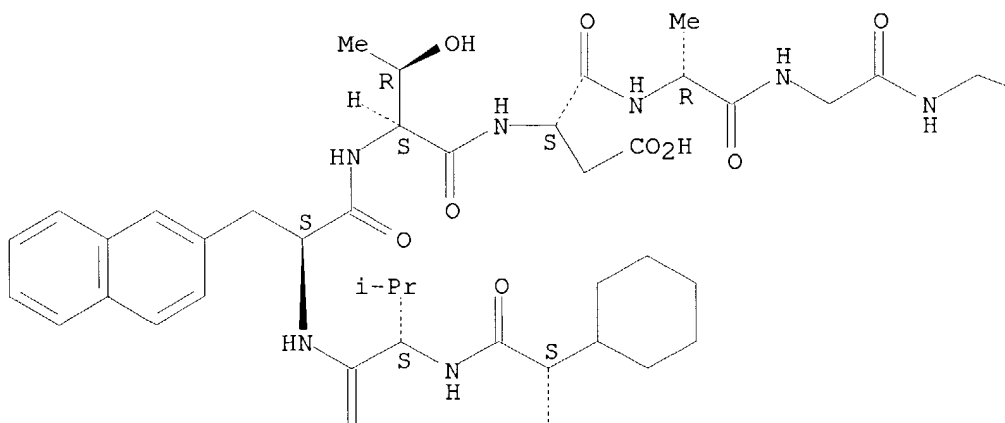
(large-scale **solid-phase** continuous-flow preparation of thrombin inhibitor peptide)

RN 160588-96-5 CAPLUS

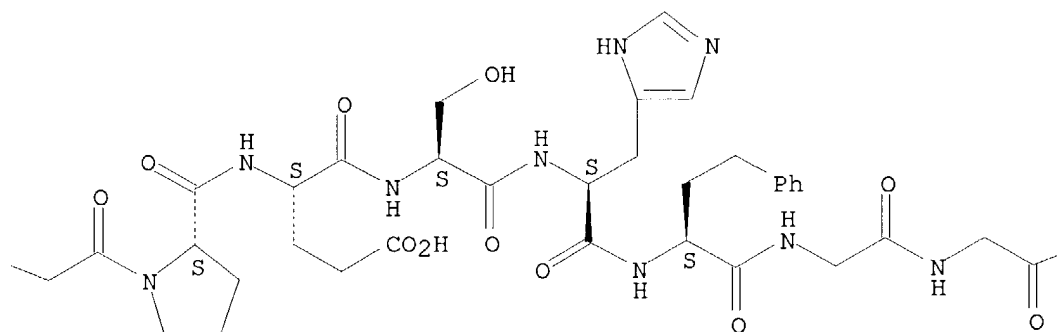
CN D-Glutamic acid, (2S)-2-cyclohexylglycyl-L-valyl-3-(2-naphthalenyl)-L-alanyl-L-threonyl-L- $\alpha$ -aspartyl-D-alanylglycyl- $\beta$ -alanyl-L-prolyl-L- $\alpha$ -glutamyl-L-seryl-L-histidyl-4-phenyl-(2S)-2-aminobutanoylglycylglycyl-L- $\alpha$ -aspartyl-L-tyrosyl-L- $\alpha$ -glutamyl-L- $\alpha$ -glutamyl-L-isoleucyl-L-prolyl-2-methylalanyl-2-methylalanyl-L-tyrosyl-3-cyclohexyl-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

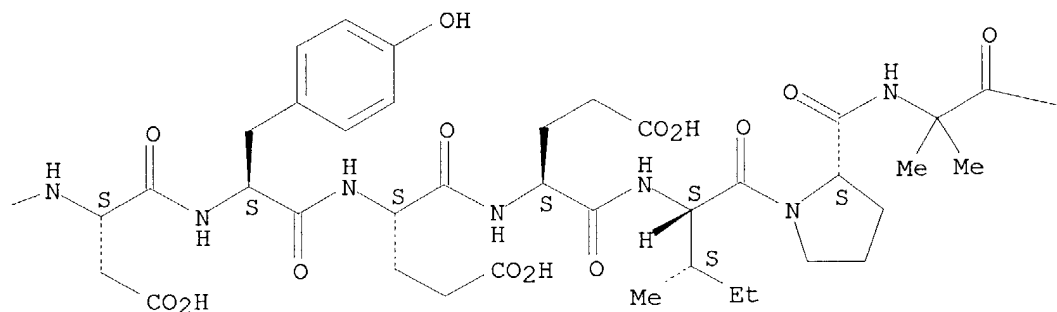
PAGE 1-A



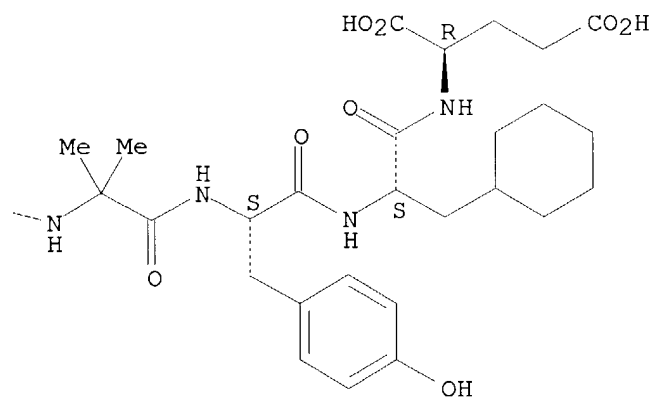
PAGE 1-B



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PAGE 1-D





L46 ANSWER 21 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:553995 CAPLUS

DOCUMENT NUMBER: 123:33634

TITLE: Tetramethylfluoroformamidinium Hexafluorophosphate: A Rapid-Acting Peptide Coupling Reagent for Solution and Solid Phase Peptide Synthesis

AUTHOR(S): Carpino, Louis A.; El-Faham, Ayman

CORPORATE SOURCE: Department of Chemistry, University of Massachusetts, Amherst, MA, 01003-4510, USA

SOURCE: Journal of the American Chemical Society (1995), 117(19), 5401-2

CODEN: JACSAT; ISSN: 0002-7863

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Tetramethylfluoroformamidinium hexafluorophosphate, (Me<sub>2</sub>N)<sub>2</sub>C+F PF<sub>6</sub><sup>-</sup> 2, easily synthesized from the readily available chloro analog (Me<sub>2</sub>N)<sub>2</sub>C+Cl PF<sub>6</sub><sup>-</sup>, has been shown to convert protected amino acids into their amino acid fluorides which may be isolated, if desired. In addition, 2 can be used in situ as a coupling reagent. Because of the transient intermediacy of the acid fluorides, solution and solid phase peptide coupling takes place even in the case of hindered amino acids for which reagents such as BOP and N-[(1H-benzotriazol-1-yl)(dimethylamino)methylene]-N-methylmethaniminium hexafluorophosphate N-oxide (HBTU) are ineffective. Efficient automated syntheses of several oligopeptides are reported including systems incorporating the difficult Aib-Aib coupling. Reagent 2 is also suitable in segment coupling by the simple expedient of adding an equivalent of 1-hydroxy-7-azabenzotriazole (HOAt) to the reaction mixture

IT 95852-71-4P

RL: SPN (Synthetic preparation); PREP (Preparation)

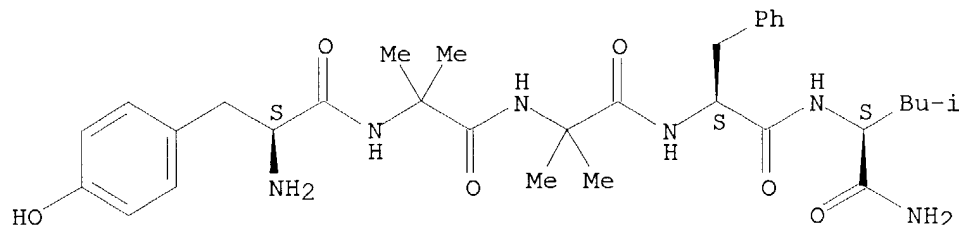
(preparation of tetramethylfluoroformamidinium hexafluorophosphate as solution

and **solid phase** peptide coupling reagent)

RN 95852-71-4 CAPLUS

CN L-Leucinamide, L-tyrosyl-2-methylalanyl-2-methylalanyl-L-phenylalanyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

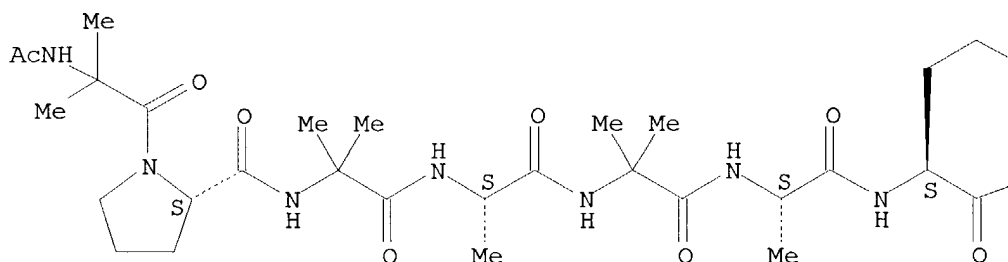


L46 ANSWER 22 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN

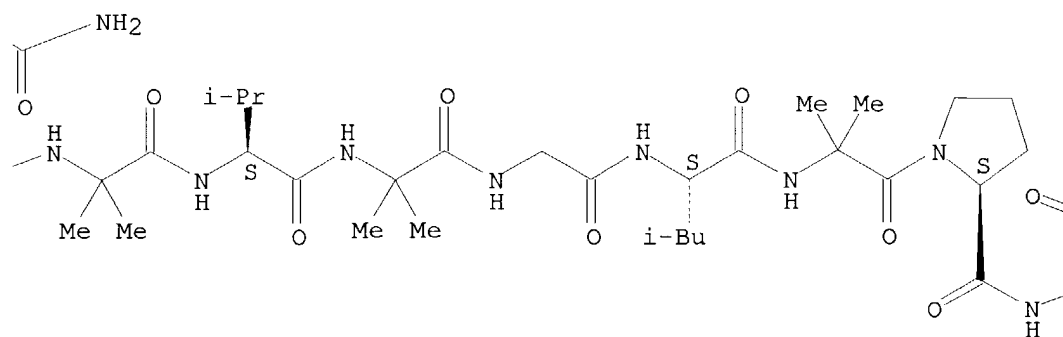
ACCESSION NUMBER: 1995:400556 CAPLUS  
 DOCUMENT NUMBER: 122:315077  
 TITLE: Multiple solid phase synthesis via Fmoc-amino acid fluorides  
 AUTHOR(S): Wenschuh, Holger; Beyermann, Michael; Rothmund, Sven; Carpino, Louis A.; Bienert, Michael  
 CORPORATE SOURCE: Inst. Mol. Pharmacol., Berlin, D-10315, Germany  
 SOURCE: Tetrahedron Letters (1995), 36(8), 1247-50  
 CODEN: TELEAY; ISSN: 0040-4039  
 PUBLISHER: Elsevier  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB In addition to displaying high reactivity, 9-fluorenylmethoxycarbonyl (Fmoc)-amino acid fluorides are shown to be highly soluble and stable for extended periods in organic solvents such as DMF and therefore to be recommended for use in multiple solid-phase peptide synthesis. A series of analogs of alamethicin and a partial sequence (22 amino acids) of the CNG-channel forming protein BOVTESTIS have been assembled with excellent results.  
 IT 59588-86-2P, Alamethicin F30 163431-82-1P  
 163431-83-2P 163431-84-3P 163431-85-4P  
 163431-86-5P 163431-87-6P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (stability of fluorenylmethoxycarbonylamino acid fluorides and their use in multiple **solid phase** synthesis)  
 RN 59588-86-2 CAPLUS  
 CN Alamethicin I (9CI) (CA INDEX NAME)

Absolute stereochemistry.

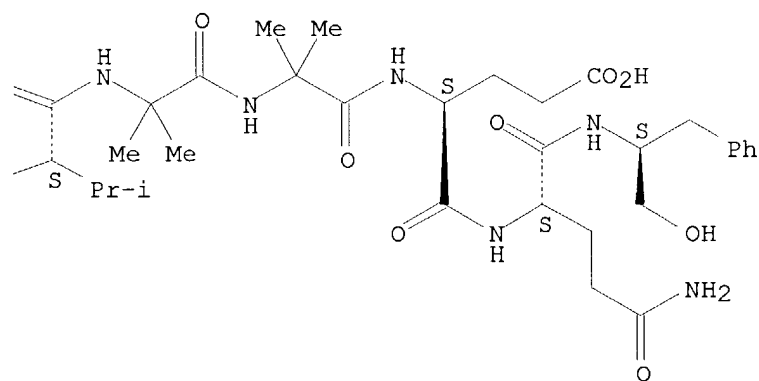
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PAGE 1-C

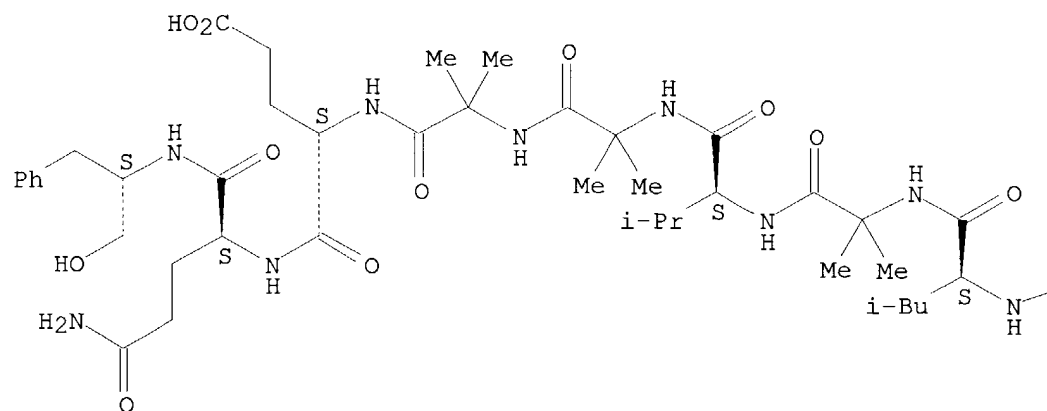


RN 163431-82-1 CAPLUS

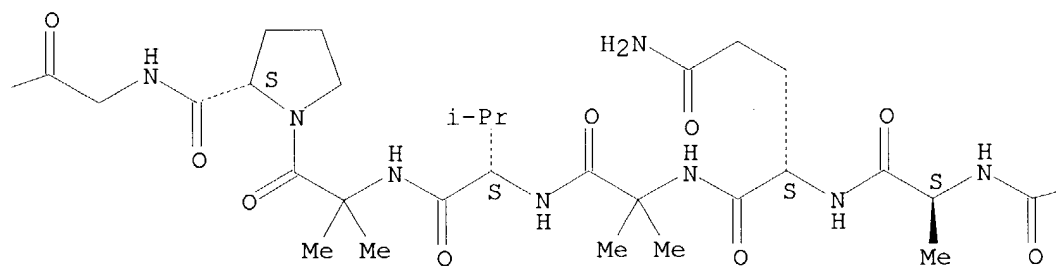
CN Alamethicin I, 10a-endo-L-proline-14-de-L-proline- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

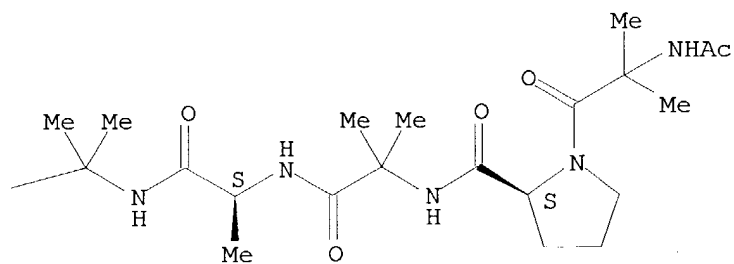
PAGE 1-A



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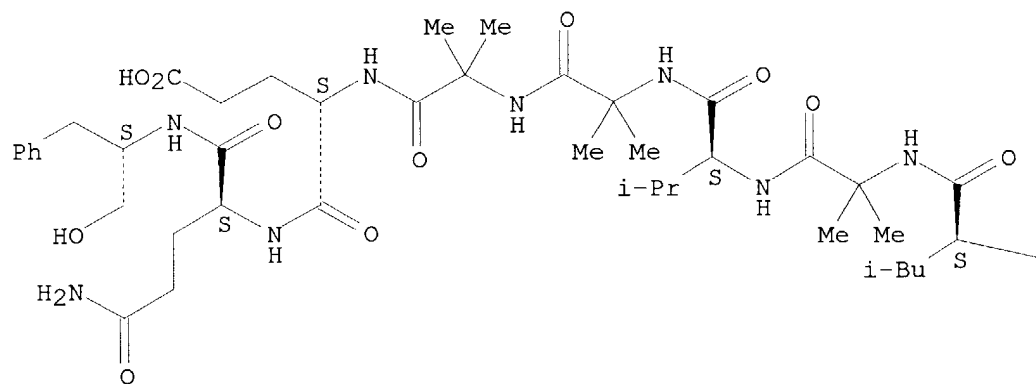


RN 163431-83-2 CAPLUS

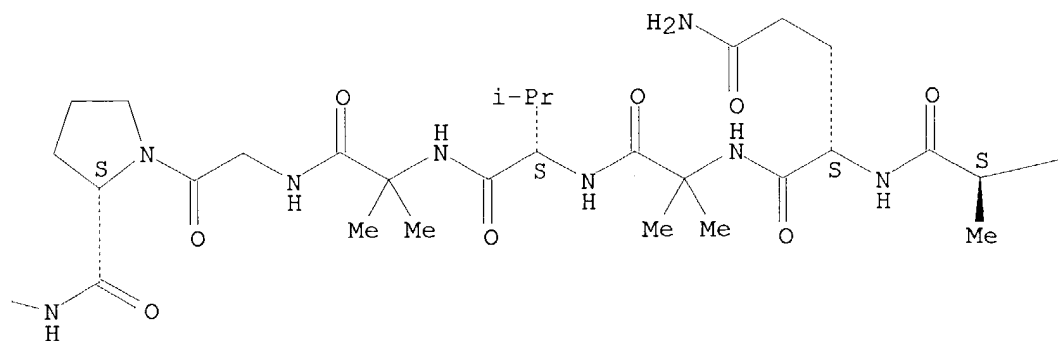
CN Alamethicin I, 11a-endo-L-proline-14-de-L-proline- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

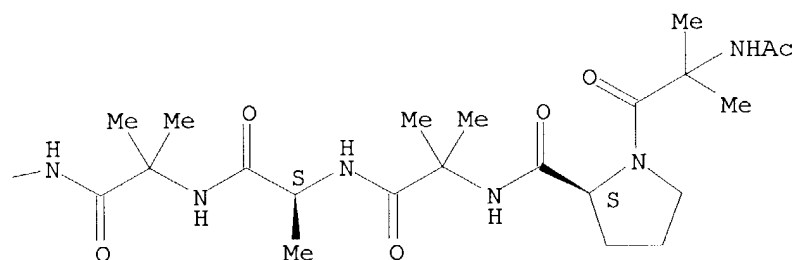
PAGE 1-A



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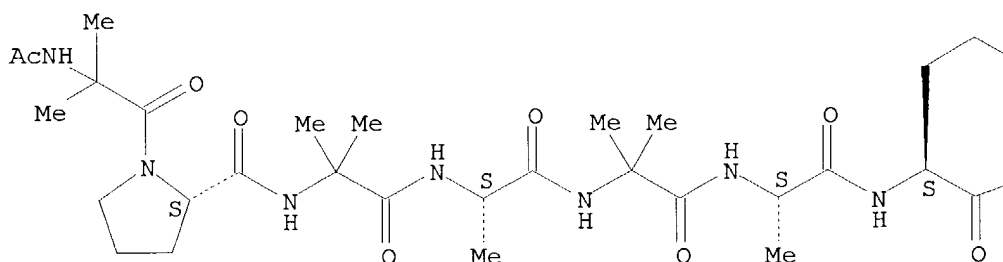


RN 163431-84-3 CAPLUS

CN Alamethicin I, 13-L-proline-14-(2-methylalanine)- (9CI) (CA INDEX NAME)

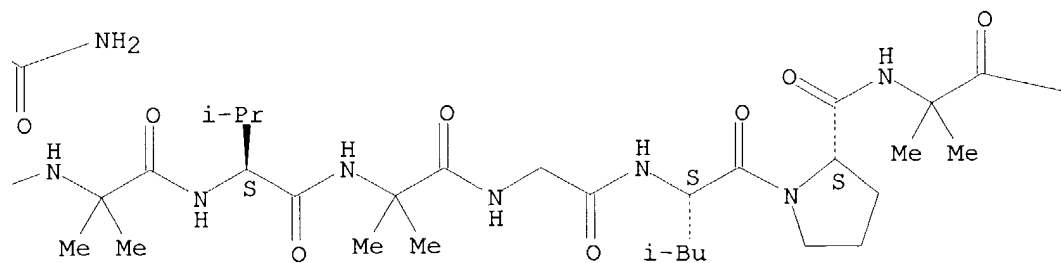
Absolute stereochemistry.

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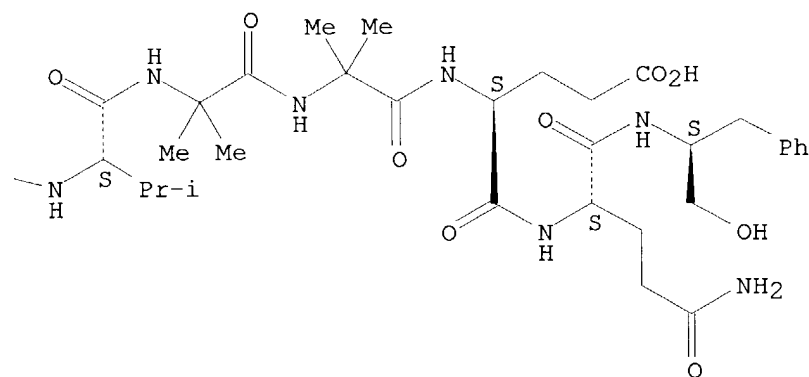




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PAGE 1-C

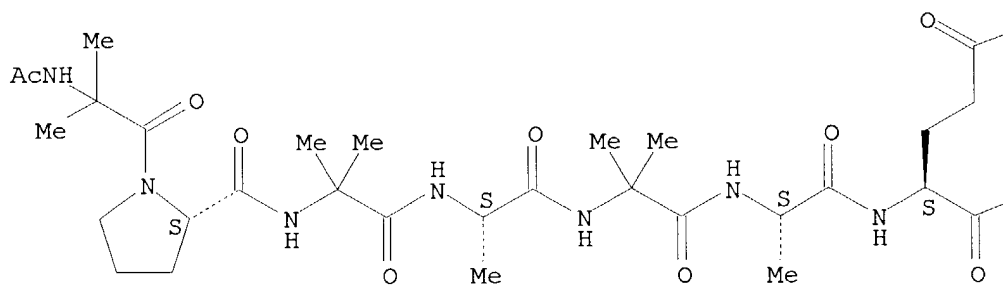


RN 163431-85-4 CAPLUS

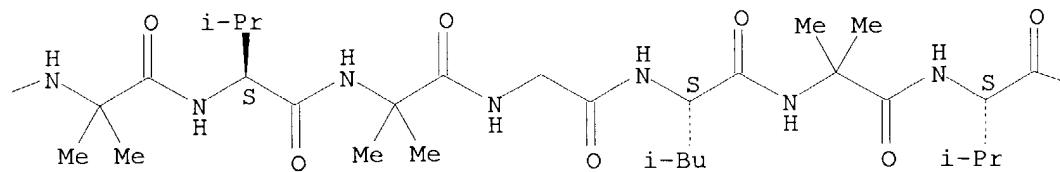
CN Alamethicin I, 14-L-valine-15-L-proline- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

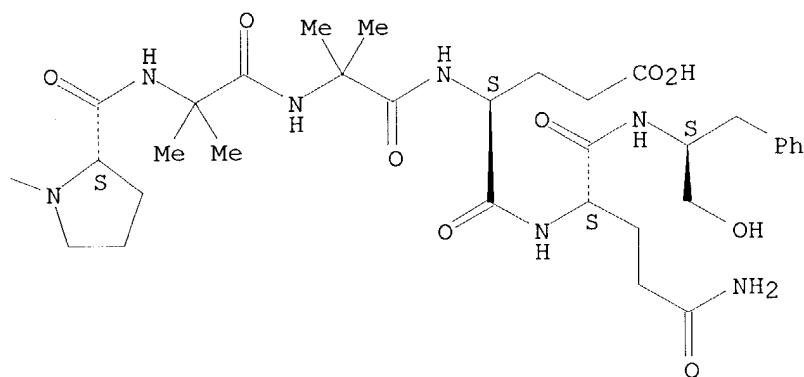
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—NH<sub>2</sub>

PAGE 1-C

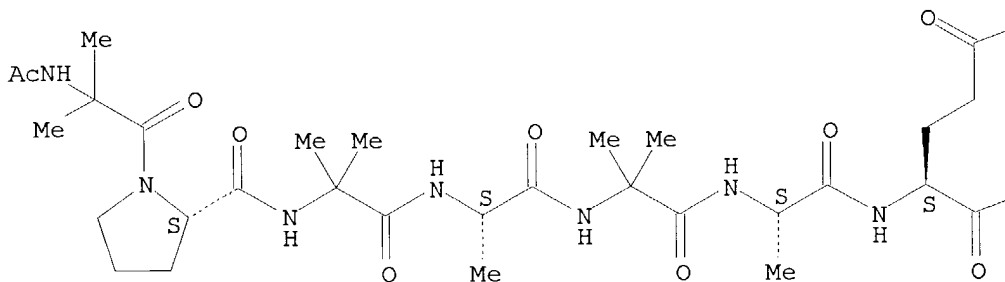


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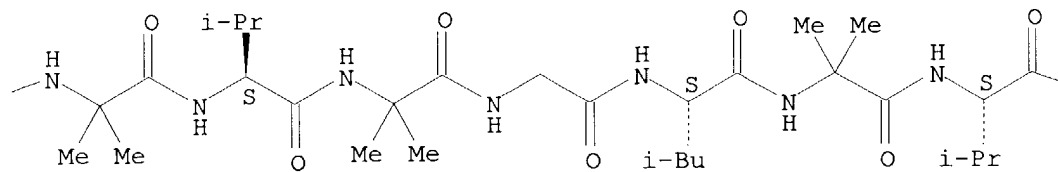
CN Alamethicin I, 14-de-L-proline-16a-endo-L-proline- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

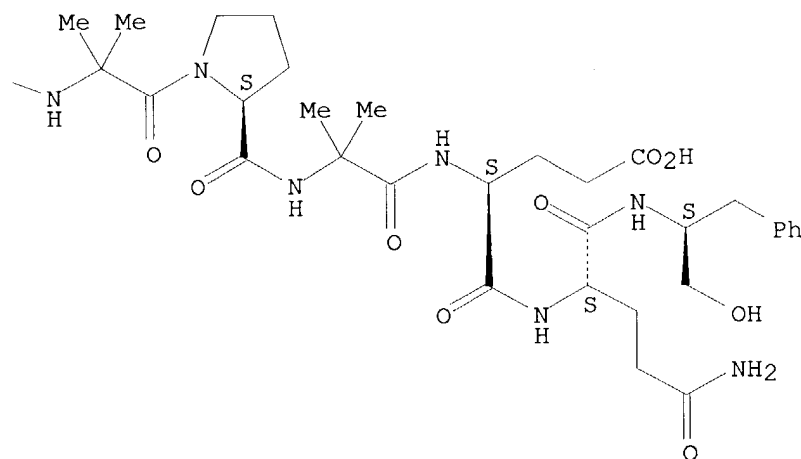
PAGE 1-A



PAGE 1-B

NH<sub>2</sub>

PAGE 1-C

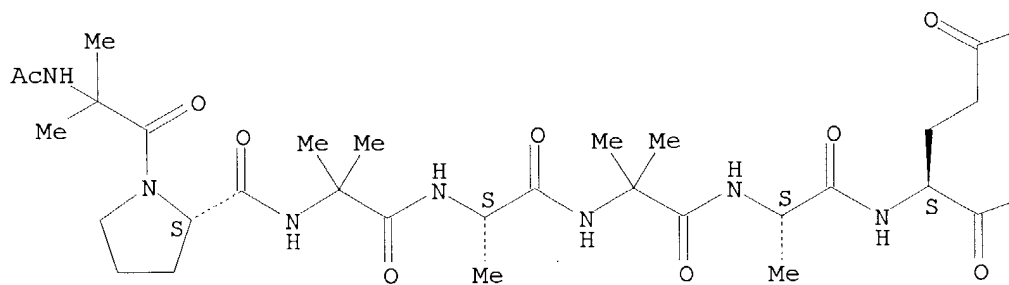


RN 163431-87-6 CAPLUS

CN Alamethicin I, 14-de-L-proline-17a-endo-L-proline- (9CI) (CA INDEX NAME)

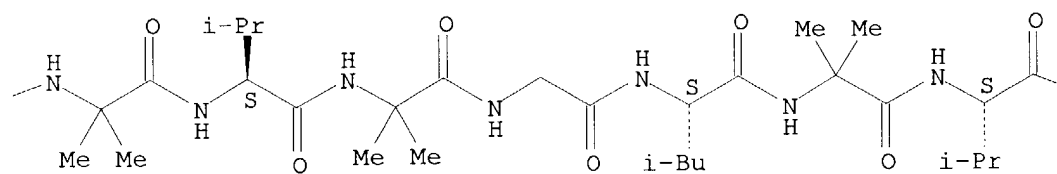
Absolute stereochemistry.

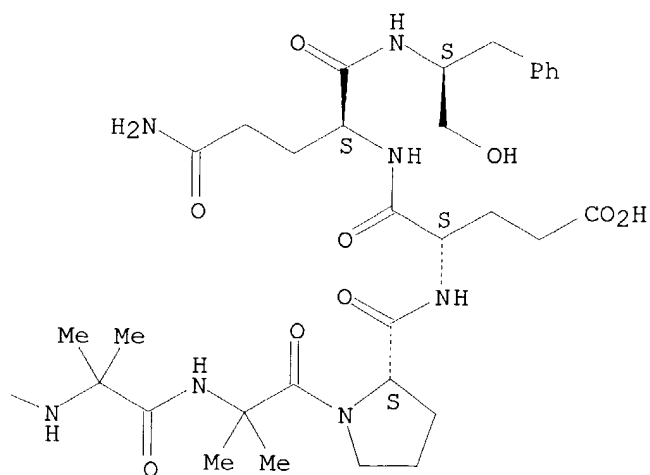
PAGE 1-A



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NH<sub>2</sub>





L46 ANSWER 23 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:308989 CAPLUS

DOCUMENT NUMBER: 122:133824

TITLE: Stepwise Automated Solid Phase Synthesis of Naturally Occurring Peptaibols Using Fmoc Amino Acid Fluorides  
 AUTHOR(S): Wenschuh, Holger; Beyermann, Michael; Haber, Hanka; Seydel, Joachim K.; Krause, Eberhard; Bienert, Michael; Carpino, Louis A.; El-Faham, Ayman; Albericio, Fernando

CORPORATE SOURCE: Institute of Molecular Pharmacology, Berlin, D-10315, Germany

SOURCE: Journal of Organic Chemistry (1995), 60(2), 405-10

CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The standard methods of stepwise solid phase synthesis according to Merrifield could not previously be applied to the synthesis of the important naturally occurring peptaibols because of difficulties arising from the pronounced steric hindrance caused by  $\alpha,\alpha$ -dialkylated amino acids (incomplete coupling, especially to adjacent similarly constituted units, racemization due to slow coupling to hindered amino acids, etc.), chain degradation due to the presence of acid-labile Aib-Pro (Aib =  $\alpha$ -aminoisobutyric acid) linkages, and the lack of any general method for the loading of C-terminal amino acids to resin supports. Following recent work on model systems, it is now shown that the adoption of 9-fluorenylmethoxycarbonyl (Fmoc) amino acid fluorides as coupling reagents makes possible the facile, general assembly of such peptides. The method was demonstrated for alamethicin F30 and F50, saturnisporin SA III, and trichothecin A50-J. The crude products were of remarkable purity. Amino acid anal., mass spectral data, and comparison of the synthetic alamethicins with samples of naturally occurring material confirmed the success of the syntheses. No significant amount of racemization (<0.8%) was found for any of the chiral amino acids present. The first step of the synthesis involved a new general method for assembly of C-terminal peptide

alcs. via the use of o-chlorotrityl resin. In addition, model studies on the question of racemization during the coupling of Fmoc amino acid fluorides are reported.

IT 56165-93-6P, Alamethicin F50 59588-86-2P, Alamethicin F30

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

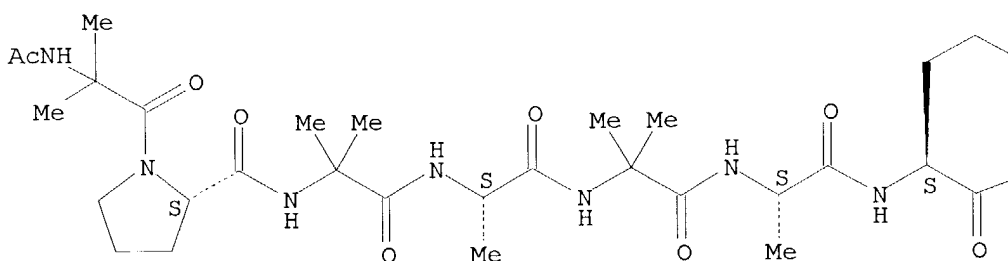
(stepwise automated **solid phase** synthesis of naturally occurring peptaibols using fluorenylmethoxycarbonylamino acid fluorides)

RN 56165-93-6 CAPLUS

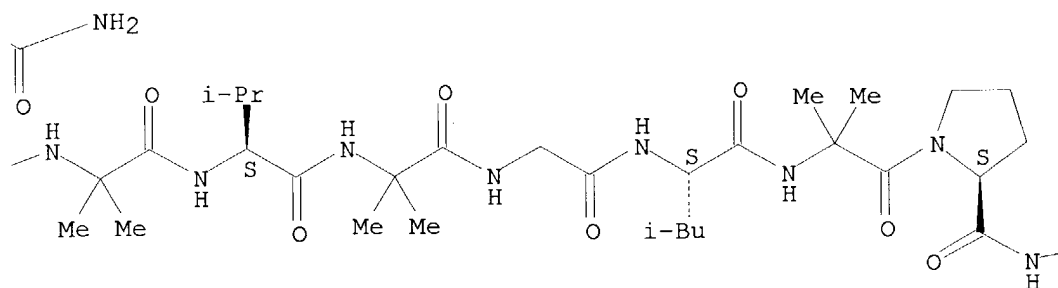
CN Alamethicin F 50 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

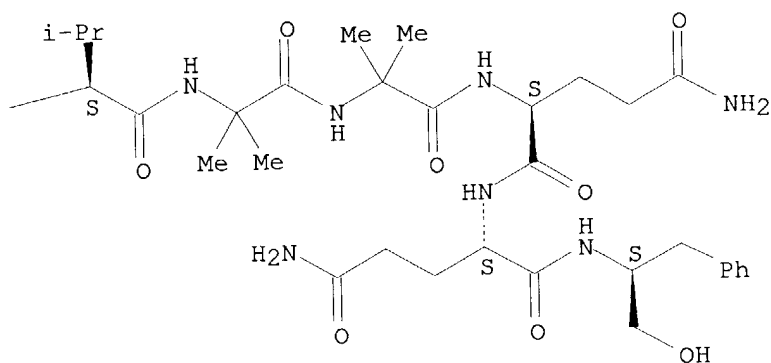
PAGE 1-A



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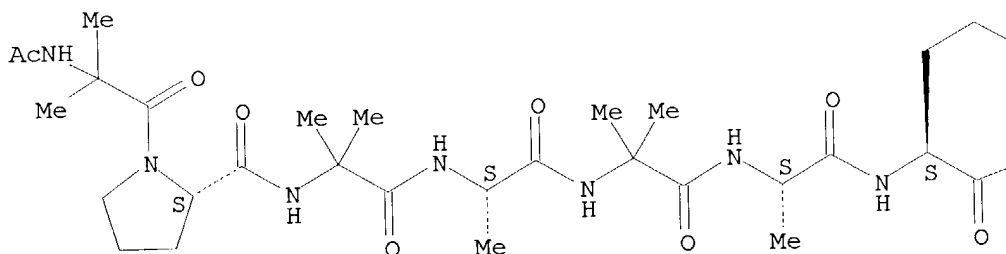
PAGE 1-C



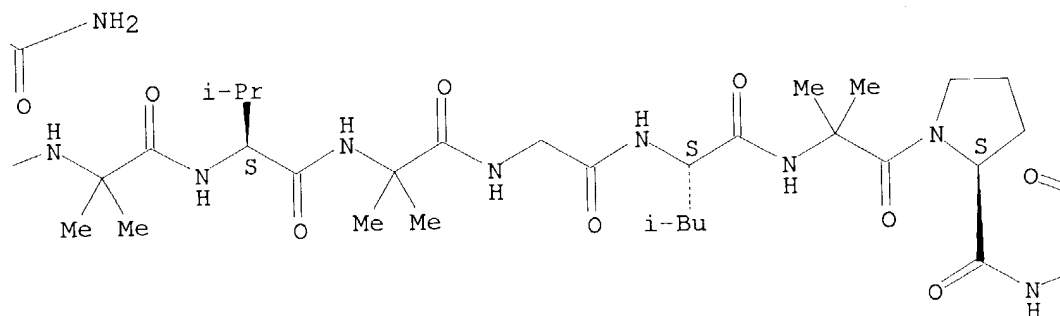
RN 59588-86-2 CAPLUS  
 CN Alamethicin I (9CI) (CA INDEX NAME)

Absolute stereochemistry.

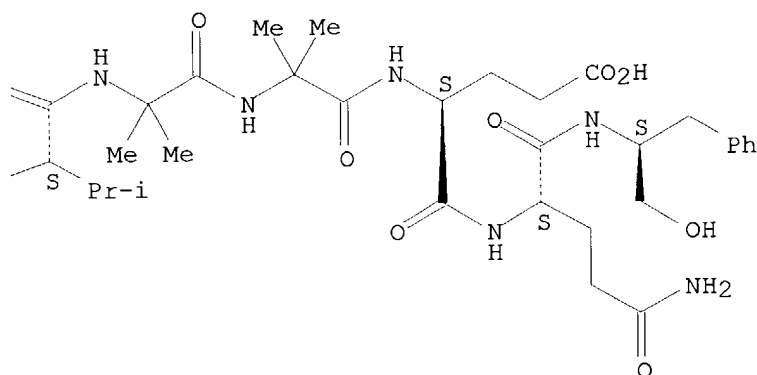
PAGE 1-A



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IT 95298-59-2P, Saturnisporin SA III 160885-95-0P  
160885-96-1P 160885-97-2P

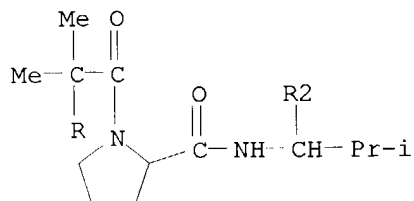
RL: SPN (Synthetic preparation); PREP (Preparation)

(stepwise automated **solid phase** synthesis of  
naturally occurring peptaibols using fluorenylmethoxycarbonylamino acid  
fluorides)

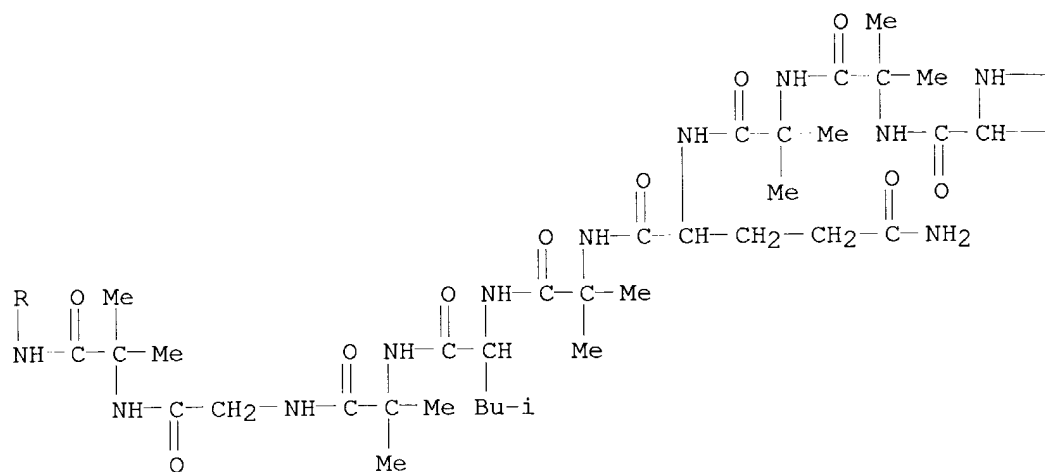
RN 95298-59-2 CAPLUS

CN Saturnisporin SA III (9CI) (CA INDEX NAME)

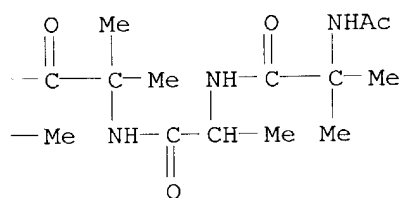
PAGE 1-A



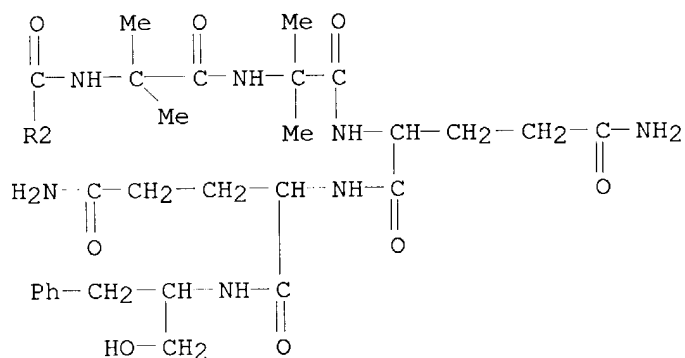




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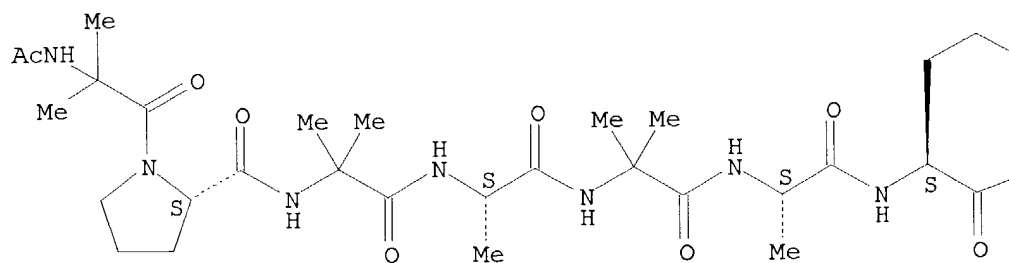
PAGE 3-A



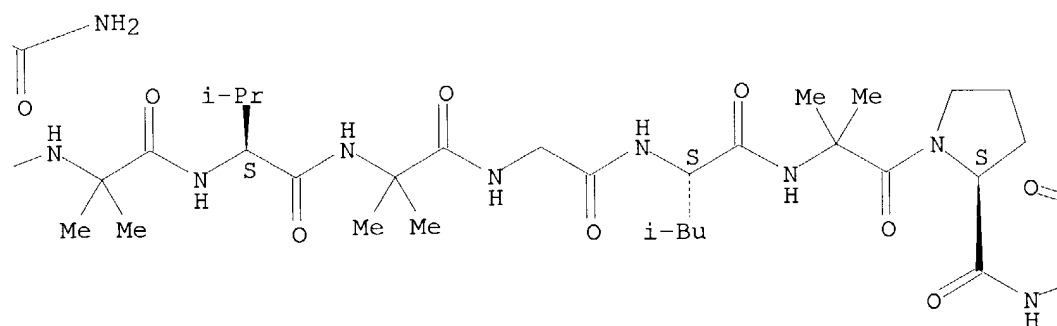
CN Alamethicin I, 19-L-glutamine-19a-L-phenylalanine- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

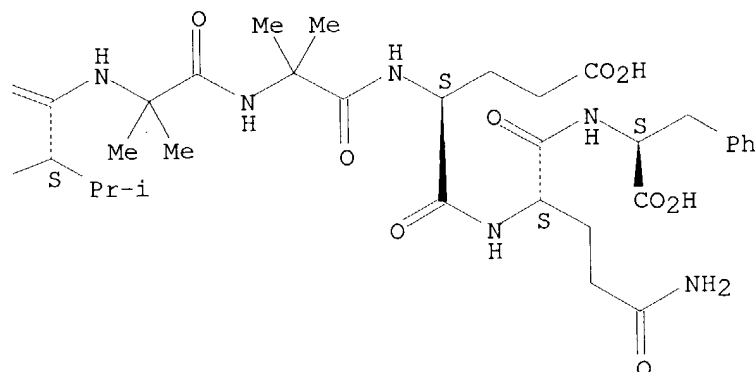
PAGE 1-A



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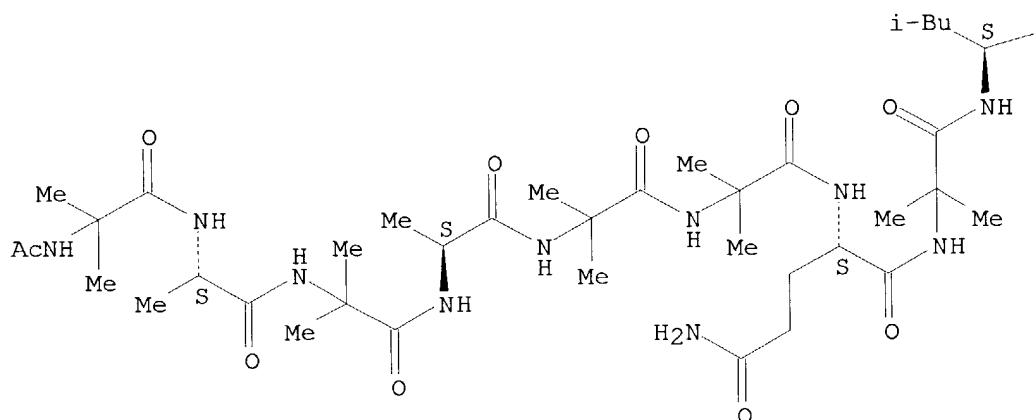


RN 160885-96-1 CAPLUS  
 CN Saturnisporin SA III, 19-L-glutamine-19a-L-phenylalanine- (9CI) (CA INDEX)

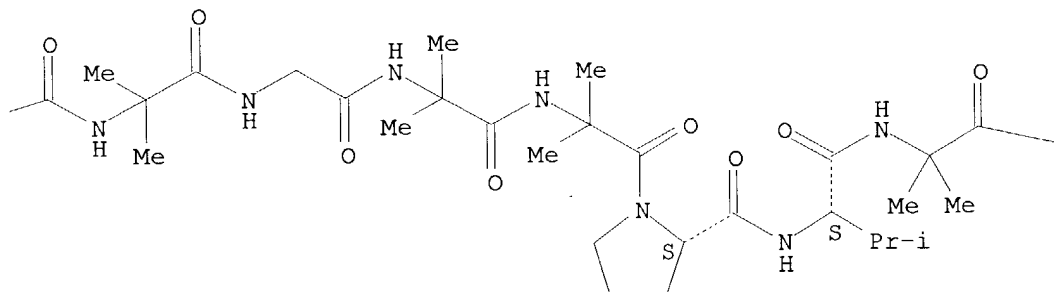
NAME )

Absolute stereochemistry.

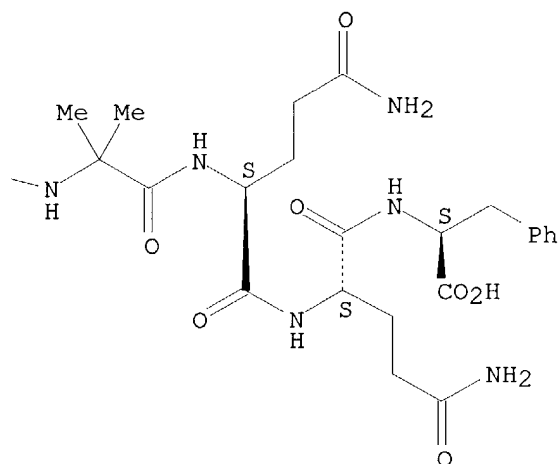
PAGE 1-A



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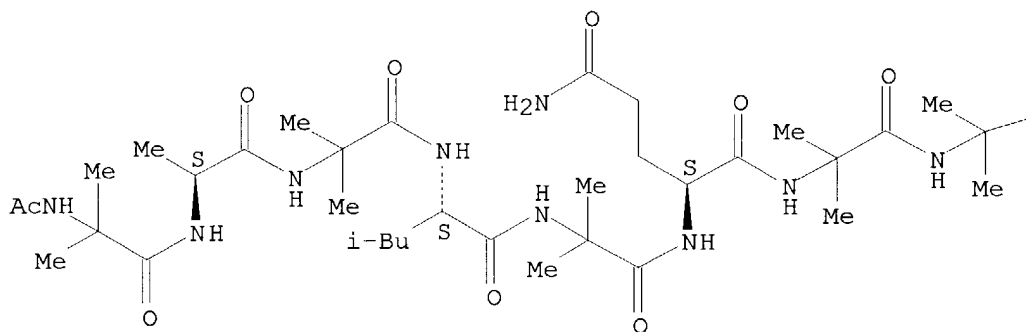


RN 160885-97-2 CAPLUS

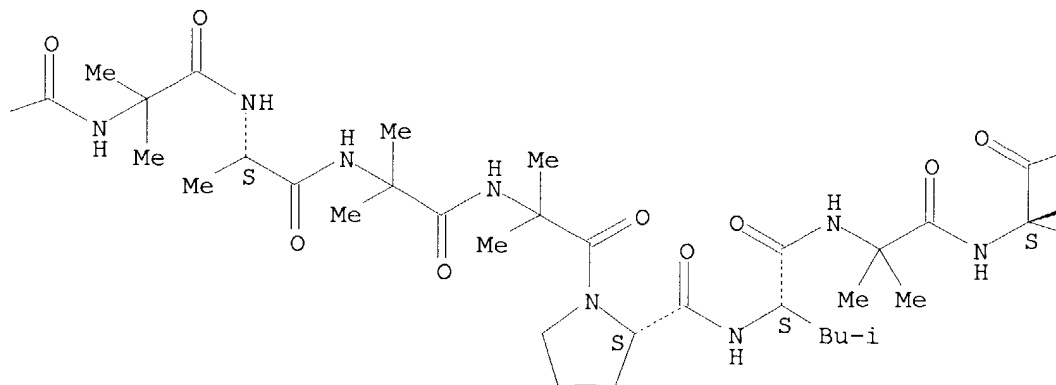
CN L-Valine, N-acetyl-2-methylalanyl-L-alanyl-2-methylalanyl-L-leucyl-2-methylalanyl-L-glutamyl-2-methylalanyl-2-methylalanyl-2-methylalanyl-L-alanyl-2-methylalanyl-2-methylalanyl-L-prolyl-L-leucyl-2-methylalanyl-L-isovalyl-L-glutamyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

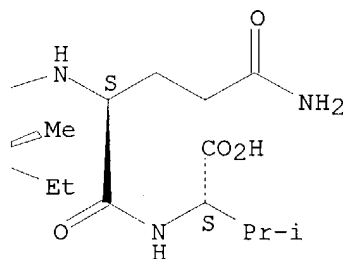
PAGE 1-A



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L46 ANSWER 24 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:639394 CAPLUS

DOCUMENT NUMBER: 125:301576

TITLE: Stepwise solid phase synthesis of peptaibols using Fmoc-amino acid fluorides

AUTHOR(S): Wenschuh, H.; Carpino, L. A.; Albericio, F.; Krause, E.; Beyermann, M.; Bienert, M.

CORPORATE SOURCE: Institute Molecular Pharmacology, Berlin, D-10315, Germany

SOURCE: Peptides 1994, Proceedings of the European Peptide Symposium, 23rd, Braga, Port., Sept. 4-10, 1994 (1995), Meeting Date 1994, 287-288. Editor(s): Maia, Hernani L. S. ESCOM: Leiden, Neth.

CODEN: 63MBAO

DOCUMENT TYPE: Conference

LANGUAGE: English

AB A report from a symposium on the solid-phase preparation of alamethicin F30, saturnisporin SA III, and trichotoxin A-50 using 9-fluorenylmethoxycarbonylamino acid fluorides.

IT 59588-86-2P, Alamethicin F30 95298-59-2P, Saturnisporin SA III

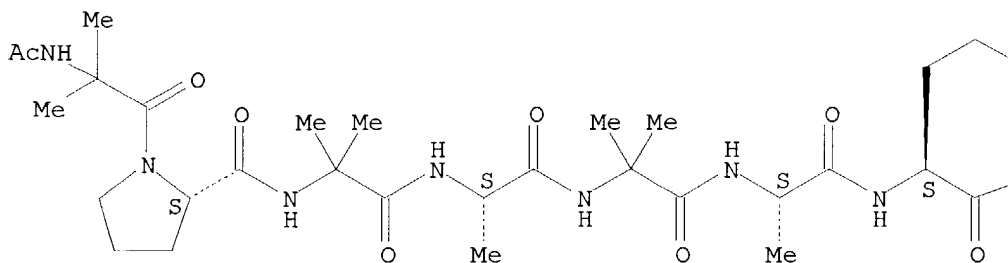
RL: SPN (Synthetic preparation); PREP (Preparation)  
 (stepwise **solid-phase** synthesis of peptaibols using  
 fluorenylmethoxycarbonylamino acid fluorides)

RN 59588-86-2 CAPLUS

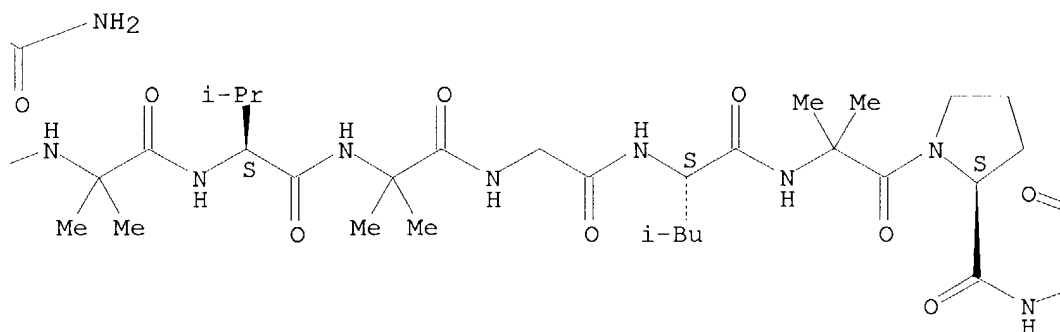
CN Alamethicin I (9CI) (CA INDEX NAME)

Absolute stereochemistry.

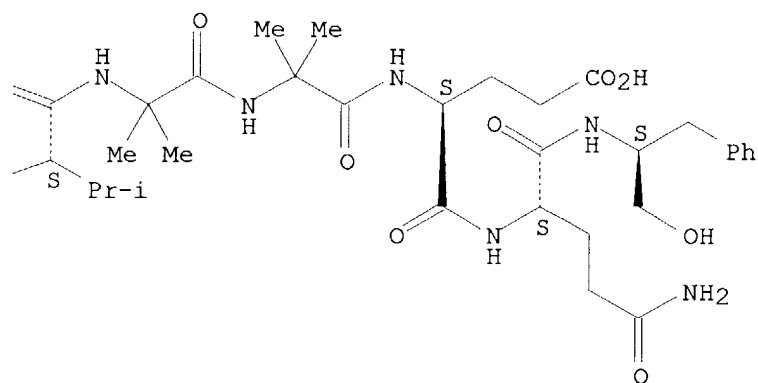
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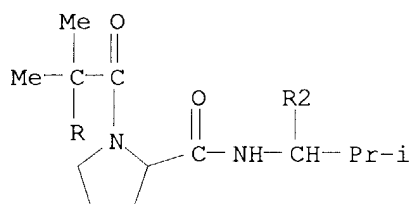


PAGE 1-C

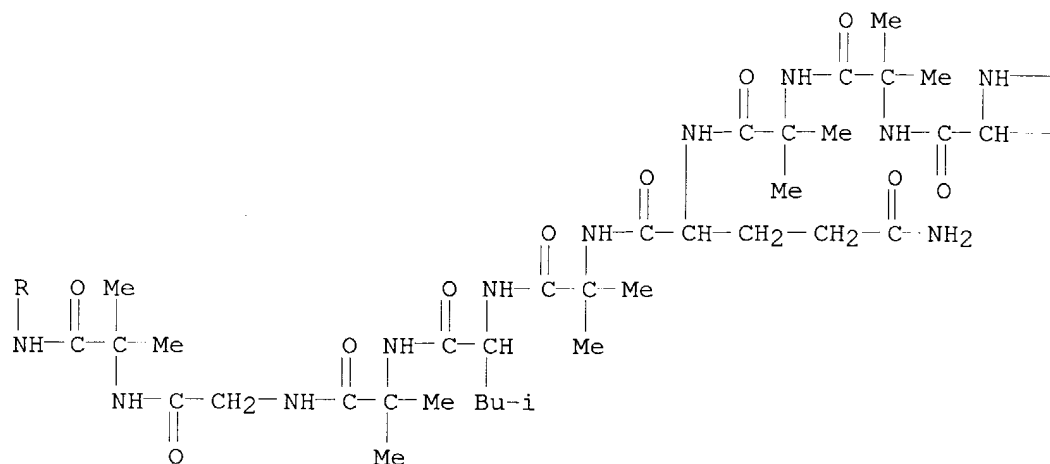


RN 95298-59-2 CAPLUS  
 CN Saturnisporin SA III (9CI) (CA INDEX NAME)

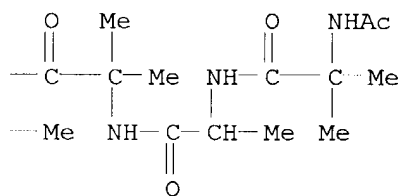
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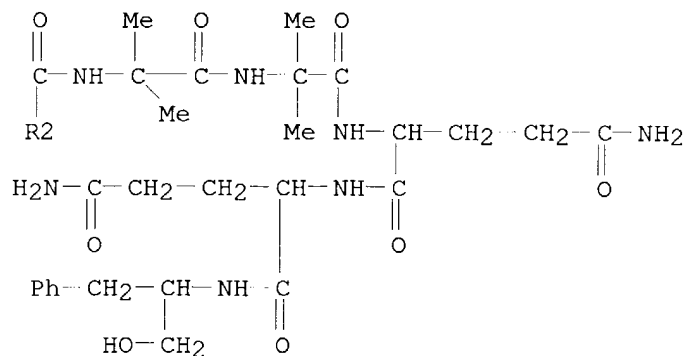
PAGE 2-A



PAGE 2-B



PAGE 3-A



L46 ANSWER 25 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:610347 CAPLUS

DOCUMENT NUMBER: 123:228888

TITLE: Evaluation of two new coupling agents for incorporation of  $\alpha,\alpha$ -dialkylamino acids, such as  $\alpha$ -methylalanine, in solid-phase peptide



synthesis  
 AUTHOR(S): Sapia, Alan C.; Slomczynska, Urszula; Marshall, Garland R.  
 CORPORATE SOURCE: Dep. Mol. Biol. Pharmacol., Washington Univ. School Medicine, St. Louis, MO, 63110, USA  
 SOURCE: Letters in Peptide Science (1995), 1(6), 283-90  
 CODEN: LPSCEM; ISSN: 0929-5666  
 PUBLISHER: ESCOM  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

AB The introduction of solid-phase peptide synthesis (SPPS) has greatly facilitated the preparation of peptides containing proteinaceous amino acids. Less

common, sterically hindered  $\alpha,\alpha$ -dialkylamino acids, such as  $\alpha$ -methylalanine (MeA, aminoisobutyric acid, Aib), have proven a synthetic challenge for incorporation by this approach, especially when present in contiguous sequences. Solution protocols, utilizing highly reactive intermediates such as oxazolones, are generally used during the preparation of peptaibol antibiotics such as alamethicin, emerimicin, etc. which contain such contiguous sequences. Two recently developed coupling strategies, O-(7-azabenzotriazol-1-yl)-1,1,3,3-tetramethyluronium hexafluorophosphate (HATU) and 9-fluorenylmethoxycarbonyl (Fmoc)-protected amino acid fluorides allow peptides comprising contiguous sequence of  $\alpha,\alpha$ -dialkylamino acids to be prepared using SPPS. The present study evaluates the relative merits of these two methods on a set of difficult peptides containing oligo-MeA sequences.

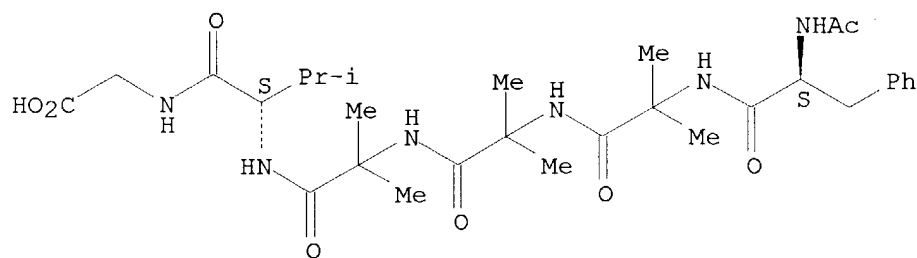
IT 141345-83-7P 168431-99-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (evaluation of two new coupling agents for incorporation of  $\alpha$ -methylalanine in **solid-phase** peptide synthesis)

RN 141345-83-7 CAPLUS

CN Glycine, N-[N-[N-[N-[N-(N-acetyl-L-phenylalanyl)-2-methylalanyl]-2-methylalanyl]-2-methylalanyl]-L-valyl]- (9CI) (CA INDEX NAME)

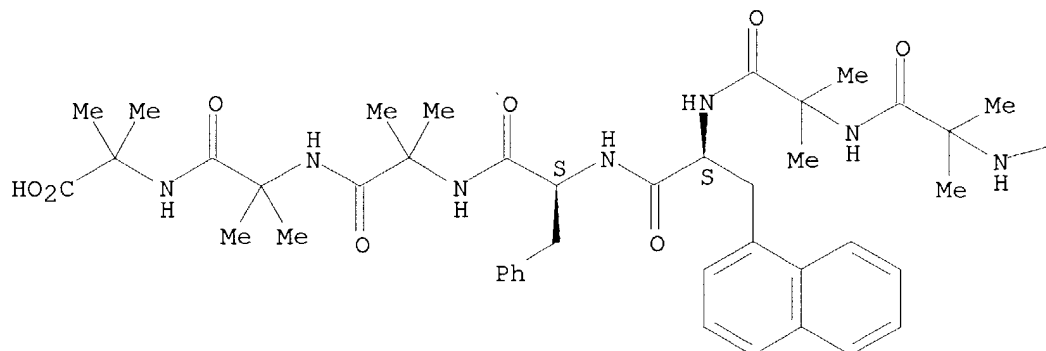
Absolute stereochemistry.



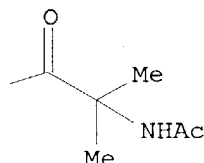
RN 168431-99-0 CAPLUS

CN Alanine, N-[N-[N-[N-[N-[N-(N-acetyl-2-methylalanyl)-2-methylalanyl]-2-methylalanyl]-3-(1-naphthalenyl)-L-alanyl]-L-phenylalanyl]-2-methylalanyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-B



AB The authors have examined the sequence dependence of aspartimide formation during 9-fluorenylmethoxycarbonyl (Fmoc)-based solid-phase synthesis of the peptide Bz-Val-Lys(Boc)-Asp(OtBu)-X-Tyr(tBu)-Ile-OH [Boc = tert-butoxycarbonyl, tBu = tert-butyl; X = (protected) amino acid residue]. The extent of aspartimide formation and subsequent conversion to the  $\alpha$ - or  $\beta$ -piperidide was characterized and quantitated by anal. reversed-phase HPLC and fast atom bombardment mass spectrometry. Aspartimide formation occurred for X = Arg(Pmc), Asn(Trt), Asp(OtBu), Cys(Acm), Gly, Ser, Thr, and Thr(tBu) (Pmc = 2,2,5,7,8-pentamethylchroman-6-sulfonyl, Trt = trityl, Acm = acetamidomethyl). No single approach was found that could inhibit this side reaction for all sequences. The most effective combinations, in general, for minimization of aspartimide formation were (i) tert-Bu side-chain protection of aspartate, piperidine

for removal of the Fmoc group, and either 1-hydroxybenzotriazole or 2,4-dinitrophenol as an additive to the piperidine solution; or (ii) 1-adamantyl side-chain protection of aspartate and DBU for removal of the Fmoc group.

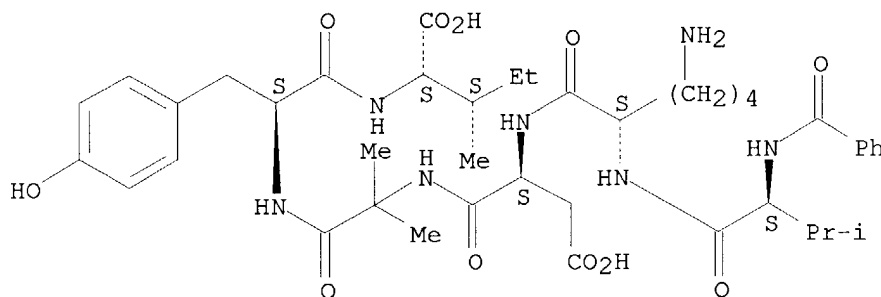
IT **166255-44-3P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(sequence dependence of aspartimide formation during  
fluorenylmethoxycarbonyl **solid-phase** peptide  
synthesis)

RN 166255-44-3 CAPLUS

CN L-Isoleucine, N-[N-[N-[N-[N2-(N-benzoyl-L-valyl)-L-lysyl]-L- $\alpha$ -  
aspartyl]-2-methylalanyl]-L-tyrosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L46 ANSWER 27 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:617258 CAPLUS

DOCUMENT NUMBER: 124:261663

TITLE: Pseudo-prolines ( $\psi$ Pro) for accessing inaccessible peptides

AUTHOR(S): Mutter, M.; Nefzi, A.; Sato, T.; Sun, X.; Wahl, F.; Wuhr, T.

CORPORATE SOURCE: Institute of Organic Chemistry, University of Lausanne, Lausanne, Switz.

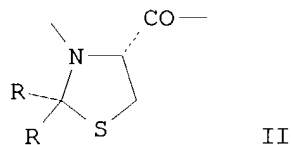
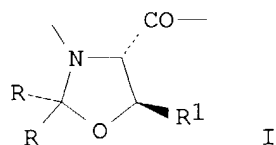
SOURCE: Peptide Research (1995), 8(3), 145-53  
CODEN: PEREEO; ISSN: 1040-5704

PUBLISHER: Eaton

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB Pseudoprolines ( $\psi$ Pro) I (R, R1 = H, Me) and II are introduced as a temporary protection technique for serine, threonine and cysteine side chains in standard 9-fluorenylmethoxycarbonyl (Fmoc)/tert-Bu solid-phase peptide synthesis (SPPS). The incorporation of these novel building blocks into a growing peptide chain proceeds by means of the coupling of

preformed, suitably protected  $\psi$ Pro dipeptides. For the example of representative model peptides used in protein de novo design, the potential of  $\psi$ Pro to solubilize otherwise sparingly or completely insol. peptides is demonstrated. Because of their intrinsic propensity for preventing peptide aggregation and  $\beta$ -sheet formation, pseudoprolines offer new possibilities for accessing large peptides by convergent strategies and chemoselective ligation techniques.

IT **168639-41-6P**

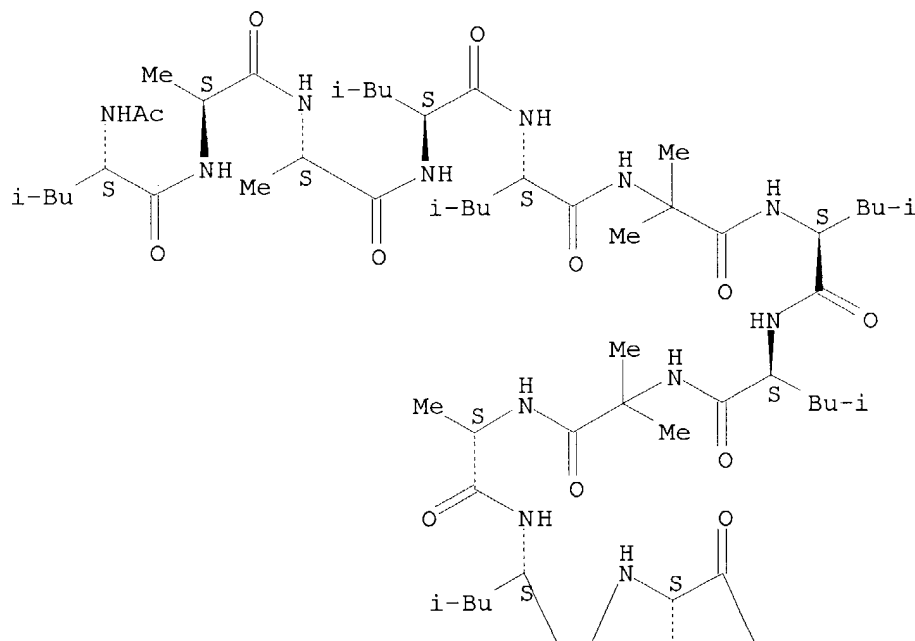
RL: SPN (Synthetic preparation); PREP (Preparation)  
(**solid-phase** synthesis of pseudoproline-containing peptides to inhibit  $\beta$ -sheet aggregation)

RN 168639-41-6 CAPLUS

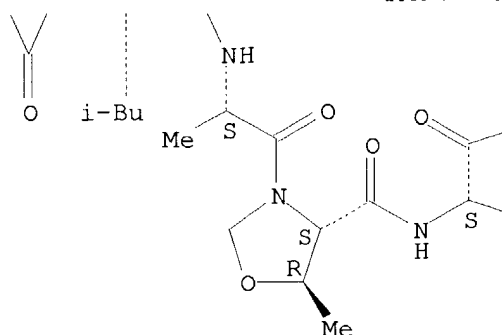
CN L-Alaninamide, N-acetyl-L-leucyl-L-alanyl-L-alanyl-L-leucyl-L-leucyl-2-methylalanyl-L-leucyl-L-leucyl-2-methylalanyl-L-alanyl-L-leucyl-L-leucyl-L-alanyl-(4S,5R)-5-methyl-4-oxazolidinecarbonyl-L-leucyl-2-methylalanyl-L-alanyl-L-leucyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

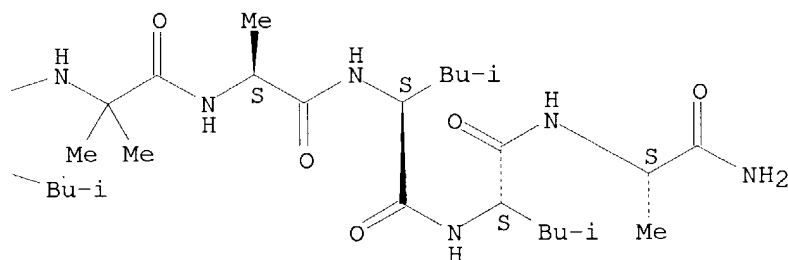
PAGE 1-A



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PAGE 2-B



L46 ANSWER 28 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1994:605981 CAPLUS

DOCUMENT NUMBER: 121:205981

TITLE: Versatile Building Block for the Synthesis of Phosphine-Containing Peptides: The Sulfide of Diphenylphosphinoserine

AUTHOR(S): Gilbertson, Scott R.; Chen, Guohua; McLoughlin, Margaret

CORPORATE SOURCE: Department of Chemistry, Washington University, St. Louis, MO, 63130, USA

SOURCE: Journal of the American Chemical Society (1994), 116(10), 4481-2

CODEN: JACSAT; ISSN: 0002-7863

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 121:205981

AB A new di-Ph phosphine sulfide analog of serine, Fmoc-L-NHCH[CH<sub>2</sub>P(S)Ph<sub>2</sub>]CO<sub>2</sub>H (I, Fmoc = 9-fluorenylmethoxycarbonyl), is reported. I is incorporated in 2 sites of a 12 residue peptide in an i, i+4 relationship. Desulfurization with Raney nickel yields the new of bisphosphine ligand peptide Ac-Ala-Aib-Ala-Pps-Ala-Ala-Val-Pps-Ala-Ala-Aib-Ala-OH [II, Aib =  $\alpha$ -aminoisobutyric acid, Pps = L-NHCH(CH<sub>2</sub>PPh<sub>2</sub>)CO]. Rhodium is then bound to II between the two diphenylphosphine groups.

IT 157879-02-2DP, Rhodium complexes

RL: SPN (Synthetic preparation); PREP (Preparation)

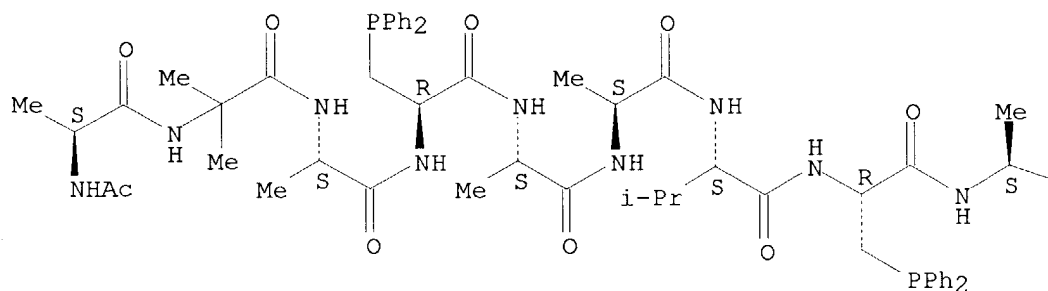
(preparation of, via **solid-phase** peptide synthesis and rhodium complexation)

RN 157879-02-2 CAPLUS

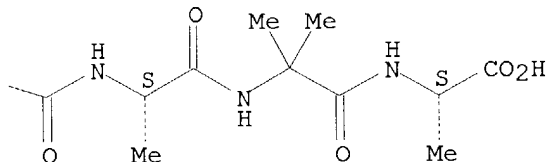
CN L-Alanine, N-[N-[N-[N-[N-[N-[N-[N-[N-[N-(N-acetyl-L-alanyl)-2-methylalanyl]-L-alanyl]-3-(diphenylphosphino)-L-alanyl]-L-alanyl]-L-alanyl]-L-valyl]-3-(diphenylphosphino)-L-alanyl]-L-alanyl]-L-alanyl]-2-methylalanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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L46 ANSWER 29 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1994:681113 CAPLUS

DOCUMENT NUMBER: 121:281113

TITLE: Synthetic oligomers of conformationally restricted peptides as models for membrane channels

AUTHOR(S): Leplawy, M. T.; Kociolek, K.; Slomczynska, U.; Zabrocki, J.; Beusen, D. D.; Marshall, G. R.

CORPORATE SOURCE: Institute of Organic Chemistry, Politechnika, Lodz,  
90-924, Pol.

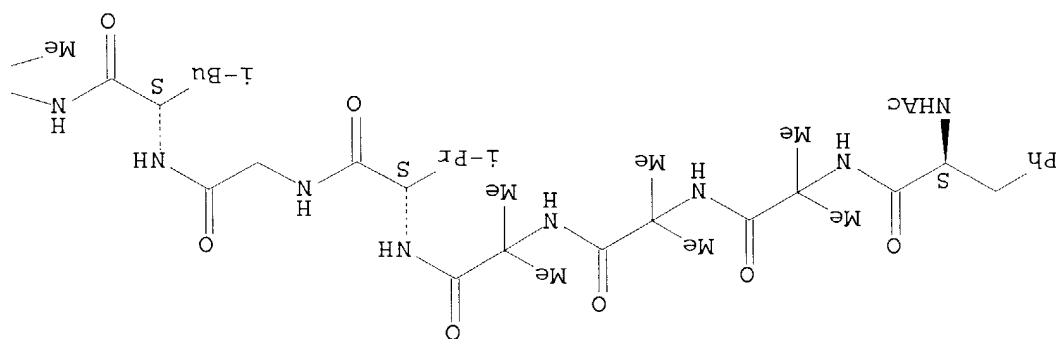
SOURCE: Polish Journal of Chemistry (1994), 68(5), 969-74

CODEN: PJCHDQ; ISSN: 0137-5083

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Helical peptaibols exhibit voltage dependence and form single-channel, multi-level conductance states in planar lipid bilayer. These are attributed to aggregation of helical peptaibol monomers to form pores with different conductance states reflecting different nos. of monomers in the pore. To gain better understanding of the mol. origin of

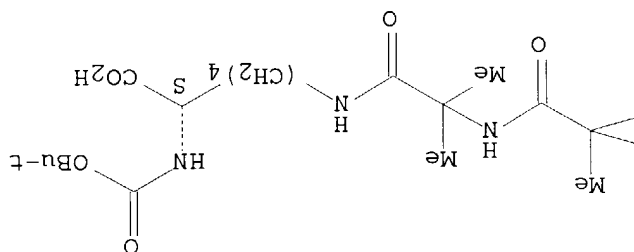


PAGE 1-A

Absolute stereochemistry.

[illegible]

voltage-dependent conductance, it would be reasonable to attempt to model aggregates of pentabiol monomers as fairly well defined dimers, trimers, tetramers, etc. The authors' effort to synthesize an aggregate of defined structure is represented by the tetramer of 1-9 emericin. The authors used synthetic approach similar to TASP (Template Assembled Synthetic Proteins). Boc-Lys(1-9-emicin)-OH was used in solid-phase synthesis for stepwise assembly of covalently bound 41 residue tetramer with the simultaneous formation of the tetralysine template part as a mouth of the channel. This strategy is more conducive to controlling aggregate size and to monitoring purity. Further advantage is the possibility to obtain dimer, trimer, tetramer, etc. in one synthetic run. The strategy is being utilized for the synthesis of covalently bound oligomers of alamethicin as structurally defined models of voltage-gated channels.



158896-20-9DP, amide with benzhydrylamine resin  
 RL: SPN (Synthetic preparation); PREP (Preparation)

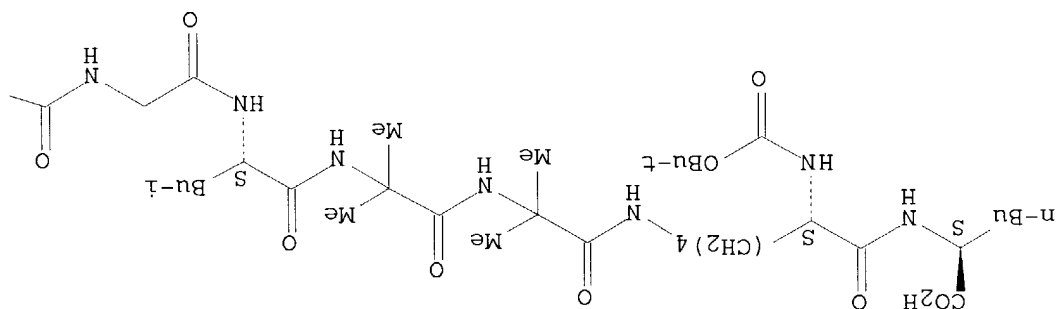
(preparation of, as intermediate in solid-phase synthesis of covalently-bound emerimycin nonapeptide tetramer)

158896-20-9 CAPTUS

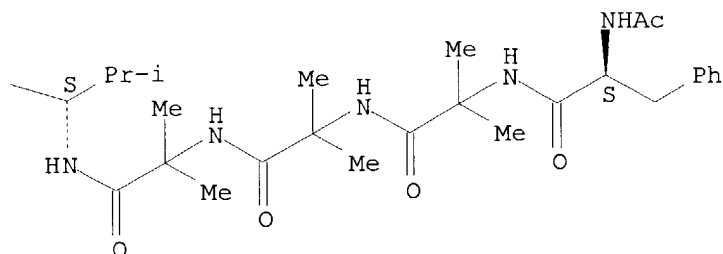
L-Norleucine, N-[N6-N[N-N[N-N[N-N[N-N[N-N-(N-acetyl-L-phenylalanyl)-2-methylalanyl]-2-methylalanyl][glycyl]-L-leucyl]-2-methylalanyl]-(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A







L46 ANSWER 30 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:547185 CAPLUS

DOCUMENT NUMBER: 123:257328

TITLE: Incorporation of aib residues in SPPS by Fmoc-Aib fluoride: A comparison of coupling methods

AUTHOR(S): Wenshuh, Holger; Beyermann, Michael; Krause, Eberhard; Carpino, Louis A.; Bienert, Michael

CORPORATE SOURCE: Institute Molecular Pharmacology, Berlin, D-10315, Germany

SOURCE: Innovation Perspect. Solid Phase Synth. Collect. Pap., Int. Symp., 3rd (1994), Meeting Date 1993, 697-700. Editor(s): Epton, Roger. Mayflower Worldwide Ltd.: Birmingham, UK. CODEN: 61DRAD

DOCUMENT TYPE: Conference

LANGUAGE: English

AB A symposium report. Amino acid fluorides, UNCAs and PyBroP (bromotrispyrrolidinophosphonium hexafluorophosphate) activation have been used for comparative solid phase syntheses of the Aib-rich, difficult sequence alamethicin-acid. The marked differences observed are presumably related to the higher coupling efficiency of Fmoc-Aib-F, due to the small size of the fluoride leaving group.

IT 160885-95-0P

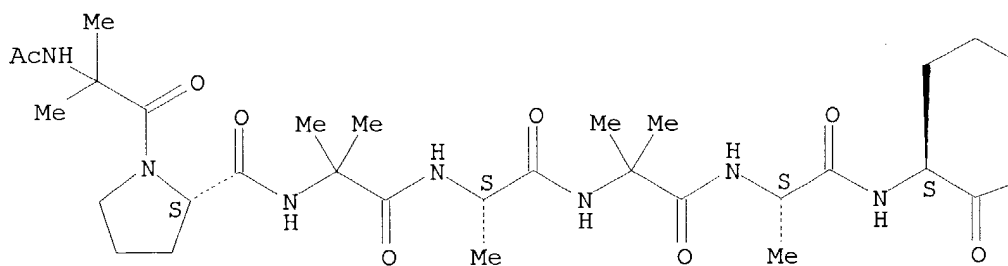
RL: SPN (Synthetic preparation); PREP (Preparation)  
(solid phase synthesis of alamethicin acid)

RN 160885-95-0 CAPLUS

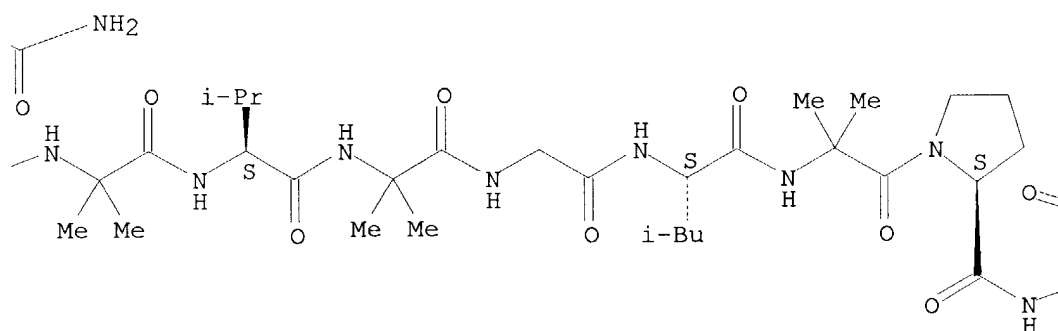
CN Alamethicin I, 19-L-glutamine-19a-L-phenylalanine- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

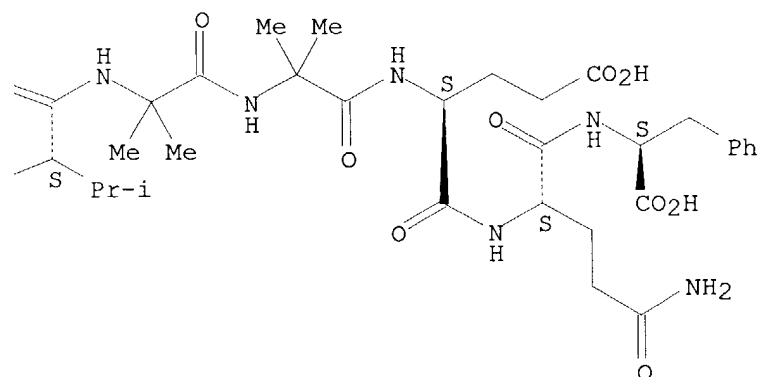
PAGE 1-A



PAGE 1-B

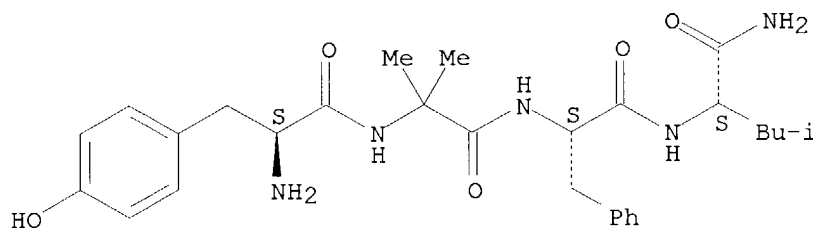


PAGE 1-C



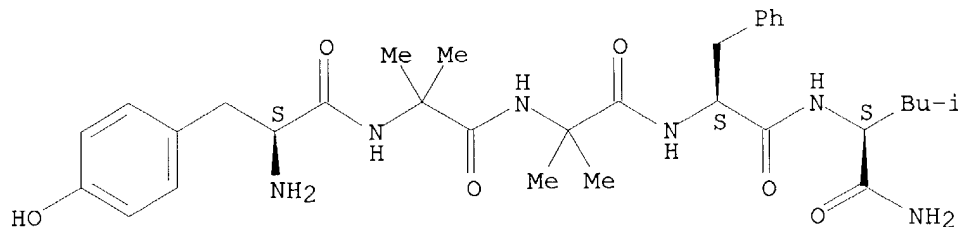
ACCESSION NUMBER: 1994:457947 CAPLUS  
 DOCUMENT NUMBER: 121:57947  
 TITLE: Advantageous applications of azabenzotriazole  
 (triazolopyridine)-based coupling reagents to  
 solid-phase peptide synthesis  
 AUTHOR(S): Carpino, Louis; El-Faham, Ayman; Minor, Charles A.;  
 Albericio, Fernando  
 CORPORATE SOURCE: Dep. Chem., Univ. Massachusetts, Amherst, MA, 01003,  
 USA  
 SOURCE: Journal of the Chemical Society, Chemical  
 Communications (1994), (2), 201-3  
 CODEN: JCCCAT; ISSN: 0022-4936  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB 1-Hydroxy-7-azabenzotriazole (HOAt) and its corresponding uronium and  
 phosphonium salts are shown to be superior to their benzotriazole analogs  
 in solid-phase peptides synthesis, thereby making possible the automated  
 synthesis of peptides containing hindered amino acids.  
 IT **83934-17-2P**  
 RL: SPN (Synthetic preparation); FORM (Formation, nonpreparative); PREP  
 (Preparation)  
 (formation of, in **solid-phase** preparation of  
 bis(aminoisobutyric acid) peptide derivative)  
 RN 83934-17-2 CAPLUS  
 CN L-Leucinamide, L-tyrosyl-2-methylalanyl-L-phenylalanyl- (9CI) (CA INDEX  
 NAME)

Absolute stereochemistry.



IT **95852-71-4P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, via **solid-phase** methods, with  
 azabenzotriazole-based coupling agents)  
 RN 95852-71-4 CAPLUS  
 CN L-Leucinamide, L-tyrosyl-2-methylalanyl-2-methylalanyl-L-phenylalanyl-  
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L46 ANSWER 32 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1993:603824 CAPLUS

DOCUMENT NUMBER: 119:203824

TITLE: Efficient solid phase assembly of peptides bearing contiguous highly hindered Aib residues via Fmoc Aib fluoride

AUTHOR(S): Wenschuh, Holger; Beyermann, Michael; Krause, Eberhard; Carpino, Louis A.; Bienert, Michael

CORPORATE SOURCE: Inst. Mol. Pharmacol., Berlin, D-1136, Germany

SOURCE: Tetrahedron Letters (1993), 34(23), 3733-6

CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Fmoc-Aib-F (Fmoc = 9-fluorenylmethoxycarbonyl; Aib =  $\alpha$ -aminoisobutyric acid) shows superior efficiency for the introduction of Aib residues into a model peptide containing 4 adjacent Aib units in comparison with sym. anhydrides, urethane-protected N-carboxyanhydrides (UNCA's), and activation by bromotrispyrrolidinophosphonium hexafluorophosphate (PyBroP). The Aib-rich sequence alamethicin acid has also been synthesized via Fmoc amino acid fluorides.

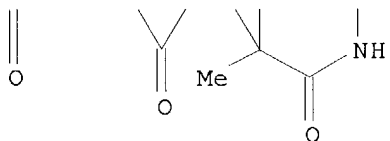
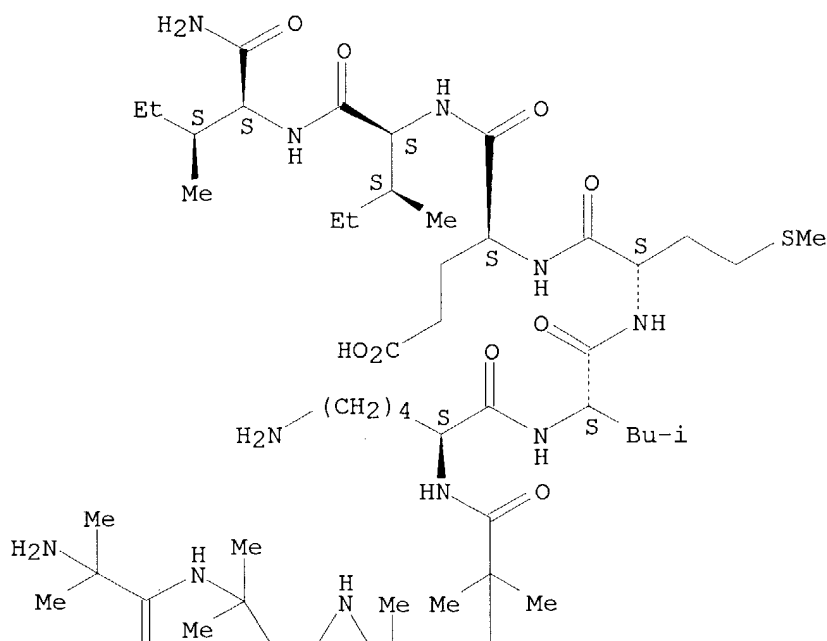
IT 150530-20-4P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, by **solid-phase** methods with  
(fluorenylmethoxycarbonylamino)isobutyric acid derivs., optimization of  
conditions for)

RN 150530-20-4 CAPLUS

CN L-Isoleucinamide, 2-methylalanyl-2-methylalanyl-2-methylalanyl-2-methylalanyl-L-lysyl-L-leucyl-L-methionyl-L- $\alpha$ -glutamyl-L-isoleucyl-  
(9CI) (CA INDEX NAME)

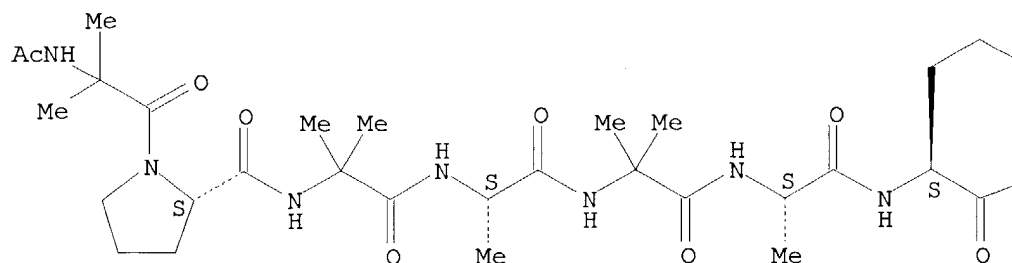
Absolute stereochemistry.



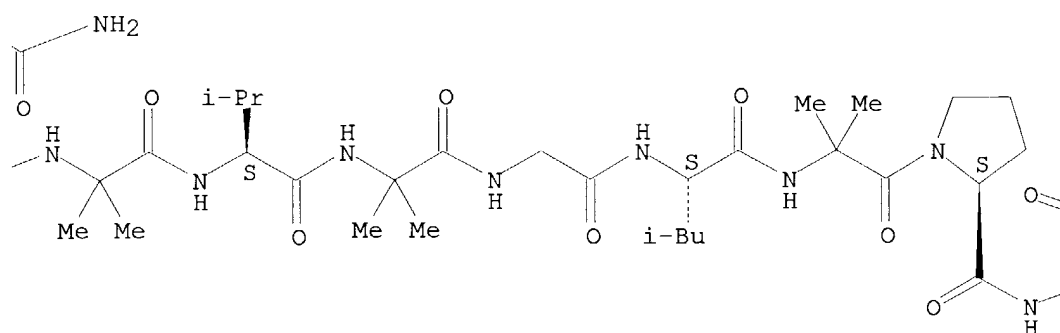
IT **59588-86-2P**, Alamethicin I  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, by **solid-phase** methods with  
 fluorenylmethoxycarbonylamino acid fluorides)  
 RN 59588-86-2 CAPLUS  
 CN Alamethicin I (9CI) (CA INDEX NAME)

Absolute stereochemistry.

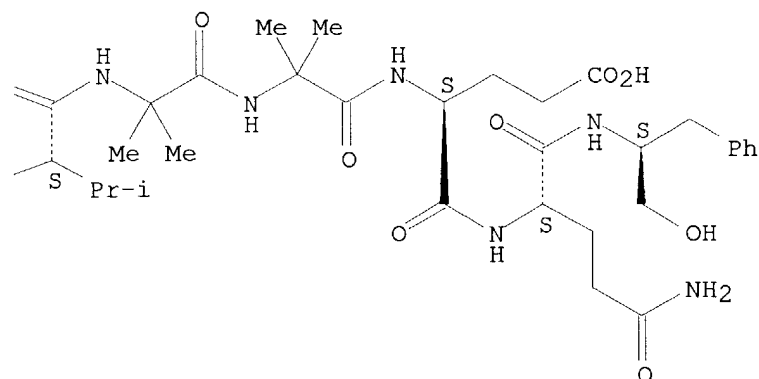
PAGE 1-A



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PAGE 1-C



ACCESSION NUMBER: 1993:496177 CAPLUS  
 DOCUMENT NUMBER: 119:96177  
 TITLE: Preparation of growth hormone releasing factor analogs  
 INVENTOR(S): Rivier, Jean Edouard Frederic; Vale, Wylie Walker, Jr.  
 PATENT ASSIGNEE(S): Salk Institute for Biological Studies, USA  
 SOURCE: Eur. Pat. Appl., 21 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE         |
|---|------|----------|-----------------|--------------|
| EP 514210   | A2   | 19921119 | EP 1992-304437  | 19920515 <-- |
| EP 514210   | A3   | 19930428 |                 |              |
| EP 514210   | B1   | 19991215 |                 |              |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE |      |          |                 |              |
| US 5262519  | A    | 19931116 | US 1991-701414  | 19910515 <-- |
| IL 101764   | A1   | 19960723 | IL 1992-101764  | 19920501 <-- |
| ZA 9203281  | A    | 19930127 | ZA 1992-3281    | 19920506 <-- |
| CA 2086676  | AA   | 19921116 | CA 1992-2086676 | 19920512 <-- |
| WO 9220710  | A1   | 19921126 | WO 1992-US3965  | 19920512 <-- |
| W: AU, CA, FI, JP, KR, NO   |      |          |                 |              |
| AU 9219963  | A1   | 19921230 | AU 1992-19963   | 19920512 <-- |
| AU 654195   | B2   | 19941027 |                 |              |
| JP 06500575   | T2   | 19940120 | JP 1993-500150  | 19920512 <-- |
| AT 187741   | E    | 20000115 | AT 1992-304437  | 19920515 <-- |
| NO 9300126  | A    | 19930114 | NO 1993-126     | 19930114 <-- |
| PRIORITY APPLN. INFO.:  |      |          | US 1991-701414  | 19910515 <-- |
|   |      |          | WO 1992-US3965  | 19920512 <-- |

OTHER SOURCE(S): MARPAT 119:96177

AB Peptides, especially

R1-X1-Ala-Asp-Ala-Ile-Phe-Thr-X8-X9-Tyr-Arg-Lys-Val-Leu-X15-

X16-Leu-Ser-Ala-Arg-Lys-X22-Leu-X24-X25-Ile-Nle-X28-Arg-NHR29 (I; R1 = H, Me; X1 = Tyr, D-Tyr, Phe, D-Phe, His, D-His; X8 = Ala, Aib, Asn; X9 = Ala, Ser; X15 = Gly, Ala; X16 = Ala, Aib, Gln; X22 = Ala, Leu; X24 = Ala, Aib, Gln; X25 = Ala, Aib, Asp; X28 = Ser, Asn; R29 = H, lower alkyl; Aib =  $\alpha$ -aminoisobutyric acid), or pharmaceutically acceptable salts thereof, analogs of human growth hormone releasing factor (1-44) amide, are extremely potent in stimulating the release of pituitary growth hormone in animals, including humans, and also resist enzymic degradation in the body. Thus, I (R1 = Me, X1 = Tyr, X8 = X9 = X15 = X22 = X28 = Ala; X16 = X24 = Gln; X25 = Asp; R29 = H) (II) was prepared by standard solid-phase methods on a com. methoxybenzhydrylamine resin using N $\alpha$ -tert-butoxycarbonyl protection. II showed an in vitro potency of 11.18 + that of human growth hormone releasing factor (1-40).

IT 148033-66-3P 148033-68-5P 148033-69-6P

148033-70-9P 148033-71-0P 148033-72-1P

148033-73-2P 148033-74-3P 148033-75-4P

148033-76-5P 148033-77-6P 148033-78-7P

148033-79-8P 148033-80-1P 148054-95-9P

148054-96-0P 148054-97-1P 149027-98-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of, by **solid-phase** methods, and growth hormone releasing factor activity of)

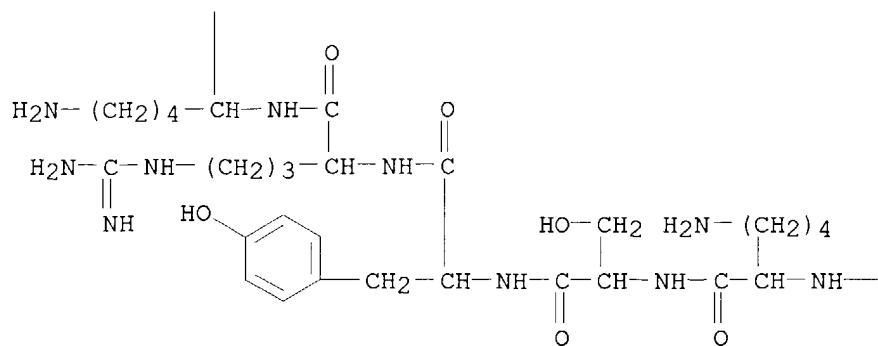
RN 148033-66-3 CAPLUS

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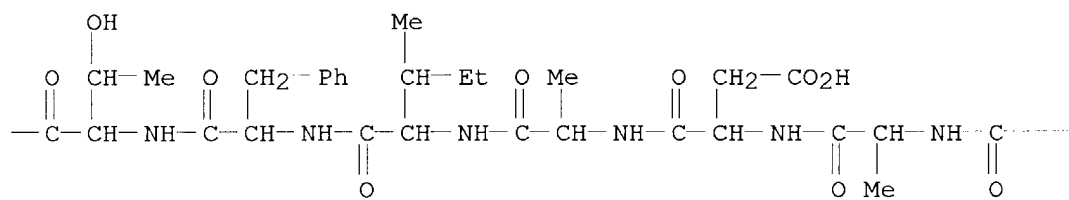
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$$\begin{array}{ccccccc}
 \text{Me} & & \text{O} & & & & \\
 | & & || & & & & \\
 \text{---CH---NH---C} & & & & \text{O} & & \\
 | & & & & || & & \\
 \text{i-Bu---CH---NH---C} & & & & & & \text{O} \\
 & & & & | & & || \\
 & & & & \text{i-Pr---CH---NH---C} & & \\
 & & & & & & |
 \end{array}$$



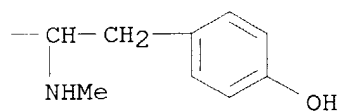
PAGE 2-B

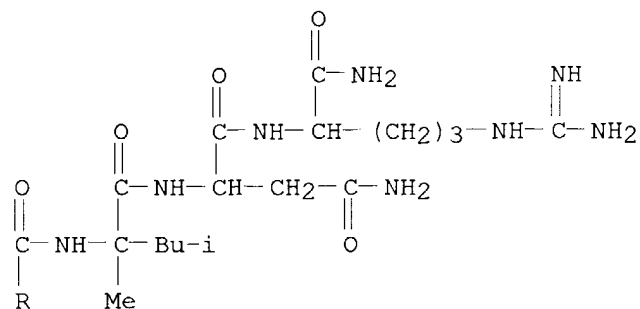


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PAGE 2-D

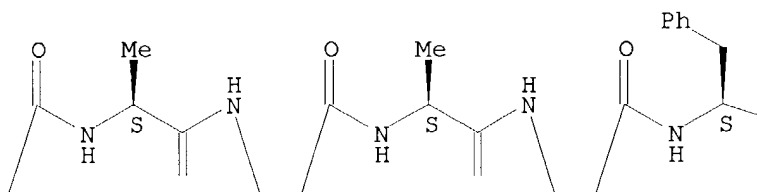
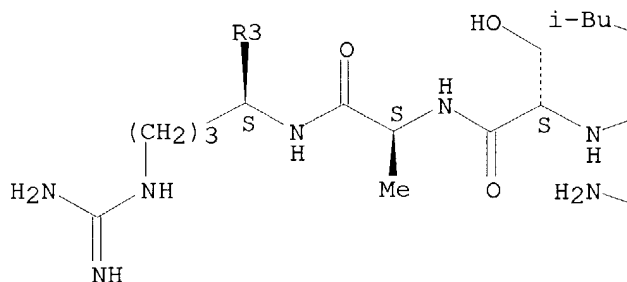


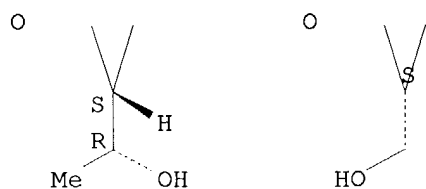
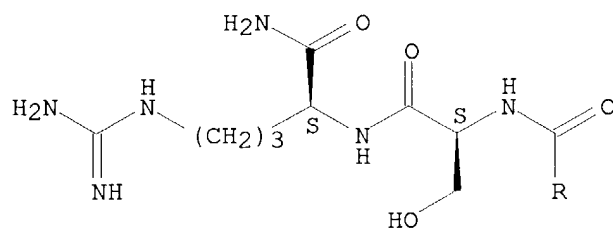
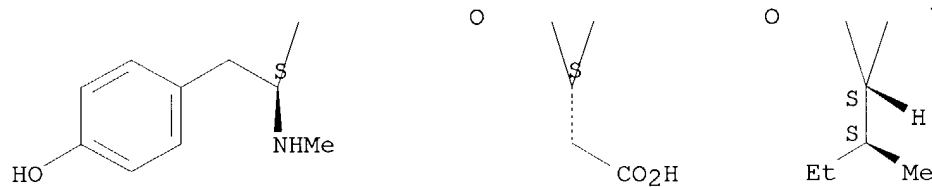
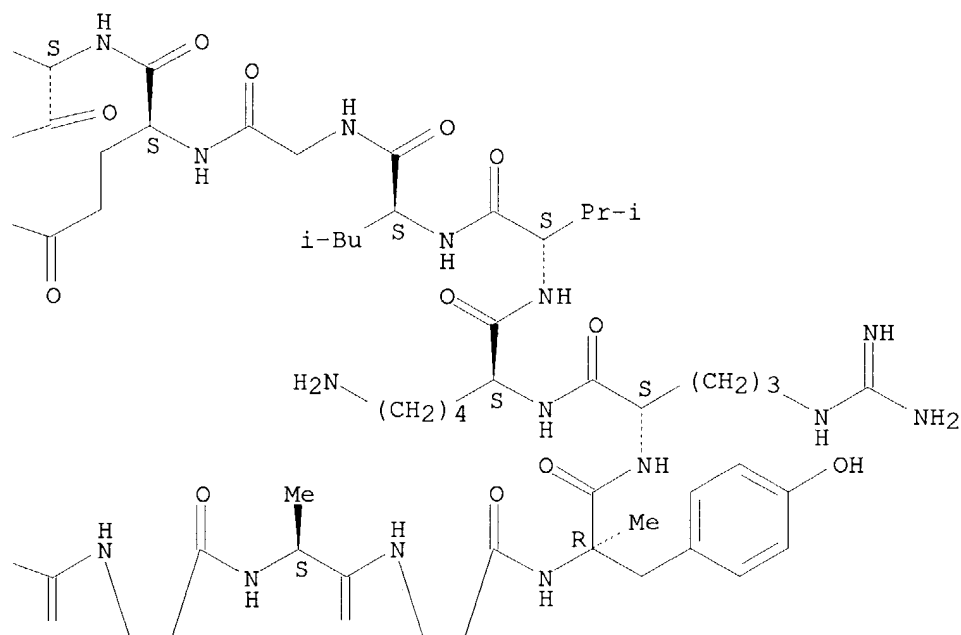


RN 148033-68-5 CAPLUS

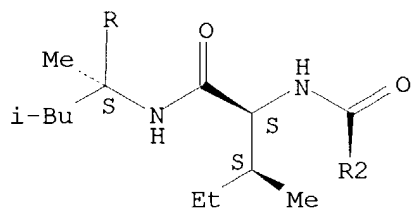
CN Somatoliberin (human pancreatic islet), N-methyl-8-L-alanine-10-( $\alpha$ -methyl-D-tyrosine)-21-D-lysine-27-(2-methyl-L-leucine)-29-L-argininamide-30-de-L-glutamine-31-de-L-glutamine-32-deglycine-33-de-L-glutamic acid-34-de-L-serine-35-de-L-asparagine-36-de-L-glutamine-37-de-L-glutamic acid-38-de-L-arginine-39-deglycine-40-de-L-alanine-41-de-L-arginine-42-de-L-alanine-43-de-L-arginine-44-de-L-leucinamide- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

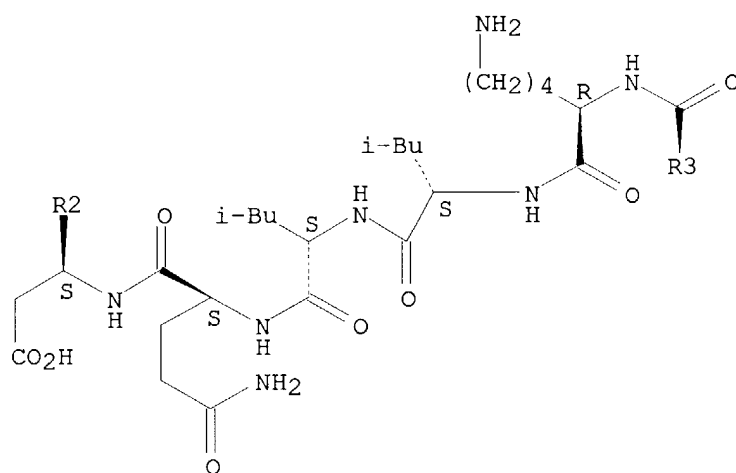




PAGE 3-A



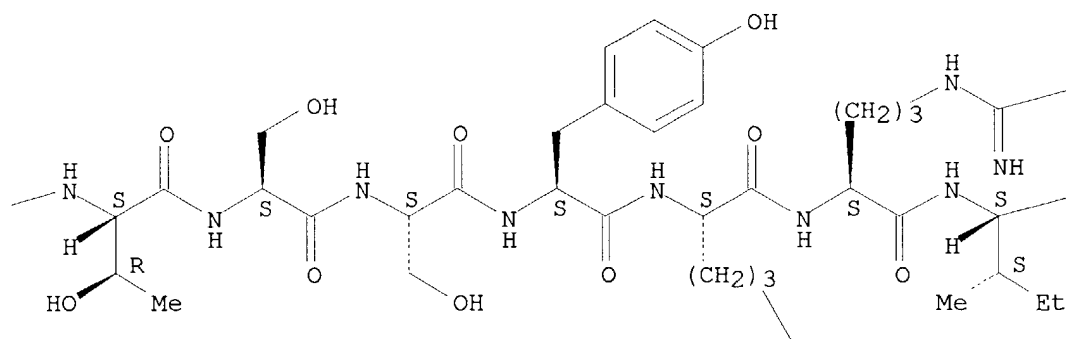
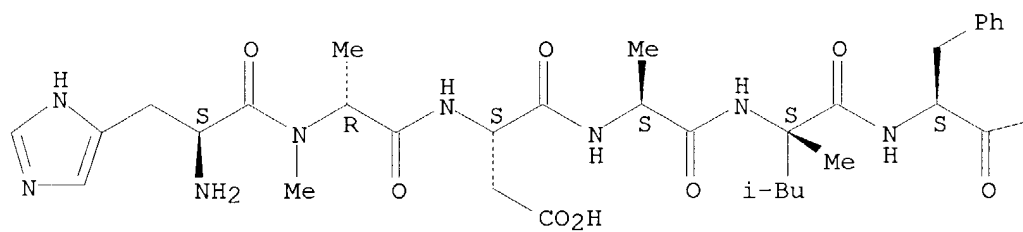
PAGE 4-A

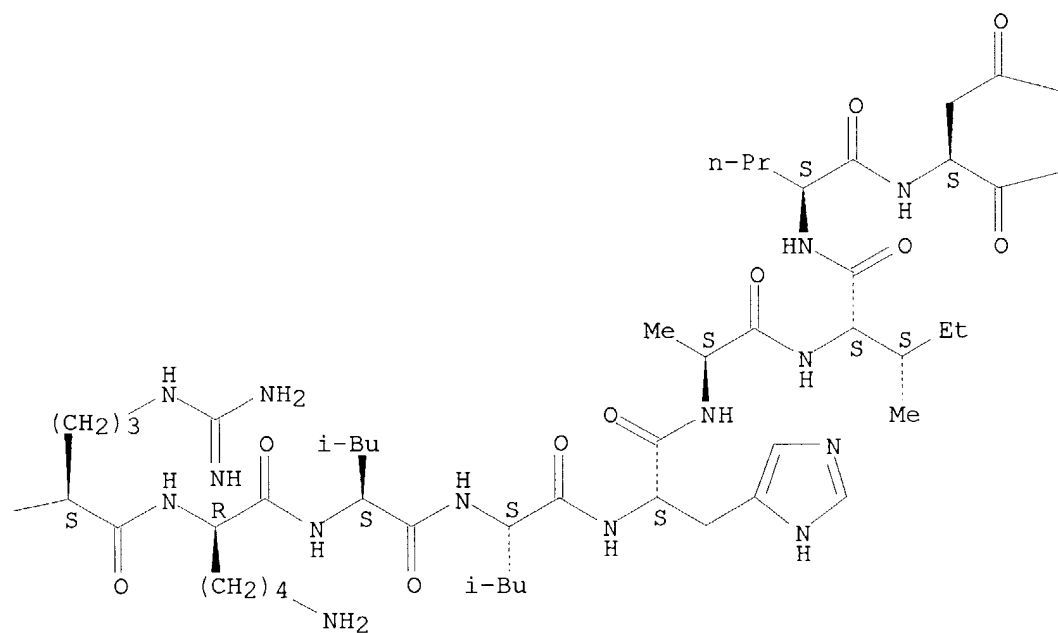
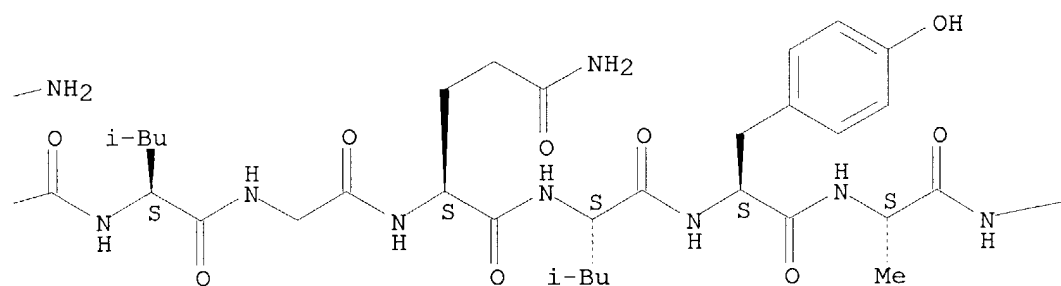


RN 148033-69-6 CAPLUS

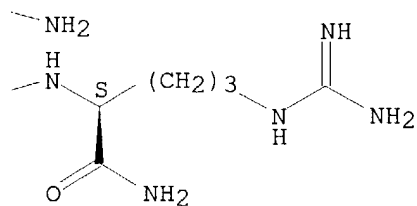
CN L-Argininamide, L-histidyl-N-methyl-D-alanyl-L- $\alpha$ -aspartyl-L-alanyl-2-methyl-L-leucyl-L-phenylalanyl-L-threonyl-L-seryl-L-seryl-L-tyrosyl-L-arginyl-L-arginyl-L-isoleucyl-L-leucylglycyl-L-glutamyl-L-leucyl-L-tyrosyl-L-alanyl-L-arginyl-D-lysyl-L-leucyl-L-leucyl-L-histidyl-L-alanyl-L-isoleucyl-L-norvalyl-L-asparaginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

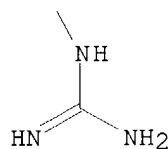




PAGE 1-E



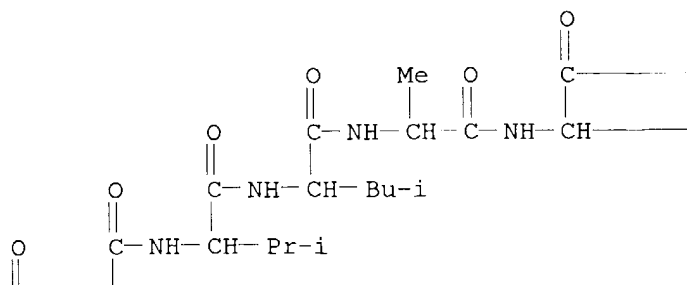
PAGE 2-B



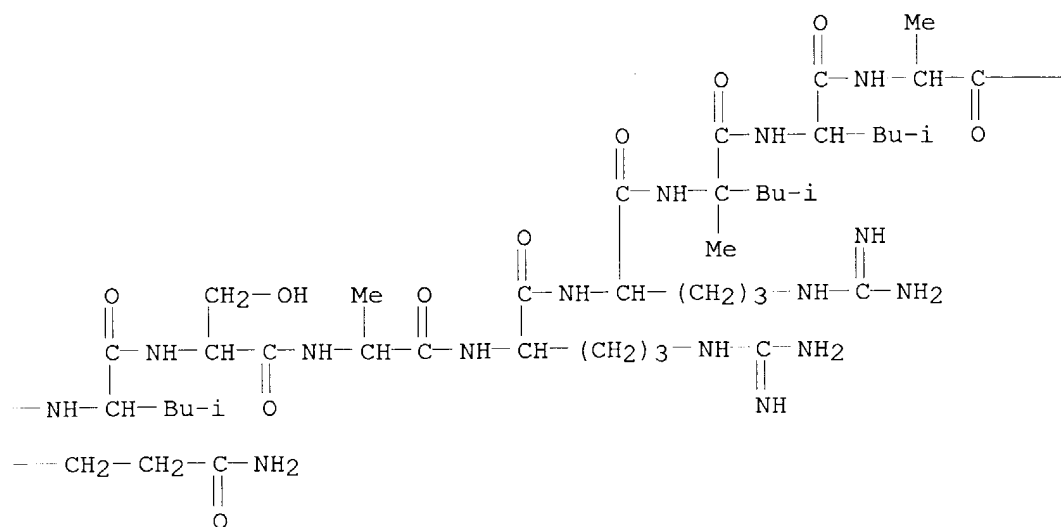
RN 148033-70-9 CAPLUS

CN L-Argininamide, D-tyrosyl-N-methyl-D-alanyl-D- $\alpha$ -aspartyl-L-alanyl-L-isoleucyl-L-phenylalanyl-L-threonyl-L-asparaginyll-L-seryl- $\alpha$ -methyl-D-tyrosyl-L-arginyl-L-lysyl-L-valyl-L-leucyl-L-alanyl-L-glutaminyll-L-leucyl-L-seryl-L-alanyl-L-arginyl-D-arginyl-2-methyl-L-leucyl-L-leucyl-L-alanyl-L- $\alpha$ -aspartyl-L-isoleucyl-D-methionyl-L-seryl- (9CI) (CA INDEX NAME)

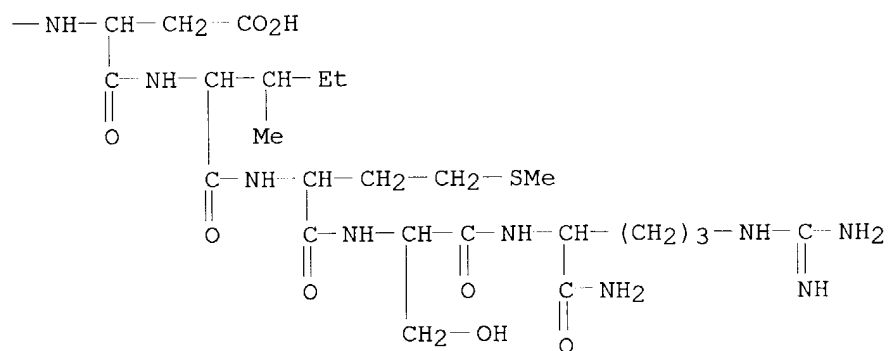
PAGE 1-A



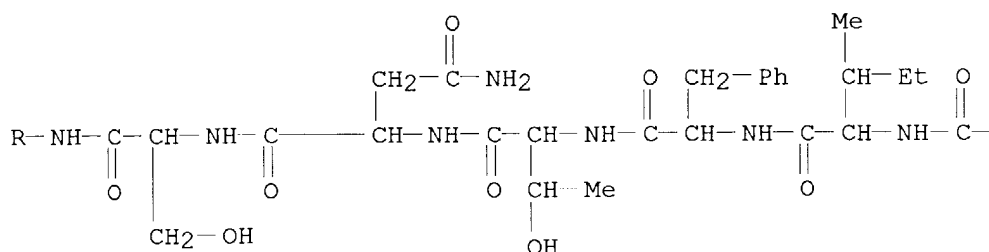
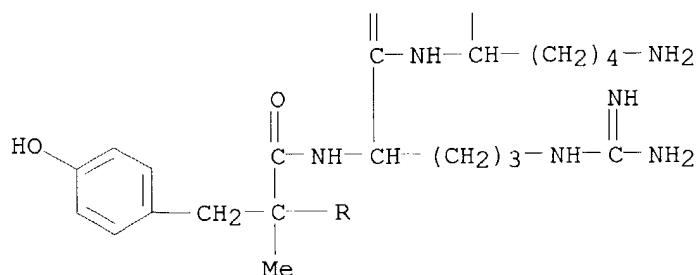
PAGE 1-B



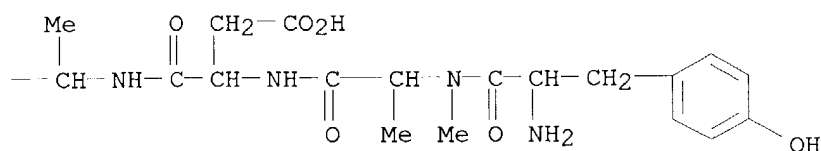
PAGE 1-C





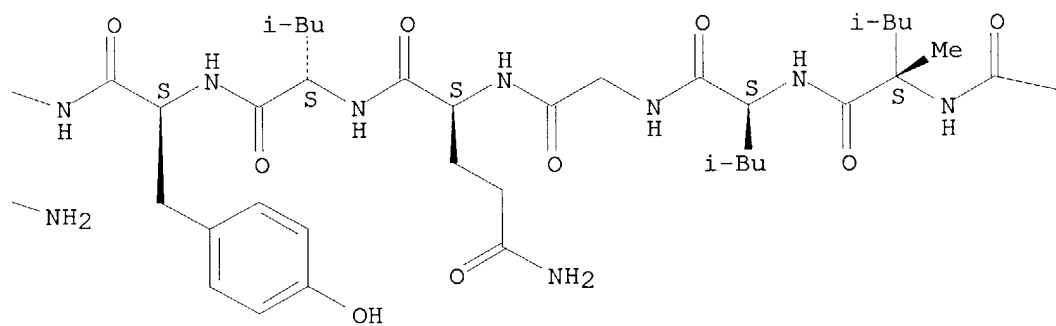
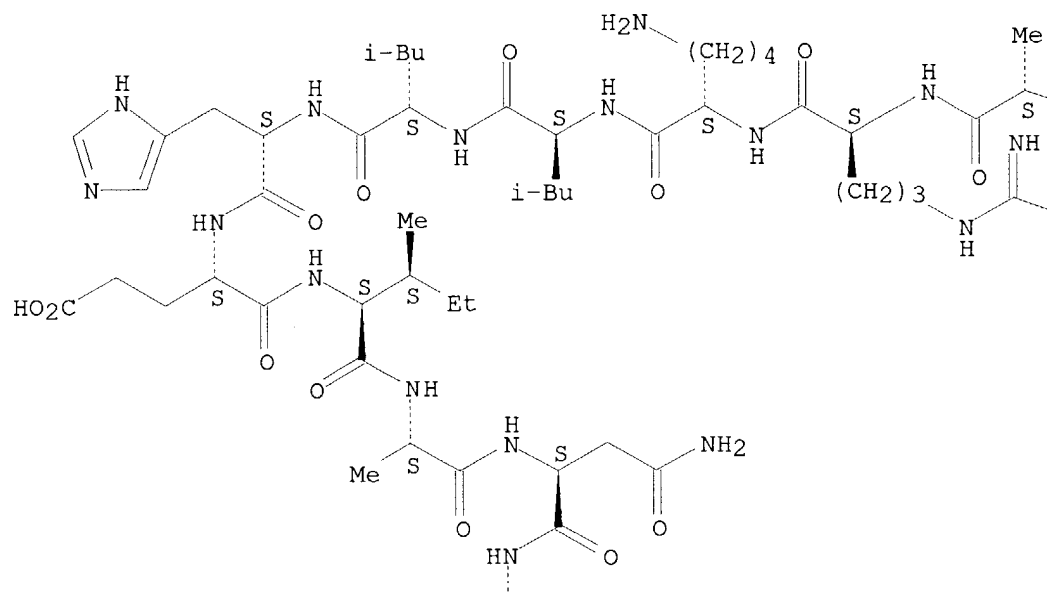


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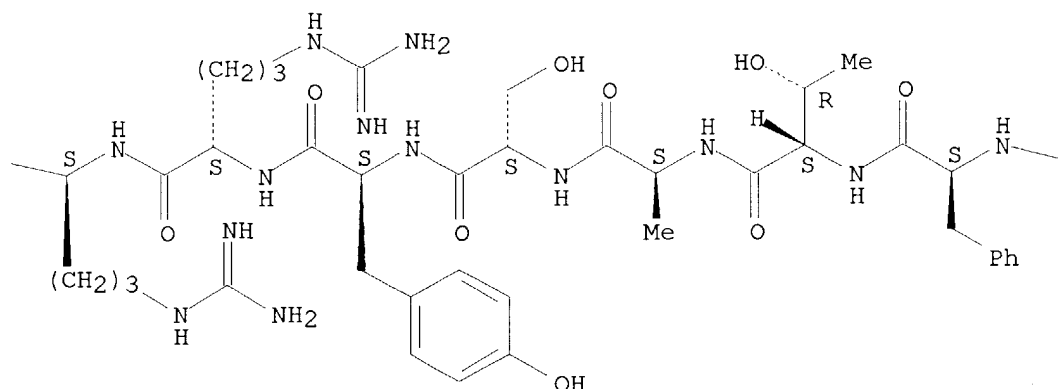


L-Argininamide, D-histidyl-N-methyl-D-alanyl-L- $\alpha$ -aspartyl-L-alanyl-L-  
 isoleucyl-L-phenylalanyl-L-threonyl-L-alanyl-L-seryl-L-tyrosyl-L-arginyl-L-  
 arginyl-2-methyl-L-leucyl-L-leucylglycyl-L-glutaminy-L-leucyl-L-tyrosyl-L-  
 alanyl-L-arginyl-L-lysyl-L-leucyl-L-leucyl-L-histidyl-L- $\alpha$ -glutamyl-L-  
 isoleucyl-L-alanyl-L-asparaginy- (9CI) (CA INDEX NAME)

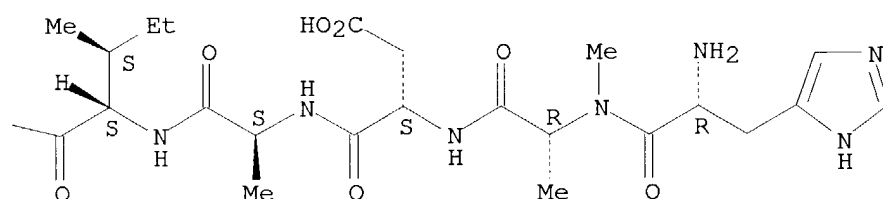
Absolute stereochemistry.



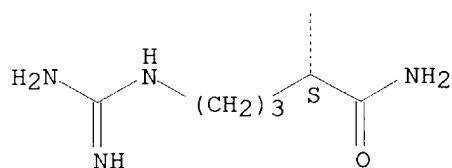
PAGE 1-C



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RN 148033-72-1 CAPLUS

CN L-Argininamide, L-tyrosyl-N-methyl-D-alanyl-L- $\alpha$ -aspartyl-L-alanyl-L-isoleucyl-L-phenylalanyl-L-threonyl-L-asparaginyl-L-seryl- $\alpha$ -methyl-L-tyrosyl-L-arginyl-L-lysyl-3-methyl-L-isovalyl-L-leucylglycyl-L-alanyl-L-leucyl-L-seryl-L-alanyl-L-arginyl-L-lysyl-2-methyl-L-leucyl-L-leucyl-L-glutamyl-L- $\alpha$ -aspartyl-L-isoleucyl-L-norleucyl-L-asparaginyl- (9CI)  
(CA INDEX NAME)

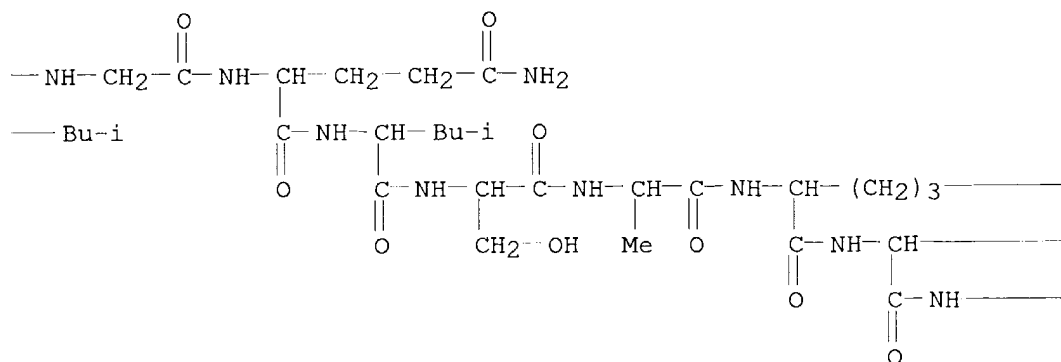
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RN 148033-73-2 CAPLUS

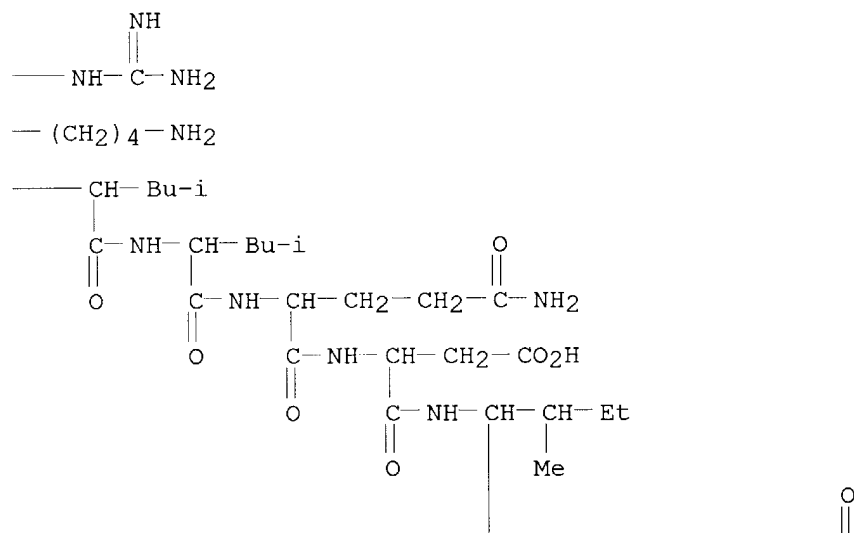
CN Somatoliberin (human pancreatic islet), 1-(N-methyl-L-histidine)-2-(N-methyl-D-alanine)-8-(2-methylalanine)-13-(3-methyl-L-isovaline)-27-L-norleucine-29-L-argininamide-30-de-L-glutamine-31-de-L-glutamine-32-deglycine-33-de-L-glutamic acid-34-de-L-serine-35-de-L-asparagine-36-de-L-glutamine-37-de-L-glutamic acid-38-de-L-arginine-39-deglycine-40-de-L-

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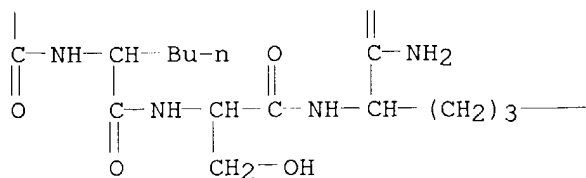
PAGE 1-C

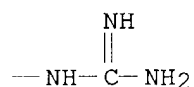


PAGE 1-D



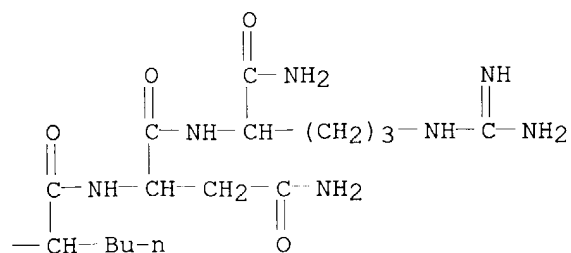
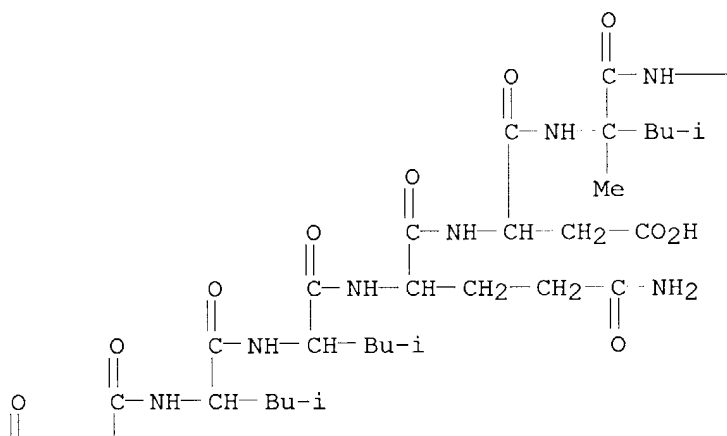
PAGE 2-D



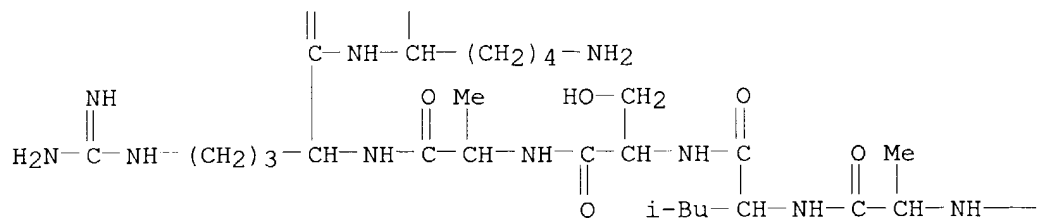


RN 148033-74-3 CAPLUS

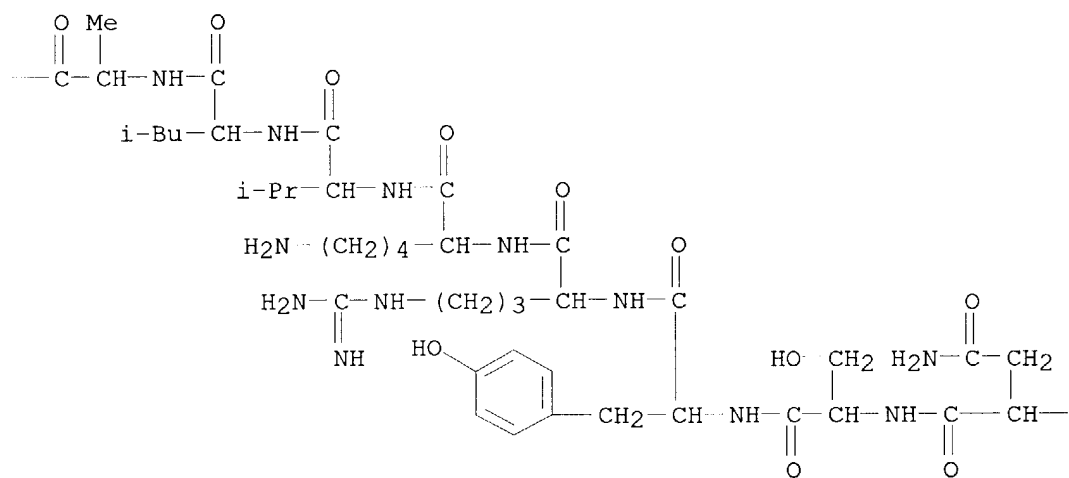
CN L-Argininamide, N-methyl-L-tyrosyl-L-alanyl-L- $\alpha$ -aspartyl-L-alanyl-L-isoleucyl-L-phenylalanyl-L-threonyl-L-asparaginyl-L-seryl-L-tyrosyl-L-arginyl-L-lysyl-L-valyl-L-leucyl-L-alanyl-L-alanyl-L-leucyl-L-seryl-L-alanyl-L-arginyl-L-lysyl-L-leucyl-L-leucyl-L-glutaminyl-L- $\alpha$ -aspartyl-2-methyl-L-leucyl-L-norleucyl-L-asparaginyl- (9CI) (CA INDEX NAME)

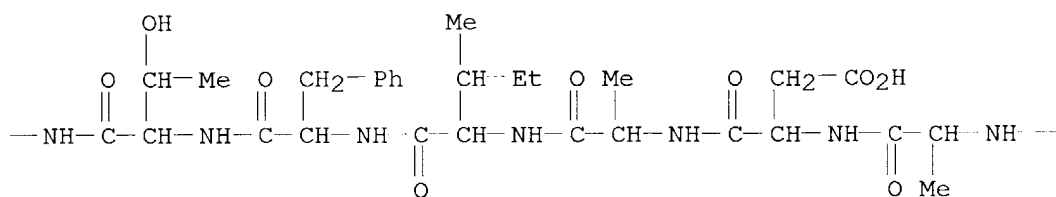


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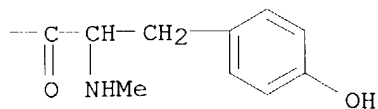


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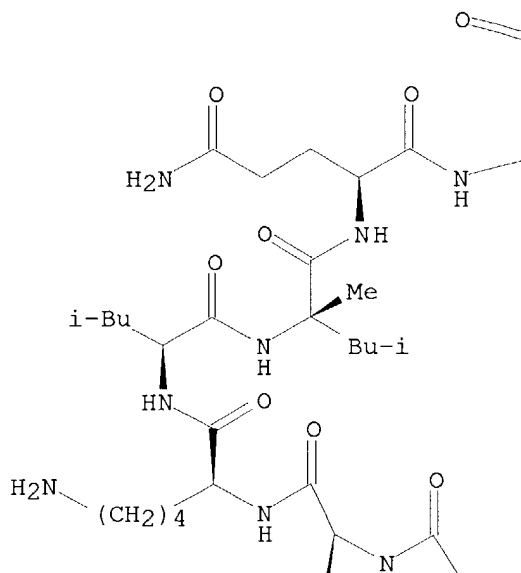
RN 148033-75-4 CAPLUS

|    |  |
|----|--|
| CN | L-Argininamide, N-methyl-L-tyrosyl-L-alanyl-L- $\alpha$ -aspartyl-L-alanyl-L-isoleucyl-L-phenylalanyl-L-threonyl-L-alanyl-L-seryl-L-tyrosyl-L-arginyl-L-lysyl-L-valyl-L-leucyl-L-alanyl-L-glutaminy-L-leucyl-L-seryl-L-alanyl-L-arginyl-L-lysyl-L-leucyl-2-methyl-L-leucyl-L-glutaminy-L- $\alpha$ -aspartyl-L-isoleucyl-L-norleucyl-L-alanyl- (9CI) (CA INDEX NAME) |
|----|--|

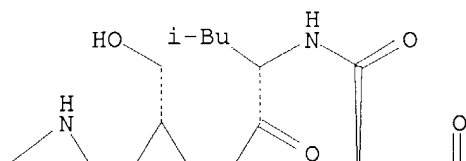
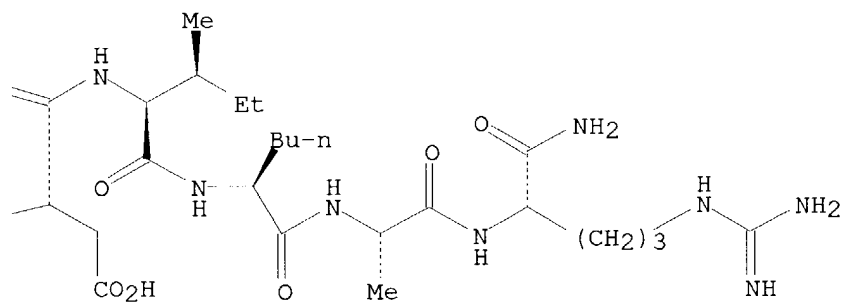


Absolute stereochemistry.

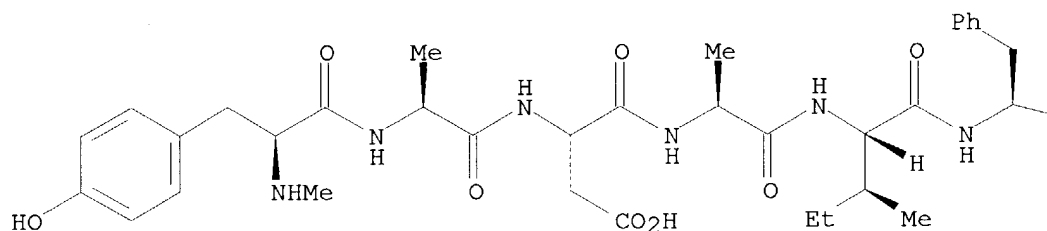
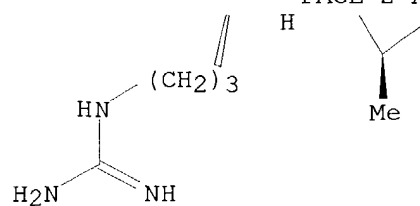
PAGE 1-A



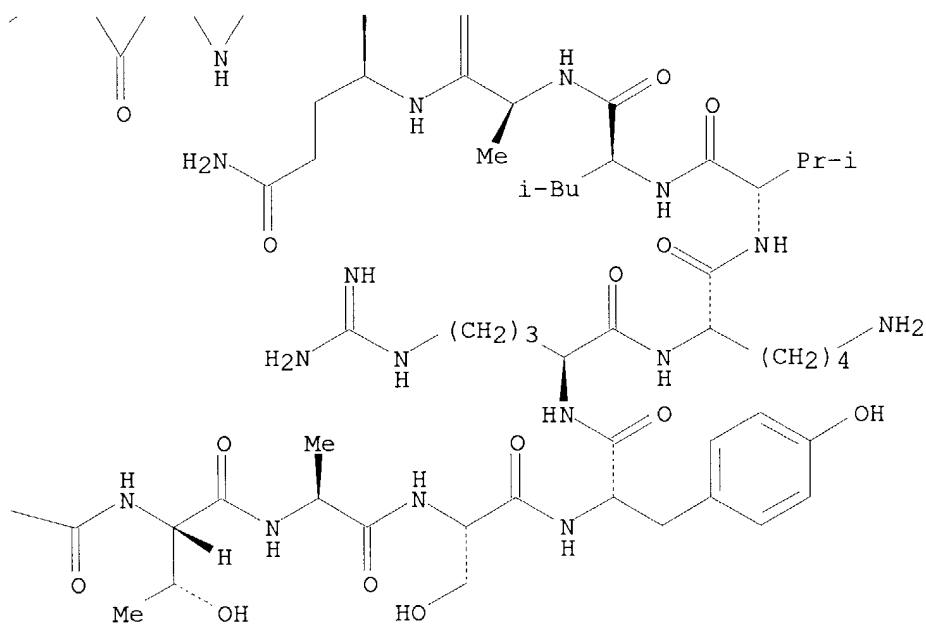
PAGE 1-B



PAGE 2-A



PAGE 2-B

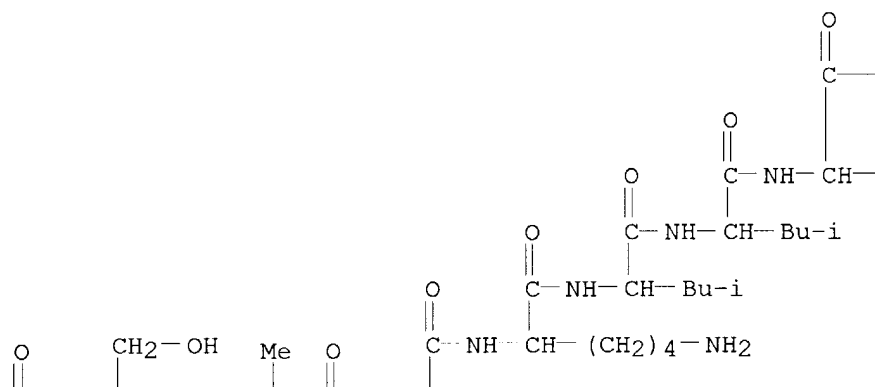


RN 148033-76-5 CAPLUS

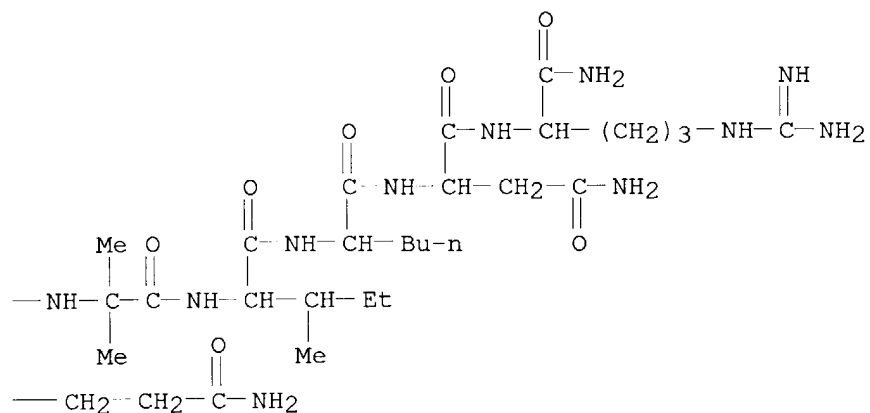
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isoleucyl-L-norleucyl-L-asparaginyl- (9CI) (CA INDEX NAME)

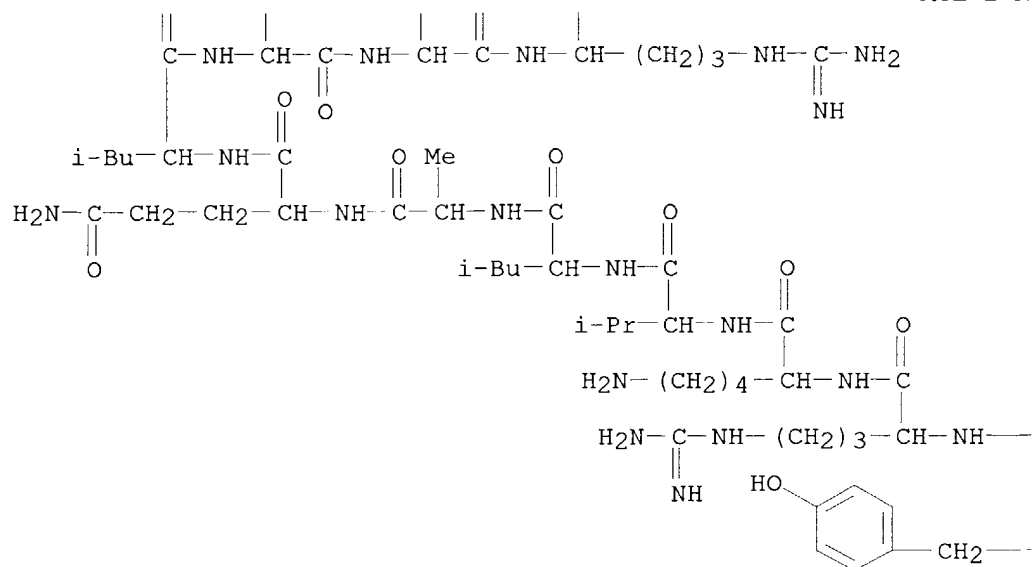
PAGE 1-A



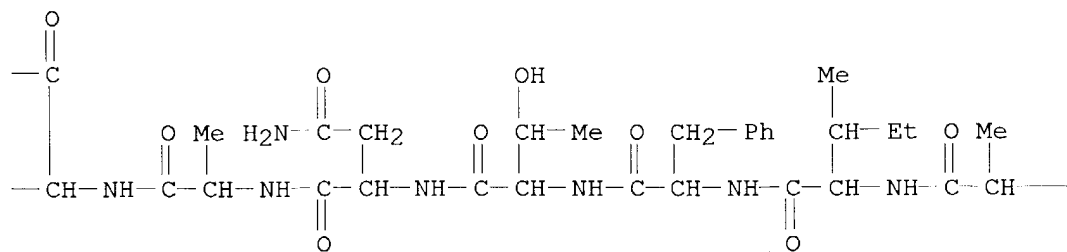
PAGE 1-B

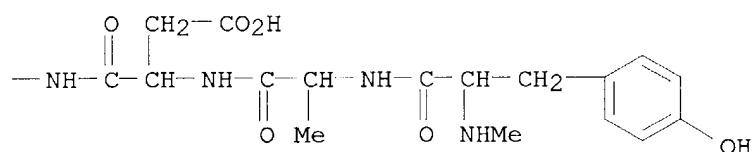


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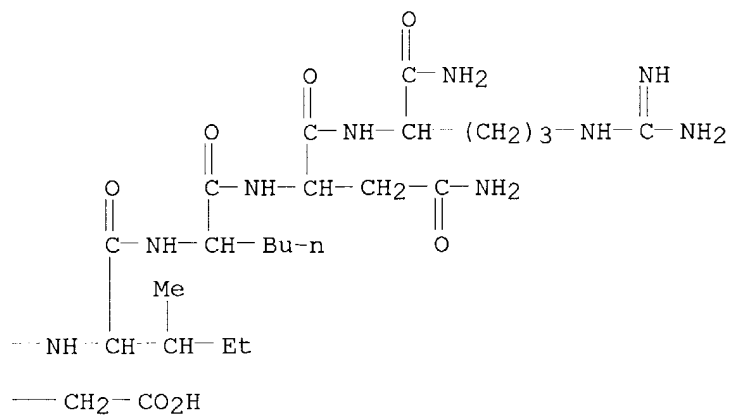


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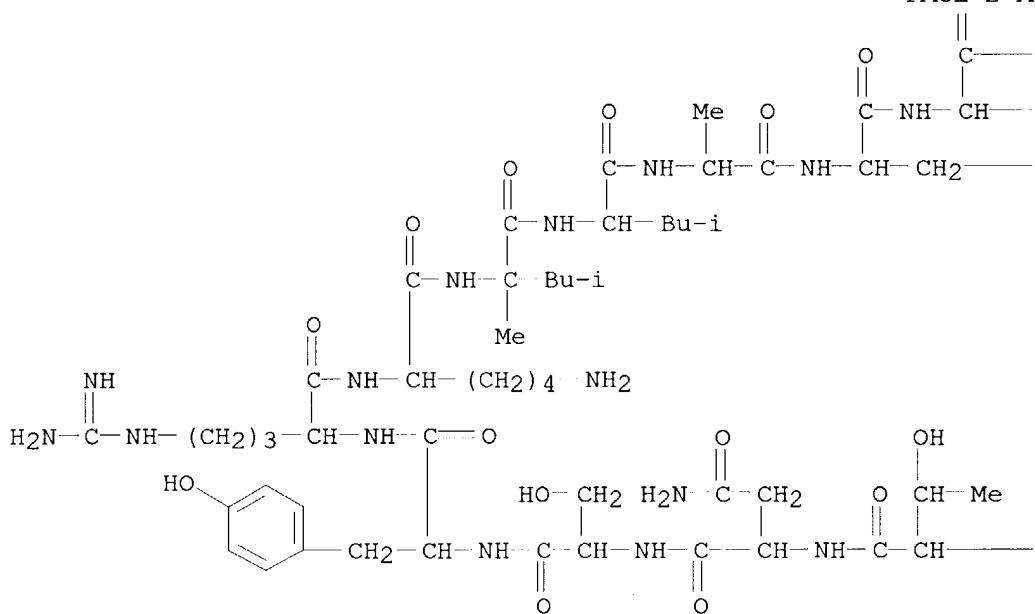
CN L-Argininamide, N-methyl-L-tyrosyl-L-alanyl-L- $\alpha$ -aspartyl-L-alanyl-L-isoleucyl-L-phenylalanyl-L-threonyl-L-asparaginyll-L-seryl-L-tyrosyl-L-arginyl-L-lysyl-L-leucyl-L-leucyl-L-alanyl-L-glutaminyll-L-leucyl-L-seryl-L-alanyl-L-arginyl-L-lysyl-2-methyl-L-leucyl-L-leucyl-L-alanyl-L- $\alpha$ -aspartyl-L-isoleucyl-L-norleucyl-L-asparaginyll- (9CI) (CA INDEX NAME)



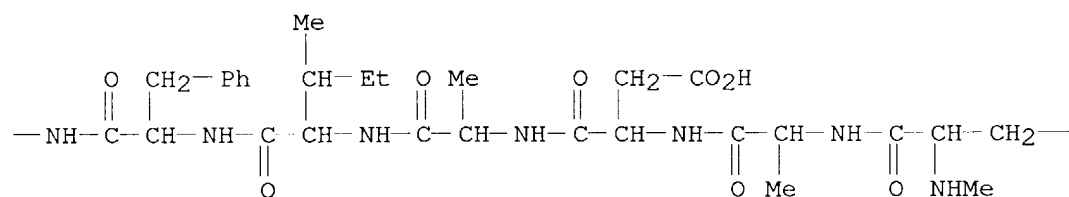
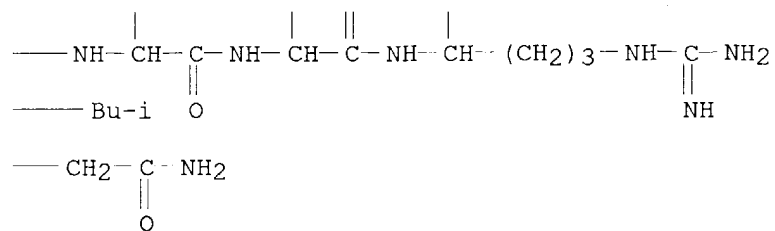
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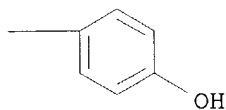
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PAGE 2-B



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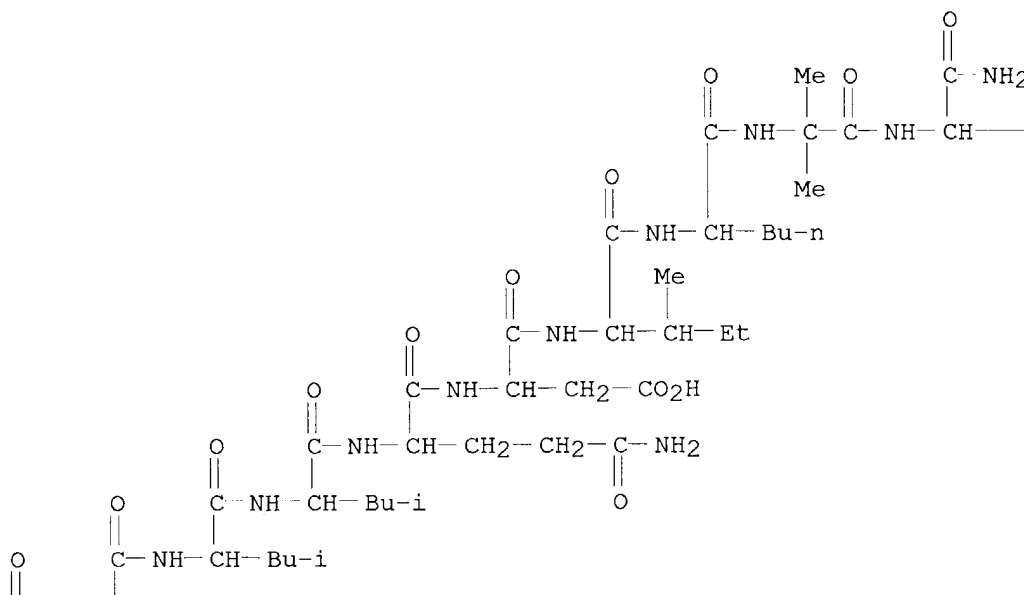


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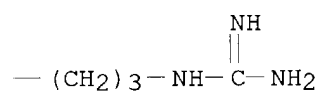
|    |  |
|----|--|
| CN | L-Argininamide, N-methyl-L-tyrosyl-L-alanyl-L- $\alpha$ -aspartyl-L-alanyl-L-isoleucyl-L-phenylalanyl-L-threonyl-L-asparaginyll-L-seryl-L-tyrosyl-L-arginyl-L-lysyl-L-valyl-L-leucyl-L-alanyl-L-alanyl-2-methyl-L-leucyl-L-seryl-L-alanyl-L-arginyl-L-lysyl-L-leucyl-L-leucyl-L-glutaminyll-L- $\alpha$ -aspartyl-L-isoleucyl-L-norleucyl-2-methylalanyl-(9CI) (CA INDEX NAME) |
|----|--|



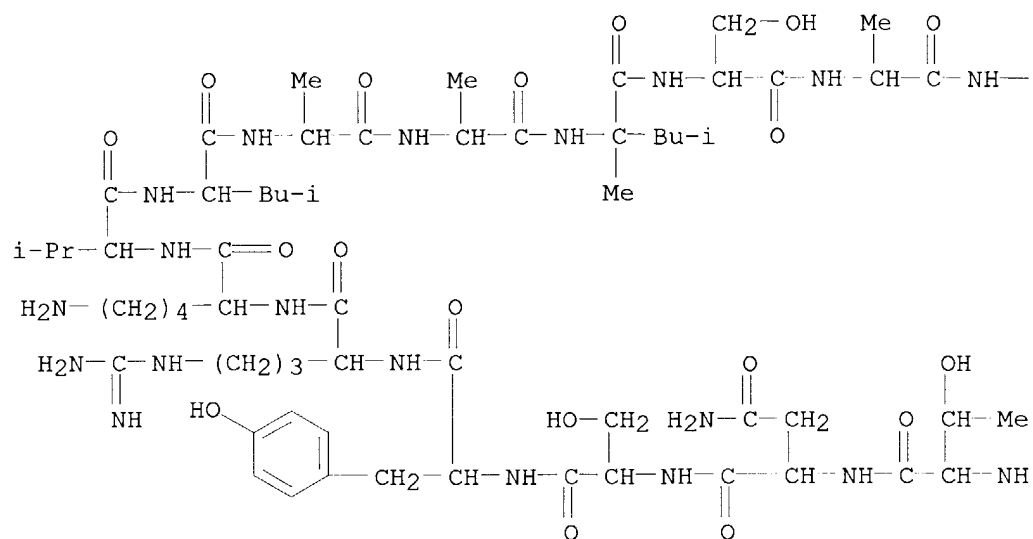
PAGE 1-B



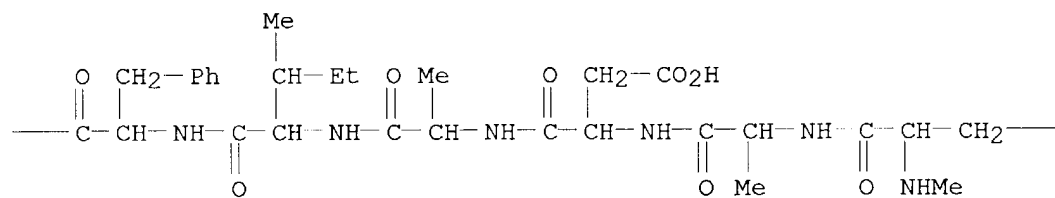
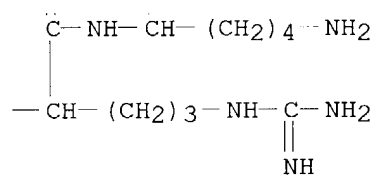
PAGE 1-C

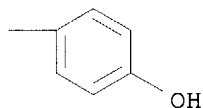


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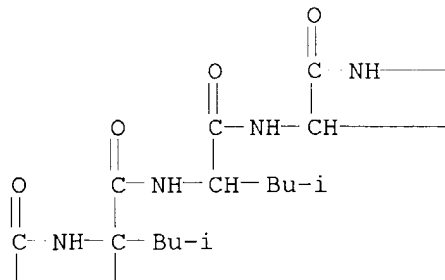




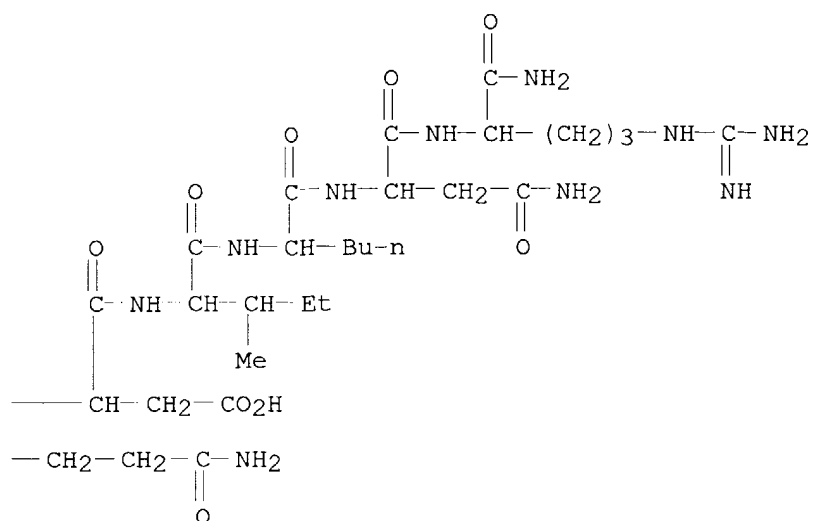
RN 148033-79-8 CAPLUS

CN L-Argininamide, N-methyl-L-tyrosyl-L-alanyl-L- $\alpha$ -aspartyl-L-alanyl-L-isoleucyl-L-phenylalanyl-L-threonyl-L-alanyl-L-seryl-L-tyrosyl-L-arginyl-L-lysyl-L-valyl-L-leucyl-L-alanyl-L-glutaminy-L-leucyl-L-seryl-L-alanyl-L-arginyl-L-lysyl-2-methyl-L-leucyl-L-leucyl-L-glutaminy-L- $\alpha$ -aspartyl-L-isoleucyl-L-norleucyl-L-asparaginy- (9CI) (CA INDEX NAME)

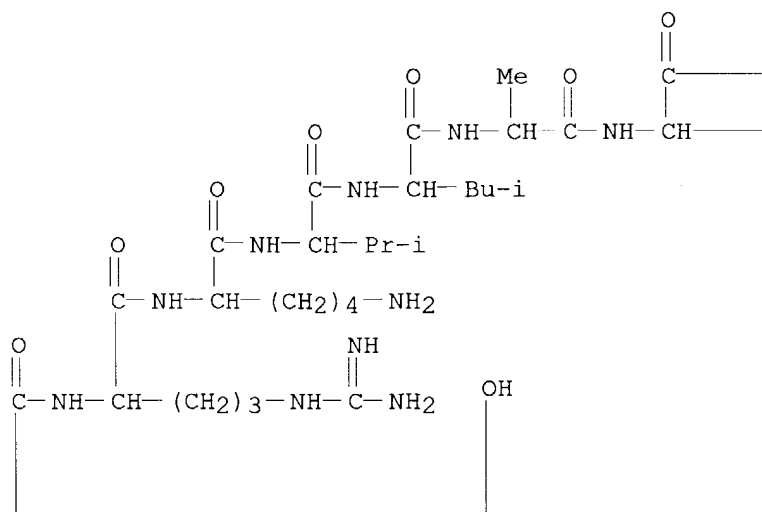
PAGE 1-B



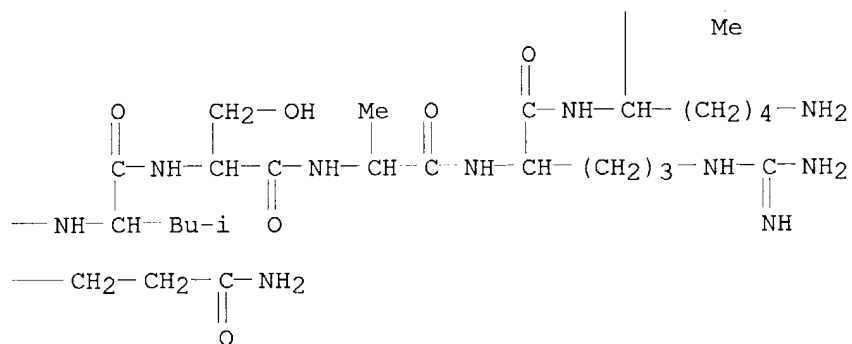
PAGE 1-C



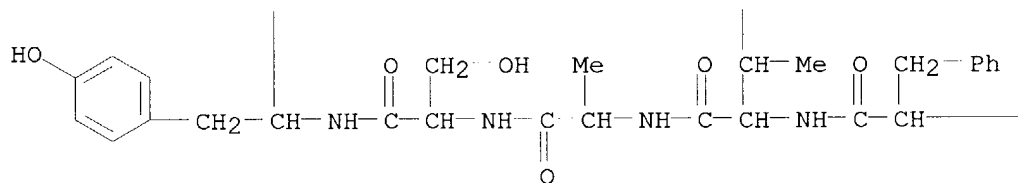
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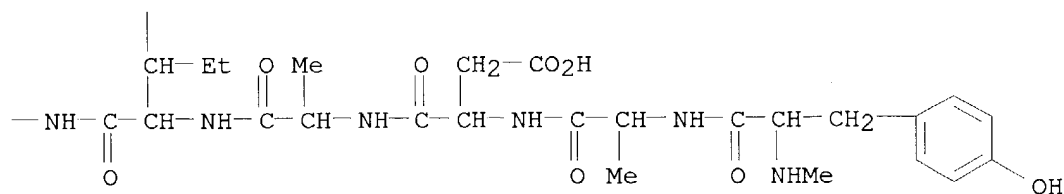
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PAGE 3-A



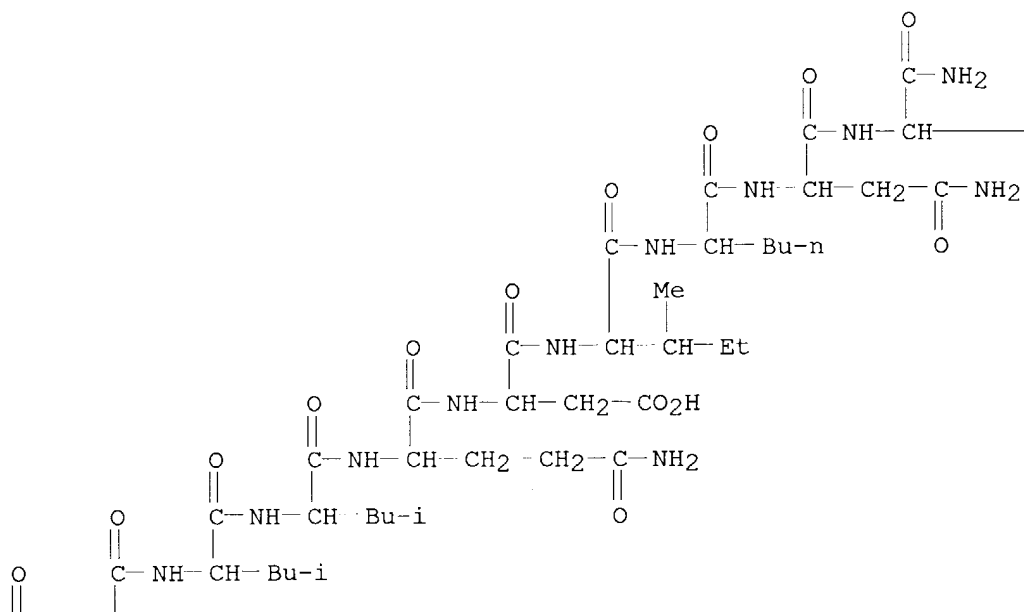
PAGE 3-B



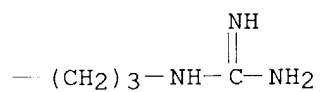
RN 148033-80-1 CAPLUS

L-Argininamide, N-methyl-L-tyrosyl-L-alanyl-L- $\alpha$ -aspartyl-L-alanyl-2-methyl-L-leucyl-L-phenylalanyl-L-threonyl-L-asparaginyl-L-seryl-L-tyrosyl-L-arginyl-L-lysyl-L-valyl-L-leucyl-L-alanyl-L-alanyl-L-leucyl-L-seryl-L-alanyl-L-arginyl-L-lysyl-L-leucyl-L-leucyl-L-glutaminy-L- $\alpha$ -aspartyl-L-isoleucyl-L-norleucyl-L-asparaginyl- (9CI) (CA INDEX NAME)

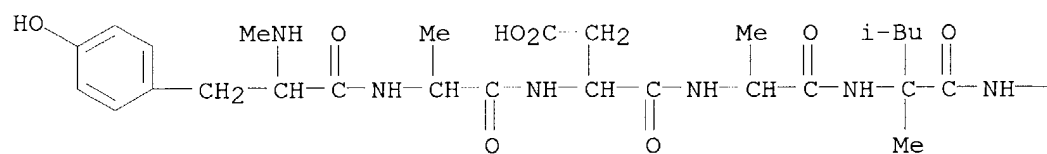
PAGE 1-D



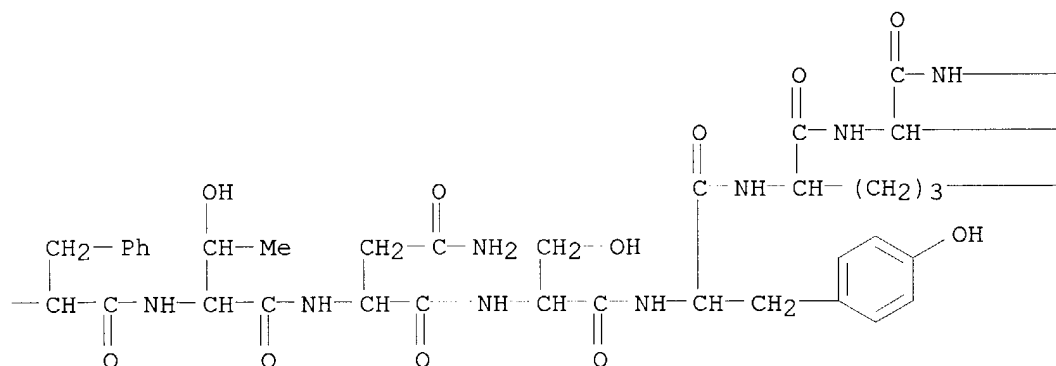
PAGE 1-E



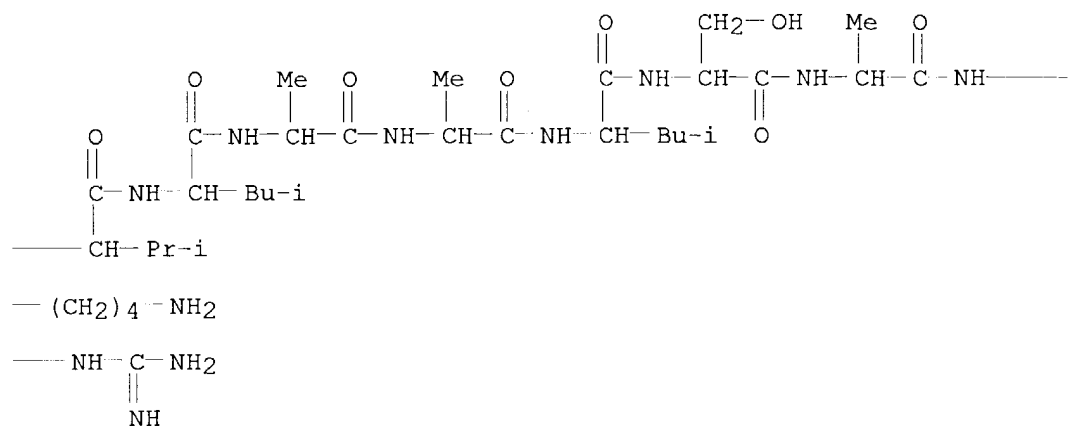
PAGE 2-A



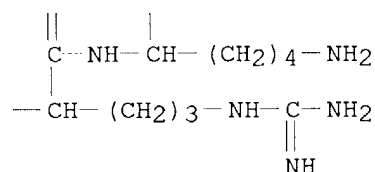
PAGE 2-B



PAGE 2-C



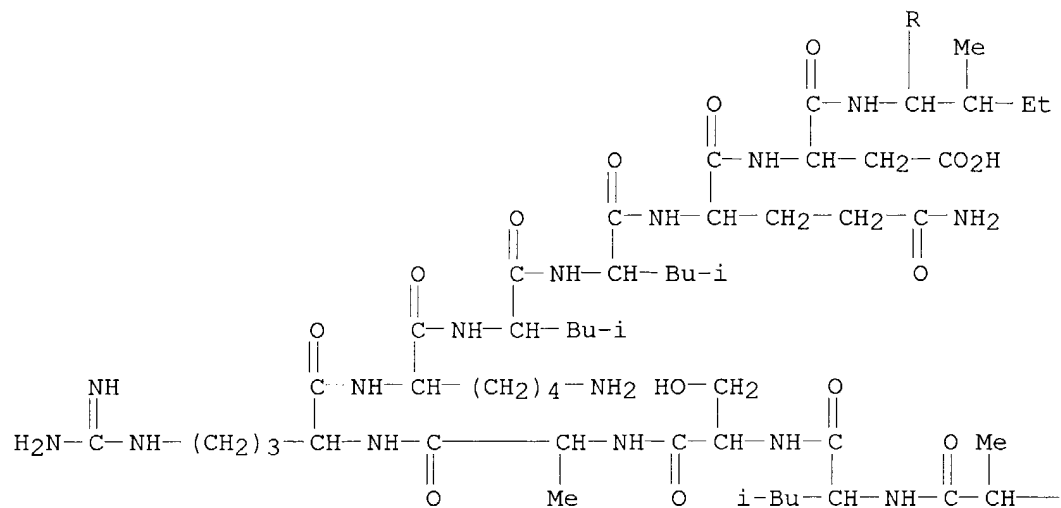
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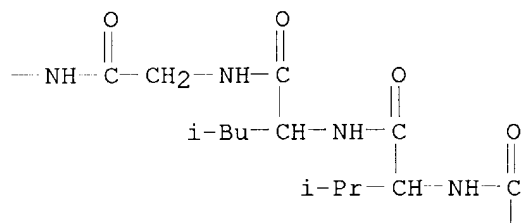
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|----|---|--------|
| RN | 148054-95-9   | CAPLUS |
| CN | Somatoliberin (human pancreatic islet), N-methyl-16-L-alanine-21-D-lysine-27-(2-methyl-L-leucine)-29-L-argininamide-30-de-L-glutamine-31-de-L-glutamine-32-deglycine-33-de-L-glutamic acid-34-de-L-serine-35-de-L-asparagine-36-de-L-glutamine-37-de-L-glutamic acid-38-de-L-arginine-39-deglycine-40-de-L-alanine-41-de-L-arginine-42-de-L-alanine-43-de-L-arginine-44-de-L-leucinamide- (9CI) (CA INDEX NAME) |        |



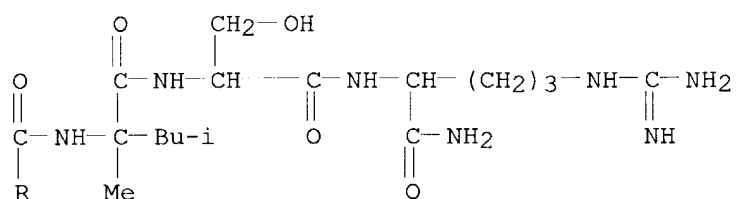
PAGE 1-A



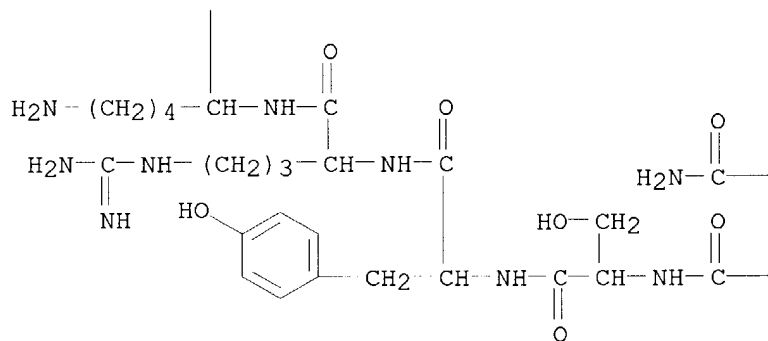
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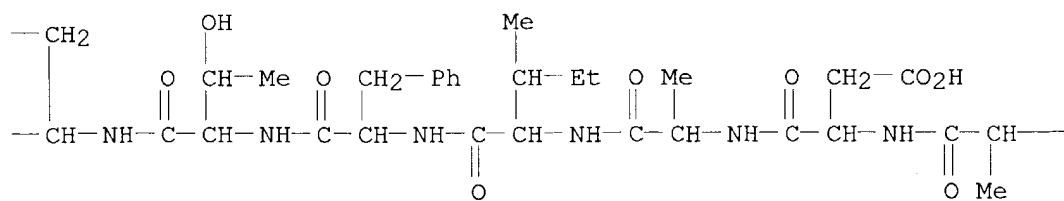
PAGE 2-A

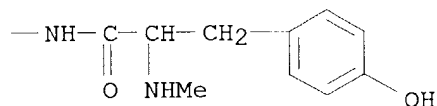


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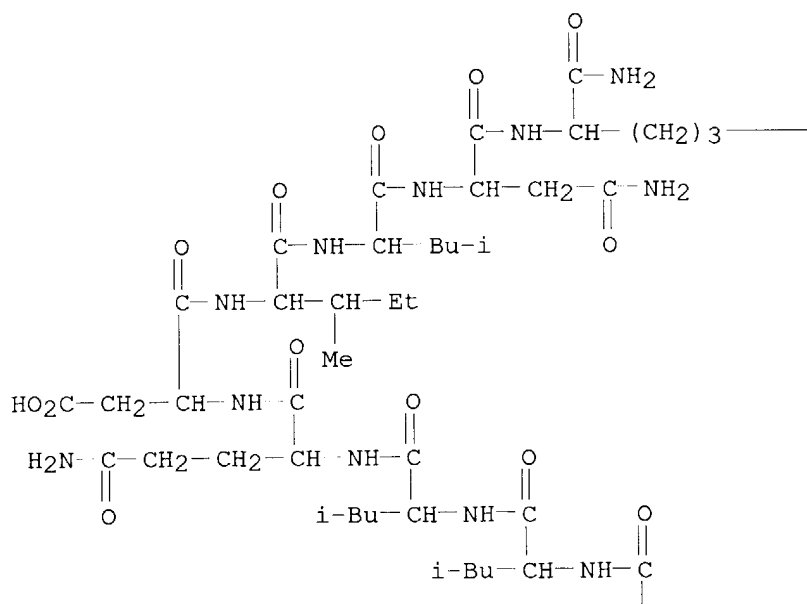
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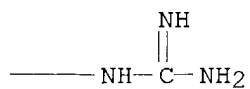


L-Argininamide, N-[3-(4-hydroxyphenyl)-1-oxopropyl]-N-methyl-D-alanyl-L-  
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 methylalanyl-L-seryl-L-phenylalanyl-L-arginyl-L-lysyl-3-methyl-L-isovalyl-  
 L-leucylglycyl-L-glutaminy-L-leucyl-L-seryl-L-alanyl-L-arginyl-L-lysyl-L-  
 leucyl-L-leucyl-L-glutaminy-L-α-aspartyl-L-isoleucyl-L-leucyl-L-  
 asparaginy- (9CI) (CA INDEX NAME)

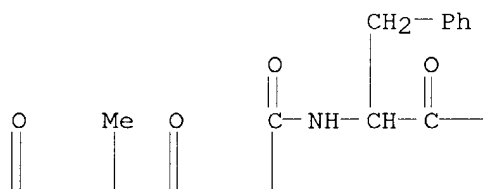
PAGE 1-C



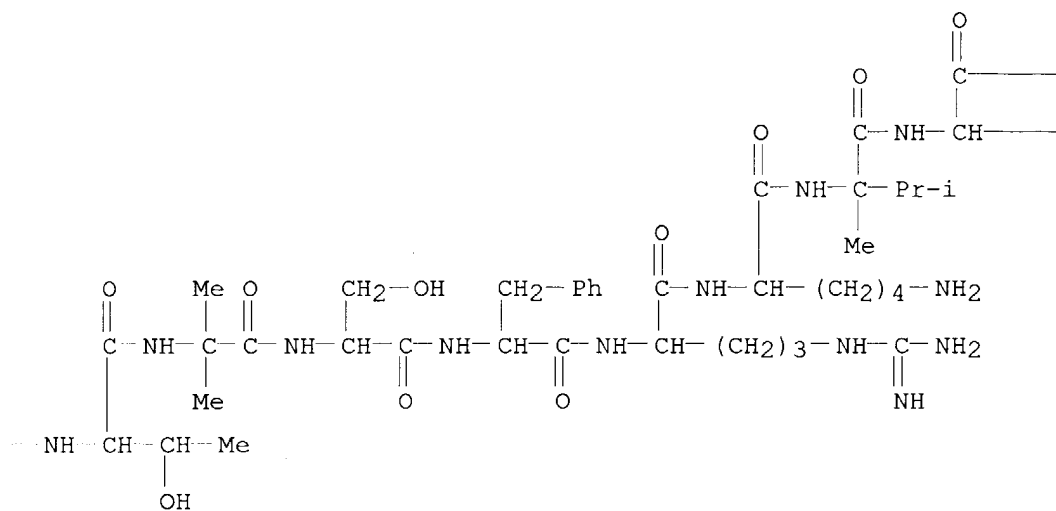
PAGE 1-D



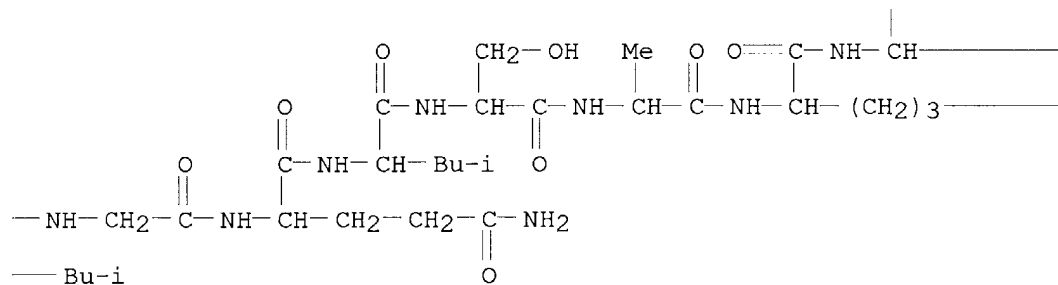
PAGE 2-A



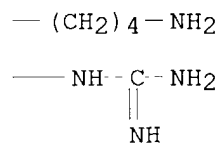
PAGE 2-B

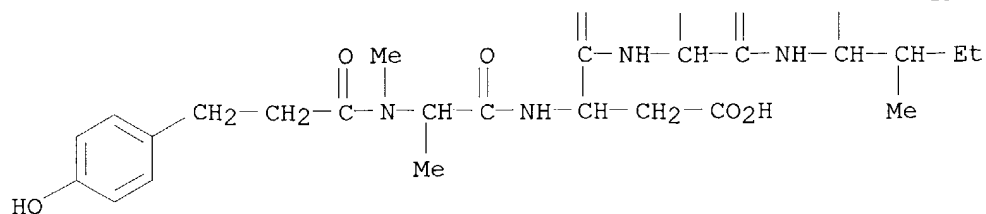


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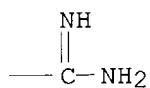
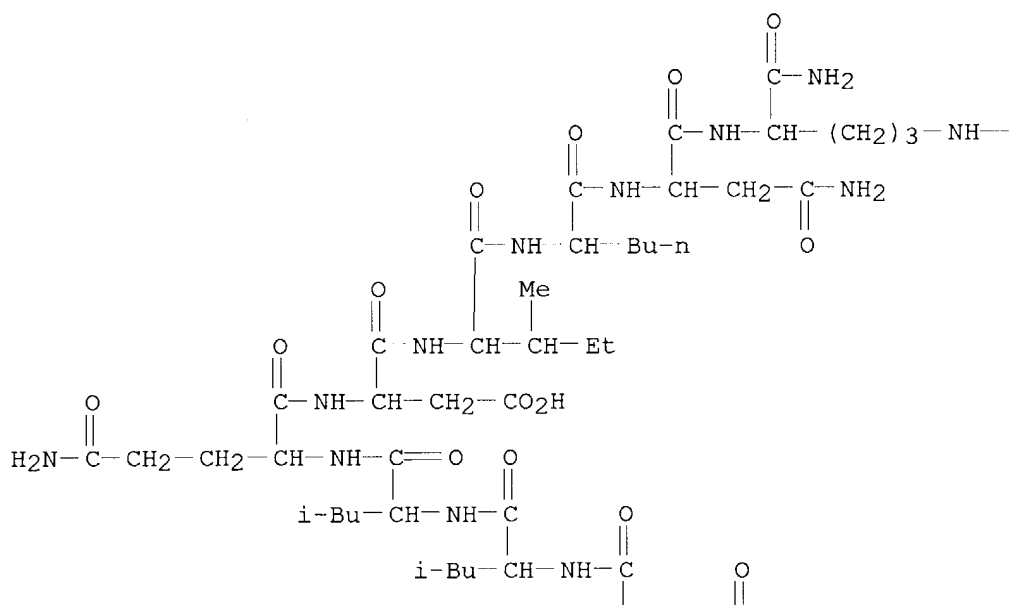
PAGE 2-D



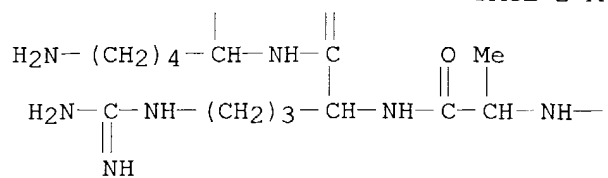


RN 148054-97-1 CAPLUS

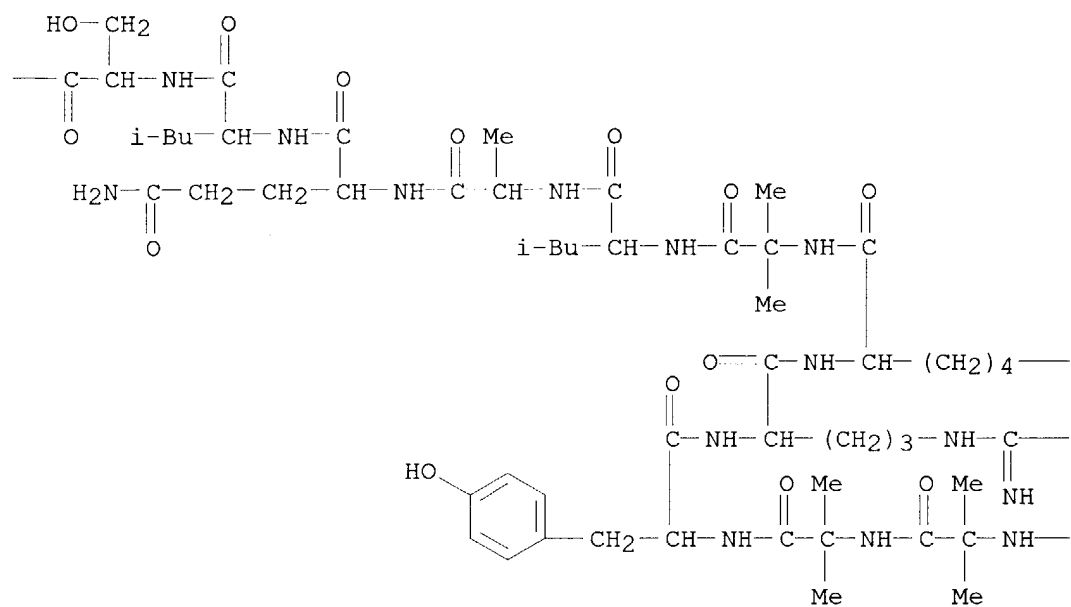
CN L-Argininamide, N-methyl-L-tyrosyl-L-alanyl-L- $\alpha$ -aspartyl-L-alanyl-L-isoleucyl-L-phenylalanyl-L-threonyl-2-methylalanyl-2-methylalanyl-L-tyrosyl-L-arginyl-L-lysyl-2-methylalanyl-L-leucyl-L-alanyl-L-glutaminyl-L-leucyl-L-seryl-L-alanyl-L-arginyl-L-lysyl-L-leucyl-L-leucyl-L-glutaminyl-L- $\alpha$ -aspartyl-L-isoleucyl-L-norleucyl-L-asparaginyl- (9CI) (CA INDEX NAME)



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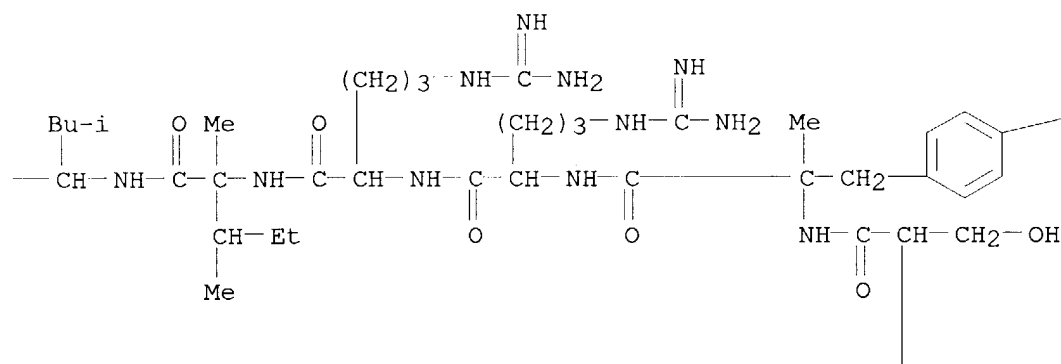






$$\begin{array}{c}
 \text{NH} \\
 || \\
 \text{H}_2\text{N}-\text{C}-\text{NH}-(\text{CH}_2)_3-\text{CH}-\text{NH}-\overset{\overset{\text{O}}{||}}{\underset{\underset{\text{O}}{||}}{\text{C}}}-\text{NH}_2 \\
 | \\
 \text{H}_2\text{N}-\overset{\overset{\text{O}}{||}}{\underset{\underset{\text{O}}{||}}{\text{C}}}-\text{CH}_2-\text{CH}-\text{NH}-\overset{\overset{\text{O}}{||}}{\underset{\underset{\text{i-Pr}}{|}}{\text{C}}}-\text{NH}-\overset{\overset{\text{O}}{||}}{\underset{\underset{\text{Me}}{|}}{\text{C}}}-\text{CH}-\text{Et} \\
 | \\
 \text{CO}_2\text{H}
 \end{array}$$
[illegible]

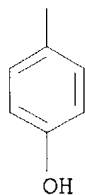
PAGE 1-C



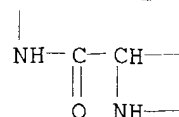
PAGE 1-D

 $\text{---OH}$ 

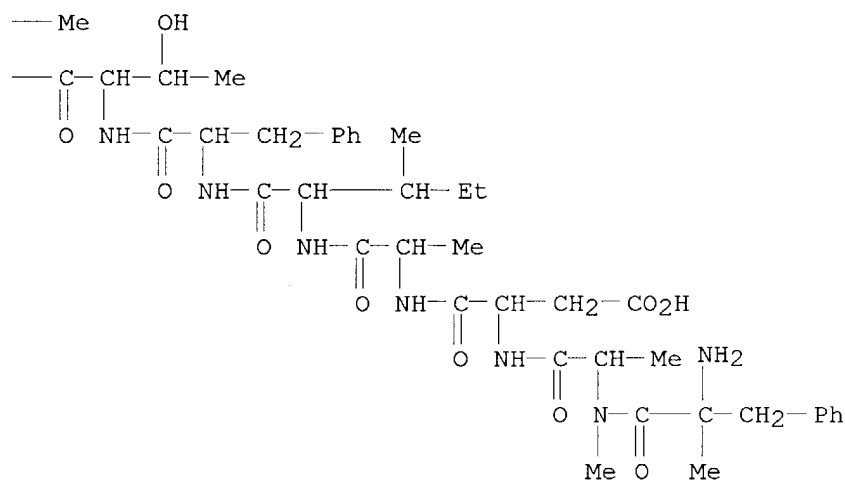
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L46 ANSWER 34 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1991:123027 CAPLUS

DOCUMENT NUMBER: 114:123027

TITLE: Synthesis on solid support of peptides containing a C-terminal amino alcohol

AUTHOR(S): Molle, Gerard; Dugast, Jean Yves

CORPORATE SOURCE: Lab. Chim. Macromol., Fac. Sci. Rouen, Mont-Saint-Aignan, 76134, Fr.

SOURCE: Tetrahedron Letters (1990), 31(44), 6355-6

CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal

LANGUAGE: French

AB The solid-phase synthesis of alamethicin analogs with a  $\gamma$ -carboxylic group on the glutamic acid residue was achieved by anchoring an amino alc. via succinic anhydride on a benzhydrylamine resin. By controlling the alkaline hydrolysis, either the free [Glu]18 or its [Glu(OMe)]18 analog was obtained.

IT 59588-86-2P, Alamethicin I

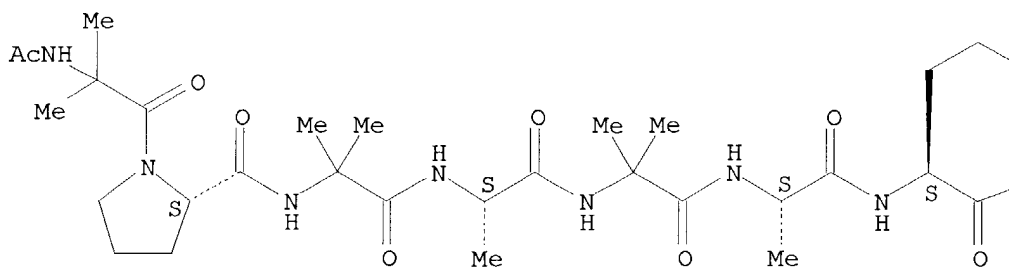
RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of leucine and glutamate ester analogs of, by **solid-phase** method)

RN 59588-86-2 CAPLUS

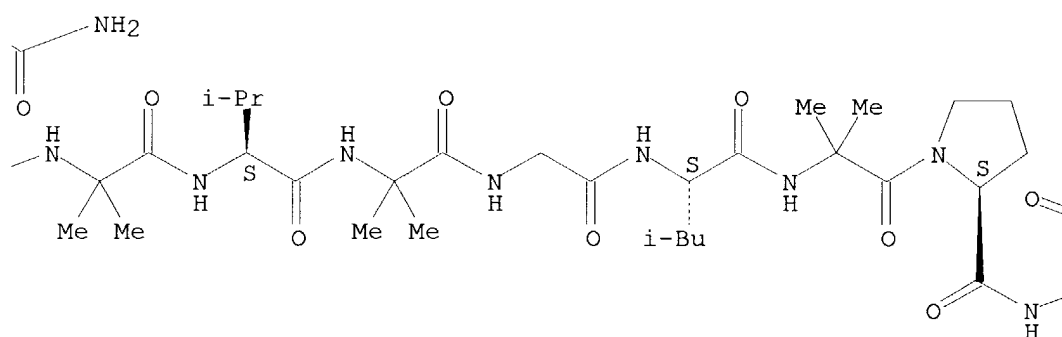
CN Alamethicin I (9CI) (CA INDEX NAME)

Absolute stereochemistry.

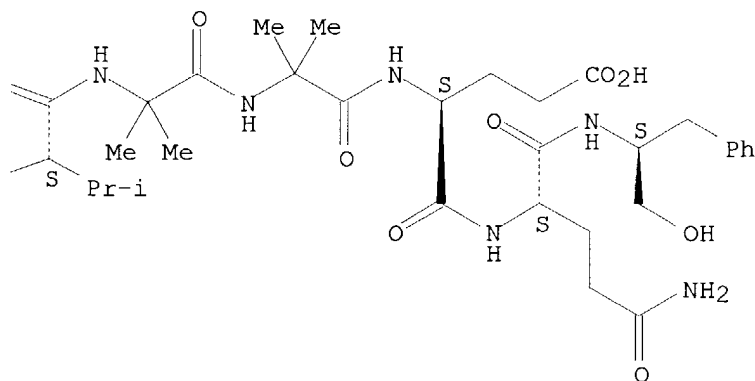
PAGE 1-A



PAGE 1-B

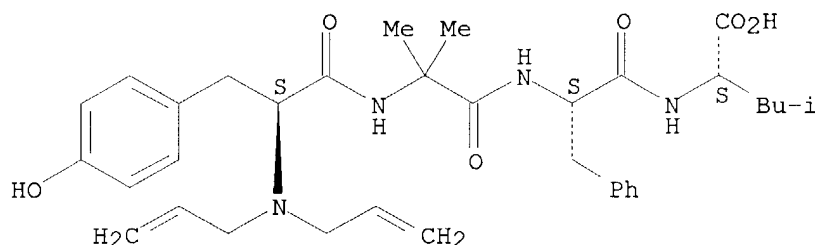


PAGE 1-C



ACCESSION NUMBER: 1991:186042 CAPLUS  
 DOCUMENT NUMBER: 114:186042  
 TITLE: The synthesis of [N,N-diallyl-Tyr1, Aib2,3, Leu5]-enkephalin (ICI 174864) by solution-phase and Fmoc-based solid-phase procedures  
 AUTHOR(S): Belton, P.; Cotton, R.; Giles, M. B.; Atherton, E.; Horton, J.; Richards, J. D.  
 CORPORATE SOURCE: ICI Pharm., Macclesfield/Cheshire, SK10 4TG, UK  
 SOURCE: Pept., Proc. Eur. Pept. Symp., 20th (1989), Meeting Date 1988, 619-21. Editor(s): Jung, Guenther; Bayer, Ernst. de Gruyter: Berlin, Fed. Rep. Ger. CODEN: 57ACAI  
 DOCUMENT TYPE: Conference  
 LANGUAGE: English  
 AB A report from a symposium on the preparation of the title compound by both sequential solution couplings and by the solid-phase method using a N-9-fluorenylmethoxycarbonyl (Fmoc)-based protection method.  
 IT **89352-67-0P**, ICI 174864  
 RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, by solution couplings and **solid-phase** method)  
 RN 89352-67-0 CAPLUS  
 CN L-Leucine, N,N-di-2-propenyl-L-tyrosyl-2-methylalanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L46 ANSWER 36 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1982:52648 CAPLUS  
 DOCUMENT NUMBER: 96:52648  
 TITLE: Synthesis of the major component of alamethicin  
 AUTHOR(S): Gisin, B. F.; Davis, D. G.; Borowska, Z. K.; Hall, J. E.; Kobayashi, S.  
 CORPORATE SOURCE: Sch. Med., Univ. Maryland, Baltimore, MD, 21201, USA  
 SOURCE: Journal of the American Chemical Society (1981), 103(21), 6373-7  
 CODEN: JACSAT; ISSN: 0002-7863  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI For diagram(s), see printed CA Issue.  
 AB Ac-Aib-Pro-Aib-Ala-Aib-Ala-Gln-Aib-Val-Aib-Gly-Leu-Aib-Pro-Val-Aib-Aib-Glu-Gln-Phe-ol (I; Aib = HNCMe2CO, Phe-ol = phenylalaninol) was prepared by the solid-phase method by fragment condensations on a polystyrene support. A comparison of synthetic I and natural alamethicin (ALA) by NMR spectroscopy, high-performance liquid chromatog., and antimicrobial assay confirmed that I is the major component of ALA. ALA- $\alpha$  (II) was also

prepared by the solid-phase method.

IT 62571-16-8P 62597-86-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

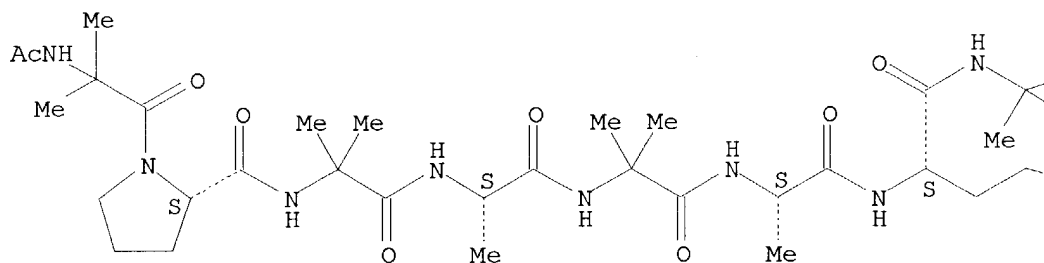
(preparation and **solid-phase** peptide coupling of)

RN 62571-16-8 CAPLUS

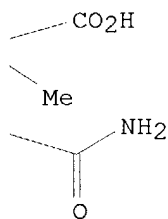
CN Alanine, N-[N2-[N-[N-[N-[N-[1-(N-acetyl-2-methylalanyl)-L-prolyl]-2-methylalanyl]-L-alanyl]-2-methylalanyl]-L-alanyl]-L-glutaminy]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

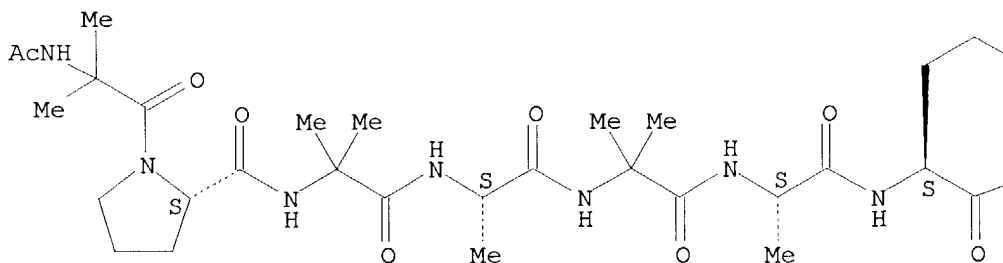


RN 62597-86-8 CAPLUS

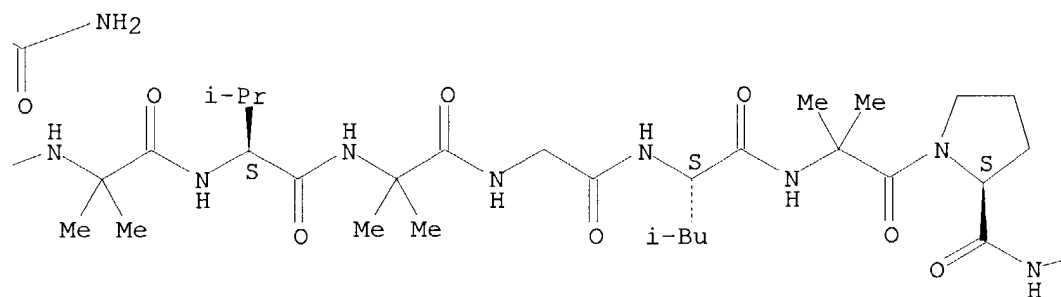
CN 1-17-Alamethicin I (9CI) (CA INDEX NAME)

Absolute stereochemistry.

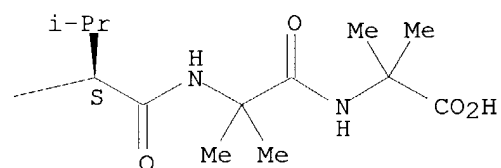
PAGE 1-A



PAGE 1-B



PAGE 1-C

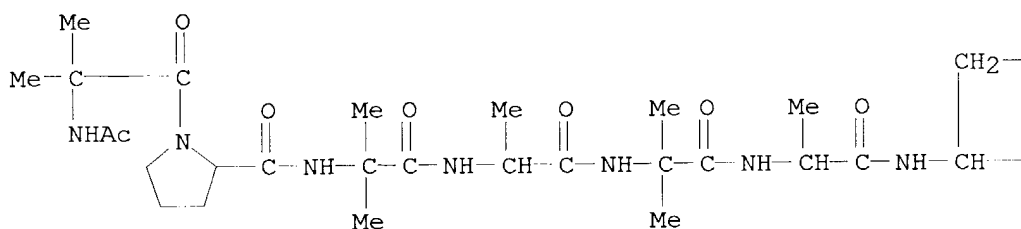


IT 79767-51-4P

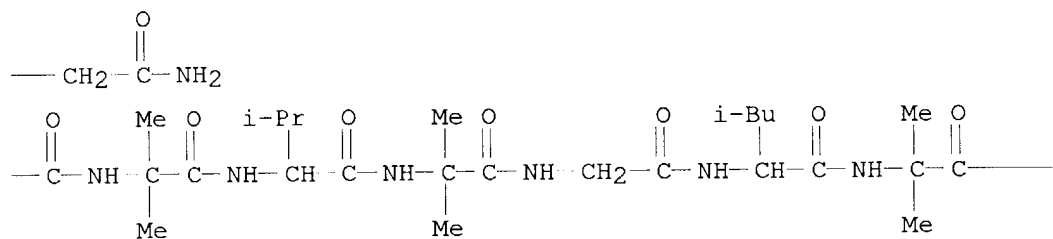
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, by **solid-phase** method)

RN 79767-51-4 CAPLUS

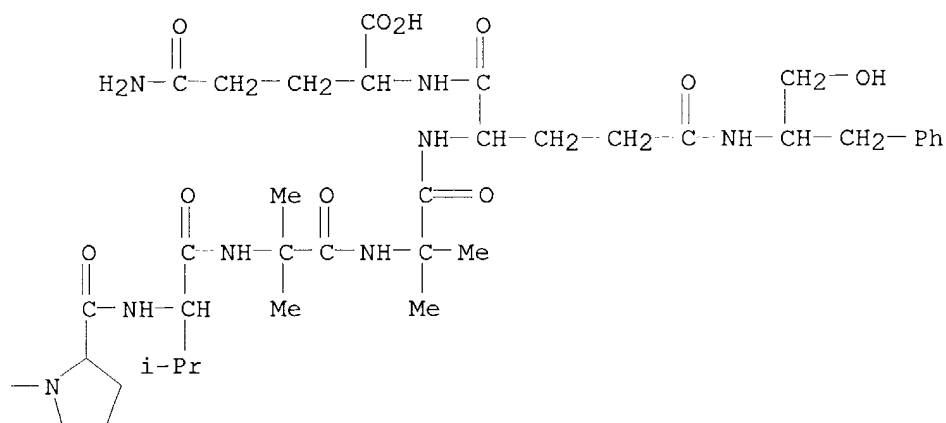
CN Alamethicin  $\alpha$  (9CI) (CA INDEX NAME)



PAGE 1-B







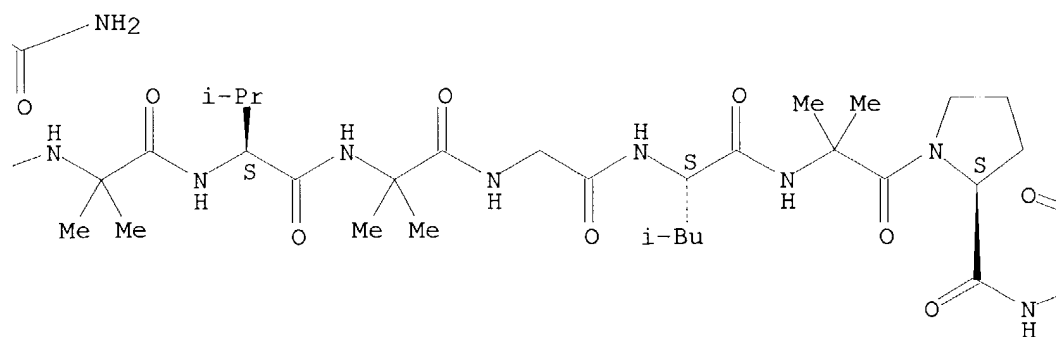
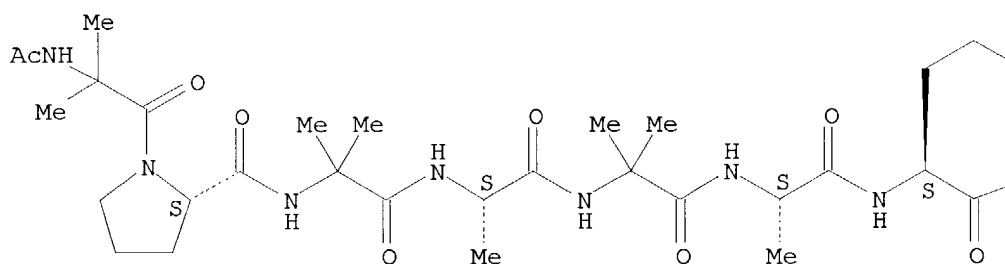
IT 59588-86-2P

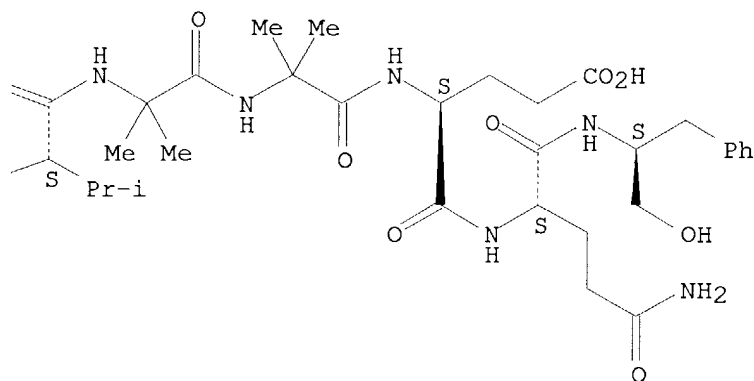
RL: SPN (Synthetic preparation); PREP (Preparation)  
(total synthesis of, by **solid-phase** method)

RN 59588-86-2 CAPLUS

CN Alamethicin I (9CI) (CA INDEX NAME)

Absolute stereochemistry.





L46 ANSWER 37 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1979:439834 CAPLUS

DOCUMENT NUMBER: 91:39834

TITLE: Synthesis and conformation of a polyoxyethylene-bound undeca-peptide of the alamethicin helix and (2-methylalanyl-L-alanine)1-7

AUTHOR(S): Mayr, W.; Oekonomopulos, R.; Jung, G.

CORPORATE SOURCE: Inst. Org. Chim., Univ. Tuebingen, Tuebingen, Fed. Rep. Ger.

SOURCE: Biopolymers (1979), 18(2), 425-50

CODEN: BIPMAA; ISSN: 0006-3525

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Alamethicin fragment Ac-Aib-Pro-Aib-Ala-Aib-Ala-Gln-Aib-Val-Aib-Gly-OPOE [I; Aib = HNCMe<sub>2</sub>CO, POE (CH<sub>2</sub>CH<sub>2</sub>O)<sub>n</sub>-H (mol. weight 10,000)] was prepared by the elongation of BOC-Gly-OPOE (BOC = Me<sub>3</sub>CO<sub>2</sub>C) by stepwise BOC-deblocking-peptide couplings in a Merrifield-type synthesis. CD of I and its intermediate N-BOC- and BOC-deblocked N-protonated tri- to decapeptide POE polymers in EtOH indicated that  $\alpha$ -helix formation started with the nonapeptide for the BOC peptide polymers and with the decapeptide for the N-protonated peptide polymers. BOC-(Aib-Ala)<sub>n</sub>-OPOE (n = 1-7) and BOC-Ala-(Aib-Ala)<sub>m</sub>-OPOE (m = 1-6) (POE mol. weight 6,000) were prepared by the above method. The CD and ORD of the latter peptide polymers in EtOH showed that a random coil- $\alpha$ -helix transformation took place at the octapeptide for the BOC derivs. and at the nonapeptide for the BOC-deblocked N-protonated derivs.

IT 70725-27-8P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation and conformation of)

RN 70725-27-8 CAPLUS

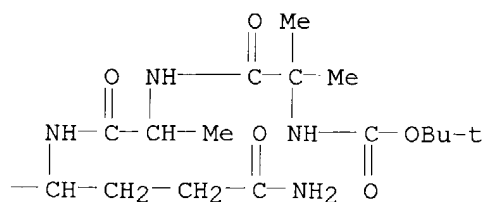
CN Poly(oxy-1,2-ethanediyl),  $\alpha$ -[28-[1-[2-(acetylamino)-2-methyl-1-oxopropyl]-2-pyrrolidinyl]-14-(3-amino-3-oxopropyl)-5,5,11,11,17,20,20,23,26,26-decamethyl-8-(1-methylethyl)-

[illegible]
$$\begin{array}{c} \text{O} \quad \text{Me} \quad \text{O} \quad \text{O} \\ \parallel \quad | \quad \parallel \quad \parallel \\ \text{C}-\text{NH}-\text{C}-\text{C}-\text{NH}-\text{CH}_2-\text{C}-\left[ \text{O}-\text{CH}_2-\text{CH}_2 \right]_n-\text{OH} \\ | \quad | \\ \text{Me} \quad \text{Me} \end{array}$$

CN Poly(oxy-1,2-ethanediyl),  $\alpha$ -[14-(3-amino-3-oxopropyl)-5,5,10,10,17,20,20,24,24-nonamethyl-8-(1-methylethyl)-1,4,7,10,13,16,19,22-octaoxo-23-oxa-3,6,9,12,15,18,21-heptaazapentacos-1-yl]- $\omega$ -hydroxy-, [8S-[8R\*,14R\*,17R\*]]- (9CI) (CA INDEX NAME)

$$\text{HO}-\left[\text{CH}_2-\text{CH}_2-\text{O}\right]_n-\text{C}(=\text{O})-\text{CH}_2-\text{NH}-\text{C}(=\text{O})-\text{C}(\text{Me})_2-\text{NH}-\text{C}(=\text{O})-\text{CH}(\text{i-Pr})-\text{NH}-\text{C}(=\text{O})-\text{C}(\text{Me})_2-\text{NH}-\text{C}(=\text{O})-$$

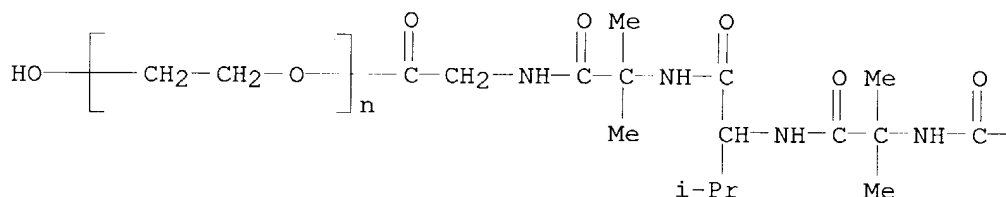
PAGE 1-B



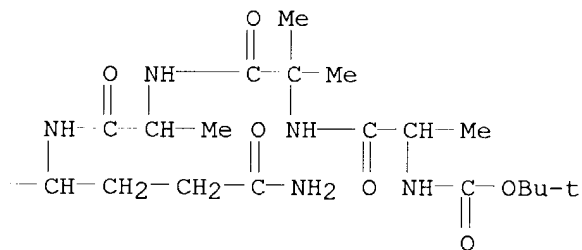
RN 70725-32-5 CAPLUS

CN Poly(oxy-1,2-ethanediyl),  $\alpha$ -[14-(3-amino-3-oxopropyl)-5,5,11,11,17,20,20,23,27,27-decamethyl-8-(1-methylethyl)-1,4,7,10,13,16,19,22,25-nonaixo-26-oxa-3,6,9,12,15,18,21,24-octaazaoctacos-1-yl]- $\omega$ -hydroxy-, [8S-(8R\*,14R\*,17R\*,23R\*)]-(9CI) (CA INDEX NAME)

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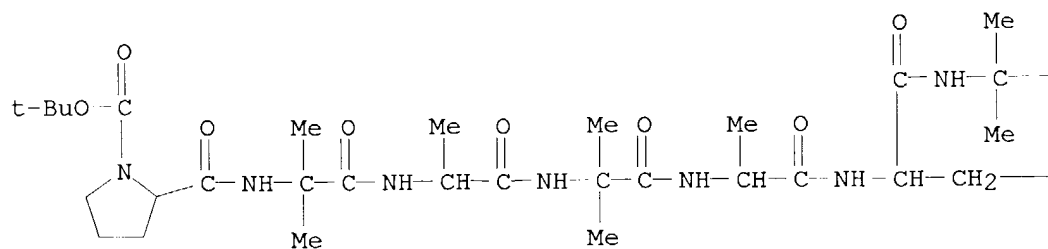
PAGE 1-B



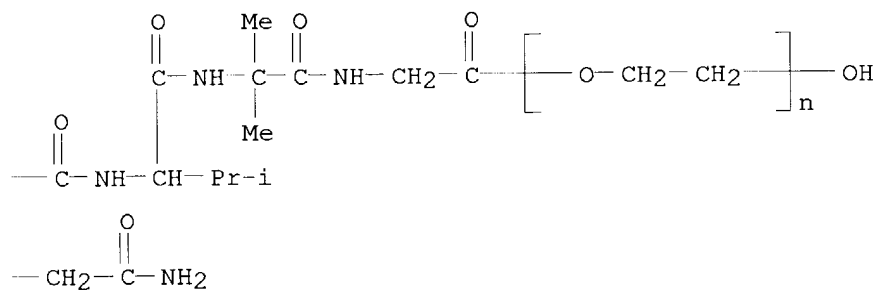
RN 70725-33-6 CAPLUS

CN Poly(oxy-1,2-ethanediyl),  $\alpha$ -[14-(3-amino-3-oxopropyl)-28-[1-[(1,1-dimethylethoxy)carbonyl]-2-pyrrolidiny]-5,5,11,11,17,20,20,23,26,26-decamethyl-8-(1-methylethyl)-1,4,7,10,13,16,19,22,25,28-decaoxo-3,6,9,12,15,18,21,24,27-nonaazaooctacos-1-yl]- $\omega$ -hydroxy-, [8S-(8R\*,14R\*,17R\*,23R\*,28(R\*))]- (9CI) (CA INDEX NAME)

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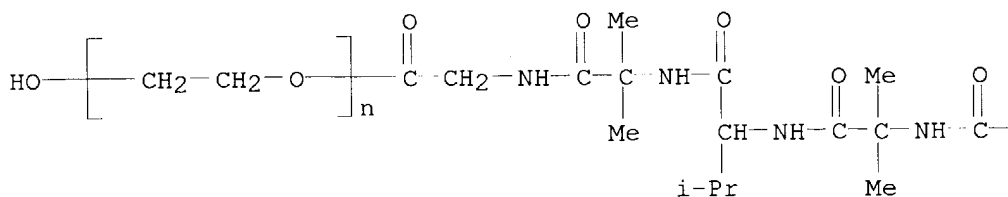
PAGE 1-B

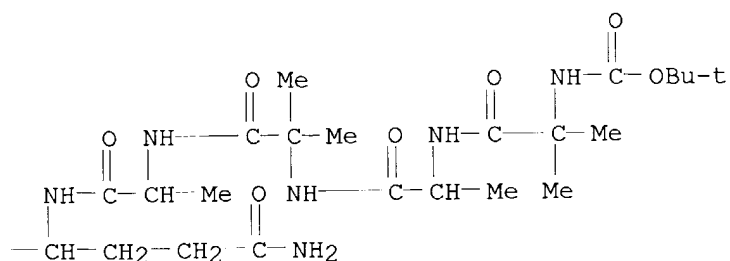


RN 70754-18-6 CAPLUS

CN Poly(oxy-1,2-ethanediyl),  $\alpha$ -[14-(3-amino-3-oxopropyl)-5,5,11,11,17,20,20,23,26,26,30,30-dodecamethyl-8-(1-methylethyl)-1,4,7,10,13,16,19,22,25,28-decaoxo-29-oxa-3,6,9,12,15,18,21,24,27-nonaazahentriacont-1-yl]- $\omega$ -hydroxy-, [8S-(8R\*,14R\*,17R\*,23R\*)]-(9CI) (CA INDEX NAME)

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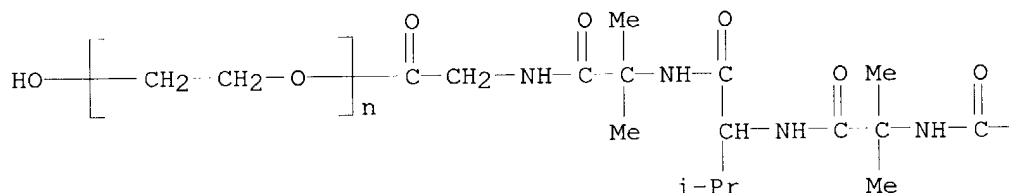




RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and peptide coupling and CD of)

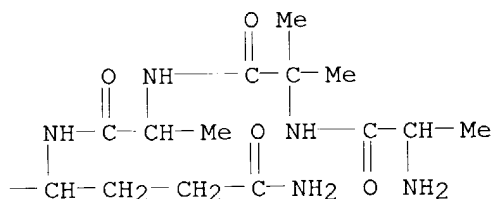
Poly(oxy-1,2-ethanediyl),  $\alpha$ -[23-amino-14-(3-amino-3-oxopropyl)-  
 5,5,11,11,17,20,20-heptamethyl-8-(1-methylethyl)-1,4,7,10,13,16,19,22-  
 octa-oxo-3,6,9,12,15,18,21-heptaazatetracos-1-yl]- $\omega$ -hydroxy-,  
 monohydrochloride, [8S-(8R\*,14R\*,17R\*,23R\*)]-(9CI) (CA INDEX NAME)

PAGE 1-A

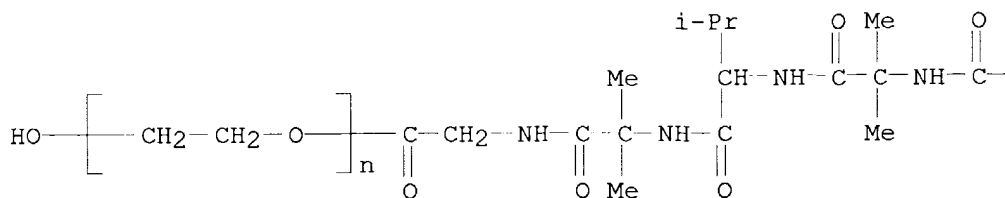


● HCl

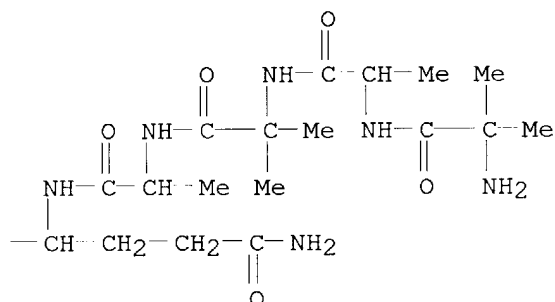
PAGE 1-B



70423 40 3 CAHBS  
 CN Poly(oxy-1,2-ethanediyl),  $\alpha$ -[26-amino-14-(3-amino-3-oxopropyl)-  
 5,5,11,11,17,20,20,23,26-nonamethyl-8-(1-methylethyl)-  
 1,4,7,10,13,16,19,22,25-nona-3,6,9,12,15,18,21,24-octaazaheptacos-1-yl]-  
 $\omega$ -hydroxy-, monohydrochloride, [8S-(8R\*,14R\*,17R\*,23R\*)]-(9CI) (CA  
 INDEX NAME)

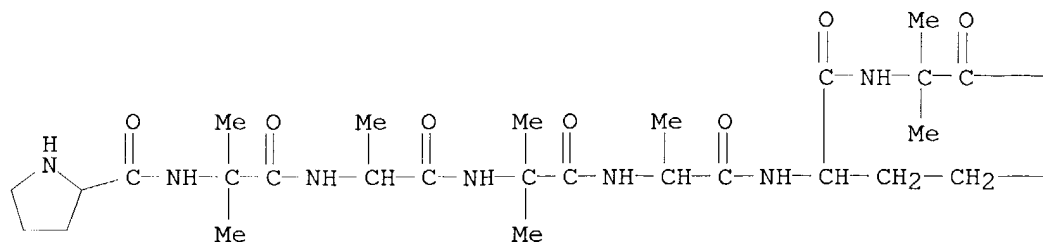


PAGE 1-B



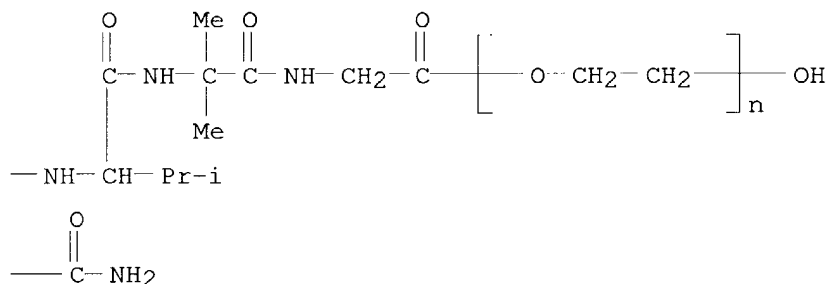
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| RN | 70725-41-6  | CAPLUS |  |
| CN | Poly(oxy-1,2-ethanediyl), $\alpha$ -[14-(3-amino-3-oxopropyl)-5,5,11,11,17,20,20,23,26,26-decamethyl-8-(1-methylethyl)-1,4,7,10,13,16,19,22,25,28-decaoxo-28-(2-pyrrolidinyl)-3,6,9,12,15,18,21,24,27-nonaazaooctacos-1-yl]- $\omega$ -hydroxy-, monohydrochloride, [8S-[8R*,14R*,17R*,23R*,28(S*)]]- (9CI) (CA INDEX NAME) |        |  |

PAGE 1-A



● HCl

PAGE 1-B



L46 ANSWER 38 OF 58 USPATFULL on STN  
 ACCESSION NUMBER: 2002:45706 USPATFULL  
 TITLE: Conformationally stabilized cell adhesion peptides  
 INVENTOR(S): Pierschbacher, Michael D., San Diego, CA, United States  
 Ruoslahti, Erkki I., Rancho Santa Fe, CA, United States  
 PATENT ASSIGNEE(S): La Jolla Cancer Research Foundation, La Jolla, CA,  
 United States (U.S. corporation)

|                       | NUMBER   | KIND | DATE             |
|-----------------------|--|------|------------------|
| PATENT INFORMATION:   | US 6353090   | B1   | 20020305         |
| APPLICATION INFO.:    | US 1999-366991   |      | 19990804 (9) <-- |
| RELATED APPLN. INFO.: | Continuation of Ser. No. US 1995-459445, filed on 2 Jun 1995, now patented, Pat. No. US 5981468 Continuation of Ser. No. US 1994-292568, filed on 19 Aug 1994, now patented, Pat. No. US 5906975 Continuation of Ser. No. US 1994-215012, filed on 21 Mar 1994, now abandoned Continuation of Ser. No. US 1993-124992, filed on 21 Sep 1993, now abandoned Continuation of Ser. No. US 1993-48576, filed on 15 Apr 1993, now abandoned Continuation of Ser. No. US 1991-803797, filed on 6 Dec |      |                  |



1991, now abandoned Continuation of Ser. No. US  
1988-292517, filed on 29 Dec 1988, now abandoned  
Continuation-in-part of Ser. No. US 1987-131390, filed  
on 10 Jan 1987, now abandoned

DOCUMENT TYPE: Utility  
FILE SEGMENT: GRANTED  
PRIMARY EXAMINER: Davenport, Avis M.  
LEGAL REPRESENTATIVE: Campbell & Flores LLP  
NUMBER OF CLAIMS: 26  
EXEMPLARY CLAIM: 1  
NUMBER OF DRAWINGS: 6 Drawing Figure(s); 4 Drawing Page(s)  
LINE COUNT: 768

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel synthetic Arg-Gly-Asp containing peptides which have high affinity and specificity for their receptors by virtue of restrictions on their stereochemical conformation. Such restrictions can be provided by cyclization, by inclusion into a constraining conformational structure such as a helix, by providing an additional chemical structure such as an amide or an enantiomer of a naturally occurring amino acid, or by other methods. In particular, there are provided cyclic peptides having increased affinity and selectivity for the certain receptors over that of linear, Arg-Gly-Asp-containing synthetic peptides.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **126716-32-3P**

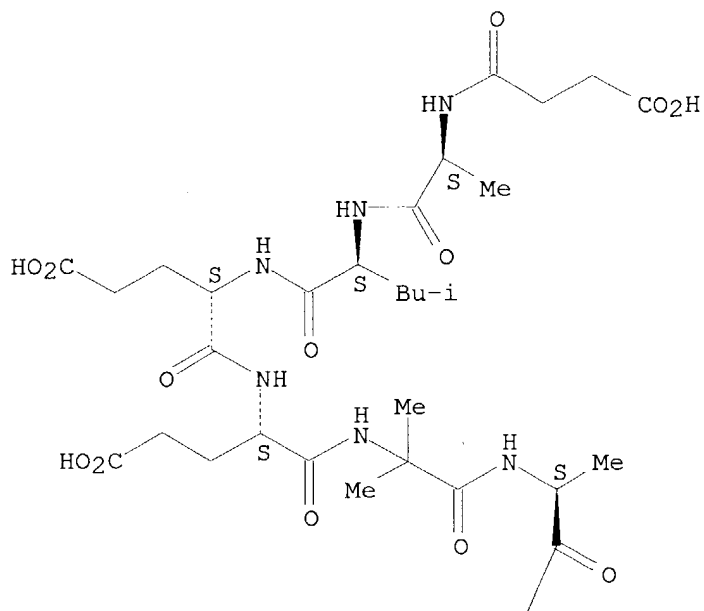
(preparation and conformation restraining of)

RN 126716-32-3 USPATFULL

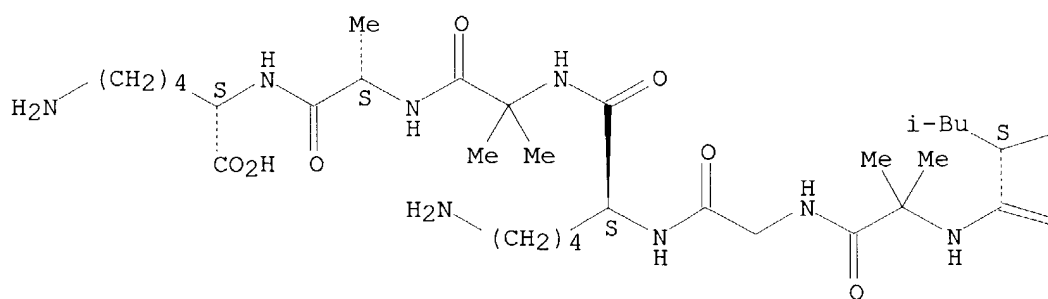
CN L-Lysine, N-(3-carboxy-1-oxopropyl)-L-alanyl-L-leucyl-L- $\alpha$ -glutamyl-L- $\alpha$ -glutamyl-2-methylalanyl-L-alanyl-L-lysyl-L-arginylglycyl-L- $\alpha$ -aspartyl-L-seryl-L-leucyl-2-methylalanylglycyl-L-lysyl-2-methylalanyl-L-alanyl- (9CI) (CA INDEX NAME)

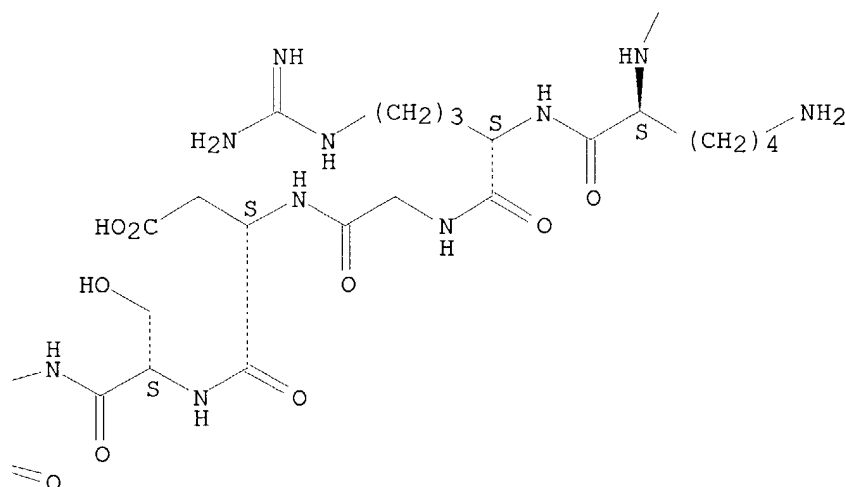
Absolute stereochemistry.

PAGE 1-B



PAGE 2-A





L46 ANSWER 39 OF 58 USPTAFULL on STN  
 ACCESSION NUMBER: 2000:12923 USPTAFULL  
 TITLE: Conformationally stabilized cell adhesion peptides  
 INVENTOR(S): Pierschbacher, Michael D., San Diego, CA, United States  
 Ruoslahti, Erkki I., San Diego, CA, United States  
 PATENT ASSIGNEE(S): La Jolla Cancer Research Foundation, La Jolla, CA,  
 United States (U.S. corporation)

|                       | NUMBER  | KIND | DATE             |
|-----------------------|---|------|------------------|
| PATENT INFORMATION:   | US 6020460  |      | 20000201 <--     |
| APPLICATION INFO.:    | US 1994-357067  |      | 19941214 (8) <-- |
| RELATED APPLN. INFO.: | Continuation of Ser. No. US 1994-189296, filed on 1 Feb 1994, now abandoned which is a continuation of Ser. No. US 1993-32533, filed on 15 Mar 1993, now abandoned which is a continuation of Ser. No. US 1992-911266, filed on 7 Jul 1992, now abandoned which is a continuation of Ser. No. US 1990-567978, filed on 15 Aug 1990, now abandoned which is a continuation of Ser. No. US 1987-131390, filed on 10 Dec 1987, now abandoned |      |                  |
| DOCUMENT TYPE:        | Utility   |      |                  |
| FILE SEGMENT:         | Granted   |      |                  |
| PRIMARY EXAMINER:     | Woodward, Michael P.  |      |                  |
| LEGAL REPRESENTATIVE: | Campbell & Flores LLP   |      |                  |
| NUMBER OF CLAIMS:     | 18  |      |                  |
| EXEMPLARY CLAIM:      | 1   |      |                  |
| NUMBER OF DRAWINGS:   | 5 Drawing Figure(s); 3 Drawing Page(s)  |      |                  |
| LINE COUNT:           | 661   |      |                  |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel synthetic Arg-Gly-Asp-containing peptides which have high affinity and specificity for their receptors by virtue of restrictions on their stereochemical conformation. Such restrictions can be provided by cyclization, by inclusion into a constraining conformational structure such as a helix, by providing an additional chemical structure such as an amide or an enantiomer of a naturally occurring amino acid, or by other methods. In particular, there is provided a cyclic peptide having

increased affinity and selectivity for the vitronectin receptor over that of linear, Arg-Gly-Asp-containing synthetic peptides.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **126716-32-3P**

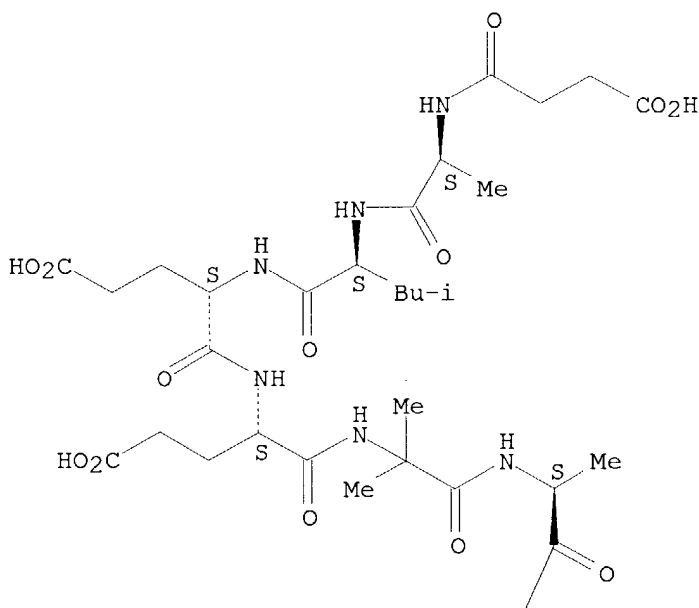
(preparation and conformation restraining of)

RN 126716-32-3 USPTAFULL

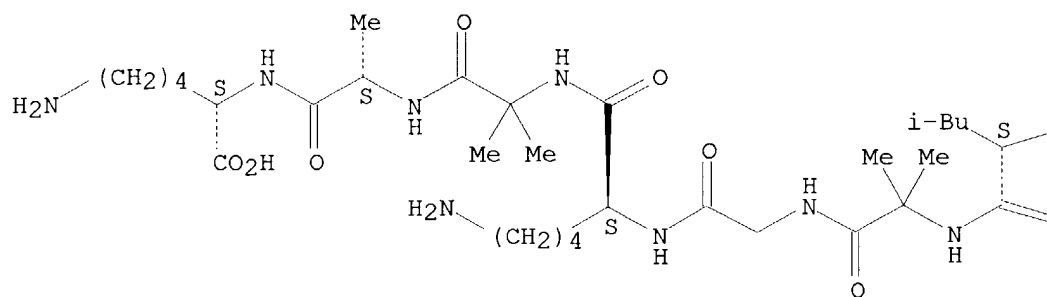
CN L-Lysine, N-(3-carboxy-1-oxopropyl)-L-alanyl-L-leucyl-L- $\alpha$ -glutamyl-L- $\alpha$ -glutamyl-2-methylalanyl-L-alanyl-L-lysyl-L-arginylglycyl-L- $\alpha$ -aspartyl-L-seryl-L-leucyl-2-methylalanylglycyl-L-lysyl-2-methylalanyl-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

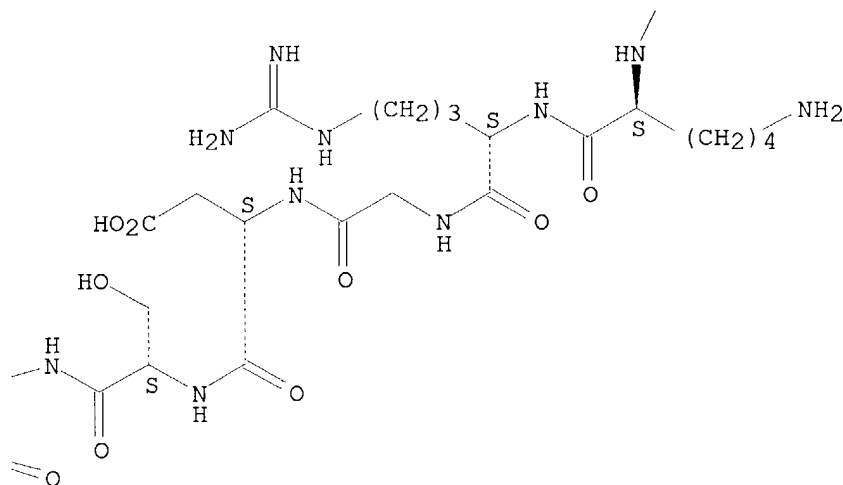
PAGE 1-B



PAGE 2-A



PAGE 2-B



L46 ANSWER 40 OF 58 USPATFULL on STN  
 ACCESSION NUMBER: 1999:146526 USPATFULL  
 TITLE: Conformationally stabilized cell adhesion peptides  
 INVENTOR(S): Pierschbacher, Michael D., San Diego, CA, United States  
 Ruoslahti, Erkki I., Rancho Santa Fe, CA, United States  
 PATENT ASSIGNEE(S): La Jolla Cancer Research Foundation, La Jolla, CA,  
 United States (U.S. corporation)

|                     | NUMBER         | KIND | DATE         |     |
|---------------------|----------------|------|--------------|-----|
| PATENT INFORMATION: | US 5985827     |      | 19991116     | <-- |
| APPLICATION INFO.:  | US 1995-458638 |      | 19950602 (8) | <-- |

RELATED APPLN. INFO.: Continuation of Ser. No. US 1994-292568, filed on 19 Aug 1994 which is a continuation of Ser. No. US 1994-215012, filed on 21 Mar 1994, now abandoned which is a continuation of Ser. No. US 1993-124992, filed on 21 Sep 1993, now abandoned which is a continuation of Ser. No. US 1993-48576, filed on 15 Apr 1993, now abandoned which is a continuation of Ser. No. US 1991-803797, filed on 6 Dec 1991, now abandoned which is a continuation of Ser. No. US 1988-292517, filed on 29 Dec 1988, now abandoned which is a continuation-in-part of Ser. No. US 1987-131390, filed on 10 Dec 1987, now abandoned

DOCUMENT TYPE: Utility  
 FILE SEGMENT: Granted  
 PRIMARY EXAMINER: Tsang, Cecilia J.  
 ASSISTANT EXAMINER: Delaney, Patrick R.  
 LEGAL REPRESENTATIVE: Campbell & Flores LLP  
 NUMBER OF CLAIMS: 7  
 EXEMPLARY CLAIM: 1  
 NUMBER OF DRAWINGS: 6 Drawing Figure(s); 4 Drawing Page(s)  
 LINE COUNT: 726

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel synthetic Arg-Gly-Asp containing peptides which have high affinity and specificity for their receptors by virtue of restrictions on their stereochemical conformation. Such restrictions can be provided by cyclization, by inclusion into a constraining conformational structure such as a helix, by providing an additional chemical structure such as an amide or an enantiomer of a naturally occurring amino acid, or by other methods. In particular, there are provided cyclic peptides having increased affinity and selectivity for the certain receptors over that of linear, Arg-Gly-Asp-containing synthetic peptides.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **126716-32-3P**

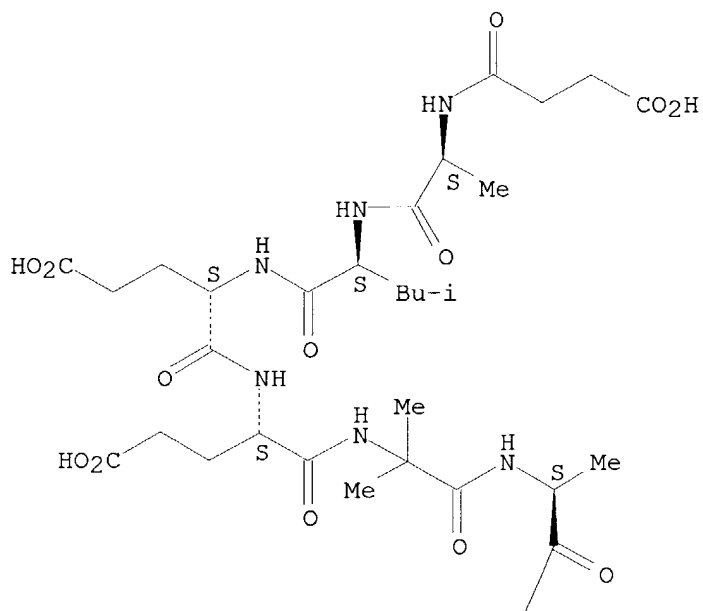
(preparation and conformation restraining of)

RN 126716-32-3 USPATFULL

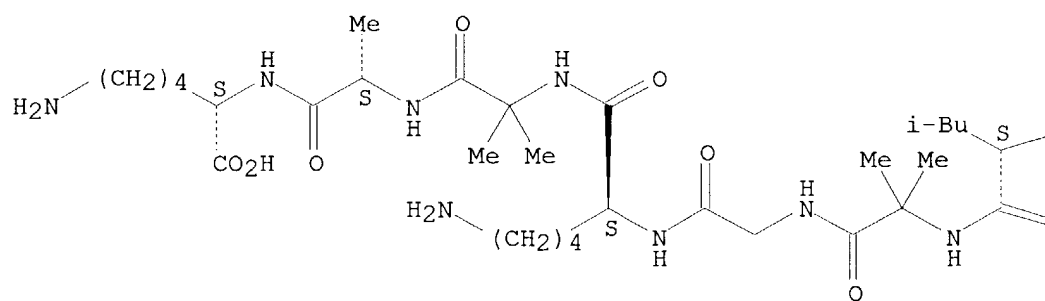
CN L-Lysine, N-(3-carboxy-1-oxopropyl)-L-alanyl-L-leucyl-L- $\alpha$ -glutamyl-L- $\alpha$ -glutamyl-2-methylalanyl-L-alanyl-L-lysyl-L-arginylglycyl-L- $\alpha$ -aspartyl-L-seryl-L-leucyl-2-methylalanylglycyl-L-lysyl-2-methylalanyl-L-alanyl- (9CI) (CA INDEX NAME)

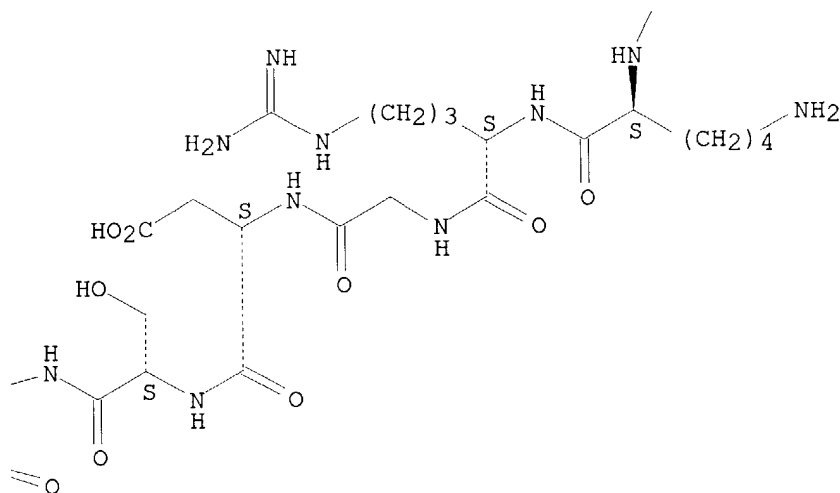
Absolute stereochemistry.

PAGE 1-B



PAGE 2-A





L46 ANSWER 41 OF 58 USPATEFULL on STN

ACCESSION NUMBER: 1999:141875 USPATEFULL

TITLE: Conformationally stabilized cell adhesion peptides

INVENTOR(S): Pierschbacher, Michael D., San Diego, CA, United States

Ruoslahti, Erkki I., Rancho Santa Fe, CA, United States

PATENT ASSIGNEE(S): La Jolla Cancer Research Foundation, San Diego, CA,  
United States (U.S. corporation)

|                       | NUMBER   | KIND | DATE             |
|-----------------------|--|------|------------------|
| PATENT INFORMATION:   | US 5981468   |      | 19991109 <--     |
| APPLICATION INFO.:    | US 1995-459445   |      | 19950602 (8) <-- |
| RELATED APPLN. INFO.: | Continuation of Ser. No. US 1994-292568, filed on 19 Aug 1994, now patented, Pat. No. US 5906975 which is a continuation of Ser. No. US 1994-215012, filed on 21 Mar 1994, now abandoned which is a continuation of Ser. No. US 1993-124992, filed on 21 Sep 1993, now abandoned which is a continuation of Ser. No. US 1993-48576, filed on 15 Apr 1993, now abandoned which is a continuation of Ser. No. US 1991-803797, filed on 6 Dec 1991, now abandoned which is a continuation of Ser. No. US 1988-292517, filed on 29 Dec 1988, now abandoned which is a continuation-in-part of Ser. No. US 1987-131390, filed on 10 Dec 1987, now abandoned |      |                  |
| DOCUMENT TYPE:        | Utility  |      |                  |
| FILE SEGMENT:         | Granted  |      |                  |
| PRIMARY EXAMINER:     | Davenport, Avis M.   |      |                  |
| LEGAL REPRESENTATIVE: | Campbell & Flores, LLP   |      |                  |
| NUMBER OF CLAIMS:     | 68   |      |                  |
| EXEMPLARY CLAIM:      | 1  |      |                  |
| NUMBER OF DRAWINGS:   | 6 Drawing Figure(s); 4 Drawing Page(s)   |      |                  |
| LINE COUNT:           | 980  |      |                  |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel synthetic Arg-Gly-Asp containing peptides which have high affinity and specificity for their receptors by virtue of restrictions on their stereochemical conformation. Such restrictions can be provided by



cyclization, by inclusion into a constraining conformational structure such as a helix, by providing an additional chemical structure such as an amide or an enantiomer of a naturally occurring amino acid, or by other methods. In particular, there are provided cyclic peptides having increased affinity and selectivity for the certain receptors over that of linear, Arg-Gly-Asp-containing synthetic peptides.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **126716-32-3P**

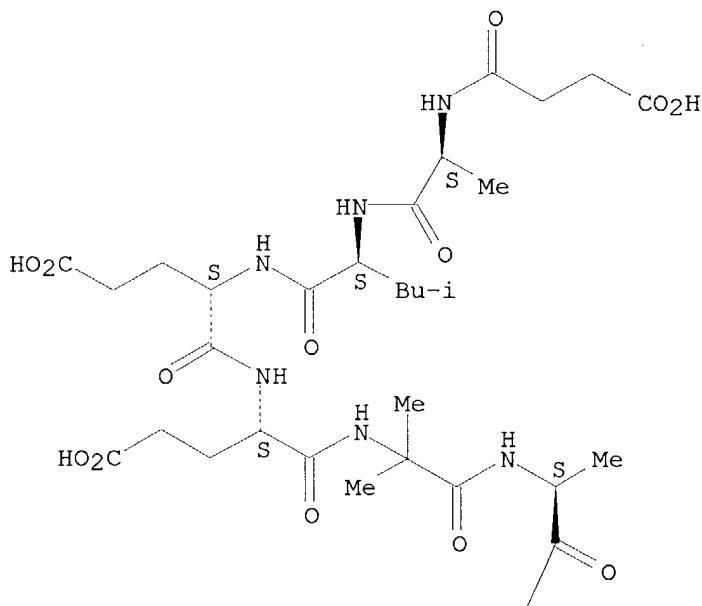
(preparation and conformation restraining of)

RN 126716-32-3 USPTFULL

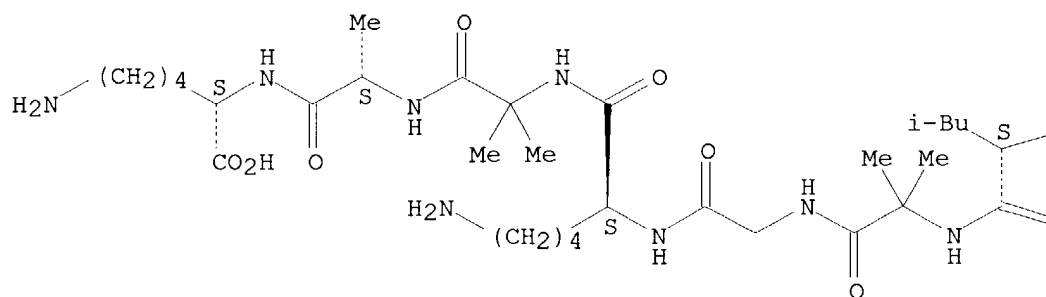
CN L-Lysine, N-(3-carboxy-1-oxopropyl)-L-alanyl-L-leucyl-L- $\alpha$ -glutamyl-L- $\alpha$ -glutamyl-2-methylalanyl-L-alanyl-L-lysyl-L-arginylglycyl-L- $\alpha$ -aspartyl-L-seryl-L-leucyl-2-methylalanylglycyl-L-lysyl-2-methylalanyl-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

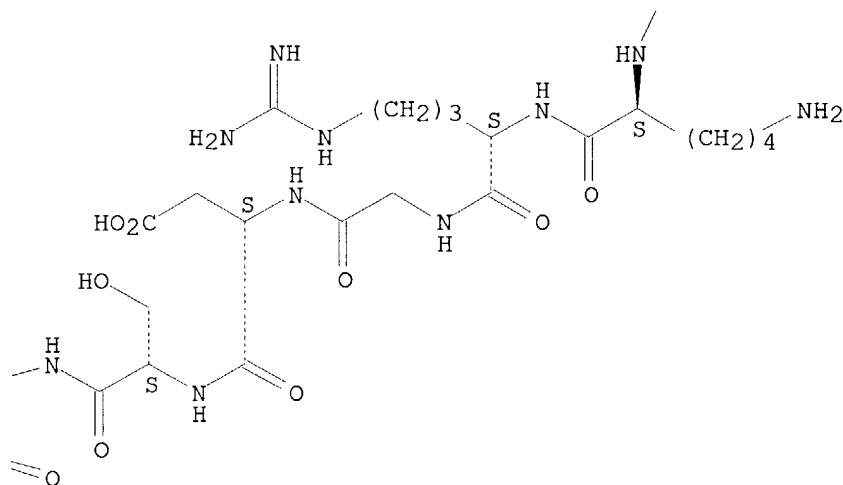
PAGE 1-B



PAGE 2-A



PAGE 2-B



L46 ANSWER 42 OF 58 USPTAFULL on STN  
 ACCESSION NUMBER: 1999:61161 USPTAFULL  
 TITLE: Conformationally stabilized cell adhesion peptides  
 INVENTOR(S): Pierschbacher, Michael D., San Diego, CA, United States  
 Ruoslahti, Erkki I., Rancho Santa Fe, CA, United States  
 PATENT ASSIGNEE(S): La Jolla Cancer Research Foundation, La Jolla, CA,  
 United States (U.S. corporation)

|                     | NUMBER         | KIND | DATE         |     |
|---------------------|----------------|------|--------------|-----|
| PATENT INFORMATION: | US 5906975     |      | 19990525     | <-- |
| APPLICATION INFO.:  | US 1994-292568 |      | 19940819 (8) | <-- |

RELATED APPLN. INFO.: Continuation of Ser. No. US 1994-215012, filed on 21 Mar 1994, now abandoned which is a continuation of Ser. No. US 1993-124992, filed on 21 Sep 1993, now abandoned which is a continuation of Ser. No. US 1993-48576, filed on 15 Apr 1993, now abandoned which is a continuation of Ser. No. US 1991-803797, filed on 6 Dec 1991, now abandoned which is a continuation of Ser. No. US 1988-292517, filed on 29 Dec 1988, now abandoned which is a continuation-in-part of Ser. No. US 1987-131390, filed on 10 Dec 1987, now abandoned

DOCUMENT TYPE: Utility  
FILE SEGMENT: Granted  
PRIMARY EXAMINER: Hill, Jr., Robert J.  
ASSISTANT EXAMINER: Delaney, Patrick R.  
LEGAL REPRESENTATIVE: Campbell & Flores LLP  
NUMBER OF CLAIMS: 3  
EXEMPLARY CLAIM: 1  
NUMBER OF DRAWINGS: 6 Drawing Figure(s); 4 Drawing Page(s)  
LINE COUNT: 689

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel synthetic Arg-Gly-Asp containing peptides which have high affinity and specificity for their receptors by virtue of restrictions on their stereochemical conformation. Such restrictions can be provided by cyclization, by inclusion into a constraining conformational structure such as a helix, by providing an additional chemical structure such as an amide or an enantiomer of a naturally occurring amino acid, or by other methods. In particular, there are provided cyclic peptides having increased affinity and selectivity for the certain receptors over that of linear, Arg-Gly-Asp-containing synthetic peptides.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

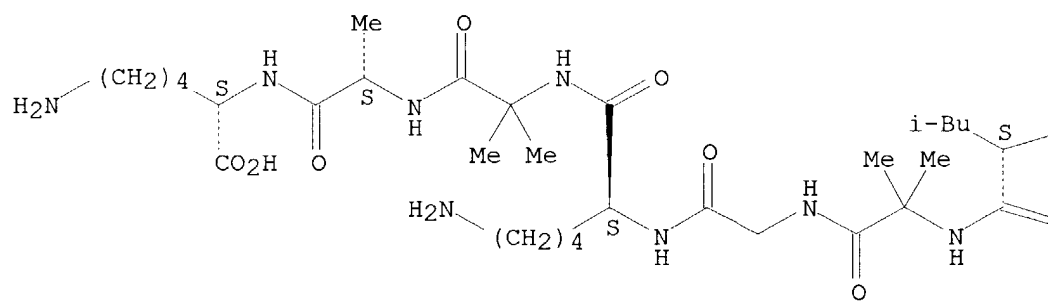
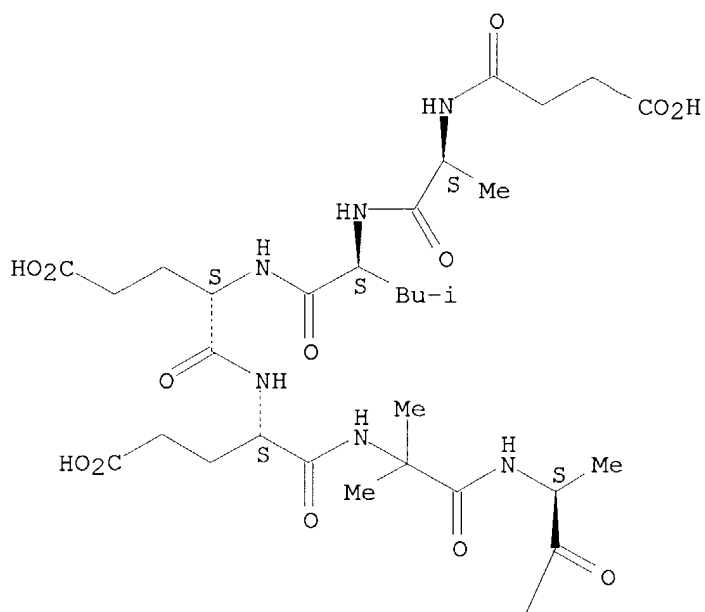
IT **126716-32-3P**

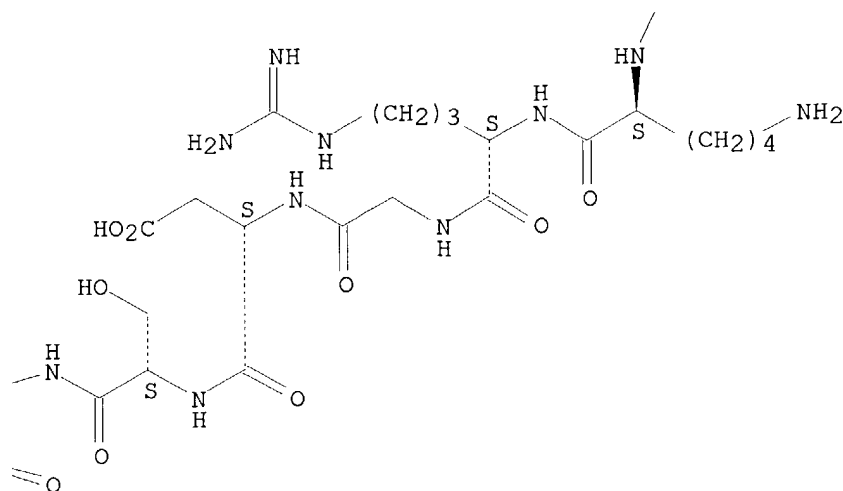
(preparation and conformation restraining of)

RN 126716-32-3 USPATFULL

CN L-Lysine, N-(3-carboxy-1-oxopropyl)-L-alanyl-L-leucyl-L- $\alpha$ -glutamyl-L- $\alpha$ -glutamyl-2-methylalanyl-L-alanyl-L-lysyl-L-arginylglycyl-L- $\alpha$ -aspartyl-L-seryl-L-leucyl-2-methylalanylglycyl-L-lysyl-2-methylalanyl-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





L46 ANSWER 43 OF 58 USPTAFULL on STN  
 ACCESSION NUMBER: 1999:30774 USPTAFULL  
 TITLE: Conformationally stabilized cell adhesion peptides  
 INVENTOR(S): Pierschbacher, Michael D., San Diego, CA, United States  
 Ruoslahti, Erkki I., Rancho Santa Fe, CA, United States  
 PATENT ASSIGNEE(S): La Jolla Cancer Research Foundation, La Jolla, CA,  
 United States (U.S. corporation)

|                       | NUMBER  | KIND | DATE             |
|-----------------------|---|------|------------------|
| PATENT INFORMATION:   | US 5880092  |      | 19990309 <--     |
| APPLICATION INFO.:    | US 1995-459441  |      | 19950602 (8) <-- |
| RELATED APPLN. INFO.: | Continuation of Ser. No. US 1994-292568, filed on 19 Aug 1994 which is a continuation of Ser. No. US 1994-215012, filed on 21 Mar 1994, now abandoned which is a continuation of Ser. No. US 1993-124992, filed on 21 Sep 1993, now abandoned which is a continuation of Ser. No. US 1993-48576, filed on 15 Apr 1993, now abandoned which is a continuation of Ser. No. US 1991-803797, filed on 6 Dec 1991, now abandoned which is a continuation of Ser. No. US 1988-292571, filed on 29 Dec 1988, now abandoned which is a continuation-in-part of Ser. No. US 1987-131390, filed on 10 Dec 1987, now abandoned |      |                  |
| DOCUMENT TYPE:        | Utility   |      |                  |
| FILE SEGMENT:         | Granted   |      |                  |
| PRIMARY EXAMINER:     | Tsang, Cecilia J.   |      |                  |
| ASSISTANT EXAMINER:   | Delaney, Patrick R.   |      |                  |
| LEGAL REPRESENTATIVE: | Campbell & Flores LLP   |      |                  |
| NUMBER OF CLAIMS:     | 22  |      |                  |
| EXEMPLARY CLAIM:      | 1   |      |                  |
| NUMBER OF DRAWINGS:   | 6 Drawing Figure(s); 4 Drawing Page(s)  |      |                  |
| LINE COUNT:           | 819   |      |                  |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel synthetic Arg-Gly-Asp containing peptides which have high affinity and specificity for their receptors by virtue of restrictions on their

stereochemical conformation. Such restrictions can be provided by cyclization, by inclusion into a constraining conformational structure such as a helix, by providing an additional chemical structure such as an amide or an enantiomer of a naturally occurring amino acid, or by other methods. In particular, there are provided cyclic peptides having increased affinity and selectivity for the certain receptors over that of linear, Arg-Gly-Asp-containing synthetic peptides.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **126716-32-3P**

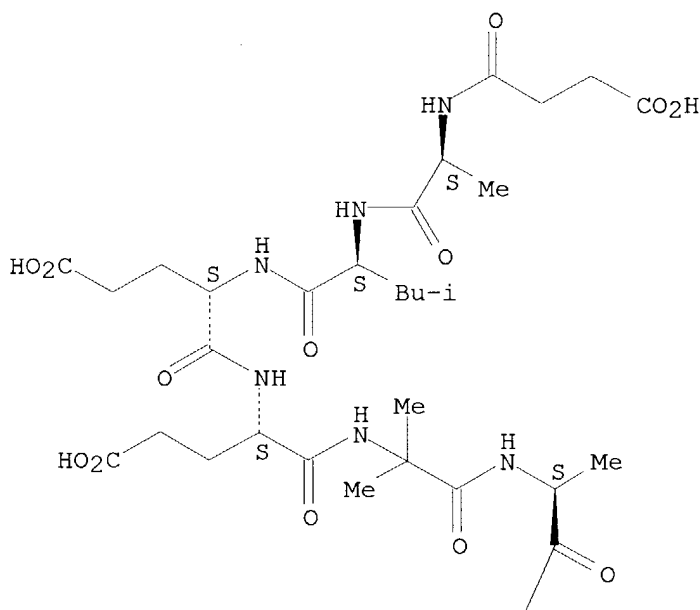
(preparation and conformation restraining of)

RN 126716-32-3 USPATFULL

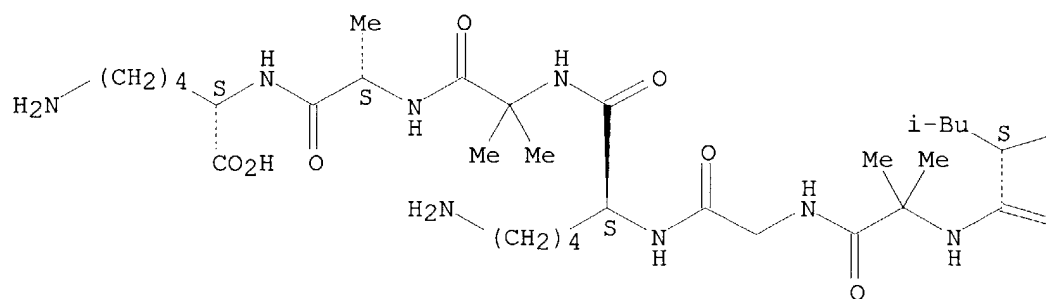
CN L-Lysine, N-(3-carboxy-1-oxopropyl)-L-alanyl-L-leucyl-L- $\alpha$ -glutamyl-L- $\alpha$ -glutamyl-2-methylalanyl-L-alanyl-L-lysyl-L-arginylglycyl-L- $\alpha$ -aspartyl-L-seryl-L-leucyl-2-methylalanylglycyl-L-lysyl-2-methylalanyl-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

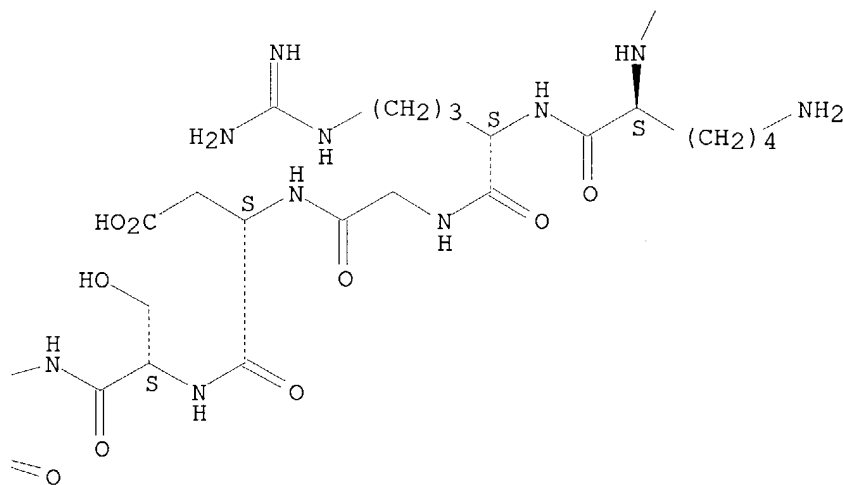
PAGE 1-B



PAGE 2-A



PAGE 2-B



L46 ANSWER 44 OF 58 USPTFULL on STN  
 ACCESSION NUMBER: 1998:131690 USPTFULL  
 TITLE: Conformationally stabilized cell adhesion peptides  
 INVENTOR(S): Pierschbacher, Michael D., San Diego, CA, United States  
 Ruoslahti, Erkki I., Rancho Santa Fe, CA, United States  
 PATENT ASSIGNEE(S): The Burnham Institute, La Jolla, CA, United States  
 (U.S. corporation)

|                     | NUMBER         | KIND | DATE         |     |
|---------------------|----------------|------|--------------|-----|
| PATENT INFORMATION: | US 5827821     |      | 19981027     | <-- |
| APPLICATION INFO.:  | US 1992-914643 |      | 19920716 (7) | <-- |

RELATED APPLN. INFO.: Continuation of Ser. No. US 1991-776839, filed on 15 Oct 1991, now abandoned which is a continuation of Ser. No. US 1988-292517, filed on 29 Dec 1988, now abandoned which is a continuation-in-part of Ser. No. US 1987-131390, filed on 10 Dec 1987, now abandoned

DOCUMENT TYPE: Utility  
FILE SEGMENT: Granted  
PRIMARY EXAMINER: Tsang, Cecilia  
ASSISTANT EXAMINER: Delaney, Patrick R.  
LEGAL REPRESENTATIVE: Campbell & Flores LLP  
NUMBER OF CLAIMS: 29  
EXEMPLARY CLAIM: 1  
NUMBER OF DRAWINGS: 6 Drawing Figure(s); 4 Drawing Page(s)  
LINE COUNT: 767

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel synthetic Arg-Gly-Asp containing peptides which have high affinity and specificity for their receptors by virtue of restrictions on their stereochemical conformation. Such restrictions can be provided by cyclization, by inclusion into a constraining conformational structure such as a helix, by providing an additional chemical structure such as an amide or an enantiomer of a naturally occurring amino acid, or by other methods. In particular, there are provided cyclic peptides having increased affinity and selectivity for the certain receptors over that of linear, Arg-Gly-Asp-containing synthetic peptides.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **126716-32-3P**

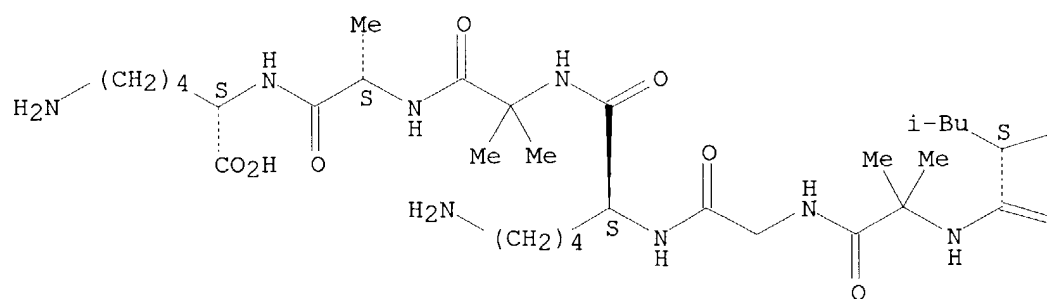
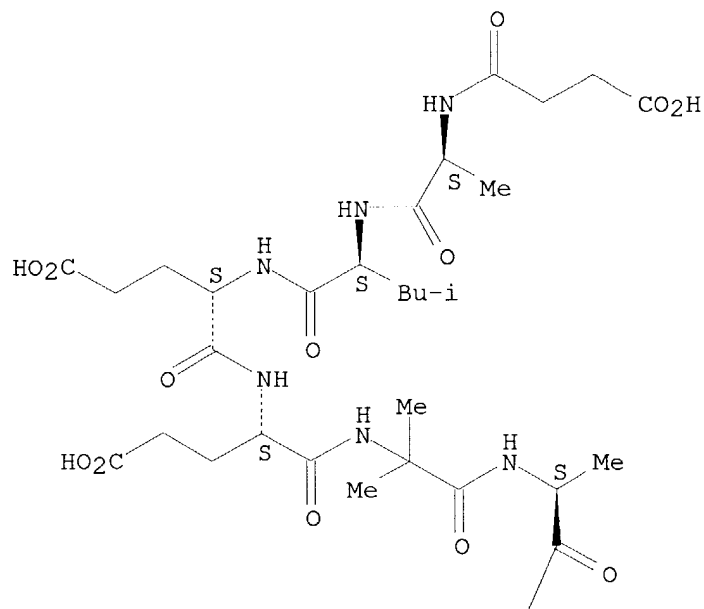
(preparation and conformation restraining of)

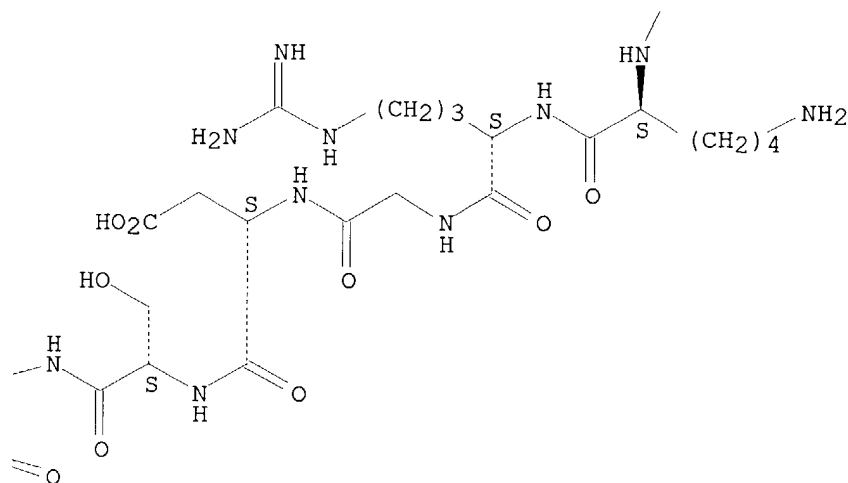
RN 126716-32-3 USPATFULL

CN L-Lysine, N-(3-carboxy-1-oxopropyl)-L-alanyl-L-leucyl-L- $\alpha$ -glutamyl-L- $\alpha$ -glutamyl-2-methylalanyl-L-alanyl-L-lysyl-L-arginylglycyl-L- $\alpha$ -aspartyl-L-seryl-L-leucyl-2-methylalanylglycyl-L-lysyl-2-methylalanyl-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.







L46 ANSWER 45 OF 58 USPTFULL on STN  
 ACCESSION NUMBER: 93:96234 USPTFULL  
 TITLE: GRF analogs XI  
 INVENTOR(S): Rivier, Jean E. F., La Jolla, CA, United States  
 Vale, Jr., Wylie W., La Jolla, CA, United States  
 PATENT ASSIGNEE(S): The Salk Institute for Biological Studies, San Diego,  
 CA, United States (U.S. corporation)

|                       | NUMBER                        | KIND | DATE         |     |
|-----------------------|-------------------------------|------|--------------|-----|
| PATENT INFORMATION:   | US 5262519                    |      | 19931116     | <-- |
| APPLICATION INFO.:    | US 1991-701414                |      | 19910515 (7) | <-- |
| DOCUMENT TYPE:        | Utility                       |      |              |     |
| FILE SEGMENT:         | Granted                       |      |              |     |
| PRIMARY EXAMINER:     | Lee, Lester L.                |      |              |     |
| ASSISTANT EXAMINER:   | Davenport, A. M.              |      |              |     |
| LEGAL REPRESENTATIVE: | Fitch, Even, Tabin & Flannery |      |              |     |
| NUMBER OF CLAIMS:     | 20                            |      |              |     |
| EXEMPLARY CLAIM:      | 1                             |      |              |     |
| LINE COUNT:           | 1041                          |      |              |     |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention provides synthetic peptides which are extremely potent in stimulating the release of pituitary GH in animals, including humans and also resist enzymatic degradation in the body. Certain preferred peptides have the formula:

(B) R.sub.1 -Ala-Asp-Ala-Ile-Phe-Thr-R.sub.8 -Ser-Tyr-Arg-Lys-Val-Leu-R.sub.15 -R.sub.16 - Leu-Ser-Ala-Arg-Lys-Leu-Leu-R.sub.24 -R.sub.25 -Ile-Nle-R.sub.28 -Arg-Y wherein R.sub.1 is Tyr, D-Tyr, Phe, D-Phe, His or D-His; B is H or N.sup.α Me; R.sub.8 is Ala, Aib or Asn; R.sub.15 is Gly or Ala; R.sub.16 is Ala, Aib or Gln; R.sub.24 is Ala, Aib or Gln; R.sub.25 is Ala, Aib or Asp; R.sub.28 is Ser or Asn; Y is NHR with R being H or lower alkyl; provided that at least one of R.sub.8, R.sub.16, R.sub.24 and R.sub.25 is Ala or Aib.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 148033-66-3P 148033-68-5P 148033-69-6P

148033-70-9P 148033-71-0P 148033-72-1P

148033-73-2P 148033-74-3P 148033-75-4P

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148033-79-8P 148033-80-1P 148054-95-9P

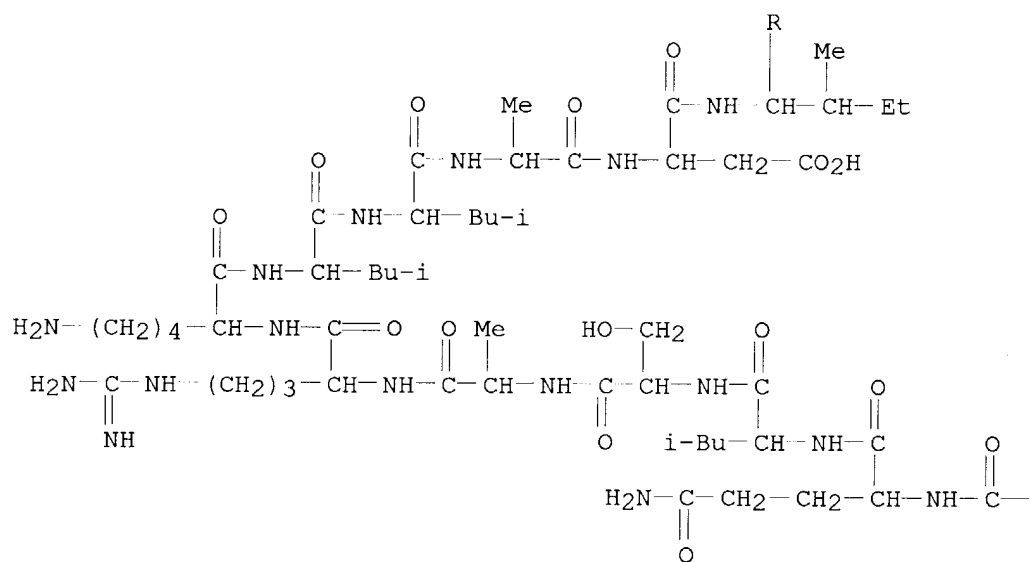
148054-96-0P 148054-97-1P 149027-98-5P

(preparation of, by **solid-phase** methods, and growth hormone releasing factor activity of)

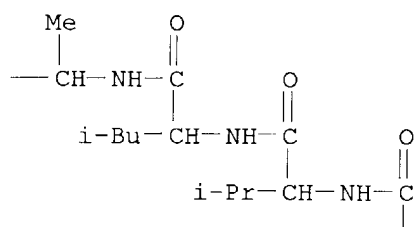
RN 148033-66-3 USPATFULL

CN L-Argininamide, N-methyl-L-tyrosyl-L-alanyl-L- $\alpha$ -aspartyl-L-alanyl-L-isoleucyl-L-phenylalanyl-L-threonyl-L-lysyl-L-seryl-L-tyrosyl-L-arginyl-L-lysyl-L-valyl-L-leucyl-L-alanyl-L-glutaminy-L-leucyl-L-seryl-L-alanyl-L-arginyl-L-lysyl-L-leucyl-L-leucyl-L-alanyl-L- $\alpha$ -aspartyl-L-isoleucyl-2-methyl-L-leucyl-L-asparaginy- (9CI) (CA INDEX NAME)

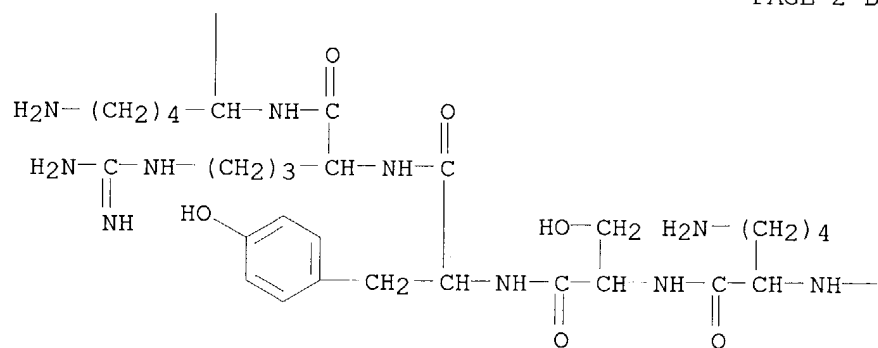
PAGE 1-A



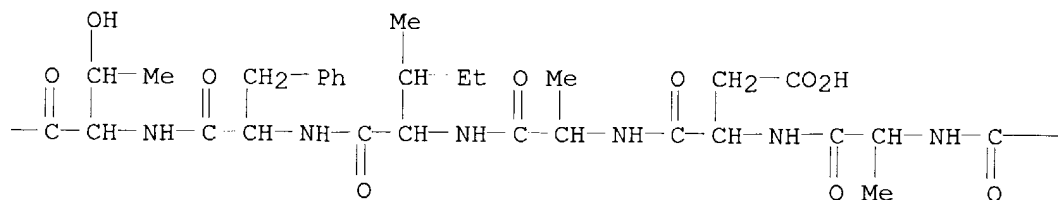
PAGE 1-B



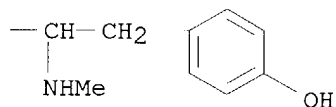
PAGE 2-B



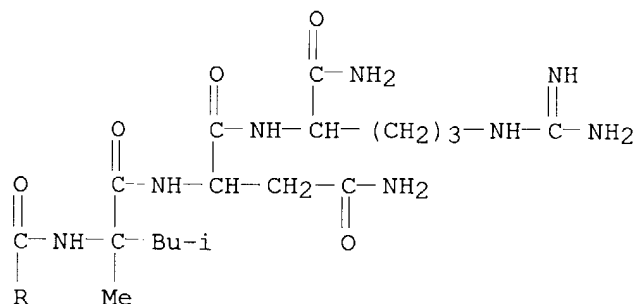
PAGE 2-C



PAGE 2-D



PAGE 3-A

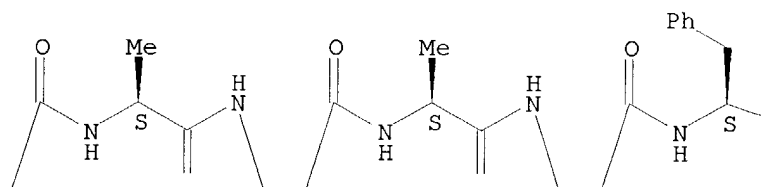
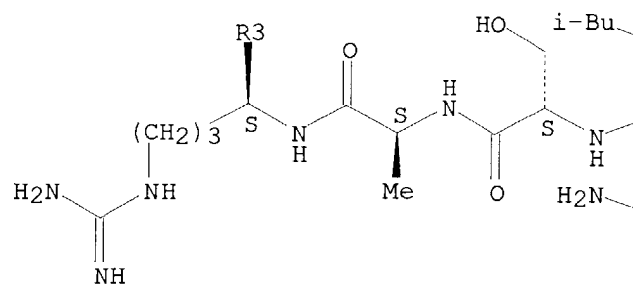


RN 148033-68-5 USPATFULL

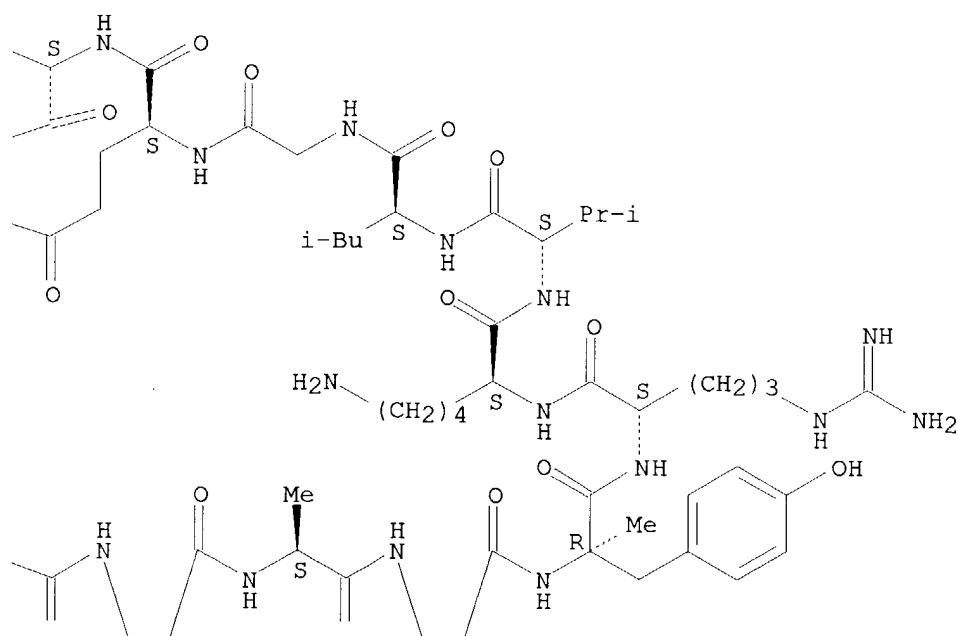
CN Somatoliberin (human pancreatic islet), N-methyl-8-L-alanine-10-( $\alpha$ -methyl-D-tyrosine)-21-D-lysine-27-(2-methyl-L-leucine)-29-L-argininamide-30-de-L-glutamine-31-de-L-glutamine-32-deglycine-33-de-L-glutamic acid-34-de-L-serine-35-de-L-asparagine-36-de-L-glutamine-37-de-L-glutamic acid-38-de-L-arginine-39-deglycine-40-de-L-alanine-41-de-L-arginine-42-de-L-alanine-43-de-L-arginine-44-de-L-leucinamide- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

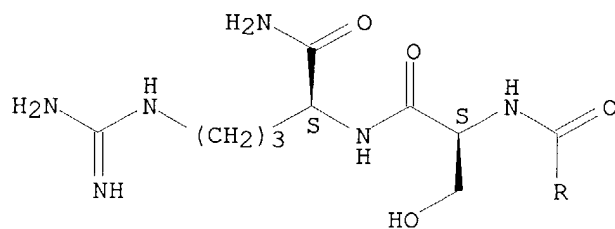
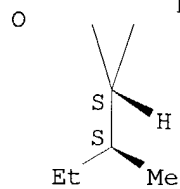
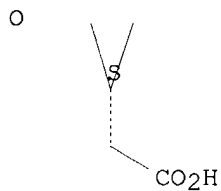
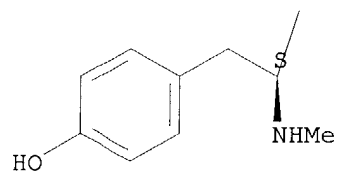
PAGE 1-A



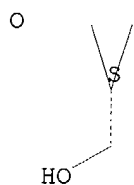
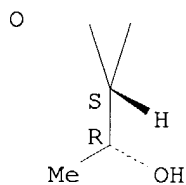
PAGE 1-B



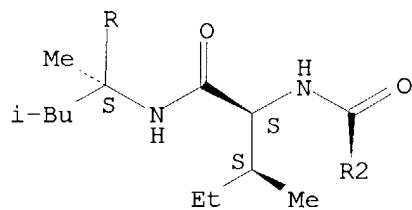
PAGE 2-A

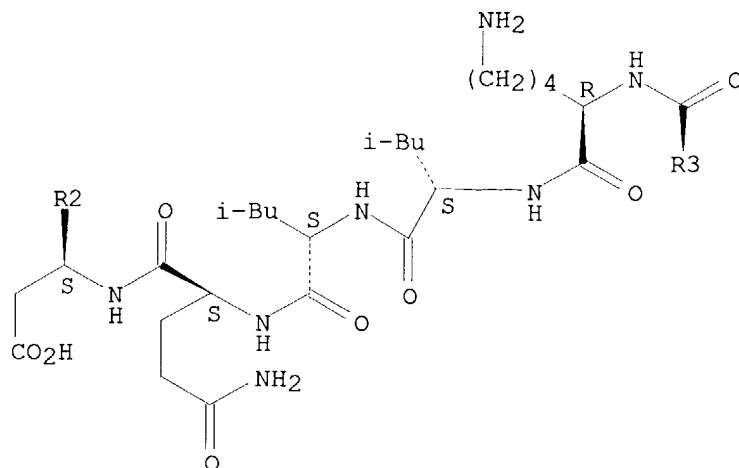


PAGE 2-B



PAGE 3-A

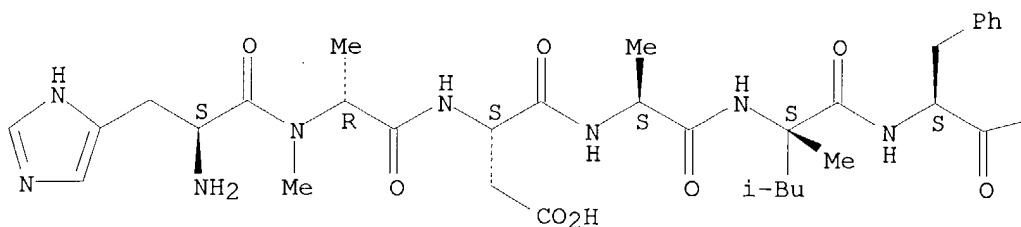




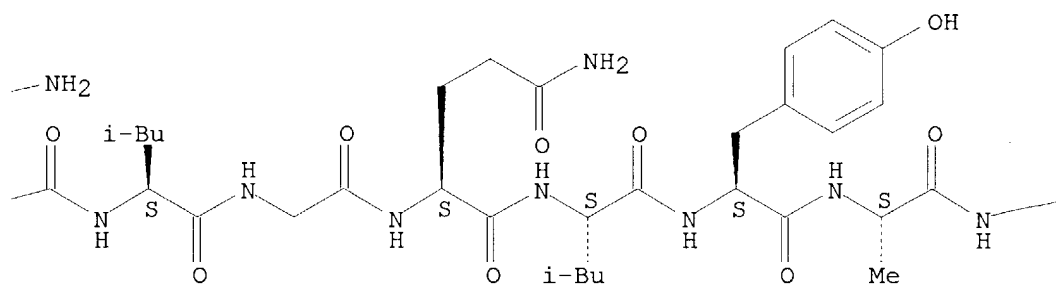
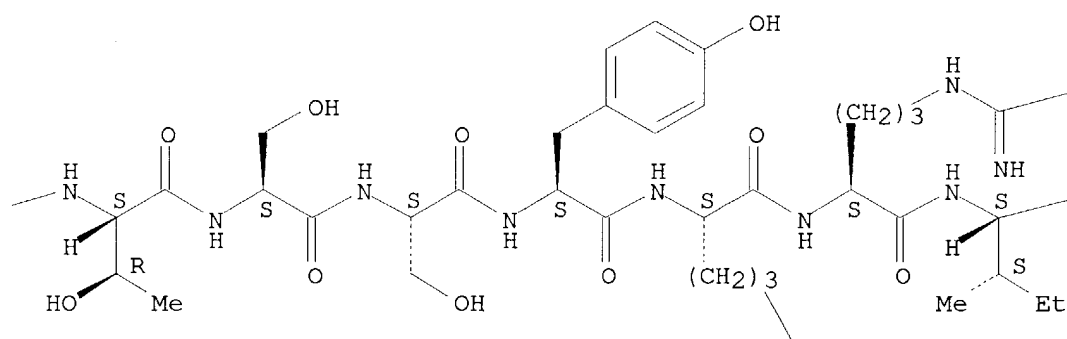
RN 148033-69-6 USPATFULL

CN L-Argininamide, L-histidyl-N-methyl-D-alanyl-L- $\alpha$ -aspartyl-L-alanyl-2-methyl-L-leucyl-L-phenylalanyl-L-threonyl-L-seryl-L-seryl-L-tyrosyl-L-arginyl-L-arginyl-L-isoleucyl-L-leucylglycyl-L-glutaminyl-L-leucyl-L-tyrosyl-L-alanyl-L-arginyl-D-lysyl-L-leucyl-L-leucyl-L-histidyl-L-alanyl-L-isoleucyl-L-norvalyl-L-asparaginyl- (9CI) (CA INDEX NAME)

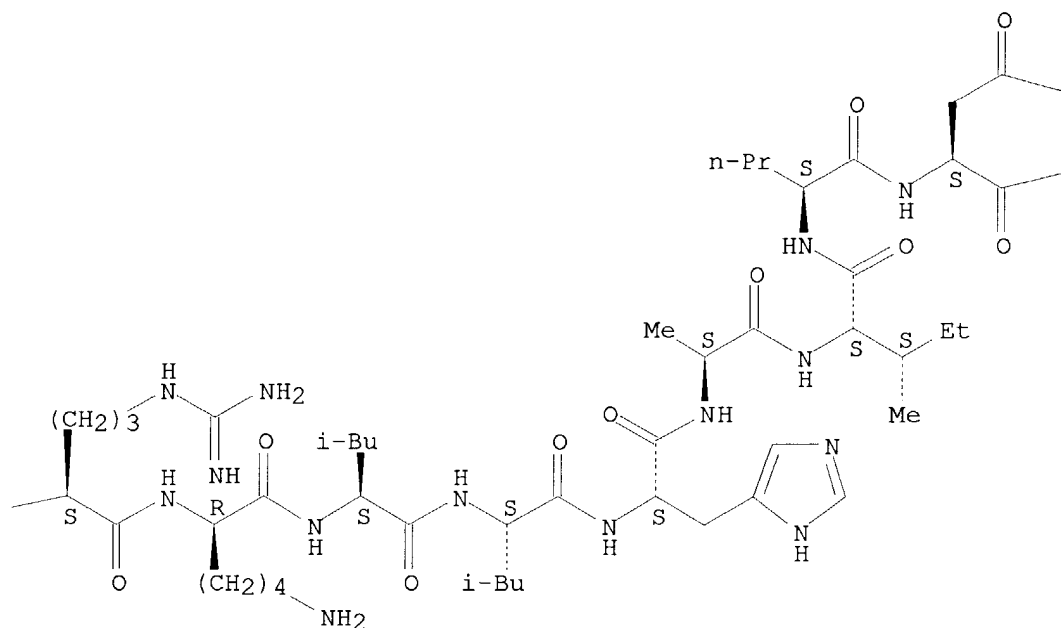
Absolute stereochemistry.



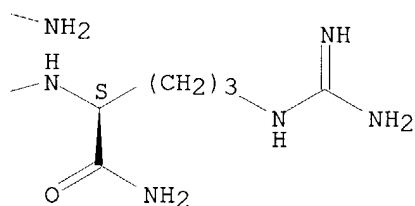




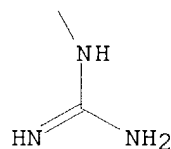
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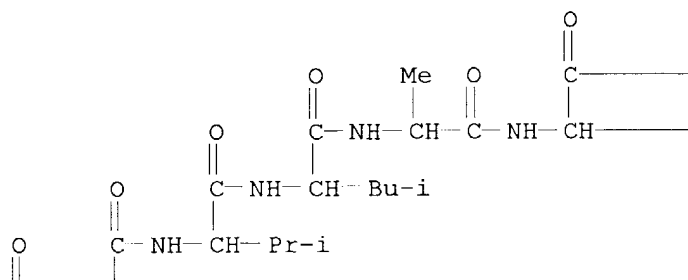
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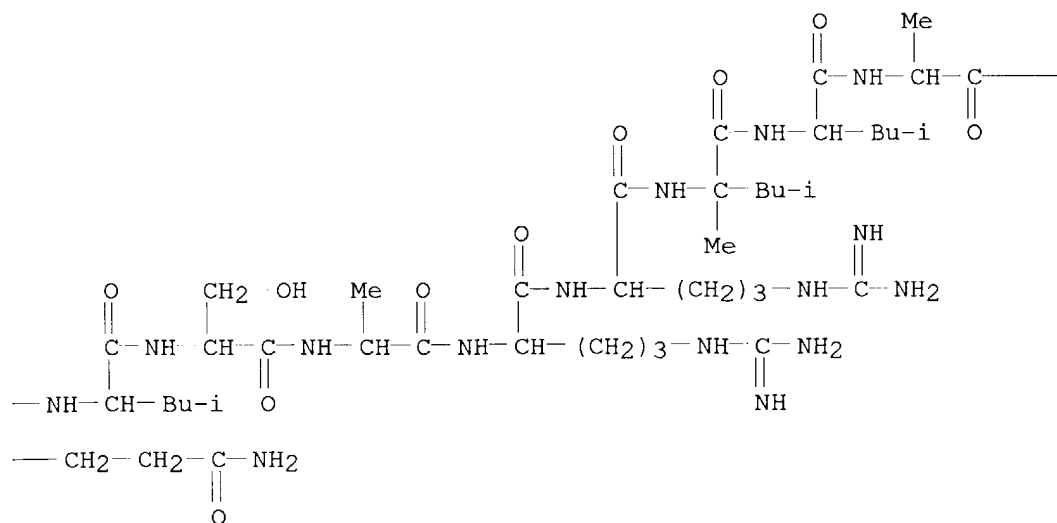
RN 148033-70-9 USPATFULL

CN L-Argininamide, D-tyrosyl-N-methyl-D-alanyl-D- $\alpha$ -aspartyl-L-alanyl-L-isoleucyl-L-phenylalanyl-L-threonyl-L-asparaginyll-L-seryl- $\alpha$ -methyl-D-tyrosyl-L-arginyl-L-lysyl-L-valyl-L-leucyl-L-alanyl-L-glutaminyl-L-leucyl-L-seryl-L-alanyl-L-arginyl-D-arginyl-2-methyl-L-leucyl-L-leucyl-L-alanyl-L- $\alpha$ -aspartyl-L-isoleucyl-D-methionyl-L-seryl- (9CI) (CA INDEX NAME)

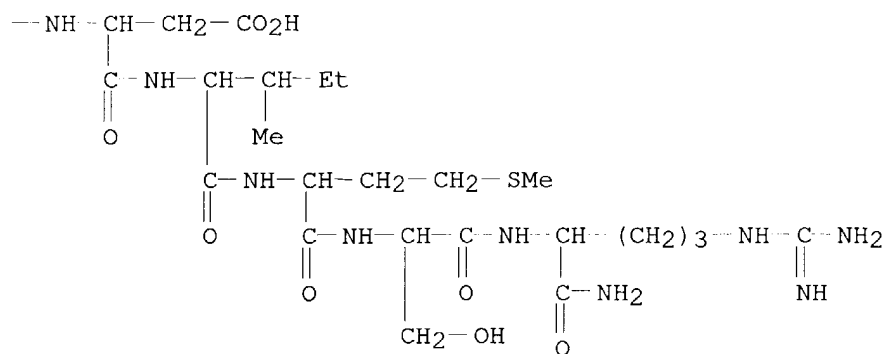
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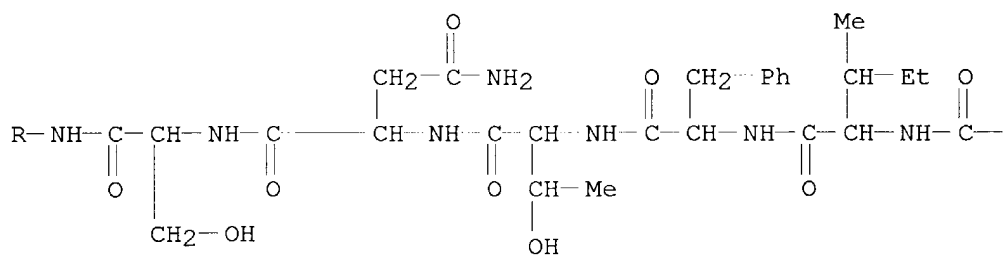
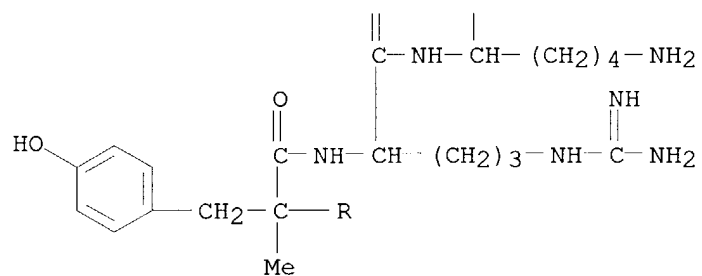
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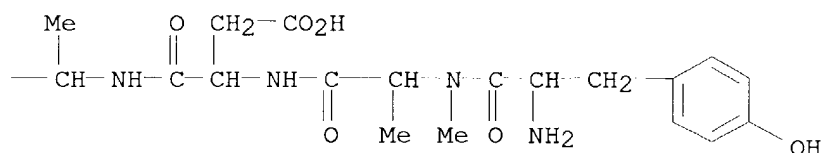


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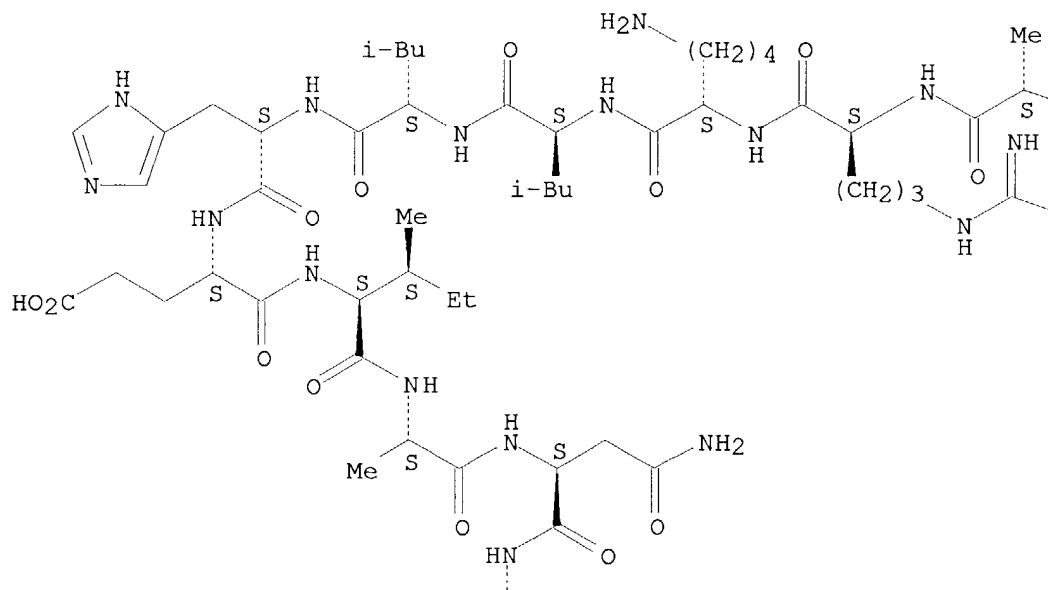




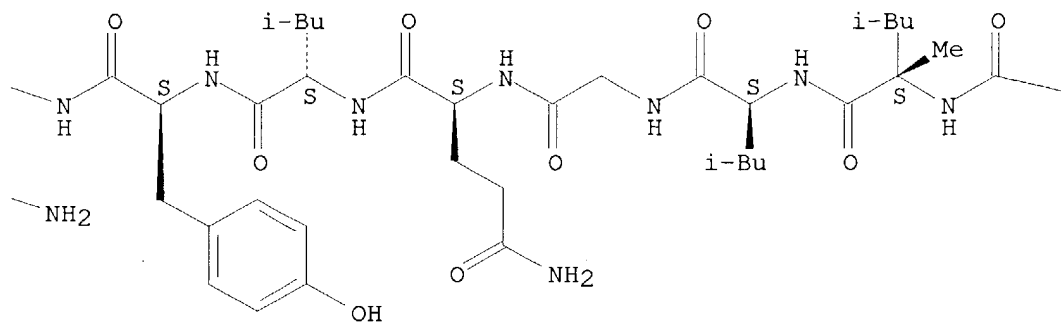
RN 148033-71-0 USPATFULL

CN L-Argininamide, D-histidyl-N-methyl-D-alanyl-L- $\alpha$ -aspartyl-L-alanyl-L-isoleucyl-L-phenylalanyl-L-threonyl-L-alanyl-L-seryl-L-tyrosyl-L-arginyl-L-arginyl-2-methyl-L-leucyl-L-leucylglycyl-L-glutaminyl-L-leucyl-L-tyrosyl-L-alanyl-L-arginyl-L-lysyl-L-leucyl-L-leucyl-L-histidyl-L- $\alpha$ -glutamyl-L-isoleucyl-L-alanyl-L-asparaginyl- (9CI) (CA INDEX NAME)

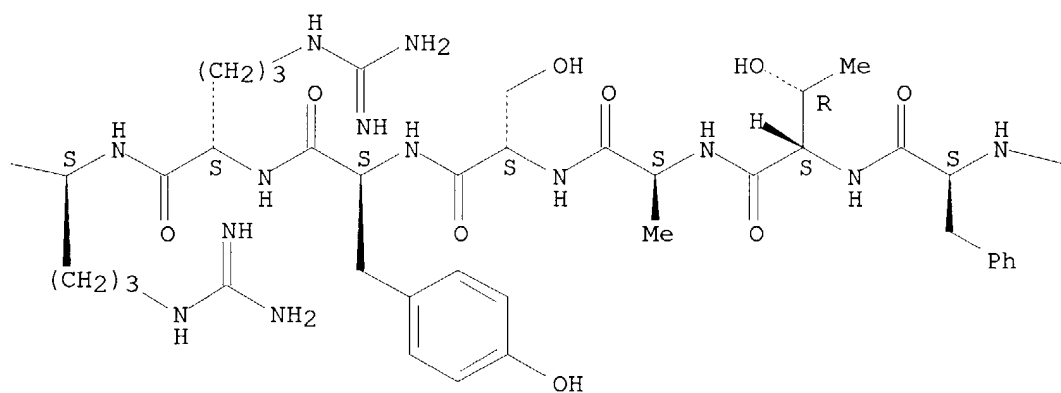
Absolute stereochemistry.



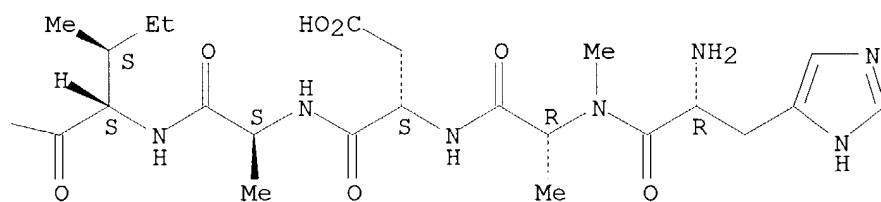
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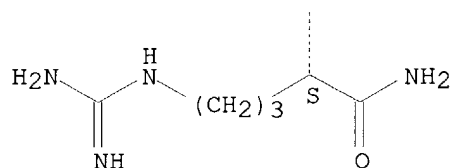
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RN 148033-72-1 USPATFULL

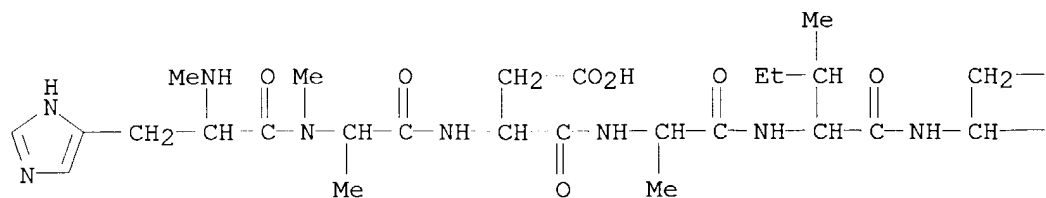
CN L-Argininamide, L-tyrosyl-N-methyl-D-alanyl-L- $\alpha$ -aspartyl-L-alanyl-L-isoleucyl-L-phenylalanyl-L-threonyl-L-asparaginyll-L-seryl- $\alpha$ -methyl-L-tyrosyl-L-arginyl-L-lysyl-3-methyl-L-isovalyl-L-leucylglycyl-L-alanyl-L-leucyl-L-seryl-L-alanyl-L-arginyl-L-lysyl-2-methyl-L-leucyl-L-leucyl-L-glutaminyll-L- $\alpha$ -aspartyl-L-isoleucyl-L-norleucyl-L-asparaginyll-(9CI) (CA INDEX NAME)

**STRUCTURE DIAGRAM IS NOT AVAILABLE**

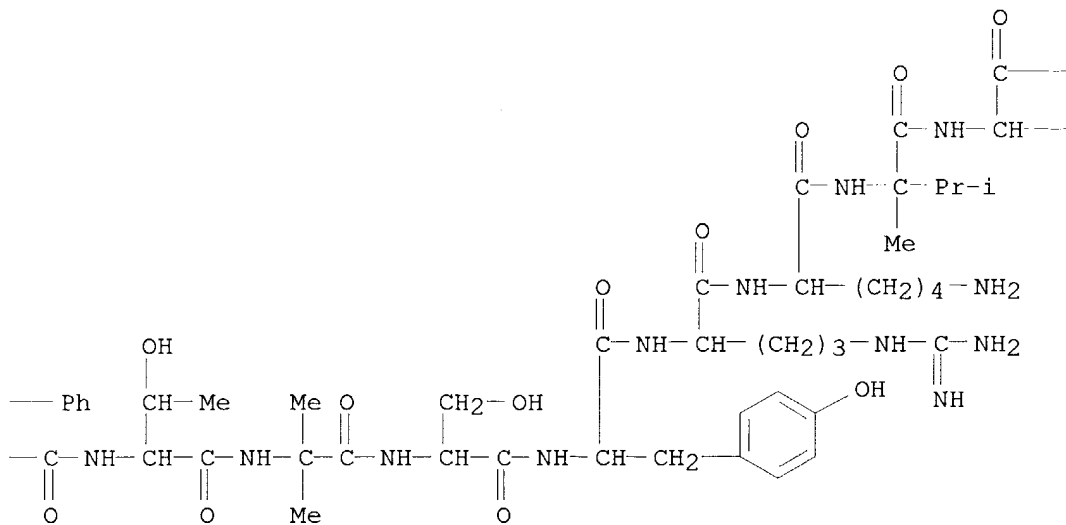
RN 148033-73-2 USPATFULL

CN Somatoliberin (human pancreatic islet), 1-(N-methyl-L-histidine)-2-(N-methyl-D-alanine)-8-(2-methylalanine)-13-(3-methyl-L-isovaline)-27-L-norleucine-29-L-argininamide-30-de-L-glutamine-31-de-L-glutamine-32-deglycine-33-de-L-glutamic acid-34-de-L-serine-35-de-L-asparagine-36-de-L-glutamine-37-de-L-glutamic acid-38-de-L-arginine-39-deglycine-40-de-L-alanine-41-de-L-arginine-42-de-L-alanine-43-de-L-arginine-44-de-L-leucinamide- (9CI) (CA INDEX NAME)

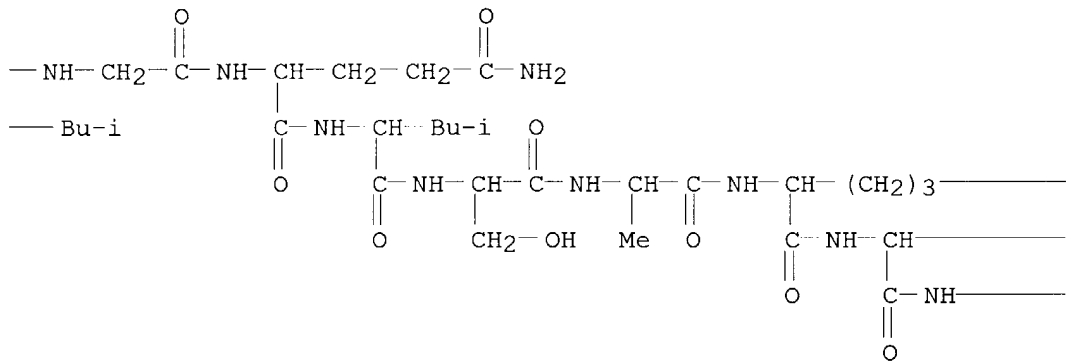
PAGE 1-A



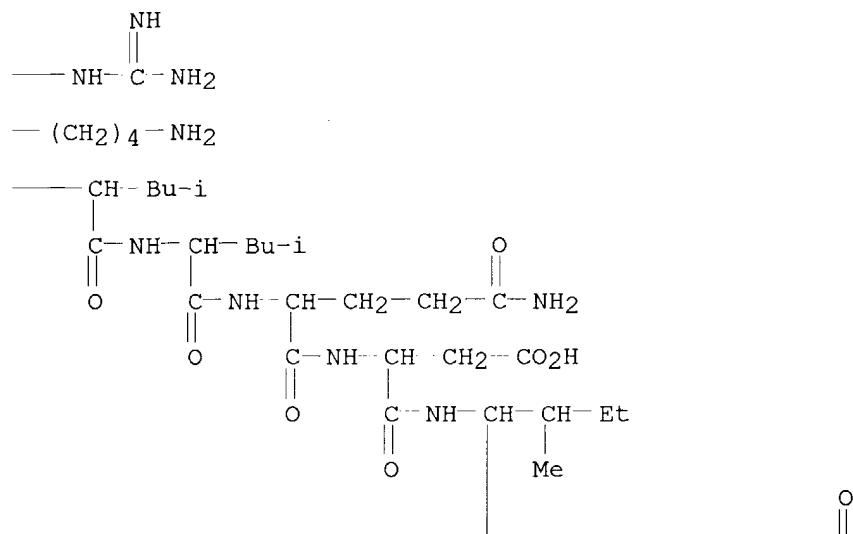
PAGE 1-B



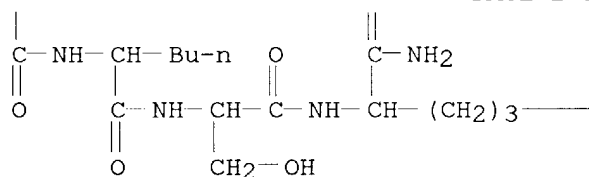
PAGE 1-C



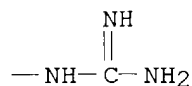




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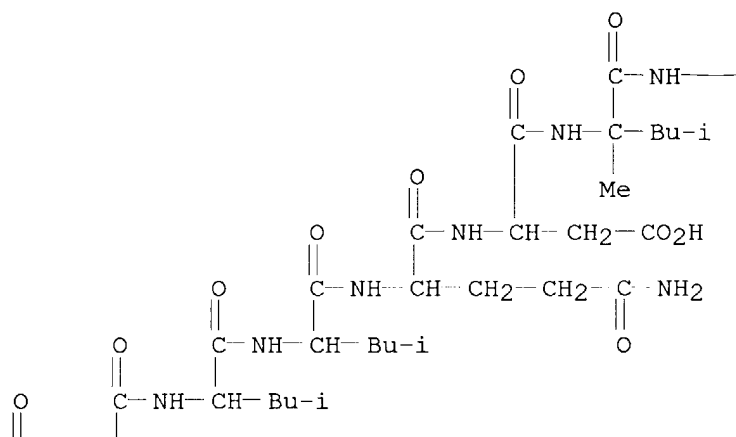


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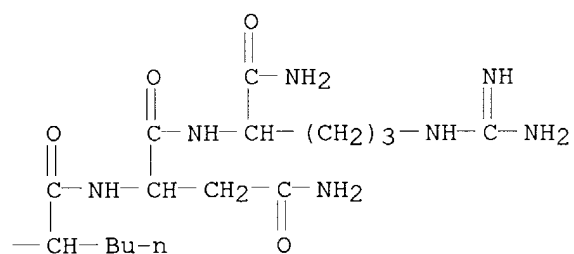


CN L-Argininamide, N-methyl-L-tyrosyl-L-alanyl-L- $\alpha$ -aspartyl-L-alanyl-L-isoleucyl-L-phenylalanyl-L-threonyl-L-asparaginyll-L-seryl-L-tyrosyl-L-arginyl-L-lysyl-L-valyl-L-leucyl-L-alanyl-L-alanyl-L-leucyl-L-seryl-L-alanyl-L-arginyl-L-lysyl-L-leucyl-L-leucyl-L-glutaminyll-L- $\alpha$ -aspartyl-2-methyl-L-leucyl-L-norleucyl-L-asparaginyll- (9CI) (CA INDEX NAME)

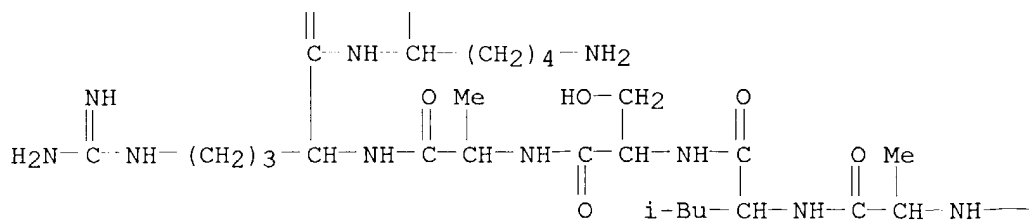
PAGE 1-A

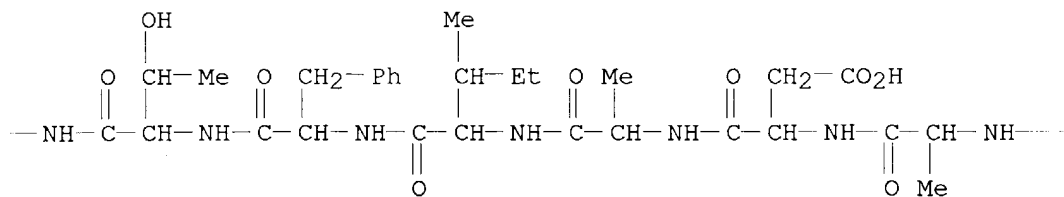
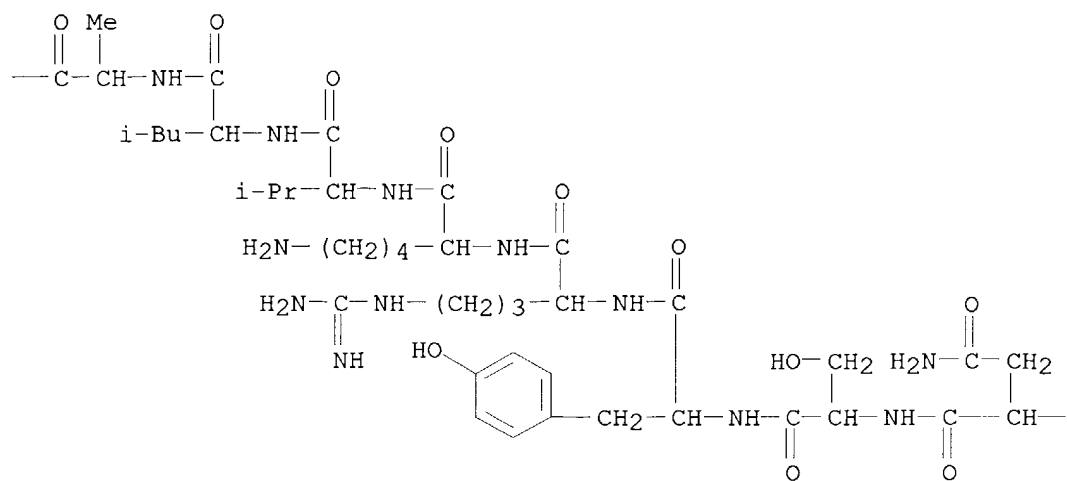


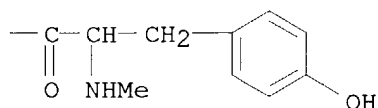
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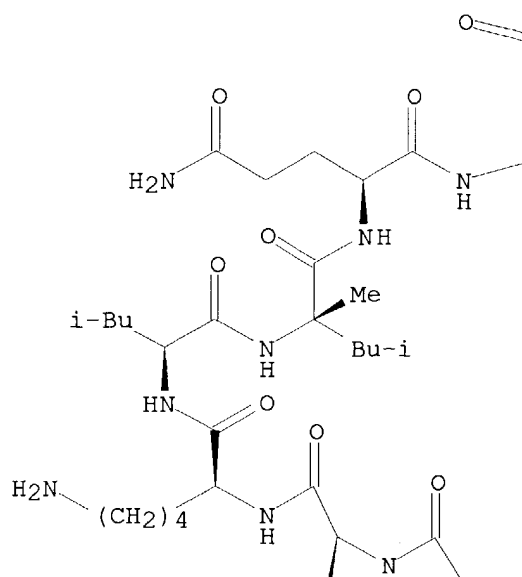


RN 148033-75-4 USPATFULL

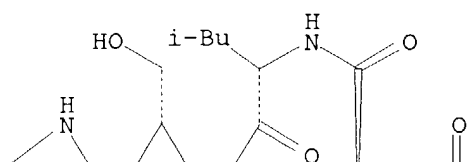
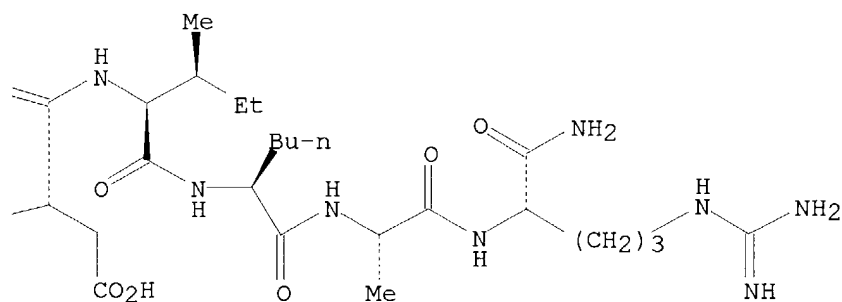
CN L-Argininamide, N-methyl-L-tyrosyl-L-alanyl-L- $\alpha$ -aspartyl-L-alanyl-L-isoleucyl-L-phenylalanyl-L-threonyl-L-alanyl-L-seryl-L-tyrosyl-L-arginyl-L-lysyl-L-valyl-L-leucyl-L-alanyl-L-glutaminyl-L-leucyl-L-seryl-L-alanyl-L-arginyl-L-lysyl-L-leucyl-2-methyl-L-leucyl-L-glutaminyl-L- $\alpha$ -aspartyl-L-isoleucyl-L-norleucyl-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

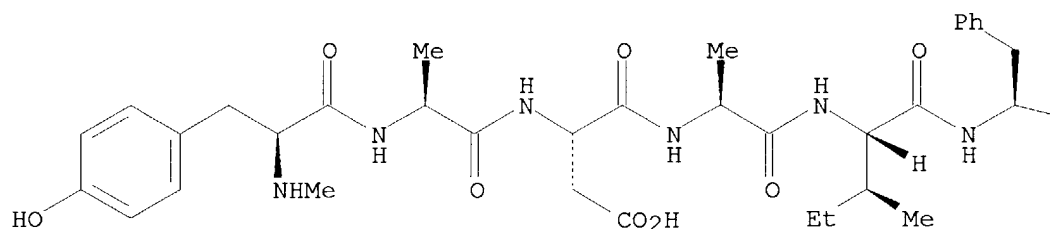
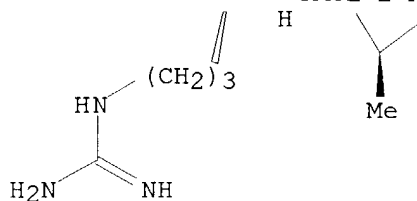
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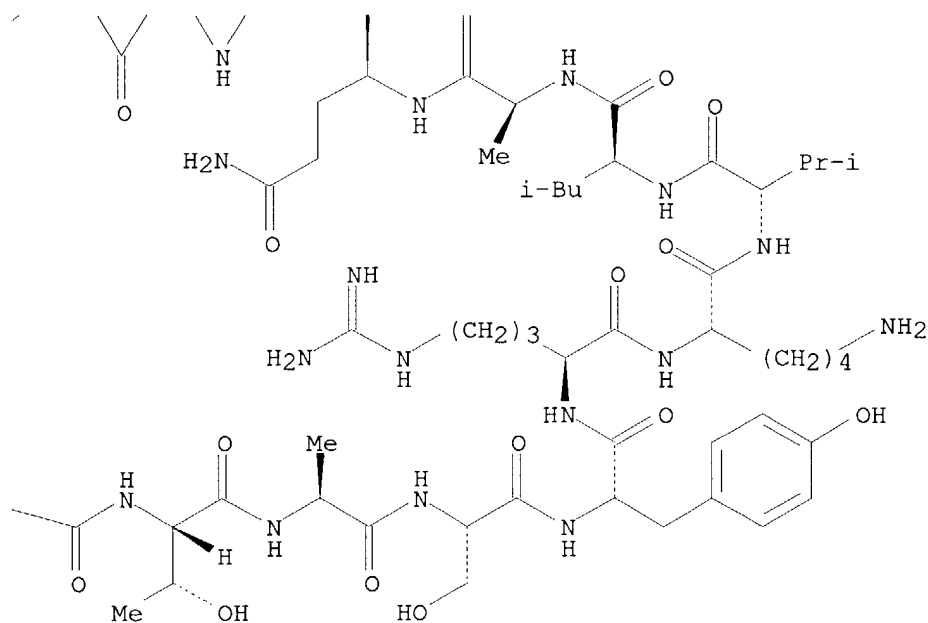
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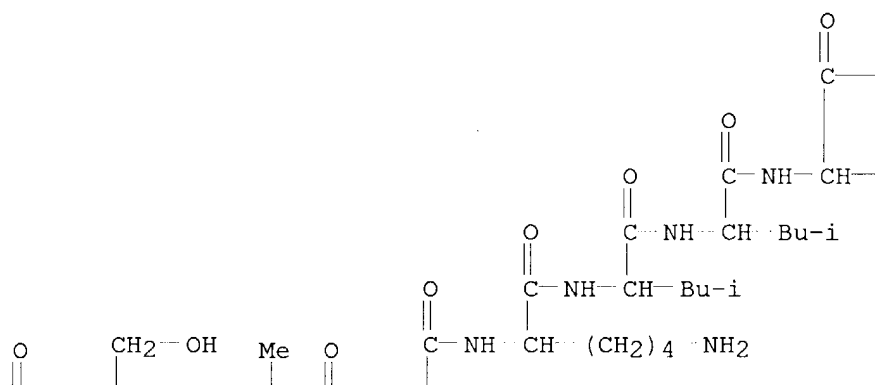


RN 148033-76-5 USPATFULL

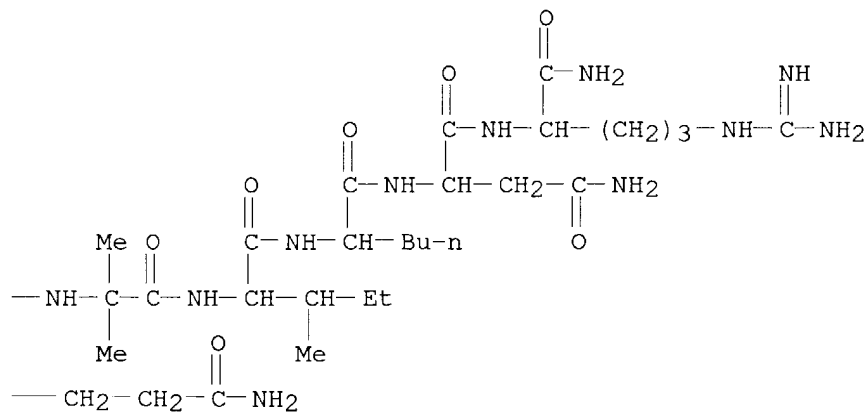
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L-isoleucyl-L-norleucyl-L-asparaginyl- (9CI) (CA INDEX NAME)

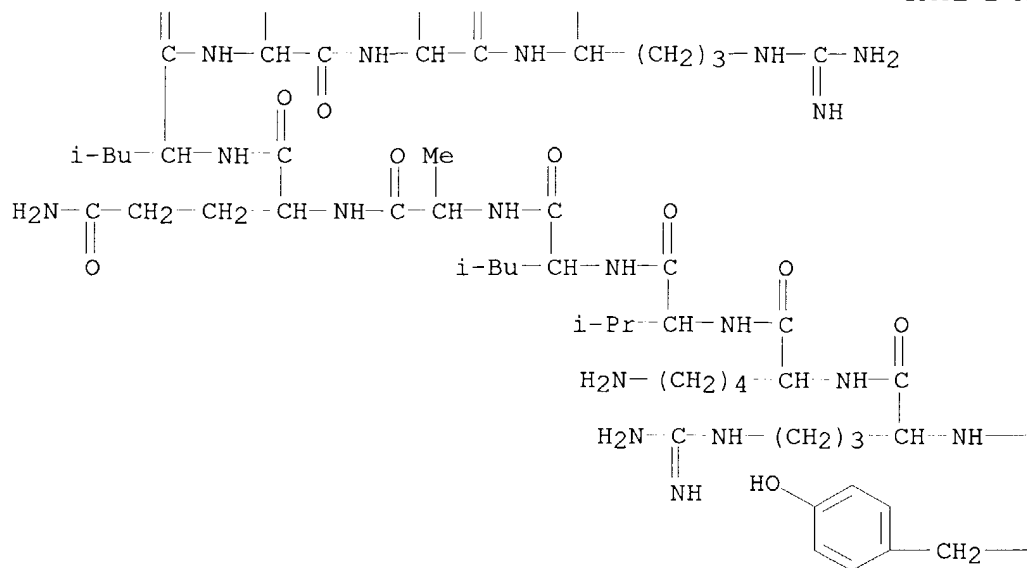
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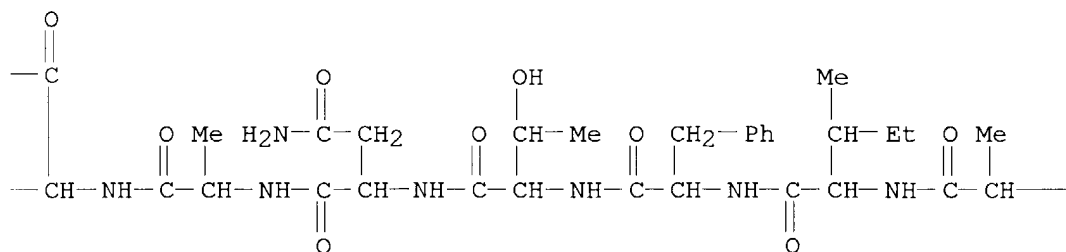
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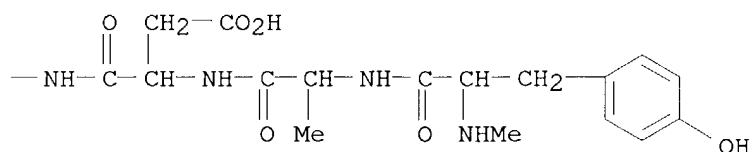
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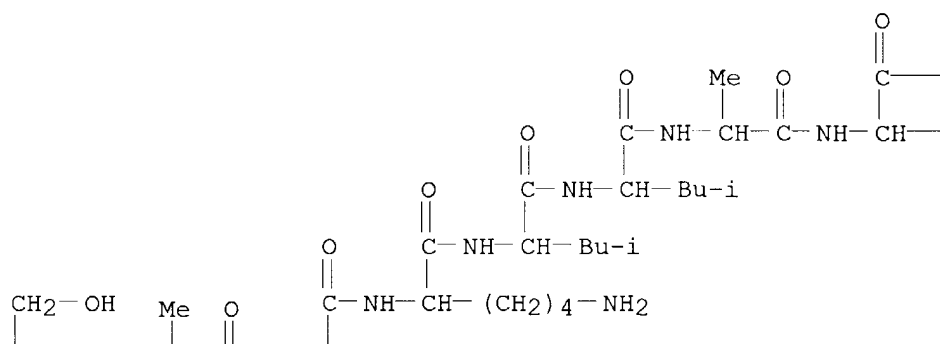
RN 148033-77-6 USPATFULL

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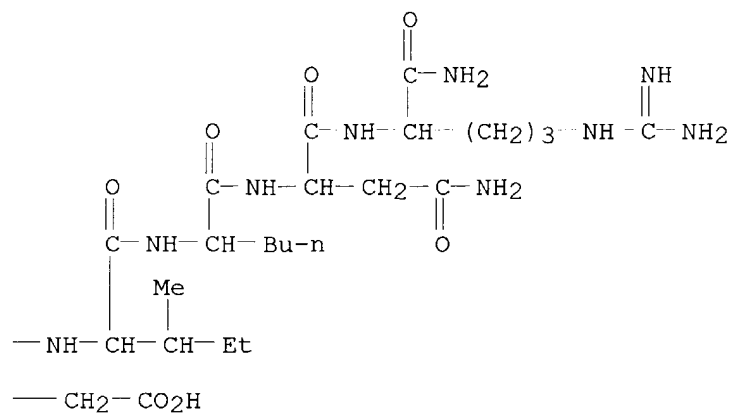
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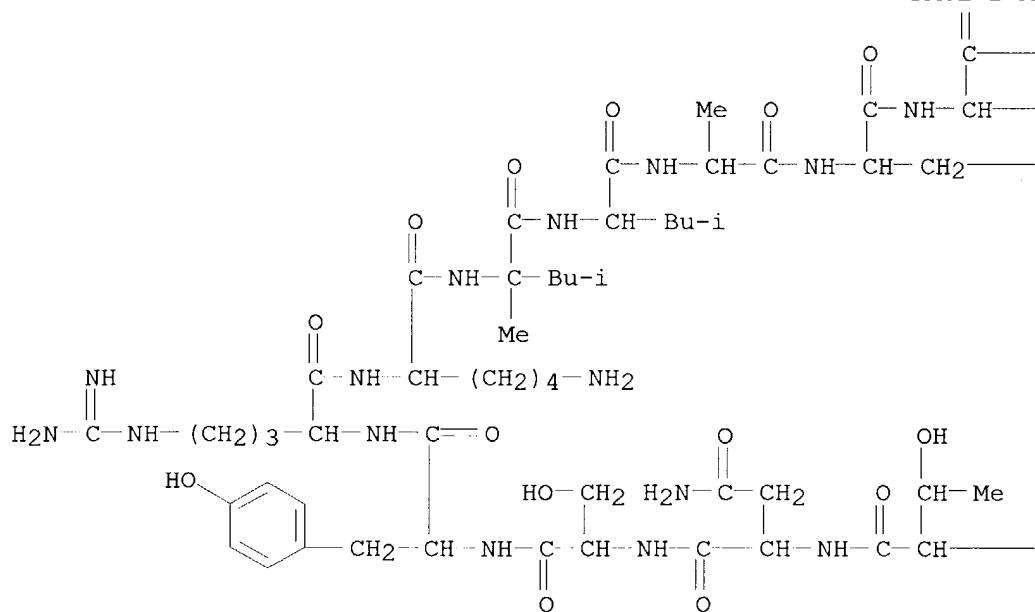
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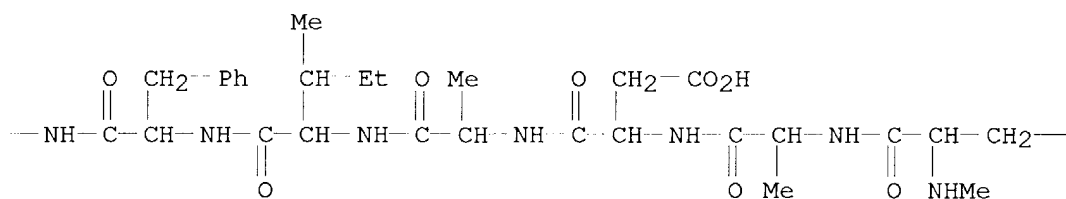
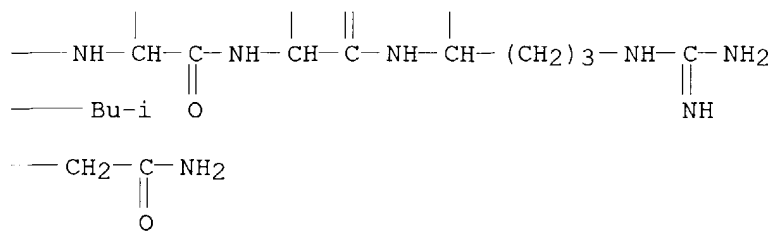
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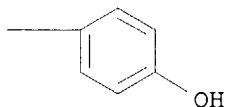
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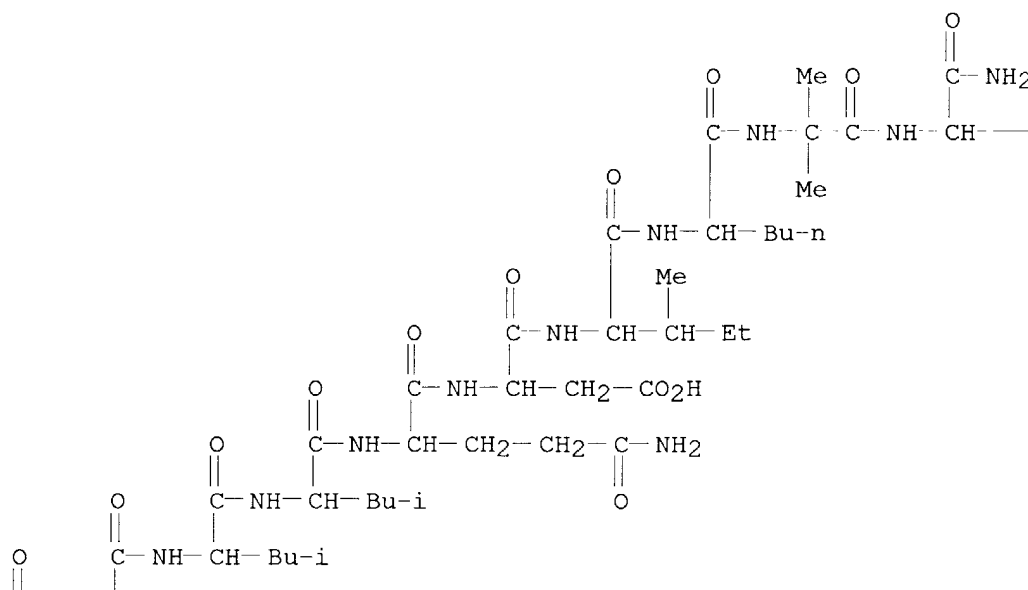


RN 148033-78-7 USPATFULL

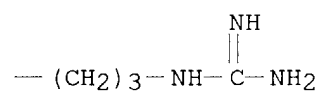
CN L-Argininamide, N-methyl-L-tyrosyl-L-alanyl-L- $\alpha$ -aspartyl-L-alanyl-L-isoleucyl-L-phenylalanyl-L-threonyl-L-asparaginyl-L-seryl-L-tyrosyl-L-arginyl-L-lysyl-L-valyl-L-leucyl-L-alanyl-L-alanyl-2-methyl-L-leucyl-L-seryl-L-alanyl-L-arginyl-L-lysyl-L-leucyl-L-leucyl-L-glutaminy-L- $\alpha$ -aspartyl-L-isoleucyl-L-norleucyl-2-methylalanyl- (9CI) (CA

INDEX NAME)

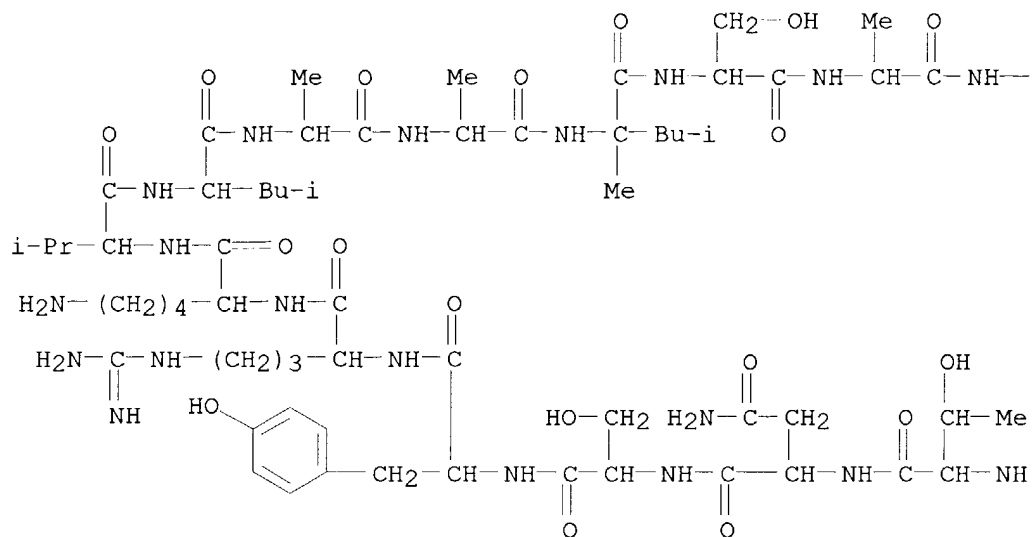
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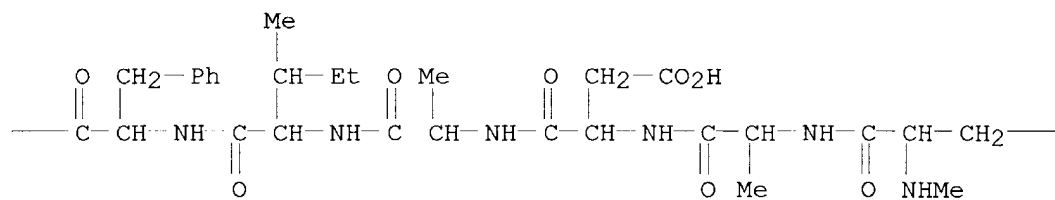
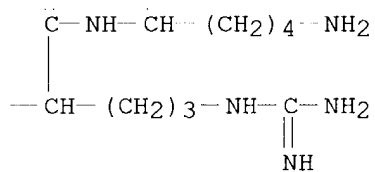
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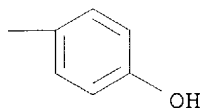


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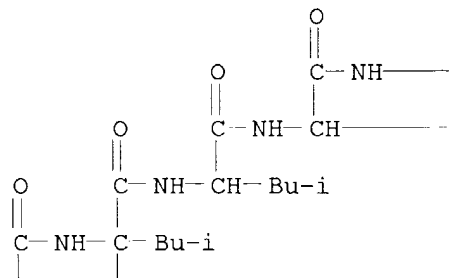
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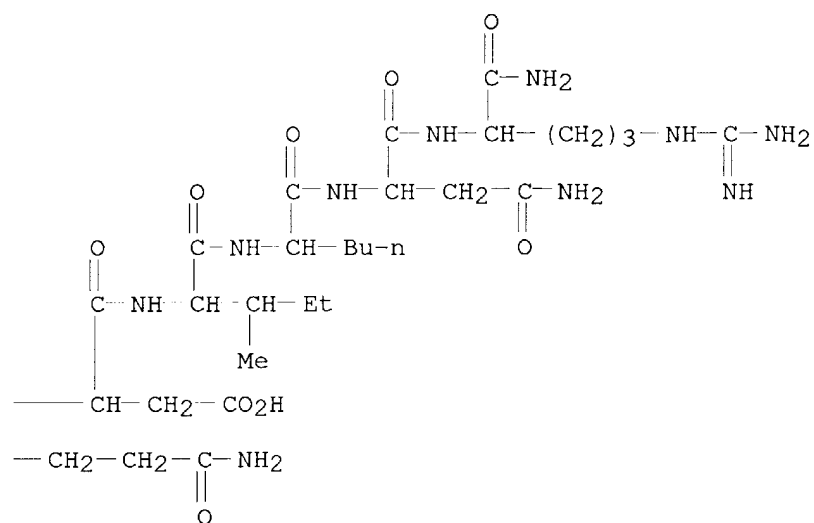


RN 148033-79-8 USPATFULL

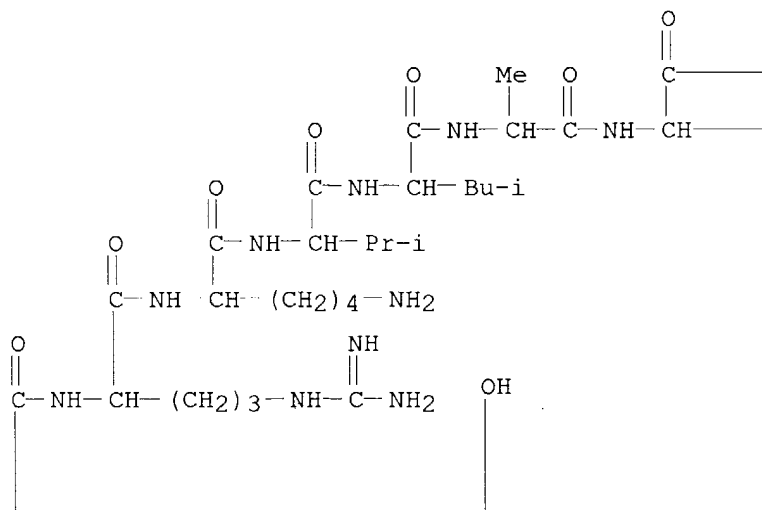
CN L-Argininamide, N-methyl-L-tyrosyl-L-alanyl-L- $\alpha$ -aspartyl-L-alanyl-L-isoleucyl-L-phenylalanyl-L-threonyl-L-alanyl-L-seryl-L-tyrosyl-L-arginyl-L-lysyl-L-valyl-L-leucyl-L-alanyl-L-glutaminy-L-leucyl-L-seryl-L-alanyl-L-arginyl-L-lysyl-2-methyl-L-leucyl-L-leucyl-L-glutaminy-L- $\alpha$ -aspartyl-L-isoleucyl-L-norleucyl-L-asparaginy-L- (9CI) (CA INDEX NAME)



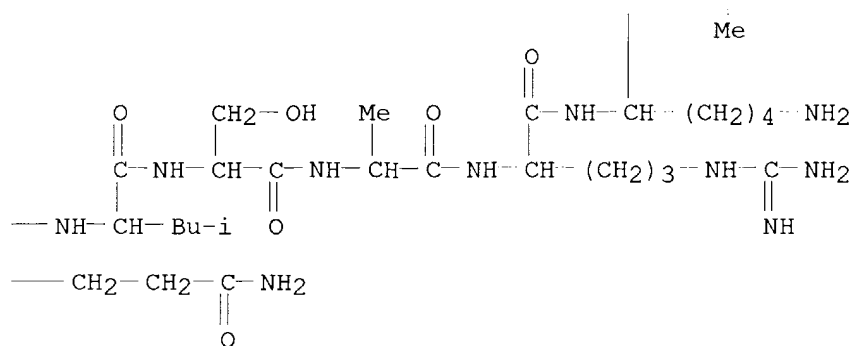
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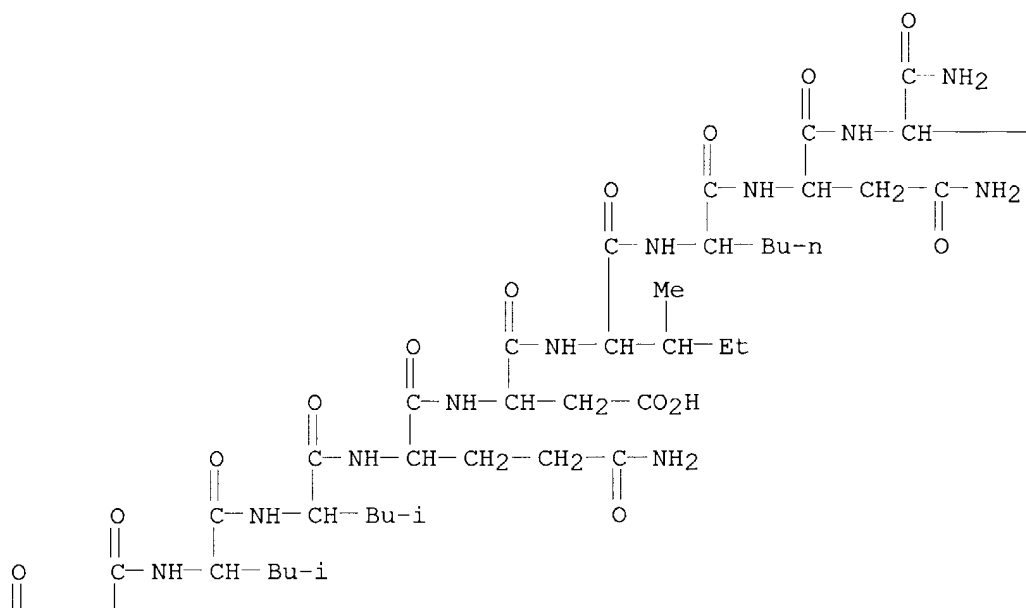




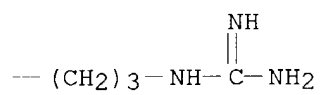


CN L-Argininamide, N-methyl-L-tyrosyl-L-alanyl-L- $\alpha$ -aspartyl-L-alanyl-2-methyl-L-leucyl-L-phenylalanyl-L-threonyl-L-asparaginyll-L-seryl-L-tyrosyl-L-arginyl-L-lysyl-L-valyl-L-leucyl-L-alanyl-L-alanyl-L-leucyl-L-seryl-L-alanyl-L-arginyl-L-lysyl-L-leucyl-L-leucyl-L-glutaminyll-L- $\alpha$ -aspartyl-L-isoleucyl-L-norleucyl-L-asparaginyll- (9CI) (CA INDEX NAME)

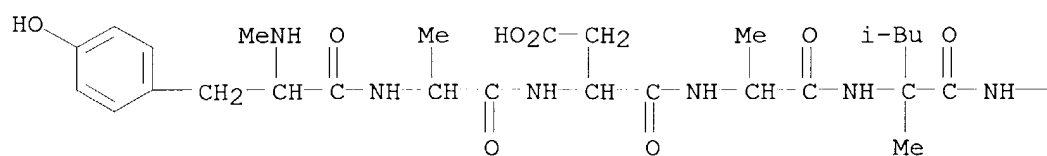
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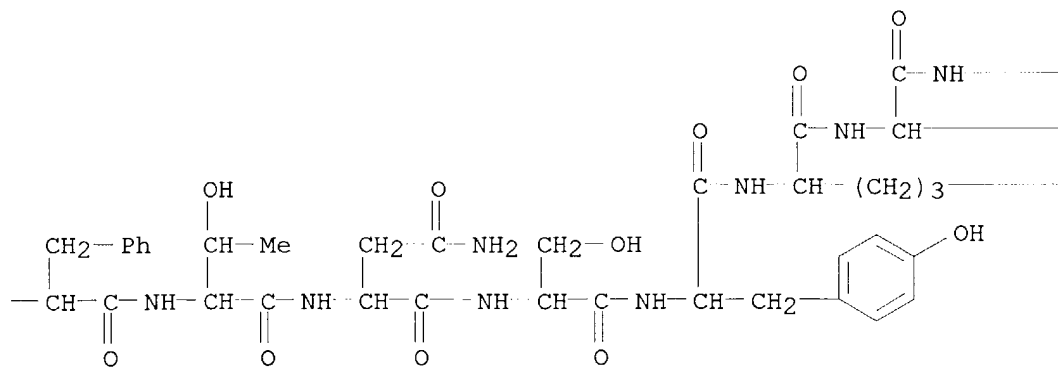
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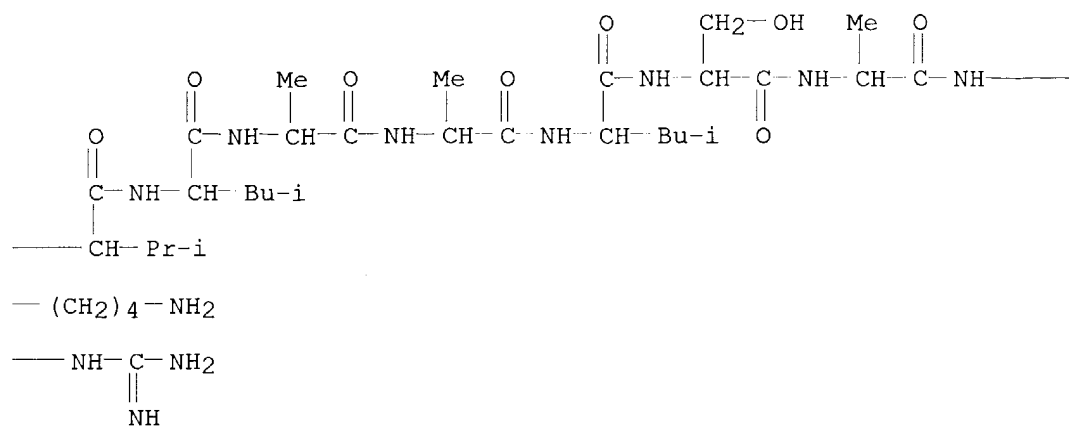
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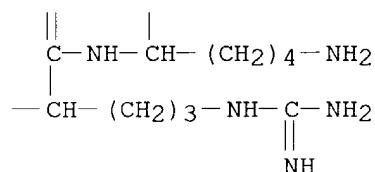
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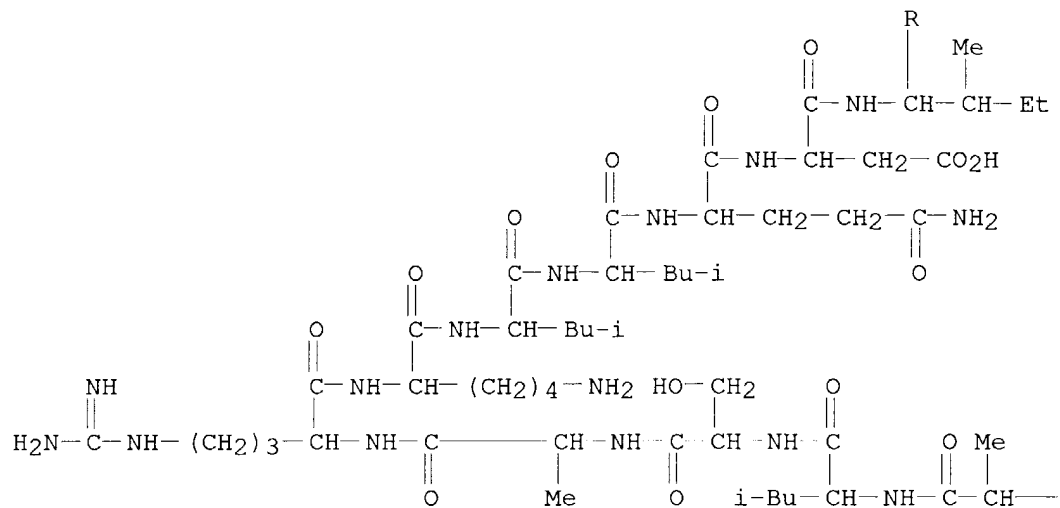
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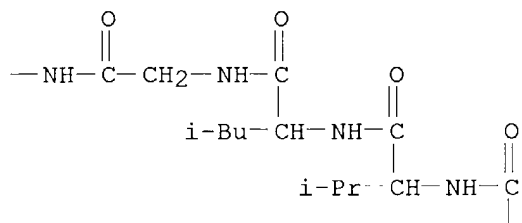
RN 148054-95-9 USPATFULL

CN Somatoliberin (human pancreatic islet), N-methyl-16-L-alanine-21-D-lysine-27-(2-methyl-L-leucine)-29-L-argininamide-30-de-L-glutamine-31-de-L-glutamine-32-deglycine-33-de-L-glutamic acid-34-de-L-serine-35-de-L-asparagine-36-de-L-glutamine-37-de-L-glutamic acid-38-de-L-arginine-39-deglycine-40-de-L-alanine-41-de-L-arginine-42-de-L-alanine-43-de-L-arginine-44-de-L-leucinamide- (9CI) (CA INDEX NAME)

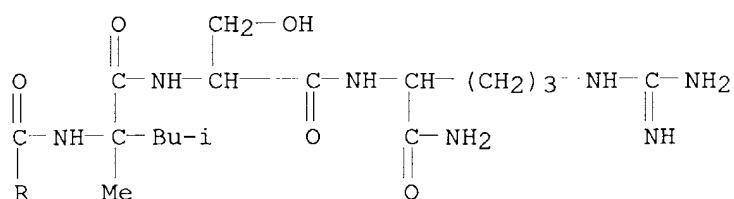
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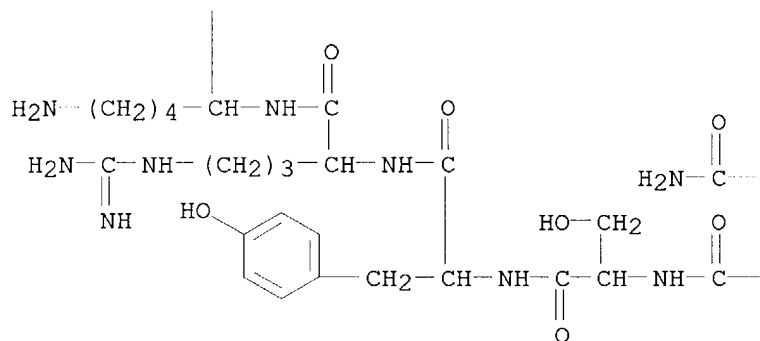
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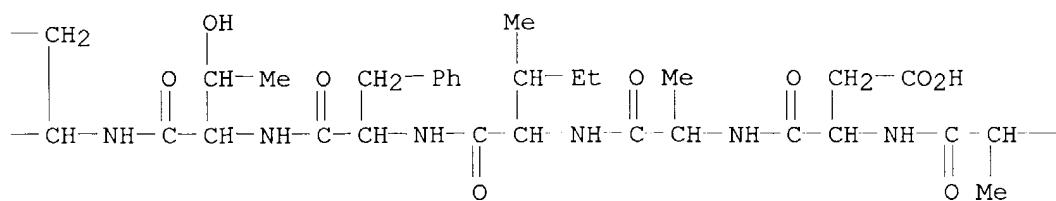
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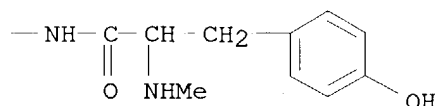
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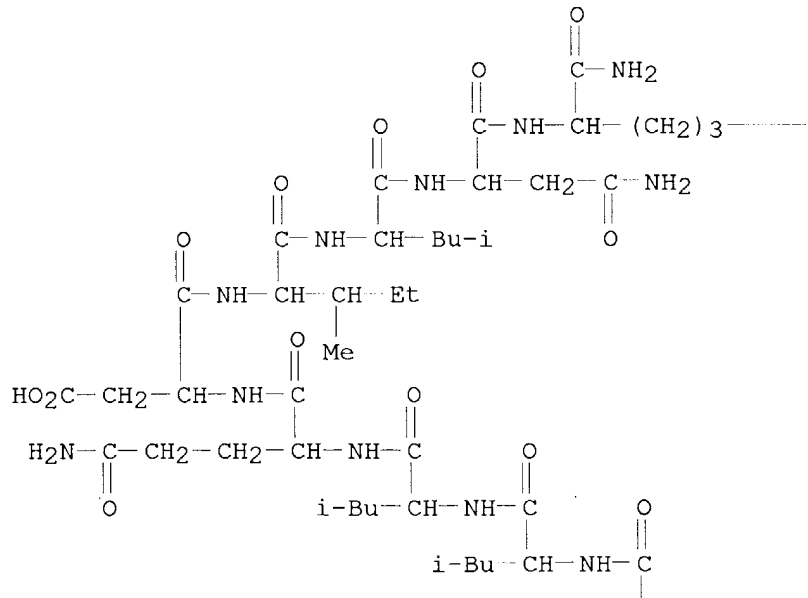
PAGE 2-D



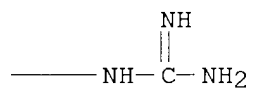
RN 148054-96-0 USPATFULL

CN L-Argininamide, N-[3-(4-hydroxyphenyl)-1-oxopropyl]-N-methyl-D-alanyl-L-  
α-aspartyl-L-alanyl-L-isoleucyl-L-phenylalanyl-L-threonyl-2-  
methylalanyl-L-seryl-L-phenylalanyl-L-arginyl-L-lysyl-3-methyl-L-  
isovalyl-L-leucylglycyl-L-glutaminy-L-leucyl-L-seryl-L-alanyl-L-arginyl-  
L-lysyl-L-leucyl-L-leucyl-L-glutaminy-L-α-aspartyl-L-isoleucyl-L-  
leucyl-L-asparaginyL (9CI) (CA INDEX NAME)

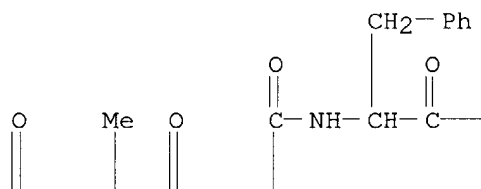
PAGE 1-C



PAGE 1-D

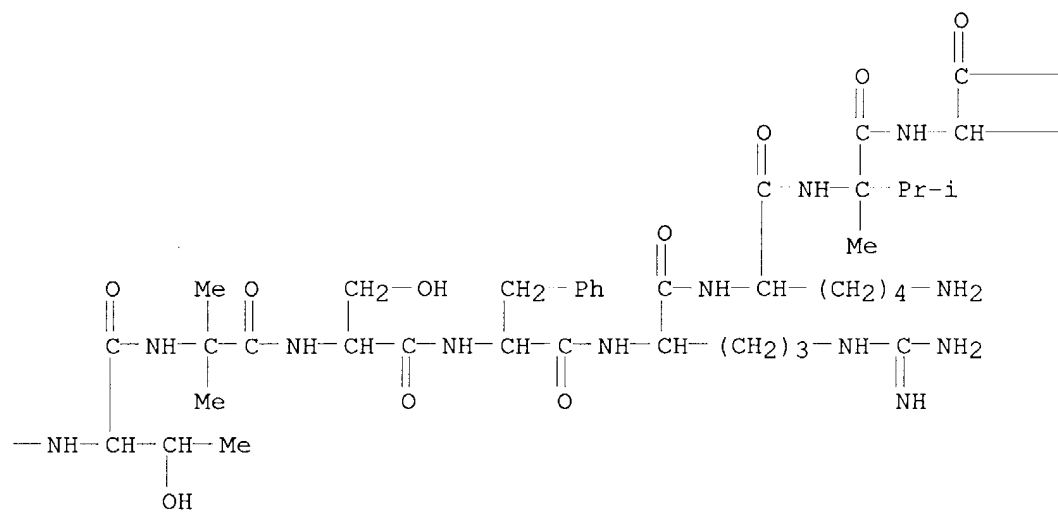


PAGE 2-A

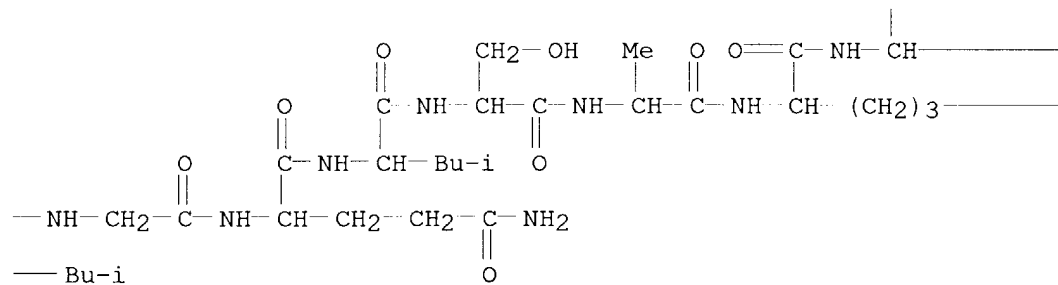




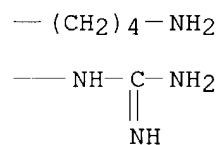
PAGE 2-B



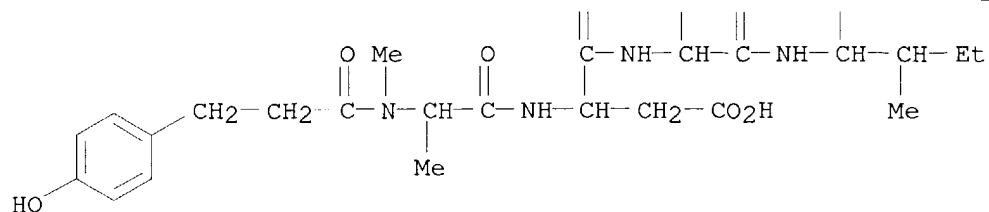
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PAGE 2-D



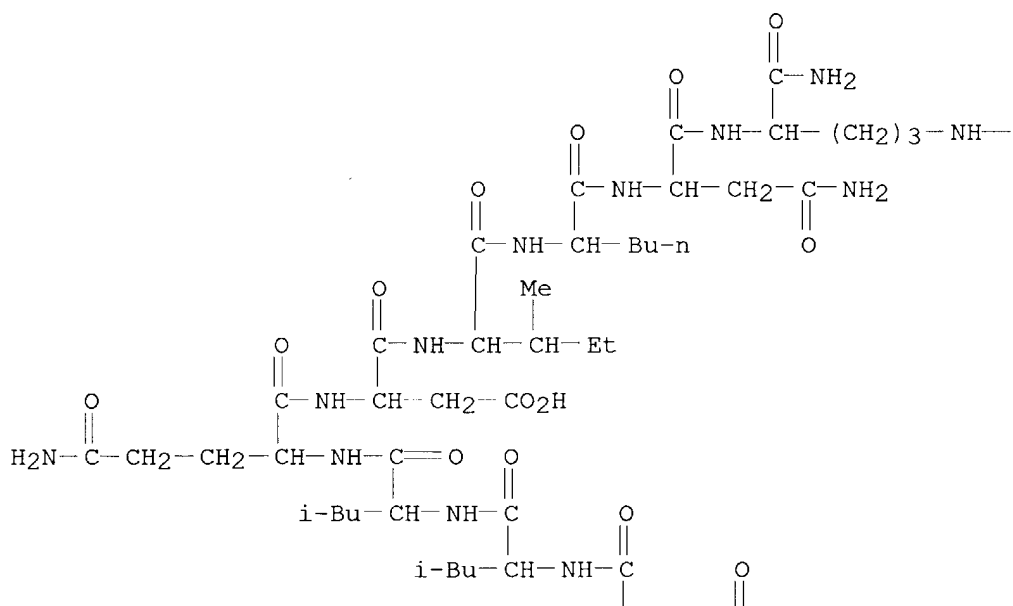
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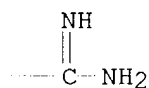
RN 148054-97-1 USPATFULL

CN L-Argininamide, N-methyl-L-tyrosyl-L-alanyl-L- $\alpha$ -aspartyl-L-alanyl-L-isoleucyl-L-phenylalanyl-L-threonyl-2-methylalanyl-2-methylalanyl-L-tyrosyl-L-arginyl-L-lysyl-2-methylalanyl-L-leucyl-L-alanyl-L-glutaminy-L-leucyl-L-seryl-L-alanyl-L-arginyl-L-lysyl-L-leucyl-L-leucyl-L-glutaminy-L- $\alpha$ -aspartyl-L-isoleucyl-L-norleucyl-L-asparaginy-L  
(9CI) (CA INDEX NAME)

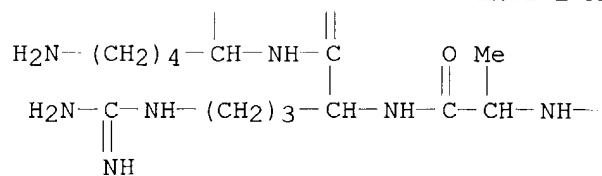
PAGE 1-A



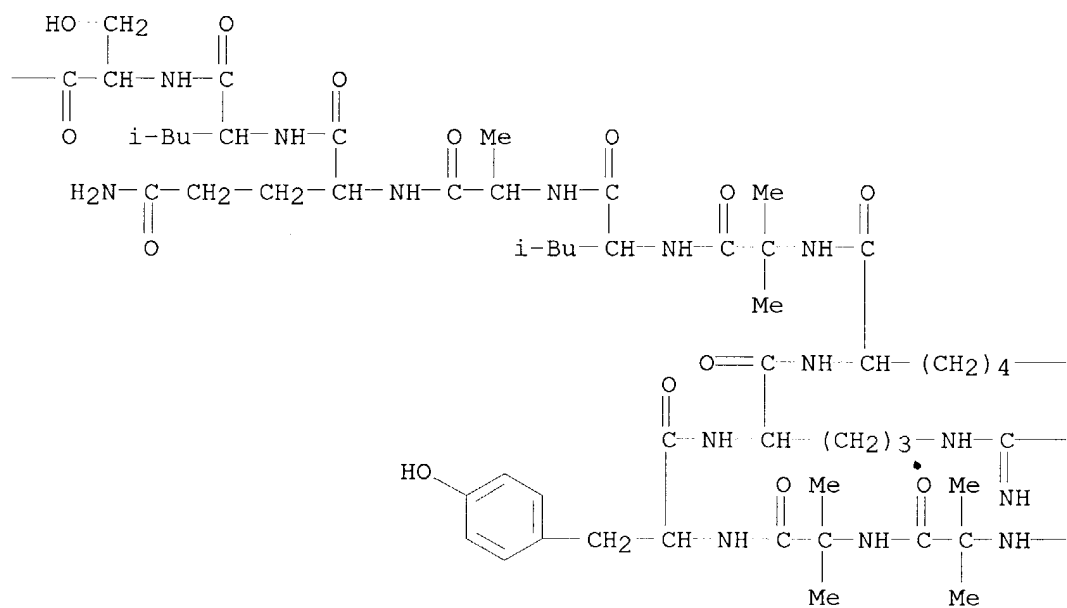
PAGE 1-B

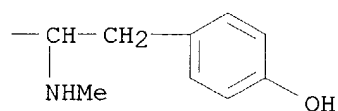
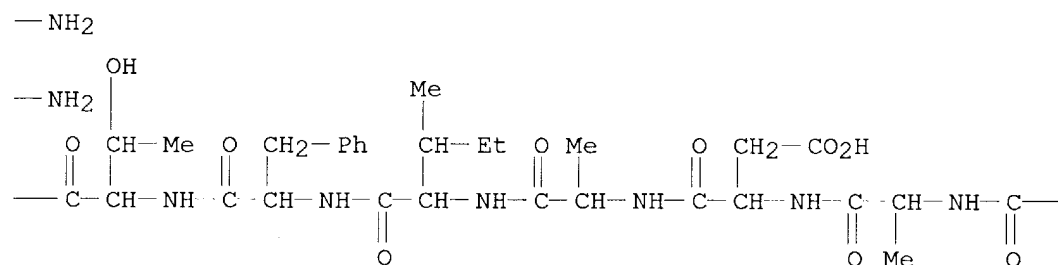


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PAGE 2-B



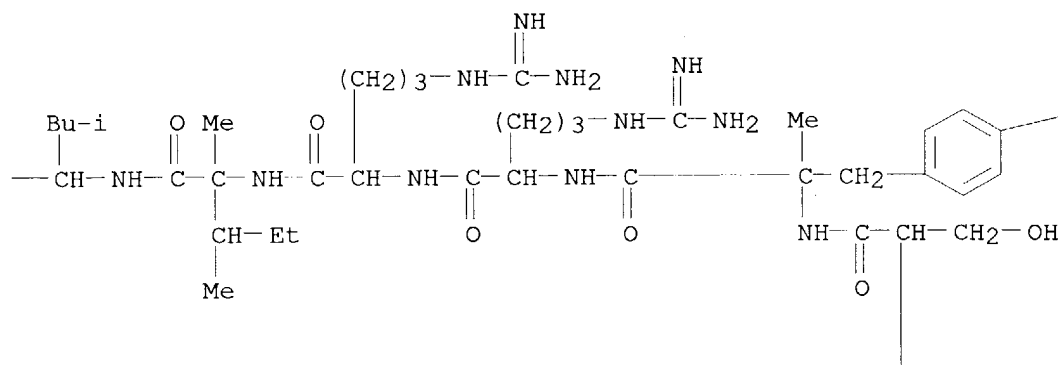


RN 149027-98-5 USPATFULL

CN L-Argininamide,  $\alpha$ -methyl-L-phenylalanyl-N-methyl-D-alanyl-L- $\alpha$ -aspartyl-L-alanyl-L-isoleucyl-L-phenylalanyl-L-threonyl-L-alanyl-L-seryl-L- $\alpha$ -methyl-L-tyrosyl-L-arginyl-L-arginyl-2-methyl-L-isoleucyl-L-

$$\begin{array}{c}
 \text{NH} \\
 \parallel \\
 \text{H}_2\text{N}-\text{C}-\text{NH}-(\text{CH}_2)_3-\text{CH}-\text{NH}-\text{C}-\text{NH}_2 \\
 \parallel \quad \parallel \quad \parallel \\
 \text{O} \quad \text{O} \quad \text{O} \\
 \text{H}_2\text{N}-\text{C}-\text{CH}_2-\text{CH}-\text{NH}-\text{C}-\text{NH}-\text{C}-\text{NH}-\text{C}-\text{Me} \\
 \parallel \quad \parallel \quad \parallel \quad \parallel \quad \parallel \\
 \text{O} \quad \text{O} \quad \text{O} \quad \text{O} \quad \text{O} \\
 \text{i-Pr}-\text{CH}-\text{NH}-\text{C}-\text{NH}-\text{CH}-\text{Et} \\
 \parallel \quad \parallel \quad \parallel \quad \parallel \\
 \text{O} \quad \text{O} \quad \text{O} \quad \text{O} \\
 \text{C}-\text{NH}-\text{CH}-\text{CH}_2-\text{CH}_2-\text{CO}_2\text{H} \quad (\text{CH}_2)_4- \\
 \parallel \quad \parallel \quad \parallel \quad \parallel \\
 \text{O} \quad \text{O} \quad \text{O} \quad \text{O} \\
 \text{C}-\text{NH}-\text{CH}-\text{NH}-\text{C}-\text{CH}-\text{NH}-\text{C}-\text{CH}-\text{NH}-\text{C}-\text{CH}-\text{NH}- \\
 \parallel \quad \parallel \quad \parallel \quad \parallel \quad \parallel \quad \parallel \\
 \text{O} \quad \text{O} \quad \text{O} \quad \text{O} \quad \text{O} \quad \text{O} \\
 \text{H} \\
 \text{N} \quad \text{C} \quad \text{CH}_2-\text{CH}-\text{NH}-\text{C}-\text{CH}-\text{NH}-\text{C}-\text{CH}-\text{NH}-\text{C}-\text{CH}-\text{NH}-\text{C}-\text{CH}-\text{NH}- \\
 \parallel \quad \parallel \quad \parallel \quad \parallel \quad \parallel \quad \parallel \\
 \text{O} \quad \text{O} \quad \text{O} \quad \text{O} \quad \text{O} \quad \text{O} \\
 \text{i-Bu} \quad \text{i-Bu} \quad \text{i-Bu} \quad \text{i-Bu} \quad \text{i-Bu} \quad \text{i-Bu}
 \end{array}$$
$$\begin{array}{cccccccccccccccccccc}
 \text{---NH}_2 & & & & \text{NH} & & & & \text{O} & & & & & & & & & & & \text{O} \\
 & & & & \parallel & & & & \parallel & & \text{Bu-i} & & \parallel & & \text{CH}_2\text{---CH}_2\text{---C---NH}_2 & & & & \parallel \\
 & & & & \text{(CH}_2\text{)}_3\text{---NH---C---NH}_2 & & \text{O} & & \text{O} & & & & \text{O} & & & & & & \text{O} \\
 & & & & | & & | & & | & & | & & | & & | & & | & & | \\
 \text{---C---CH---NH---C---CH---NH---C---CH---NH---C---CH---NH---C---CH---NH---C---CH}_2\text{---NH---C---} & & & & & & & & & & & & & & & & & & \\
 \parallel & & \parallel & & \parallel & & \parallel & & \parallel & & \parallel & & \parallel & & \parallel & & \parallel & & \parallel \\
 \text{O} & & \text{O} & & \text{Me} & & \text{CH}_2 & & & & & & & & \text{O} & & & & \text{O}
 \end{array}$$

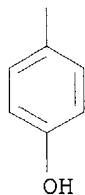
PAGE 1-C



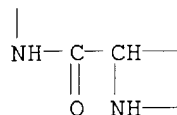
PAGE 1-D

OH

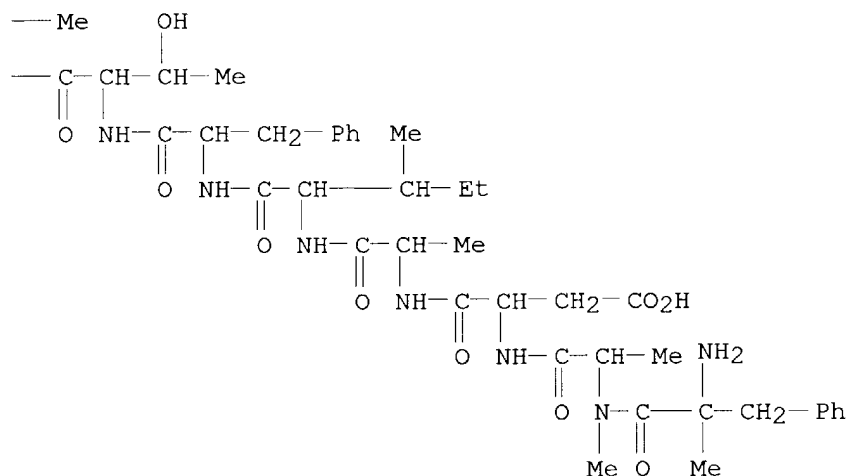
PAGE 2-B



PAGE 2-C



PAGE 2-D



L46 ANSWER 46 OF 58 USPATFULL on STN  
 ACCESSION NUMBER: 93:4398 USPATFULL  
 TITLE: Potent thymopentin analogs  
 INVENTOR(S): Goldstein, Gideon, Short Hills, NJ, United States  
 Heavner, George, Flemington, NJ, United States  
 Kroon, Daniel, Bridgewater, NJ, United States  
 Audhya, Tapan, Bridgewater, NJ, United States  
 PATENT ASSIGNEE(S): Ortho Pharmaceutical Corporation, Raritan, NJ, United States (U.S. corporation)

|  | NUMBER                                 | KIND | DATE     |               |
|--|--|------|----------|---------------|
| PATENT INFORMATION:                        | US 34165                               |      | 19930119 | <--           |
|  | US 4629723                             |      | 19861216 | (Original)    |
| APPLICATION INFO.:                         | US 1990-514184                         |      | 19900425 | (7) <--       |
|  | US 1984-625344                         |      | 19840627 | (Original)<-- |
| DOCUMENT TYPE:                             | Reissue                                |      |          |               |
| FILE SEGMENT:                              | Granted                                |      |          |               |
| PRIMARY EXAMINER:                          | Russel, Jeffrey E.                     |      |          |               |
| LEGAL REPRESENTATIVE:                      | Howson and Howson                      |      |          |               |
| NUMBER OF CLAIMS:                          | 14                                     |      |          |               |
| EXEMPLARY CLAIM:                           | 1                                      |      |          |               |
| NUMBER OF DRAWINGS:                        | 1 Drawing Figure(s); 1 Drawing Page(s) |      |          |               |
| LINE COUNT:                                | 1227                                   |      |          |               |
| CAS INDEXING IS AVAILABLE FOR THIS PATENT. |  |      |          |               |

AB Immunoregulating peptides are disclosed which are more potent than thymopentin or splenin and are useful for their effects on the immune system, especially the treatment of thymic deficiencies.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

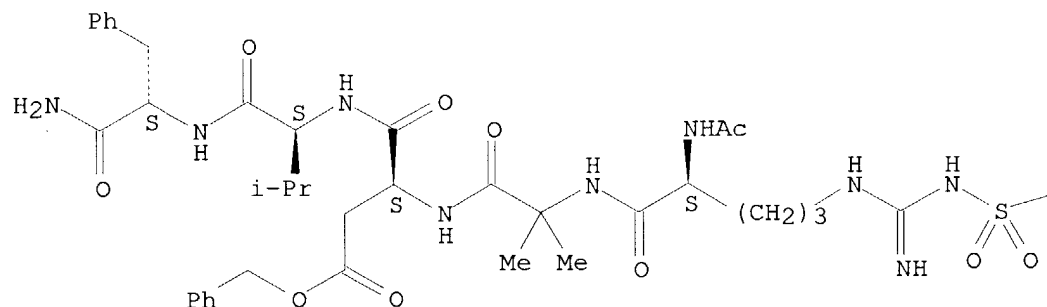
IT **103143-75-5DP**, methylbenzhydrylamine resin-bound  
(preparation and resin cleavage-deblocking of)

RN 103143-75-5 USPATFULL

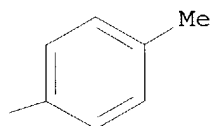
CN L-Phenylalaninamide, N2-acetyl-N5-[imino[[ (4-methylphenyl) sulfonyl] amino]methyl]-L-ornithyl-2-methylalanyl-L- $\alpha$ -aspartyl-L-valyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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PAGE 1-B



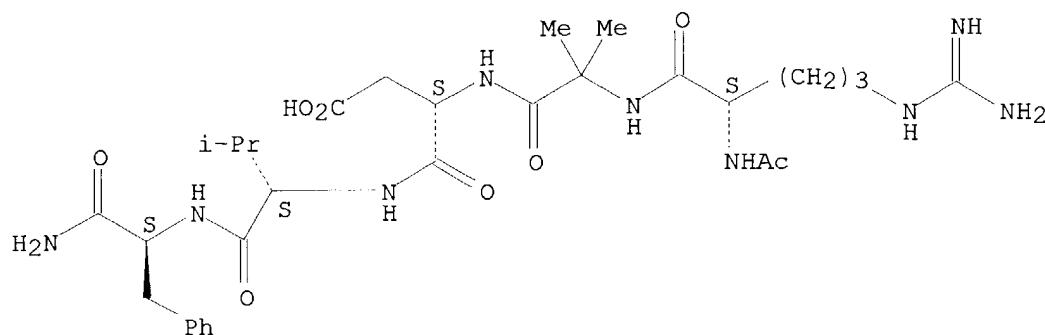
IT **103143-76-6P**  
(preparation of, as immunoregulator)

RN 103143-76-6 USPATFULL

CN L-Phenylalaninamide, N2-acetyl-L-arginyl-2-methylalanyl-L- $\alpha$ -aspartyl-L-valyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





L46 ANSWER 47 OF 58 USPATEFULL on STN

ACCESSION NUMBER: 91:96326 USPATEFULL

TITLE: Bombesin antagonists with deletion of net-residue at the C-terminus

INVENTOR(S): Camble, Roger, Macclesfield, England

Cotton, Ronald, Congleton, England

Dutta, Anand S., Stockport, England

Hayward, Christopher F., Macclesfield, England

PATENT ASSIGNEE(S): Imperial Chemical Industries PLC, London, England  
(non-U.S. corporation)

|                     | NUMBER         | KIND | DATE         |     |
|---------------------|----------------|------|--------------|-----|
| PATENT INFORMATION: | US 5068222     |      | 19911126     | <-- |
| APPLICATION INFO.:  | US 1988-265566 |      | 19881101 (7) | <-- |

|                       | NUMBER        | DATE     |     |
|-----------------------|---------------|----------|-----|
| PRIORITY INFORMATION: | GB 1987-25598 | 19871102 | <-- |
|                       | GB 1988-3478  | 19880215 | <-- |
|                       | GB 1988-13355 | 19880606 | <-- |

DOCUMENT TYPE: Utility  
 FILE SEGMENT: Granted  
 PRIMARY EXAMINER: Lee, Lester L.  
 ASSISTANT EXAMINER: Davenport, Avis  
 LEGAL REPRESENTATIVE: Cushman, Darby & Cushman  
 NUMBER OF CLAIMS: 9  
 EXEMPLARY CLAIM: 1  
 LINE COUNT: 2106

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to a polypeptide of formula I:

R.sup.1 -A.sup.1 -A.sup.2 -A.sup.3 -A.sup.3 -A.sup.4 -A.sup.5 -A.sup.6  
 -A.sup.7 -A.sup.9 -Q

wherein each of the generic terms is disclosed in full in the specification and includes: R.sup.1 is (2-6C)alkanoyl or (1-4C)alkoxycarbonyl; A.sup.1 is a direct link to A.sup.2, or is Gly or Arg; A.sup.2 is a direct link to A.sup.3, or is Gly or Pro; A.sup.3 is a direct link to A.sup.4, or is Lys or Lys(Z); A.sup.4 is His or D-His; A.sup.5 is Trp or MeTrp; A.sup.6 is Ala or MeAla; A.sup.7 is Val or MeVal; A.sup.8 is Gly or Sar; A.sup.9 is His or MeHis; and Q is a group

of the formula -A.sup.10.R.sup.2 in which A.sup.10 is Leu or D-Leu and R.sup.2 is hydroxy, amino, (1-3C)alkylamino or (1-3C)alkoxy; or Q is (1-6C)alkoxy or (1-10C)alkylamino; provided that when R.sup.1 is acetyl and -A.sup.4 -A.sup.5 -A.sup.6 -A.sup.7 -A.sup.8 -A.sup.9 -Q is -His-Trp-Ala-Val-Gly-His-Leu-NH.sub.2 then -A.sup.1 -A.sup.2 -A.sup.3 - is not a direct link to His.

The compounds possess antagonist properties against bombesin-like peptides and are of value in the treatment of malignant disease in warm-blooded animals.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

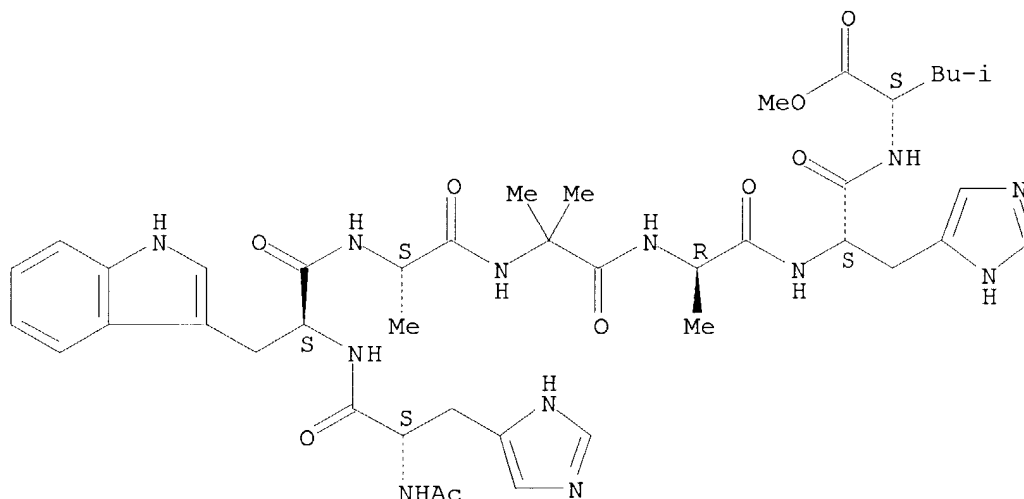
IT **124001-09-8P 124001-20-3P**

(preparation of, as bombesin antagonist)

RN 124001-09-8 USPATFULL

CN L-Leucine, N-[N-[N-[N-[N-(N-acetyl-L-histidyl)-L-tryptophyl]-L-alanyl]-2-methylalanyl]-D-alanyl]-L-histidyl]-, methyl ester (9CI) (CA INDEX NAME)

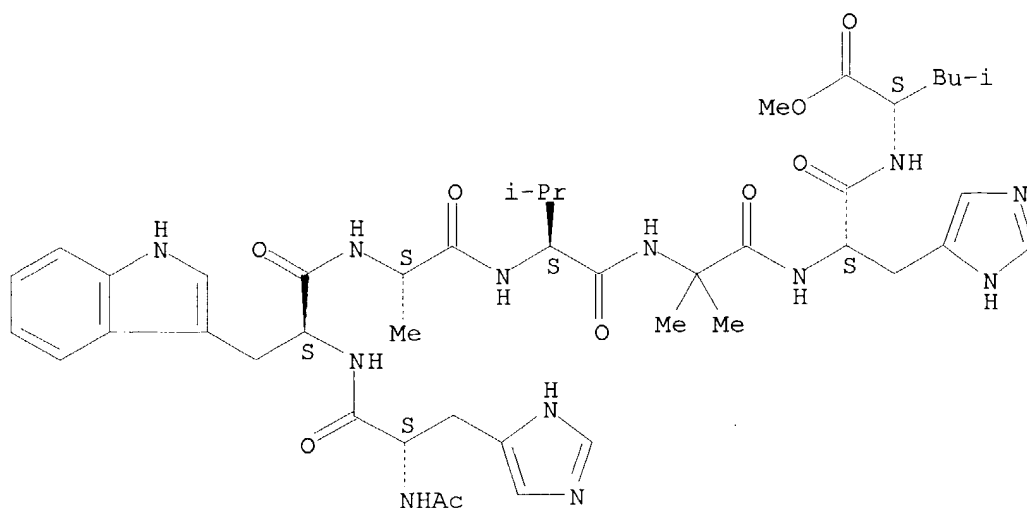
Absolute stereochemistry.



RN 124001-20-3 USPATFULL

CN L-Leucine, N-[N-[N-[N-[N-(N-acetyl-L-histidyl)-L-tryptophyl]-L-alanyl]-L-valyl]-2-methylalanyl]-L-histidyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L46 ANSWER 48 OF 58 USPATFULL on STN  
 ACCESSION NUMBER: 90:48877 USPATFULL  
 TITLE: Cyclic analogs of atrial natriuretic peptides  
 INVENTOR(S): Lewicki, John A., Los Gatos, CA, United States  
 Scarborough, Robert M., Hayward, CA, United States  
 Johnson, Lorin K., Pleasanton, CA, United States  
 PATENT ASSIGNEE(S): California Biotechnology Inc., Mountain View, CA,  
 United States (U.S. corporation)

|  | NUMBER  | KIND | DATE         |     |
|--|---|------|--------------|-----|
| PATENT INFORMATION:                        | US 4935492  |      | 19900619     | <-- |
| APPLICATION INFO.:                         | US 1988-174739  |      | 19880329 (7) | <-- |
| DISCLAIMER DATE:                           | 20050712  |      |              |     |
| RELATED APPLN. INFO.:                      | Continuation-in-part of Ser. No. US 1987-138893, filed on 24 Dec 1987, now abandoned which is a continuation-in-part of Ser. No. US 1988-168661, filed on 16 Mar 1988, now patented, Pat. No. US 4804650 which is a continuation-in-part of Ser. No. US 1986-921360, filed on 8 Oct 1986, now abandoned which is a continuation-in-part of Ser. No. US 1986-904091, filed on 4 Sep 1986, now abandoned which is a continuation-in-part of Ser. No. US 1986-868312, filed on 28 May 1986, now patented, Pat. No. US 4757048 which is a continuation-in-part of Ser. No. US 1985-795220, filed on 5 Nov 1985, now abandoned |      |              |     |
| DOCUMENT TYPE:                             | Utility   |      |              |     |
| FILE SEGMENT:                              | Granted   |      |              |     |
| PRIMARY EXAMINER:                          | Schain, Howard E.   |      |              |     |
| ASSISTANT EXAMINER:                        | Perkins, Susan  |      |              |     |
| LEGAL REPRESENTATIVE:                      | Irell & Manella   |      |              |     |
| NUMBER OF CLAIMS:                          | 20  |      |              |     |
| EXEMPLARY CLAIM:                           | 1   |      |              |     |
| NUMBER OF DRAWINGS:                        | 12 Drawing Figure(s); 23 Drawing Page(s)  |      |              |     |
| LINE COUNT:                                | 1645  |      |              |     |
| CAS INDEXING IS AVAILABLE FOR THIS PATENT. |   |      |              |     |

AB Compounds and compositions comprising cyclic synthetic analogs of Atrial Natriuretic Peptides are provided, together with methods for their production and use as natriuretics, diuretics and/or vasodilators, or as intermediates for or modulators of such useful compounds or of native Atrial Natriuretic Peptides.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

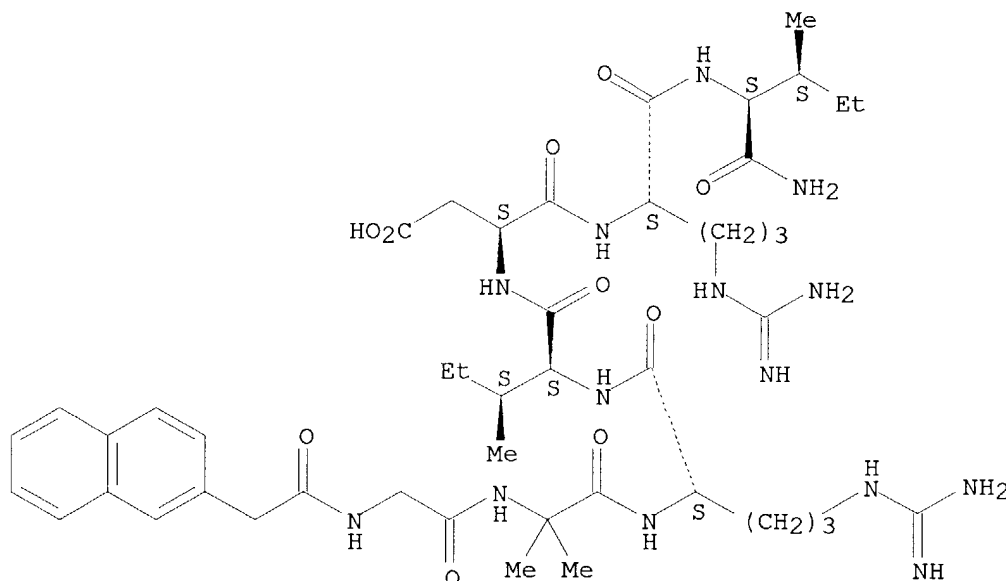
IT 112961-93-0P 112962-39-7P 112962-40-0P  
 112962-41-1P 112962-66-0P 112962-67-1P  
 112962-68-2P 112962-69-3P 112962-70-6P  
 112968-72-6P 112976-14-4P 112976-15-5P

(preparation of, as atrial natriuretic peptide analog)

RN 112961-93-0 USPATFULL

CN L-Isoleucinamide, N-(2-naphthalenylacetyl)glycyl-2-methylalanyl-L-arginyl-L-isoleucyl-L- $\alpha$ -aspartyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

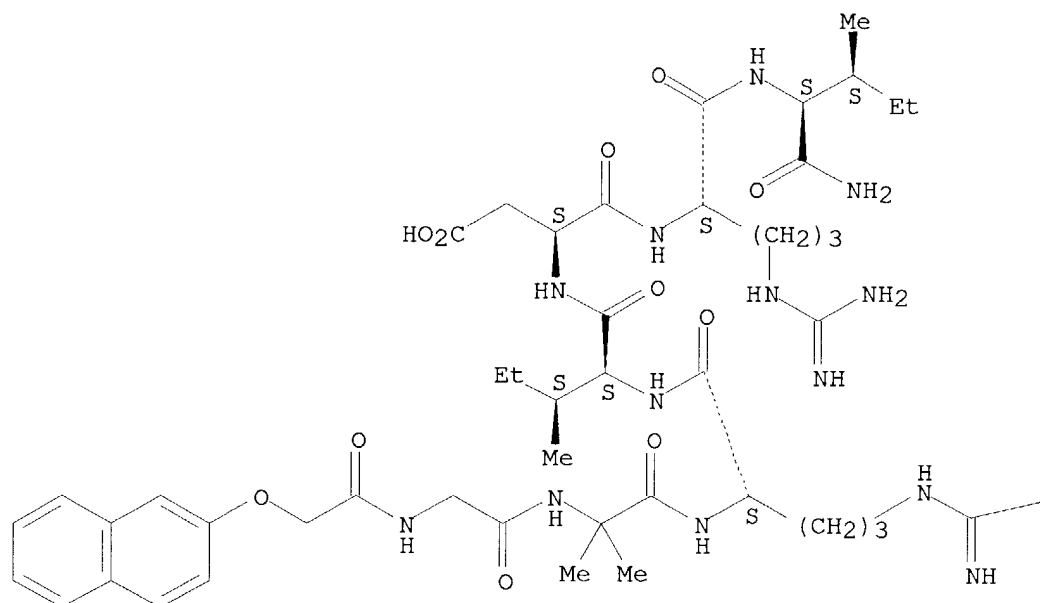


RN 112962-39-7 USPATFULL

CN L-Isoleucinamide, N-[(2-naphthalenylacetyl)glycyl-2-methylalanyl-L-arginyl-L-isoleucyl-L- $\alpha$ -aspartyl-L-arginyl-] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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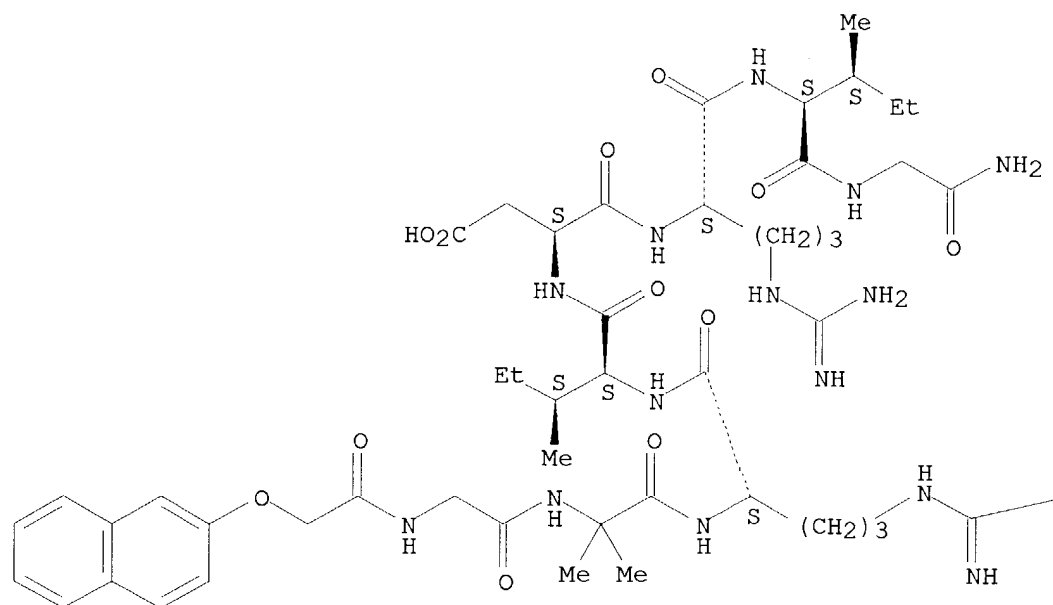
PAGE 1-B

—NH<sub>2</sub>

RN 112962-40-0 USPATFULL  
 CN Glycinamide, N-[(2-naphthalenyloxy)acetyl]glycyl-2-methylalanyl-L-arginyl-L-isoleucyl-L- $\alpha$ -aspartyl-L-arginyl-L-isoleucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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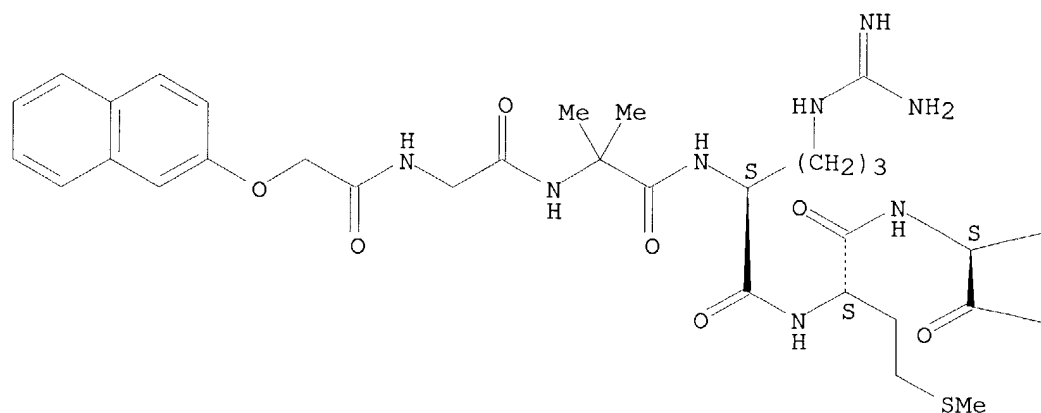
PAGE 1-B

—NH<sub>2</sub>

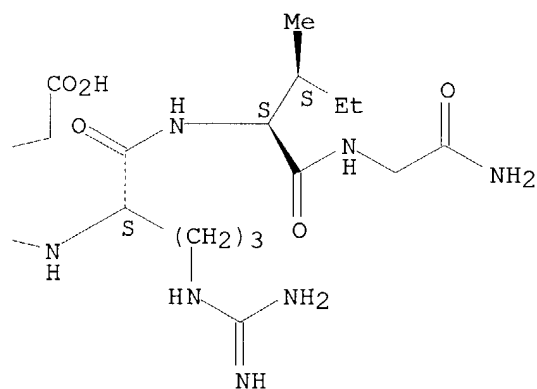
RN 112962-41-1 USPATFULL  
 CN Glycinamide, N-[(2-naphthalenyloxy)acetyl]glycyl-2-methylalanyl-L-arginyl-L-methionyl-L-α-aspartyl-L-arginyl-L-isoleucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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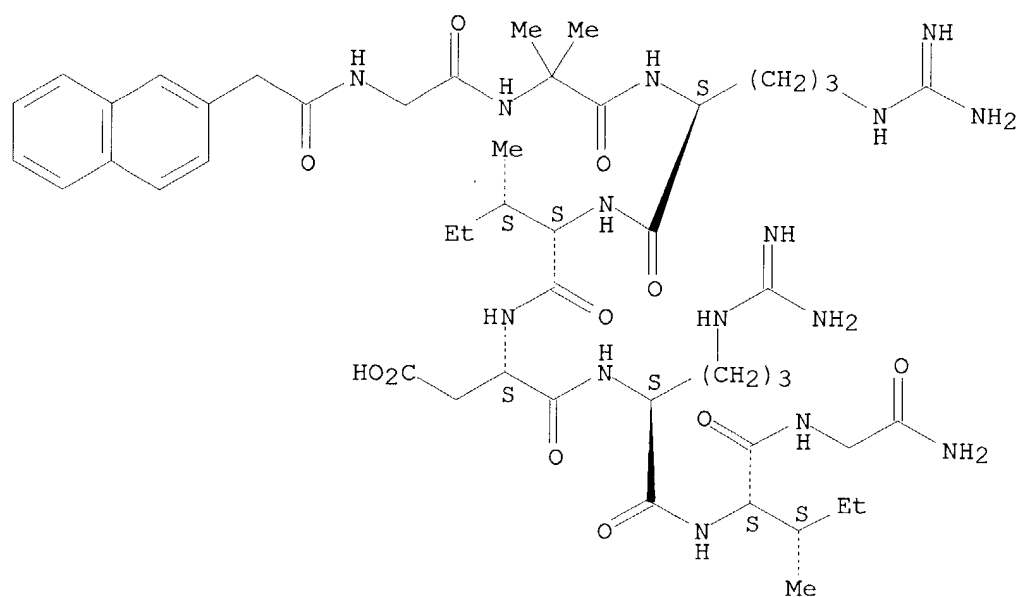
PAGE 1-B



RN 112962-66-0 USPATFULL

CN Glycinamide, N-(2-naphthalenylacetyl)glycyl-2-methylalanyl-L-arginyl-L-isoleucyl-L- $\alpha$ -aspartyl-L-arginyl-L-isoleucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

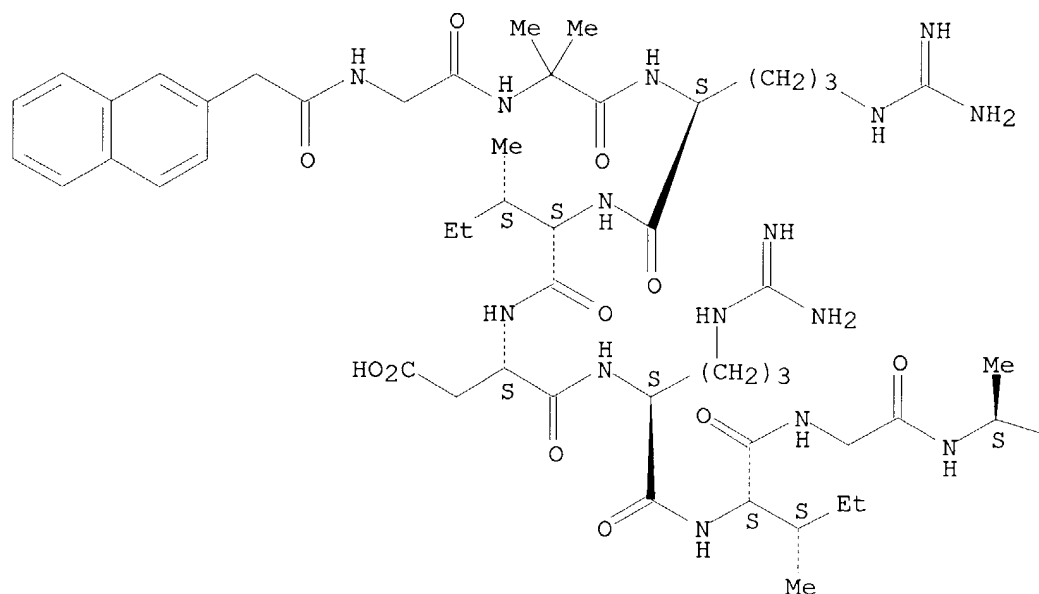


RN 112962-67-1 USPATFULL

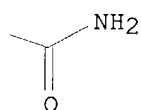
CN L-Alaninamide, N-(2-naphthalenylacetyl)glycyl-2-methylalanyl-L-arginyl-L-isoleucyl-L- $\alpha$ -aspartyl-L-arginyl-L-isoleucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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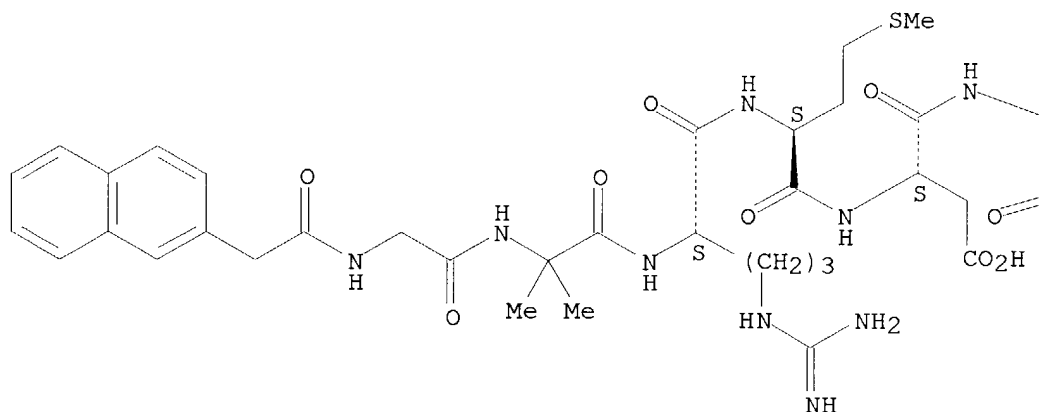


RN 112962-68-2 USPATFULL

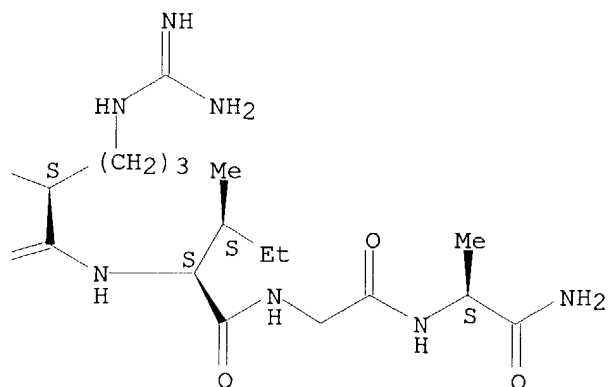
CN L-Alaninamide, N-(2-naphthalenylacetyl)glycyl-2-methylalanyl-L-arginyl-L-methionyl-L- $\alpha$ -aspartyl-L-arginyl-L-isoleucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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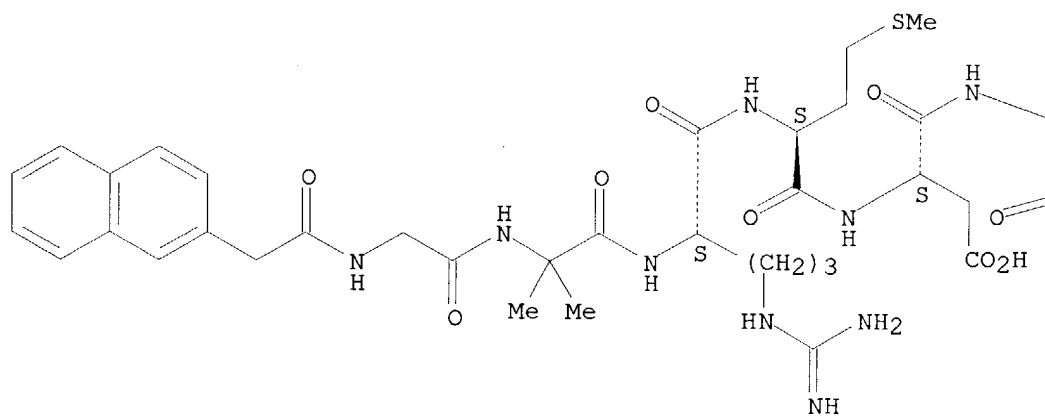


RN 112962-69-3 USPATFULL

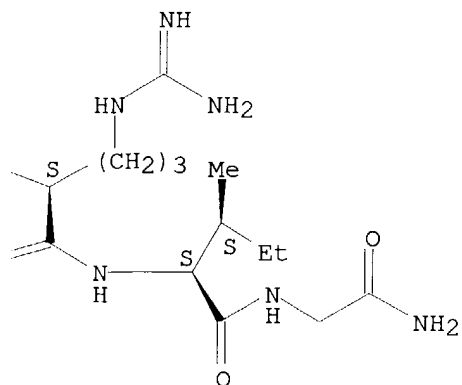
CN Glycinamide, N-(2-naphthalenylacetyl)glycyl-2-methylalanyl-L-arginyl-L-methionyl-L- $\alpha$ -aspartyl-L-arginyl-L-isoleucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

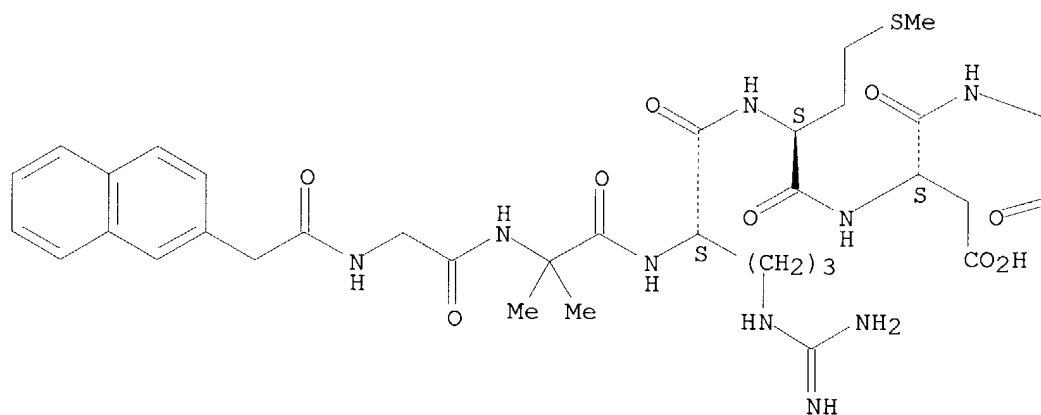


RN 112962-70-6 USPATFULL

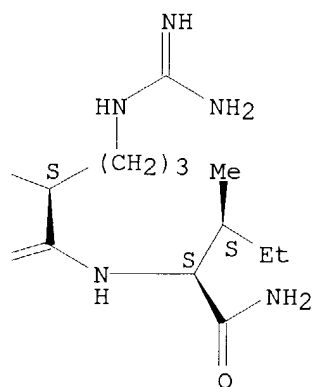
CN L-Isoleucinamide, N-(2-naphthalenylacetyl)glycyl-2-methylalanyl-L-arginyl-L-methionyl-L- $\alpha$ -aspartyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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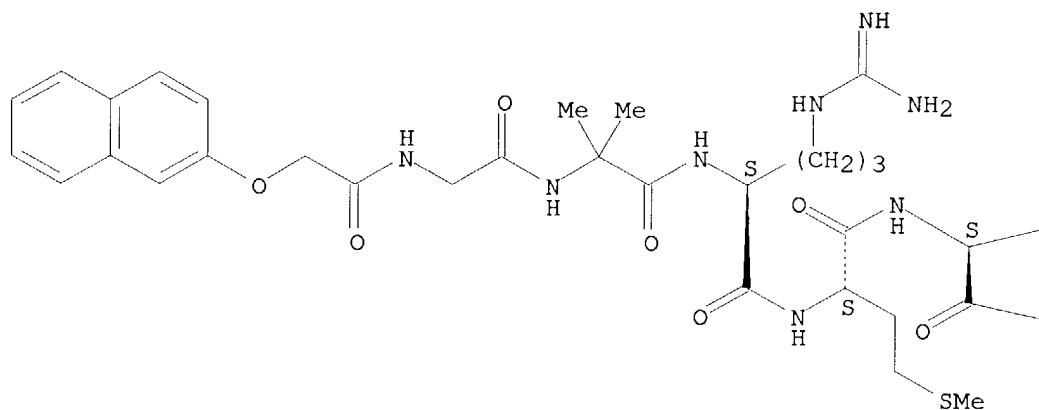


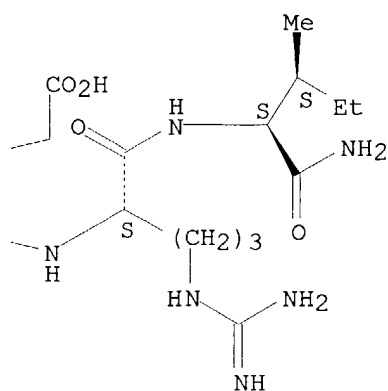
RN 112968-72-6 USPATFULL

CN L-Isoleucinamide, N-[(2-naphthalenyloxy)acetyl]glycyl-2-methylalanyl-L-arginyl-L-methionyl-L- $\alpha$ -aspartyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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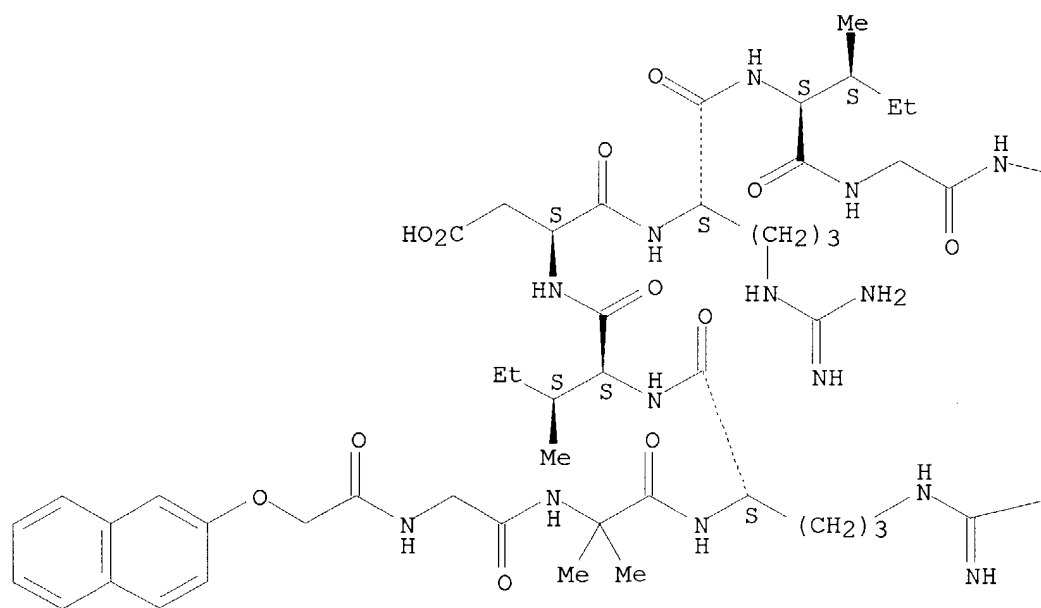


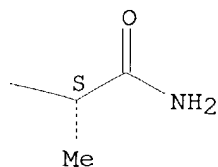


RN 112976-14-4 USPATFULL

CN L-Alaninamide, N-[(2-naphthalenyloxy)acetyl]glycyl-2-methylalanyl-L-arginyl-L-isoleucyl-L- $\alpha$ -aspartyl-L-arginyl-L-isoleucylglycyl-  
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

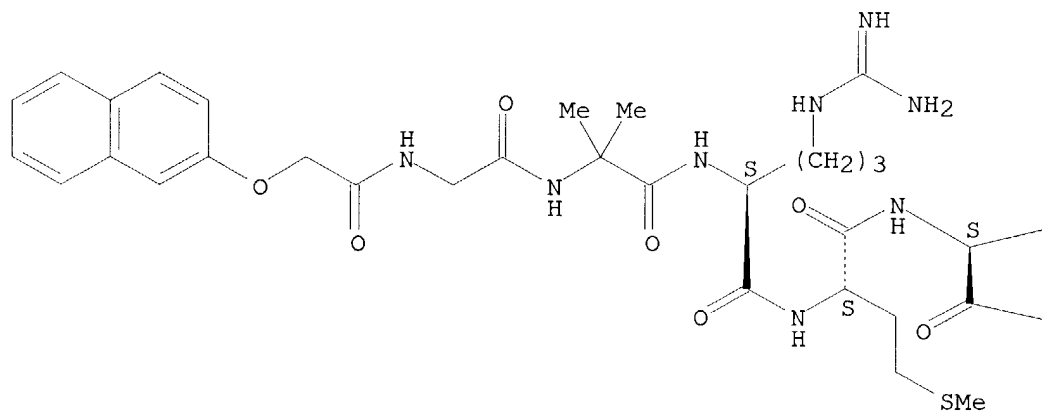


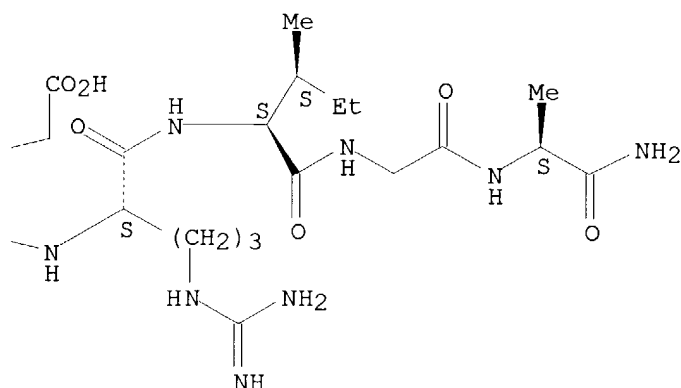
—NH<sub>2</sub>

RN 112976-15-5 USPATFULL

CN L-Alaninamide, N-[(2-naphthalenyloxy)acetyl]glycyl-2-methylalanyl-L-arginyl-L-methionyl-L- $\alpha$ -aspartyl-L-arginyl-L-isoleucylglycyl-  
(9CI) (CA INDEX NAME)

Absolute stereochemistry.





L46 ANSWER 49 OF 58 USPATFULL on STN  
 ACCESSION NUMBER: 89:87530 USPATFULL  
 TITLE: Vasopressin compounds  
 INVENTOR(S): Marshall, Garland R., Clayton, MO, United States  
 Moore, Michael L., Media, PA, United States  
 PATENT ASSIGNEE(S): Smithkline Beckman Corporation, Philadelphia, PA,  
 United States (U.S. corporation)

|                       | NUMBER  | KIND | DATE             |
|-----------------------|---|------|------------------|
| PATENT INFORMATION:   | US 4876243  |      | 19891024 <--     |
| APPLICATION INFO.:    | US 1987-89886   |      | 19870827 (7) <-- |
| RELATED APPLN. INFO.: | Continuation-in-part of Ser. No. US 1986-832805, filed on 25 Feb 1986 |      |                  |
| DOCUMENT TYPE:        | Utility   |      |                  |
| FILE SEGMENT:         | Granted   |      |                  |
| PRIMARY EXAMINER:     | Phillips, Delbert R.  |      |                  |
| LEGAL REPRESENTATIVE: | Kinzig, Charles M., Williams, Janice E., Lourie, Alan D.              |      |                  |
| NUMBER OF CLAIMS:     | 14  |      |                  |
| EXEMPLARY CLAIM:      | 1   |      |                  |
| LINE COUNT:           | 744   |      |                  |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Vasopressin-like peptide whose structures have been modified by an alpha-methyl amino acid at the 4 or 7 position are set forth. These compounds have potent vasopressin antagonist activities.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **113761-48-1P 113761-50-5P 113761-52-7P**  
**113761-57-2P 113761-58-3P**

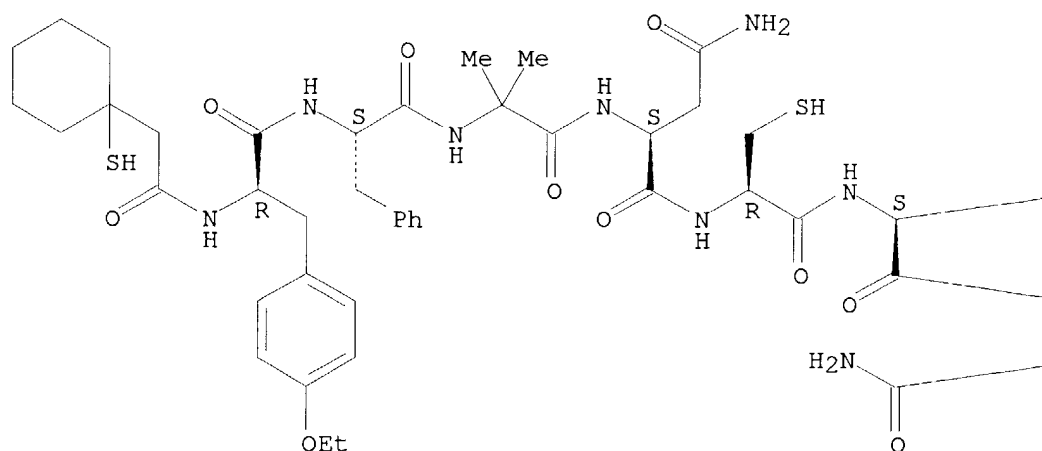
(preparation and oxidative cyclization of, in preparation of vasopressin antagonist)

RN 113761-48-1 USPATFULL

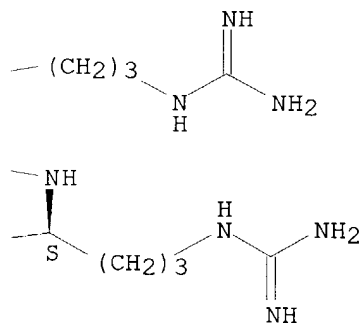
CN L-Argininamide, O-ethyl-N-[(1-mercaptocyclohexyl)acetyl]-D-tyrosyl-L-phenylalanyl-2-methylalanyl-L-asparaginyl-L-cysteinyl-L-arginyl- (9CI)  
 (CA INDEX NAME)

Absolute stereochemistry.

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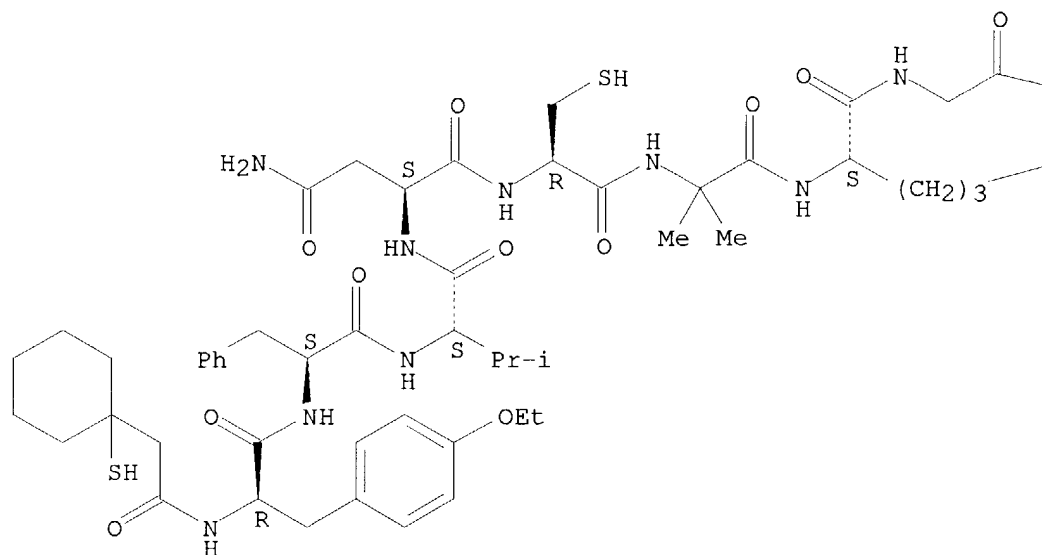


RN 113761-50-5 USPATFULL  
 CN Glycinamide, O-ethyl-N-[(1-mercaptocyclohexyl)acetyl]-D-tyrosyl-L-phenylalanyl-L-valyl-L-asparaginyl-L-cysteinyl-2-methylalanyl-L-arginyl-(9CI) (CA INDEX NAME)

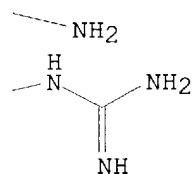
Absolute stereochemistry.



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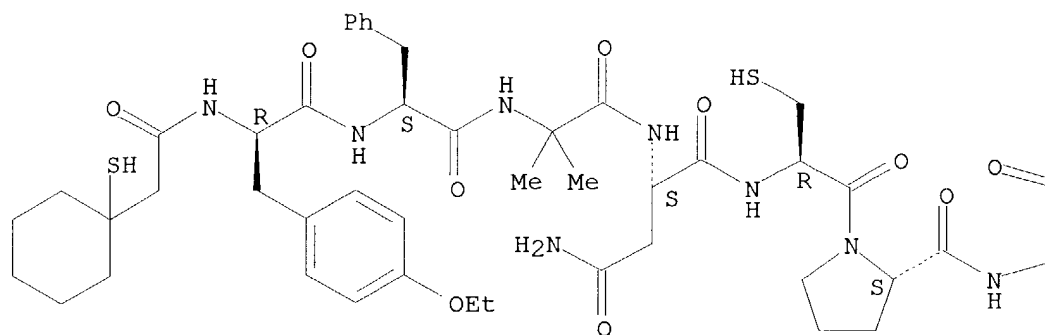
PAGE 1-B



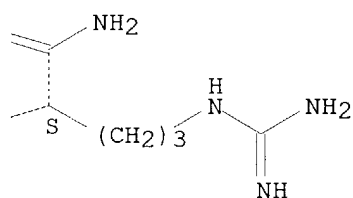
RN 113761-52-7 USPATFULL  
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 (CA INDEX NAME)

Absolute stereochemistry.

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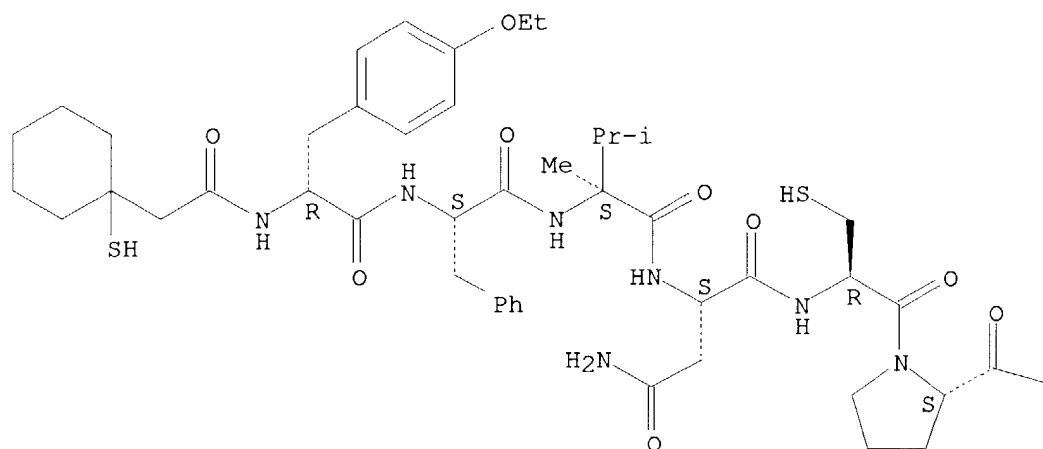


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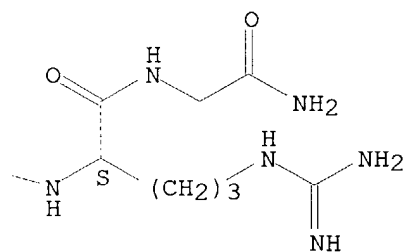
CN Glycinamide, O-ethyl-N-[(1-mercaptocyclohexyl)acetyl]-D-tyrosyl-L-phenylalanyl-3-methyl-L-isovaleryl-L-asparaginyl-L-cysteinyl-L-prolyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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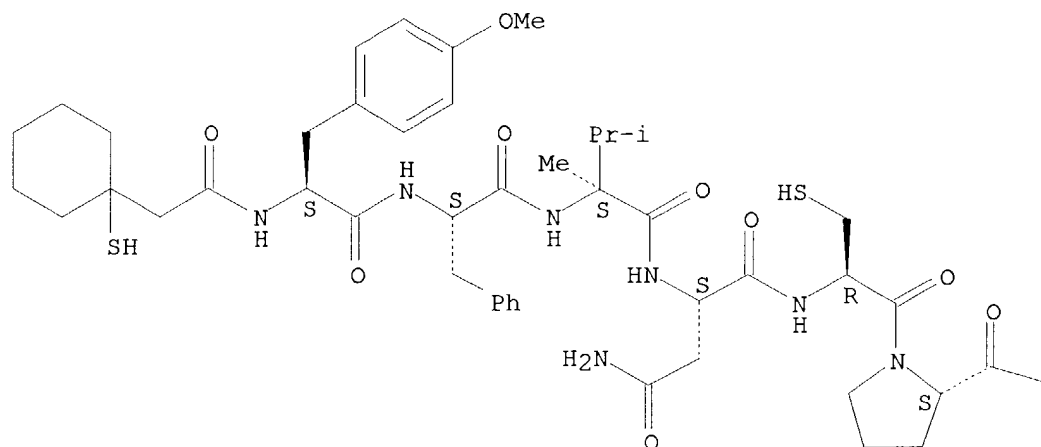


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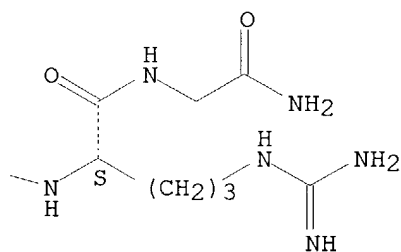
CN Glycinamide, N-[(1-mercaptopropyl)acetyl]-O-methyl-L-tyrosyl-L-phenylalanyl-3-methyl-L-isovaleryl-L-asparaginyl-L-cysteinyl-L-prolyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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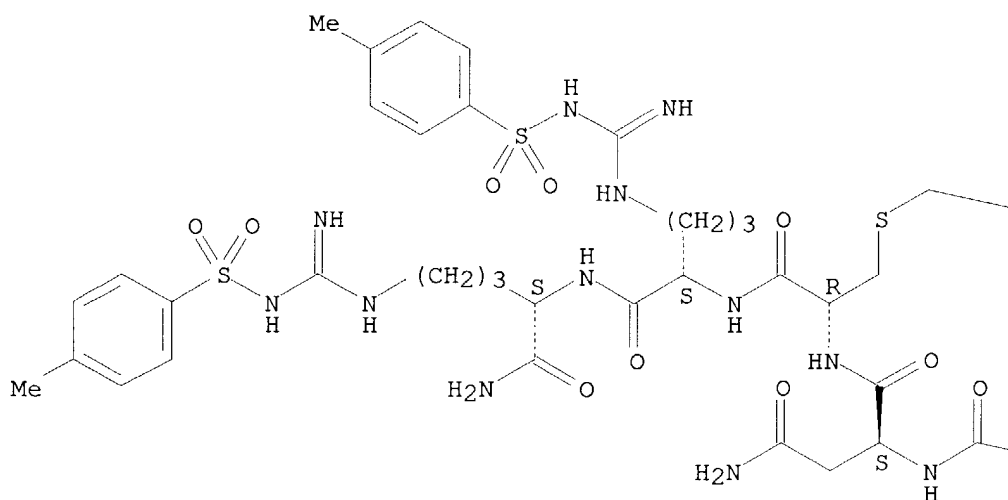
IT **113761-47-ODP**, benzhydrylamine resin bound **113761-49-2DP**  
 , benzhydrylamine resin bound **113761-51-6DP**, benzhydrylamine  
 resin bound  
 (preparation and resin cleavage of, in preparation of vasopressin  
 antagonist)

RN 113761-47-0 USPATFULL

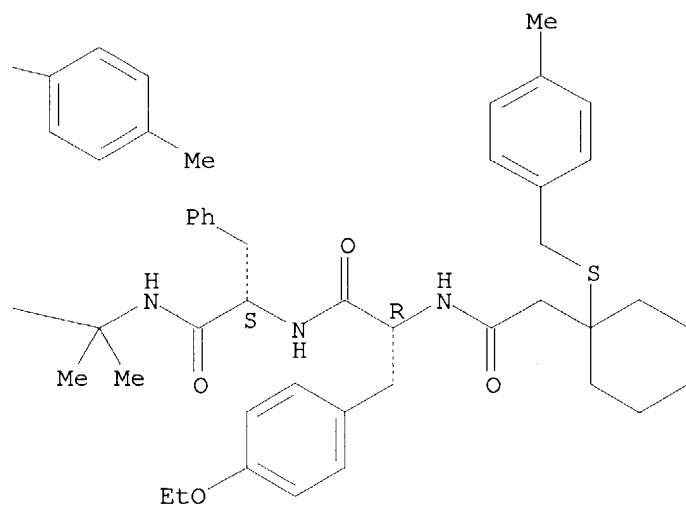
CN L-Ornithinamide, O-ethyl-N-[[1-[[[4-methylphenyl)methyl]thio]cyclohexyl]ac  
 etyl]-D-tyrosyl-L-phenylalanyl-2-methylalanyl-L-asparaginyl-S-[(4-  
 methylphenyl)methyl]-L-cysteinyl-N5-[imino[[4-  
 methylphenyl)sulfonyl]amino]methyl]-L-ornithyl-N5-[imino[[4-  
 methylphenyl)sulfonyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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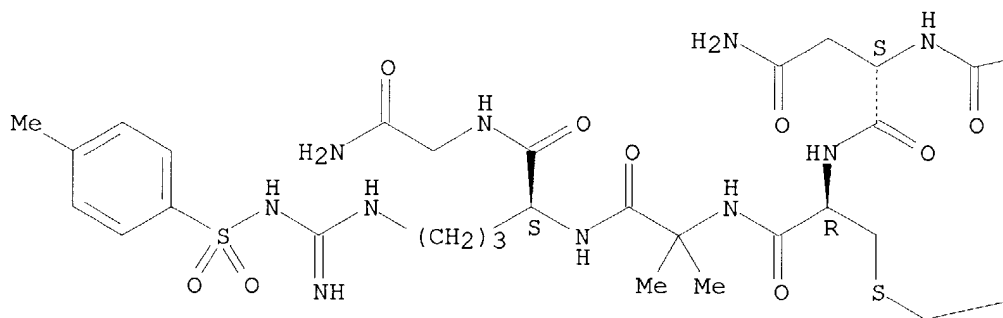
PAGE 1-B



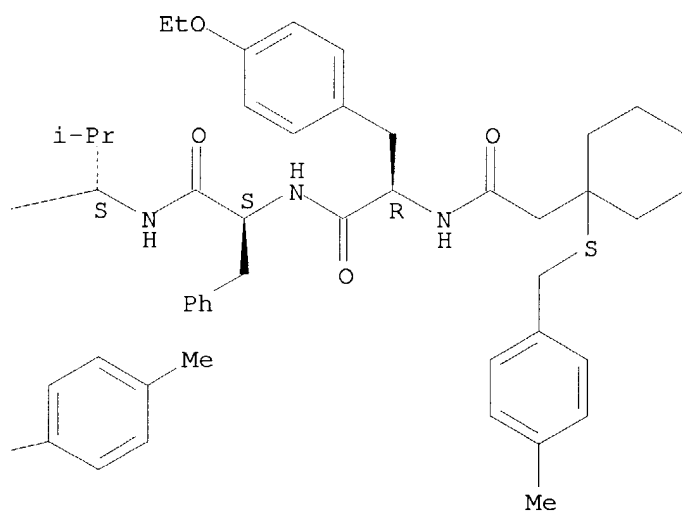
RN 113761-49-2 USPATFULL  
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 methylphenyl)methyl]-L-cysteinyl-2-methylalanyl-N5-[imino[[4-  
 methylphenyl)sulfonyl]amino]methyl]-L-ornithyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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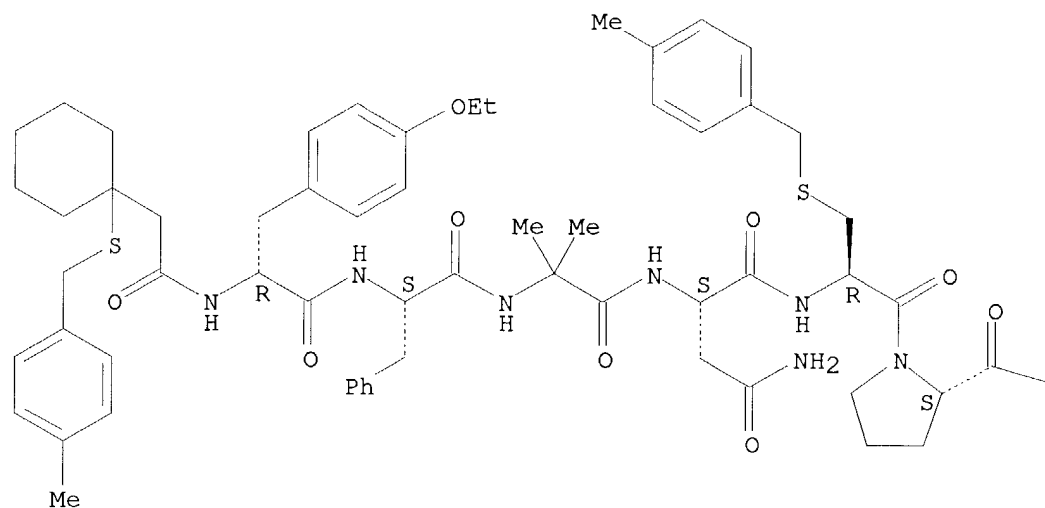


RN 113761-51-6 USPATFULL

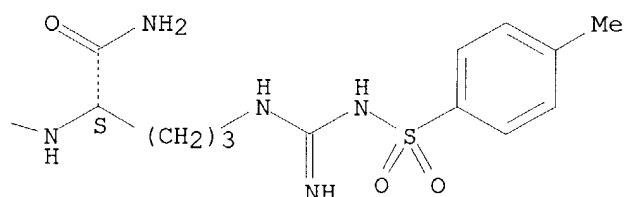
CN L-Ornithinamide, O-ethyl-N-[[1-[[[(4-methylphenyl)methyl]thio]cyclohexyl]acetyl]-D-tyrosyl-L-phenylalanyl-2-methylalanyl-L-asparaginyl-S-[(4-methylphenyl)methyl]-L-cysteinyl-L-prolyl-N5-[imino[[[(4-methylphenyl)sulfonyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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IT 113761-53-8DP, benzylhydramine resin bound

113761-54-9DP, benzhydramine resin bound 113761-60-7DP

, resin bound

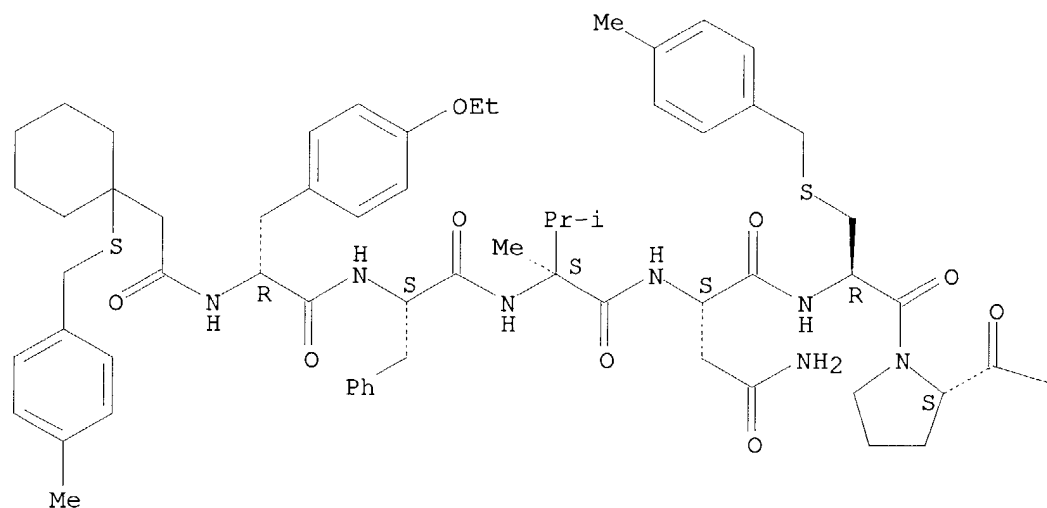
(preparation and resin cleavage reaction of, in preparation of vasopressin antagonist)

RN 113761-53-8 USPATFULL

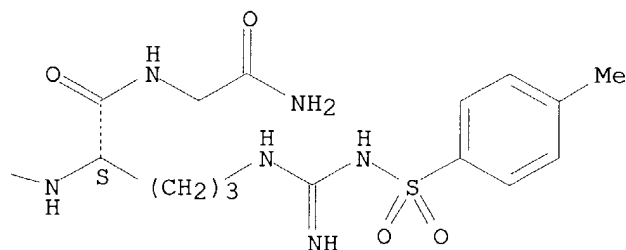
CN Glycinamide, O-ethyl-N-[[1-[[[4-methylphenyl)methyl]thio]cyclohexyl]acetyl  
]-D-tyrosyl-L-phenylalanyl-3-methyl-L-isovalyl-L-asparaginyl-S-[(4-  
methylphenyl)methyl]-L-cysteinyl-L-prolyl-N5-[imino[[[4-  
methylphenyl)sulfonyl]amino]methyl]-L-ornithyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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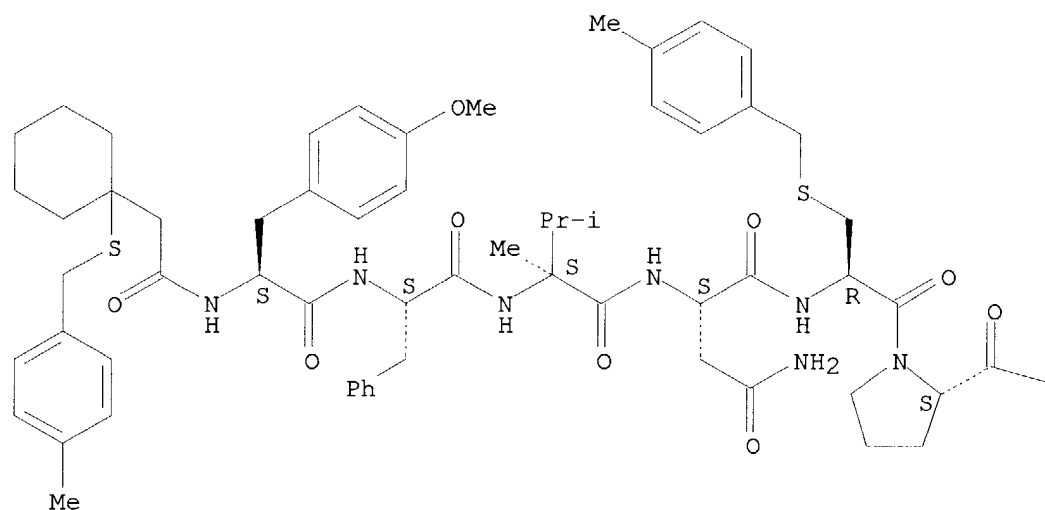
RN 113761-54-9 USPATFULL

CN Glycinamide, O-methyl-N-[[1-[[[(4-methylphenyl)methyl]thio]cyclohexyl]acetyl]-L-tyrosyl-L-phenylalanyl-3-methyl-L-isovalyl-L-asparaginyl-S-[(4-methylphenyl)methyl]-L-cysteinyl-L-prolyl-N5-[imino[[[(4-methylphenyl)sulfonyl]amino]methyl]-L-ornithyl- (9CI) (CA INDEX NAME)

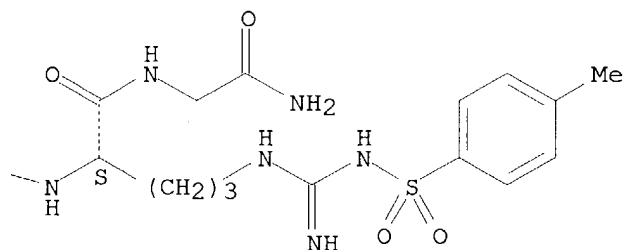
Absolute stereochemistry.



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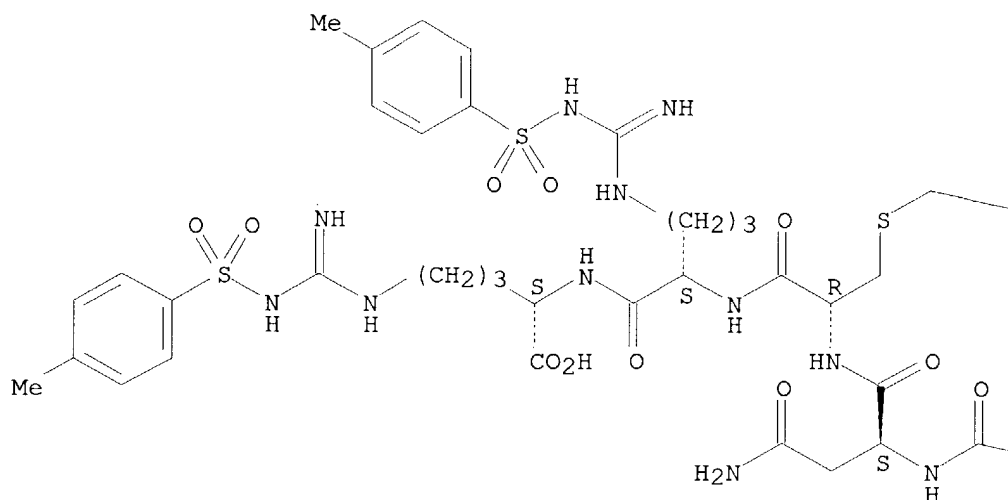


RN 113761-60-7 USPATFULL

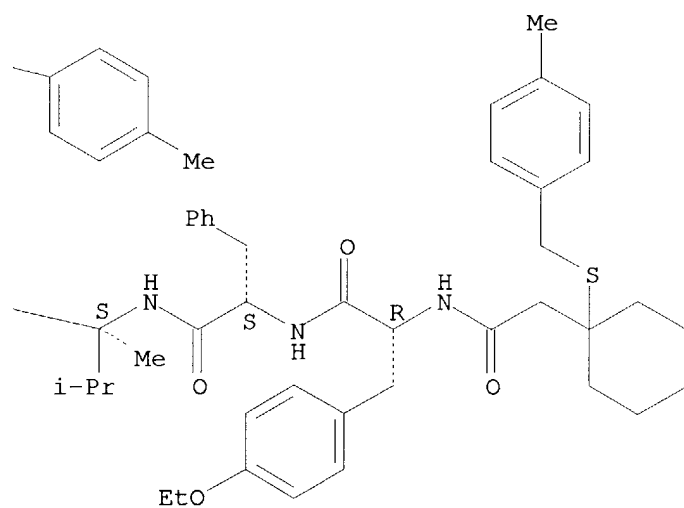
CN L-Ornithine, N2-[N2-[N-[N2-[N-[N-[O-ethyl-N-[[1-[[[4-methylphenyl)methyl]thio]cyclohexyl]acetyl]-D-tyrosyl]-L-phenylalanyl]-3-methyl-L-isovalyl]-L-asparaginy]-S-[(4-methylphenyl)methyl]-L-cysteiny]-N5-[imino[[4-methylphenyl)sulfonyl]amino]methyl]-L-ornithyl]-N5-[imino[[4-methylphenyl)sulfonyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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IT 106021-72-1P

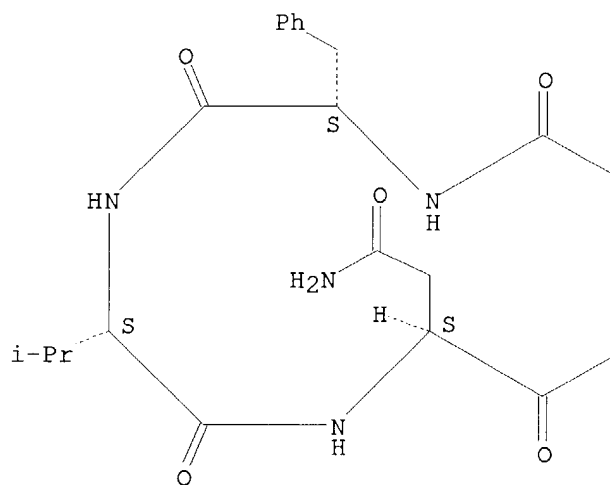
(preparation of, as vasopressin antagonist)

RN 106021-72-1 USPATFULL

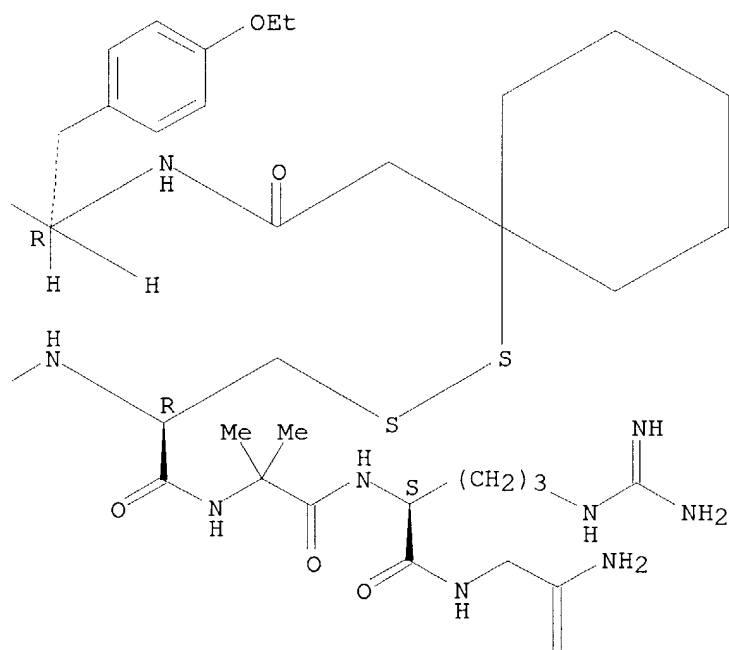
CN Glycinamide, O-ethyl-N-[(1-mercaptocyclohexyl)acetyl]-D-tyrosyl-L-phenylalanyl-L-valyl-L-asparaginyl-L-cysteinyl-2-methylalanyl-L-arginyl-, cyclic (1→5)-disulfide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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L46 ANSWER 50 OF 58 USPATFULL on STN  
 ACCESSION NUMBER: 89:10874 USPATFULL  
 TITLE: Analogs of atrial natriuretic peptides  
 INVENTOR(S): Lewicki, John A., San Jose, CA, United States  
 Scarborough, Jr., Robert M., Hayward, CA, United States  
 Johnson, Lorin K., Pleasanton, CA, United States  
 PATENT ASSIGNEE(S): Biotechnology Research Associates, J.V., Mountain View,  
 CA, United States (U.S. corporation)

|                       | NUMBER  | KIND | DATE         |     |
|-----------------------|---|------|--------------|-----|
| PATENT INFORMATION:   | US 4804650  |      | 19890214     | <-- |
| APPLICATION INFO.:    | US 1988-168661  |      | 19880316 (7) | <-- |
| RELATED APPLN. INFO.: | Continuation of Ser. No. US 1986-921360, filed on 28<br>Oct 1986, now abandoned |      |              |     |
| DOCUMENT TYPE:        | Utility   |      |              |     |
| FILE SEGMENT:         | Granted   |      |              |     |
| PRIMARY EXAMINER:     | Phillips, Delbert R.  |      |              |     |
| LEGAL REPRESENTATIVE: | Ciotti & Murashige, Irell & Manella   |      |              |     |
| NUMBER OF CLAIMS:     | 5   |      |              |     |
| EXEMPLARY CLAIM:      | 1   |      |              |     |
| NUMBER OF DRAWINGS:   | 9 Drawing Figure(s); 9 Drawing Page(s)  |      |              |     |
| LINE COUNT:           | 1876  |      |              |     |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds and compositions comprising synthetic analogs of Atrial  
 Natriuretic Peptides are provided, together with methods for their  
 production and use as natriuretics, diuretics and/or vasodilators, or as  
 intermediates for or modulators of such useful compounds or of native  
 Atrial Natriuretic Peptides.

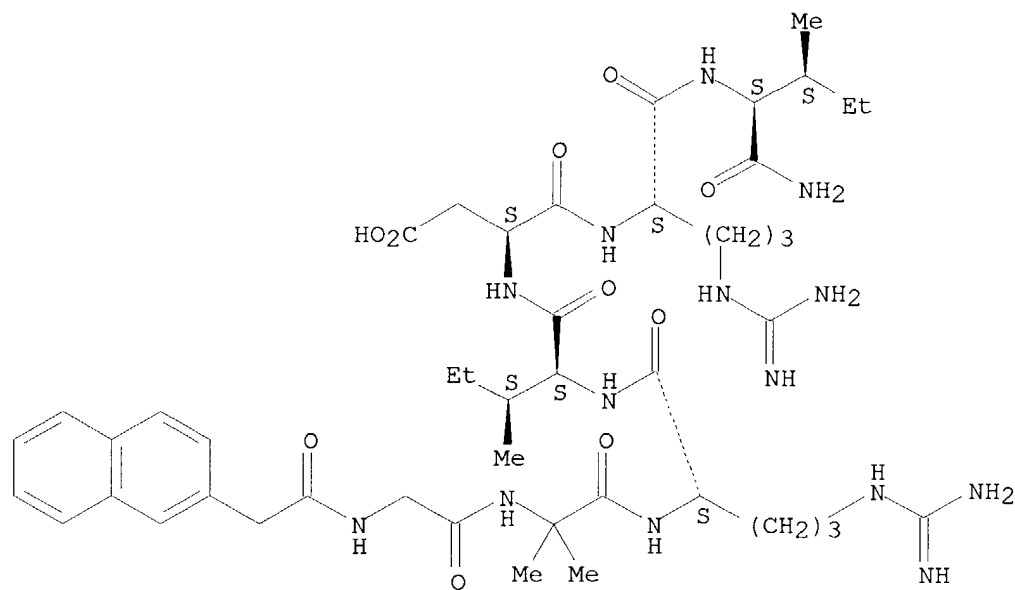
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 112961-93-0P 112962-39-7P 112962-40-0P  
 112962-41-1P 112962-66-0P 112962-67-1P  
 112962-68-2P 112962-69-3P 112962-70-6P  
 112968-72-6P 112976-14-4P 112976-15-5P  
 (preparation of, as atrial natriuretic peptide analog)

RN 112961-93-0 USPATFULL

CN L-Isoleucinamide, N-(2-naphthalenylacetyl)glycyl-2-methylalanyl-L-arginyl-  
 L-isoleucyl-L- $\alpha$ -aspartyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

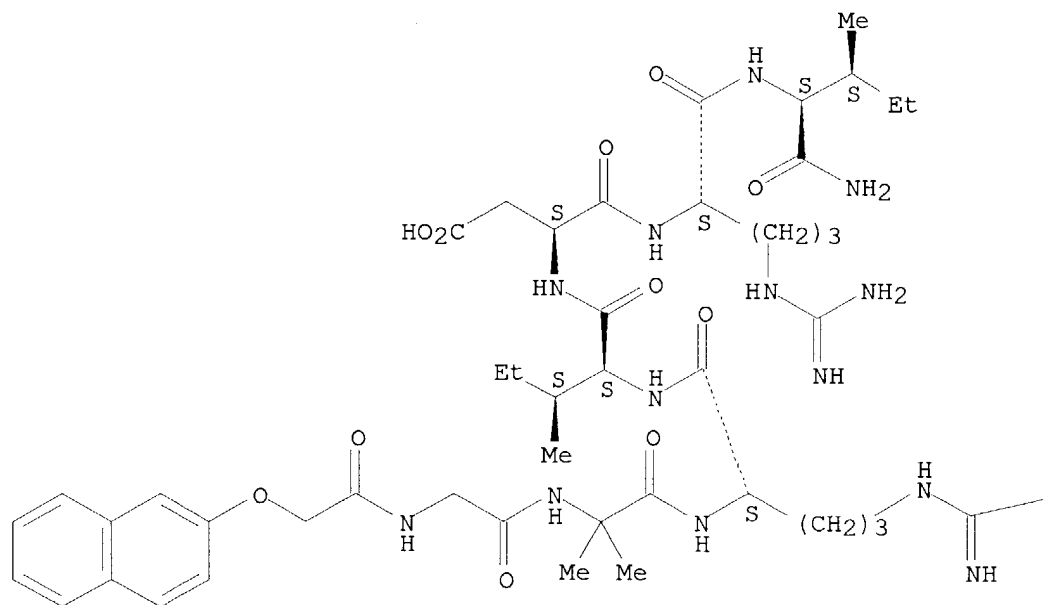


RN 112962-39-7 USPATFULL

CN L-Isoleucinamide, N-[(2-naphthalenyloxy)acetyl]glycyl-2-methylalanyl-L-arginyl-L-isoleucyl-L-α-aspartyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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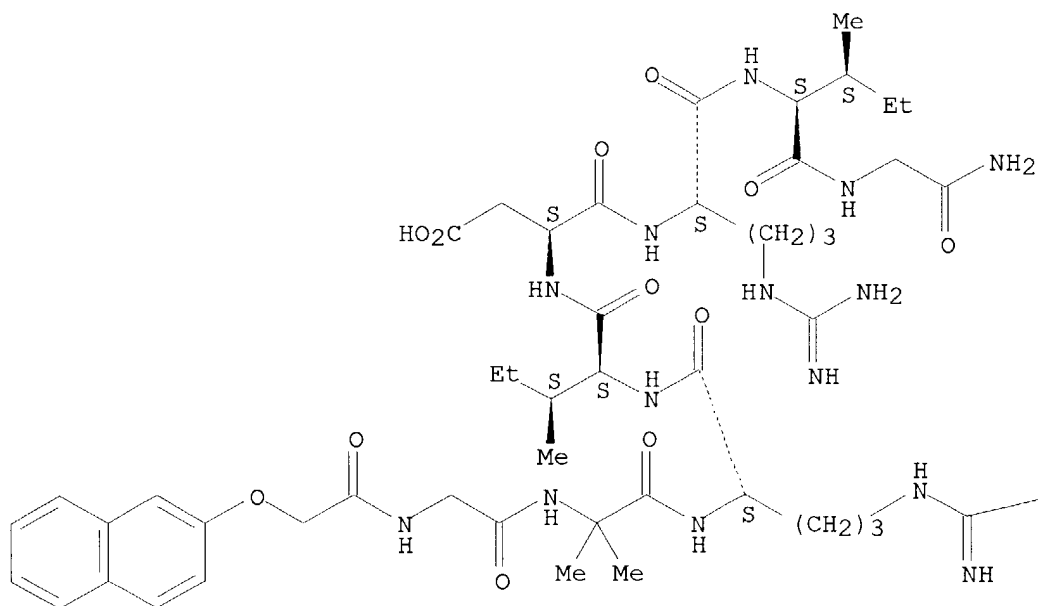
—NH<sub>2</sub>

RN 112962-40-0 USPATFULL

CN Glycinamide, N-[(2-naphthalenyloxy)acetyl]glycyl-2-methylalanyl-L-arginyl-L-isoleucyl-L- $\alpha$ -aspartyl-L-arginyl-L-isoleucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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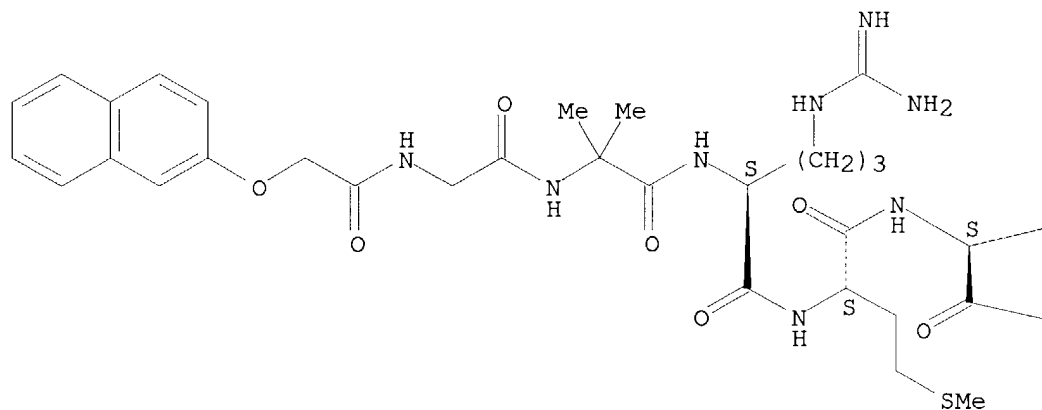


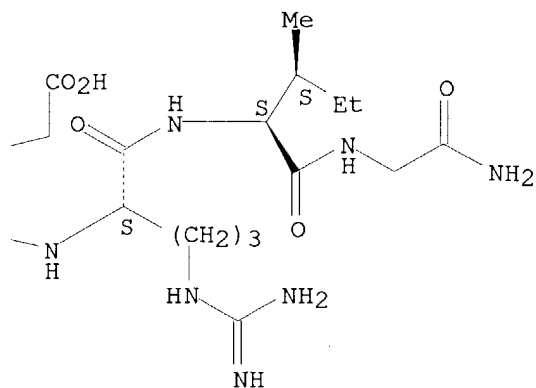
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RN 112962-41-1 USPATFULL  
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Absolute stereochemistry.

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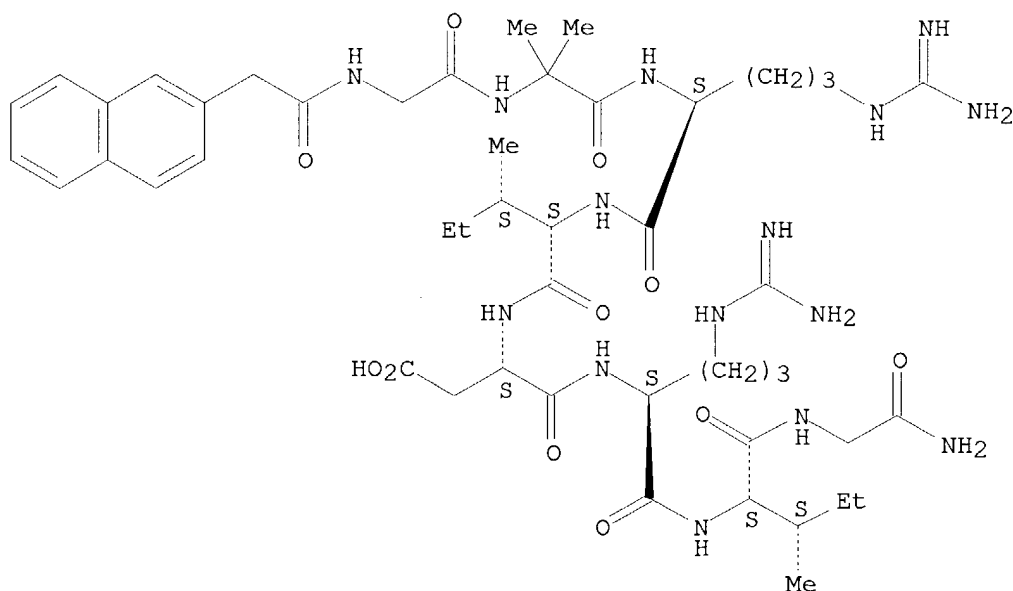




RN 112962-66-0 USPATFULL

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Absolute stereochemistry.



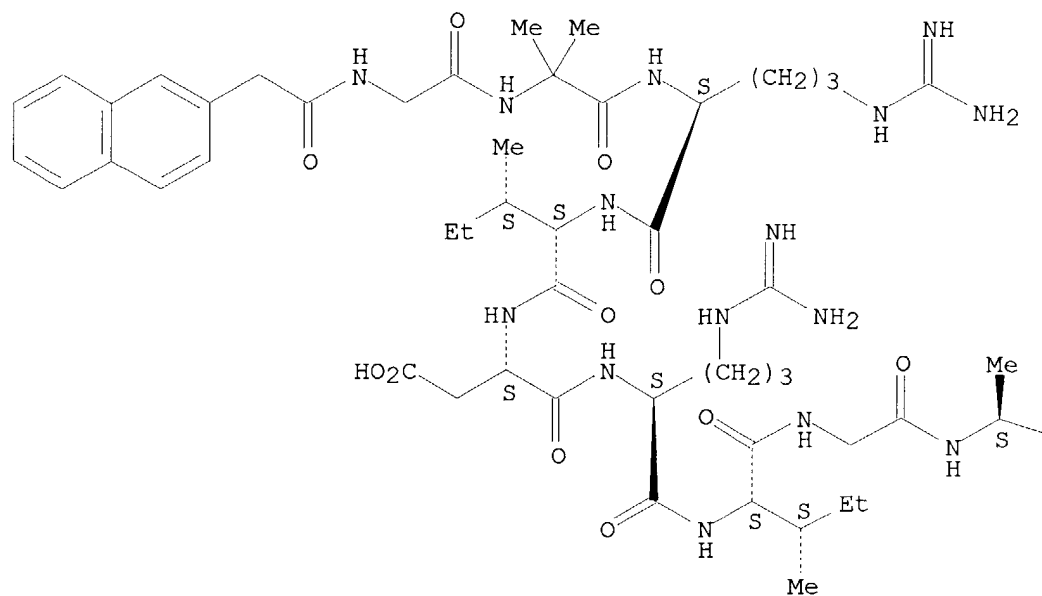
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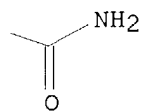
Absolute stereochemistry.



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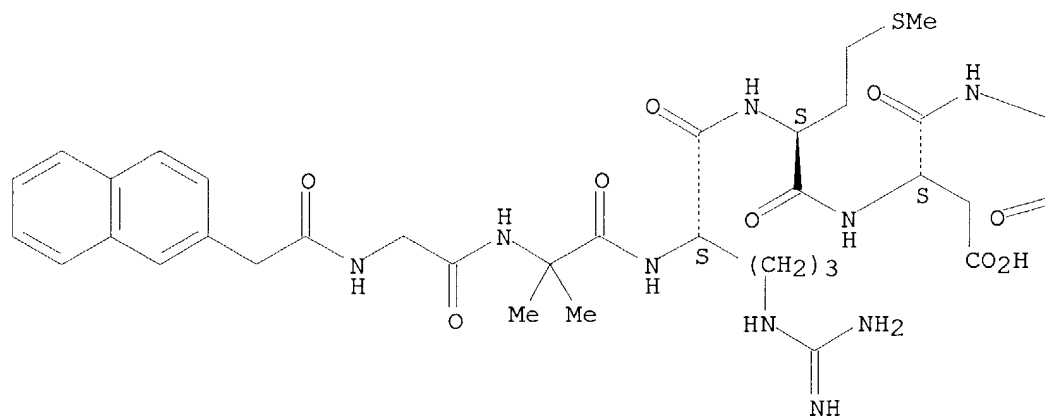


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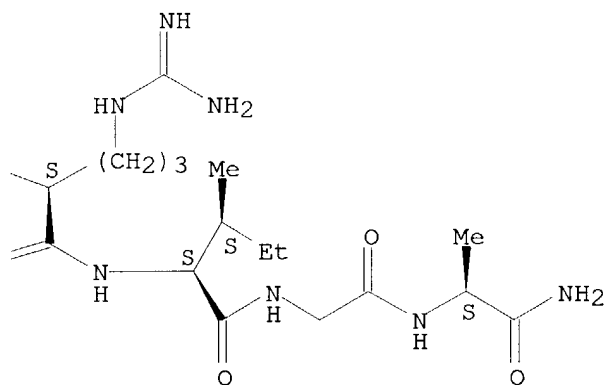
CN L-Alaninamide, N-(2-naphthalenylacetyl)glycyl-2-methylalanyl-L-arginyl-L-methionyl-L-α-aspartyl-L-arginyl-L-isoleucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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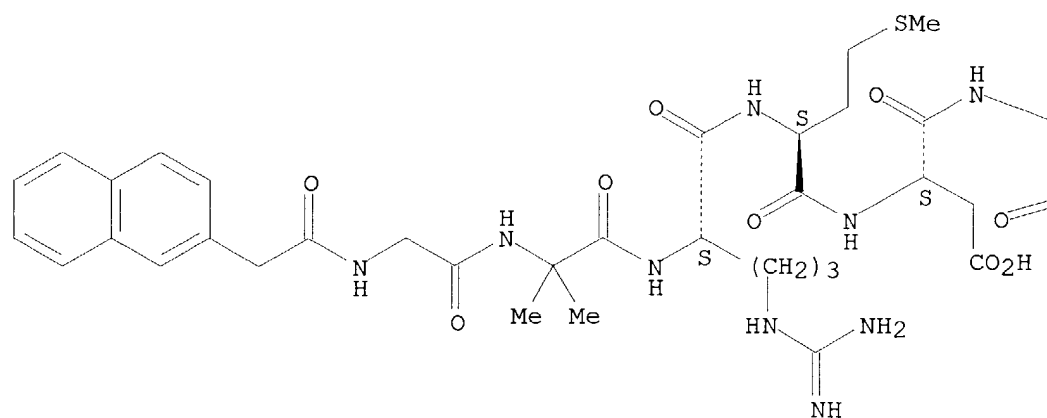


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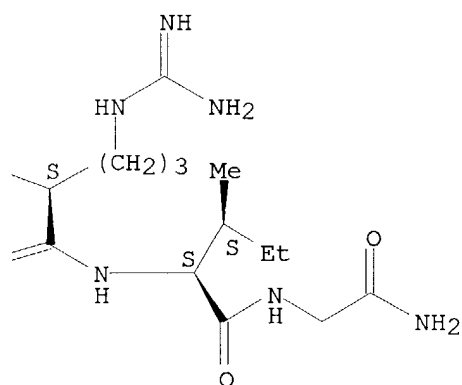
CN Glycinamide, N-(2-naphthalenylacetyl)glycyl-2-methylalanyl-L-arginyl-L-methionyl-L- $\alpha$ -aspartyl-L-arginyl-L-isoleucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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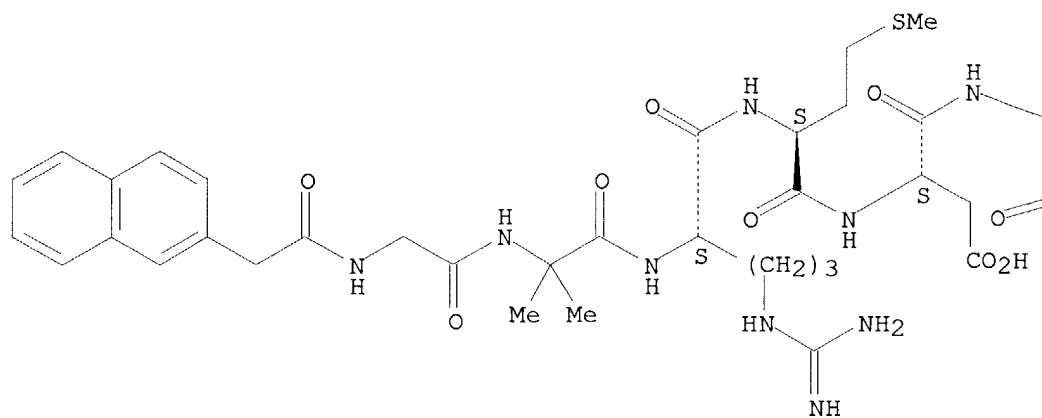


RN 112962-70-6 USPATFULL

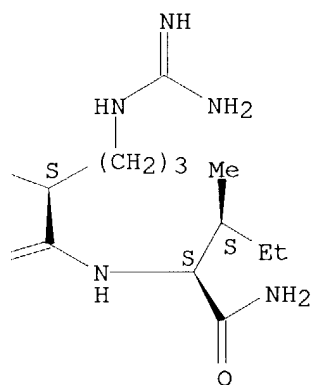
CN L-Isoleucinamide, N-(2-naphthalenylacetyl)glycyl-2-methylalanyl-L-arginyl-L-methionyl-L- $\alpha$ -aspartyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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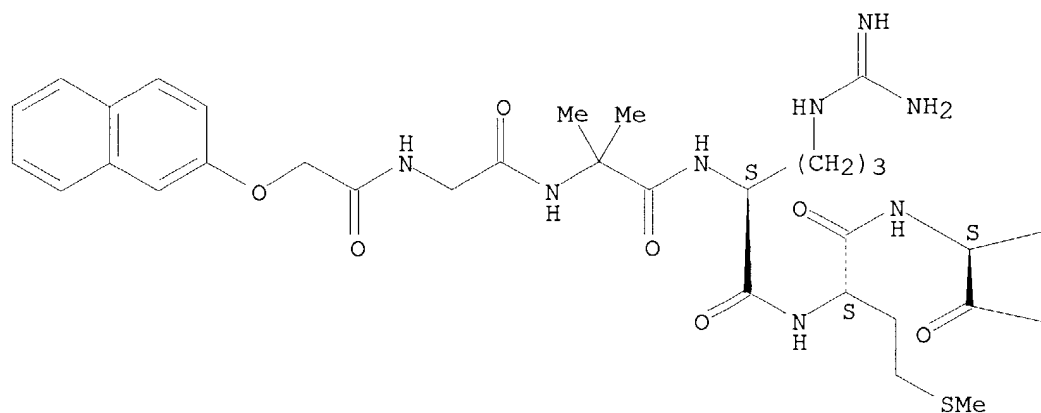


RN 112968-72-6 USPATFULL

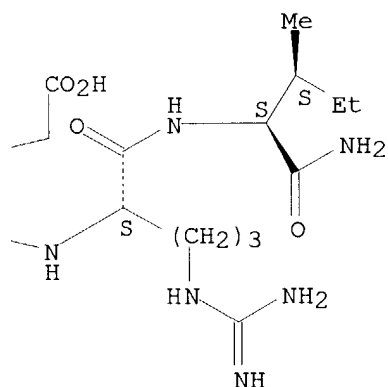
CN L-Isoleucinamide, N-[(2-naphthalenyloxy)acetyl]glycyl-2-methylalanyl-L-arginyl-L-methionyl-L- $\alpha$ -aspartyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

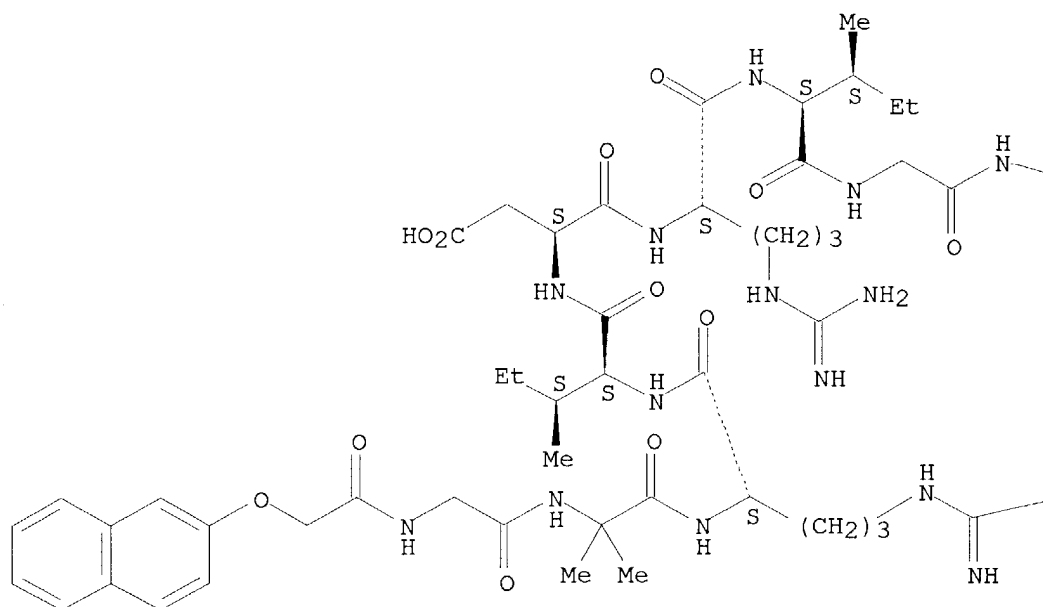


RN 112976-14-4 USPATFULL

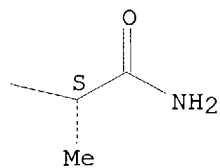
CN L-Alaninamide, N-[(2-naphthalenyloxy)acetyl]glycyl-2-methylalanyl-L-arginyl-L-isoleucyl-L- $\alpha$ -aspartyl-L-arginyl-L-isoleucylglycyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



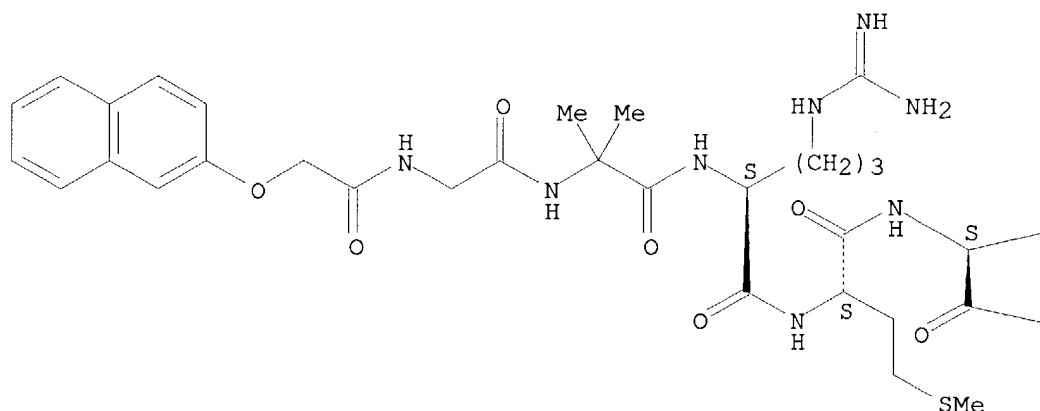
PAGE 1-B

—NH<sub>2</sub>

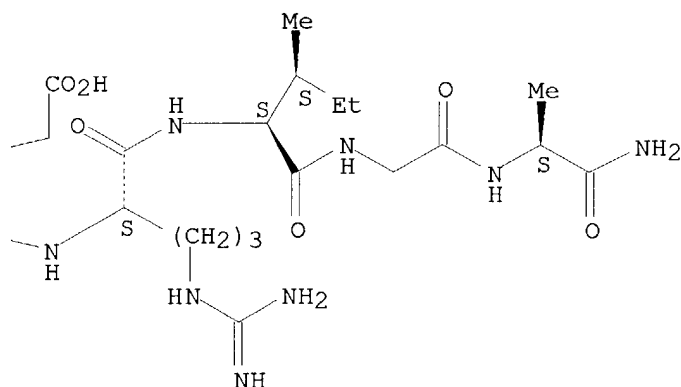
RN 112976-15-5 USPATFULL  
 CN L-Alaninamide, N-[(2-naphthalenyloxy)acetyl]glycyl-2-methylalanyl-L-arginyl-L-methionyl-L- $\alpha$ -aspartyl-L-arginyl-L-isoleucylglycyl-  
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



L46 ANSWER 51 OF 58 USPATFULL on STN  
 ACCESSION NUMBER: 88:44010 USPATFULL  
 TITLE: Synthetic analogs of atrial natriuretic peptides  
 INVENTOR(S): Lewicki, John A., Sunnyvale, CA, United States  
 Scarborough, Jr., Robert M., Hayward, CA, United States  
 Johnson, Lorin K., Pleasanton, CA, United States  
 PATENT ASSIGNEE(S): Biotechnology Research Associates J.V., Mountain View,  
 CA, United States (U.S. corporation)

|                       | NUMBER   | KIND | DATE         |     |
|-----------------------|--|------|--------------|-----|
| PATENT INFORMATION:   | US 4757048   |      | 19880712     | <-- |
| APPLICATION INFO.:    | US 1986-868312   |      | 19860528 (6) | <-- |
| RELATED APPLN. INFO.: | Continuation-in-part of Ser. No. US 1985-795220, filed<br>on 5 Nov 1985, now abandoned |      |              |     |

DOCUMENT TYPE: Utility  
 FILE SEGMENT: Granted  
 PRIMARY EXAMINER: Phillips, Delbert R.  
 LEGAL REPRESENTATIVE: Ciotti & Murashige, Irell & Manella  
 NUMBER OF CLAIMS: 9  
 EXEMPLARY CLAIM: 1  
 NUMBER OF DRAWINGS: 7 Drawing Figure(s); 7 Drawing Page(s)  
 LINE COUNT: 1883

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds and compositions comprising synthetic analogs of Atrial Natriuretic Peptides are provided, together with methods for their production and use as natriuretics, diuretics and/or vasodilators, or as intermediates for or modulators of such useful compounds or of native Atrial Natriuretic Peptides.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 112961-93-0P 112962-39-7P 112962-40-0P

112962-41-1P 112962-66-0P 112962-67-1P

112962-68-2P 112962-69-3P 112962-70-6P

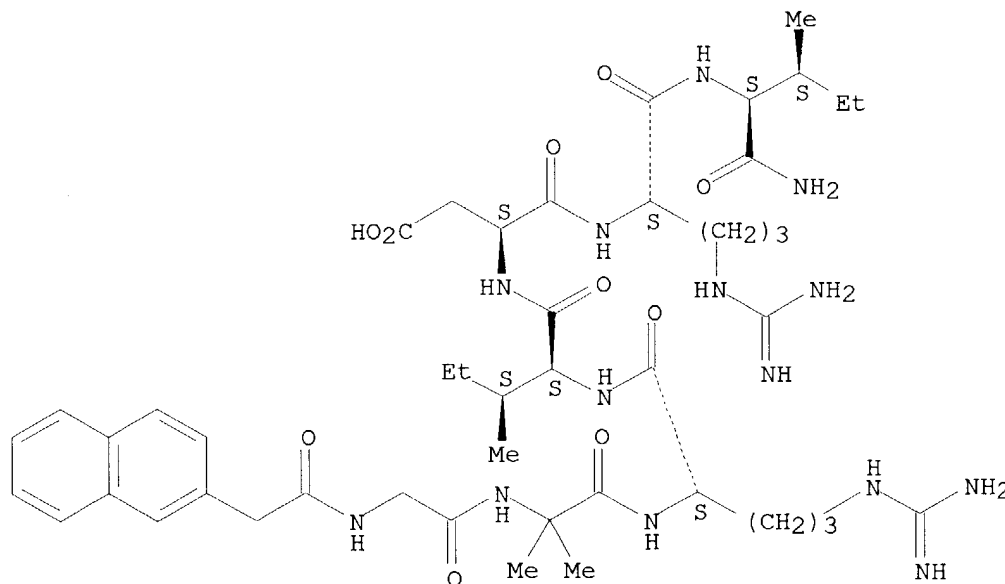
112968-72-6P 112976-14-4P 112976-15-5P

(preparation of, as atrial natriuretic peptide analog)

RN 112961-93-0 USPATFULL

CN L-Isoleucinamide, N-(2-naphthalenylacetyl)glycyl-2-methylalanyl-L-arginyl-L-isoleucyl-L- $\alpha$ -aspartyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

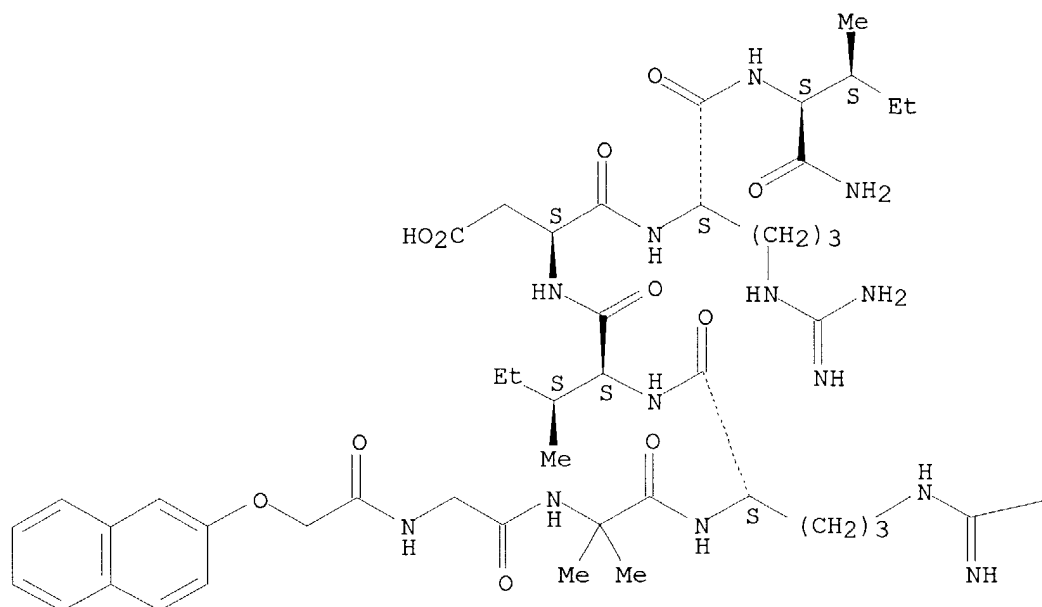


RN 112962-39-7 USPATFULL

CN L-Isoleucinamide, N-[(2-naphthalenyloxy)acetyl]glycyl-2-methylalanyl-L-arginyl-L-isoleucyl-L- $\alpha$ -aspartyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



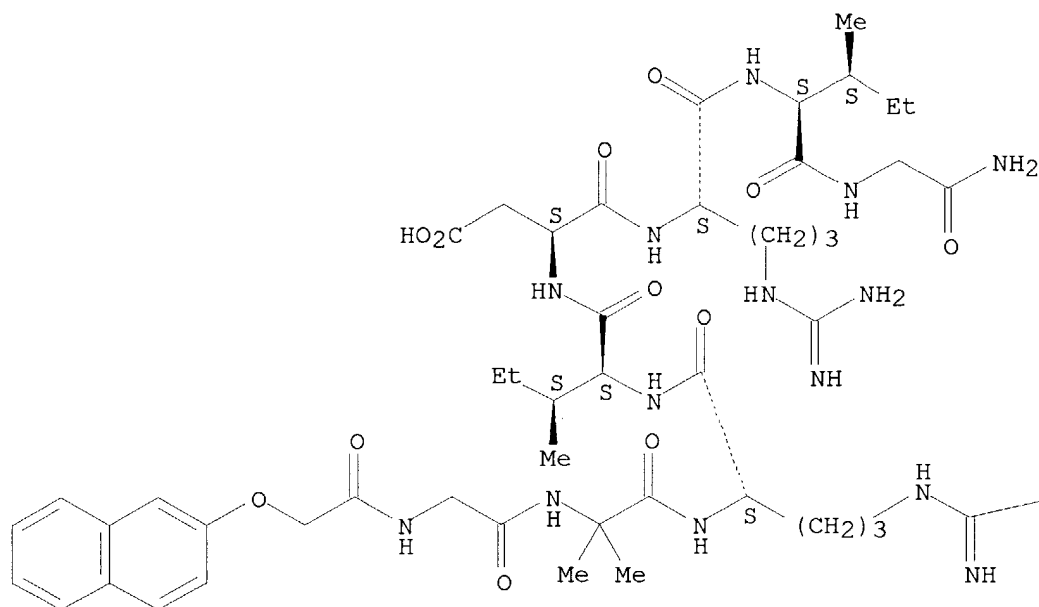


—NH<sub>2</sub>

RN 112962-40-0 USPATFULL  
 CN Glycinamide, N-[(2-naphthalenyloxy)acetyl]glycyl-2-methylalanyl-L-arginyl-L-isoleucyl-L- $\alpha$ -aspartyl-L-arginyl-L-isoleucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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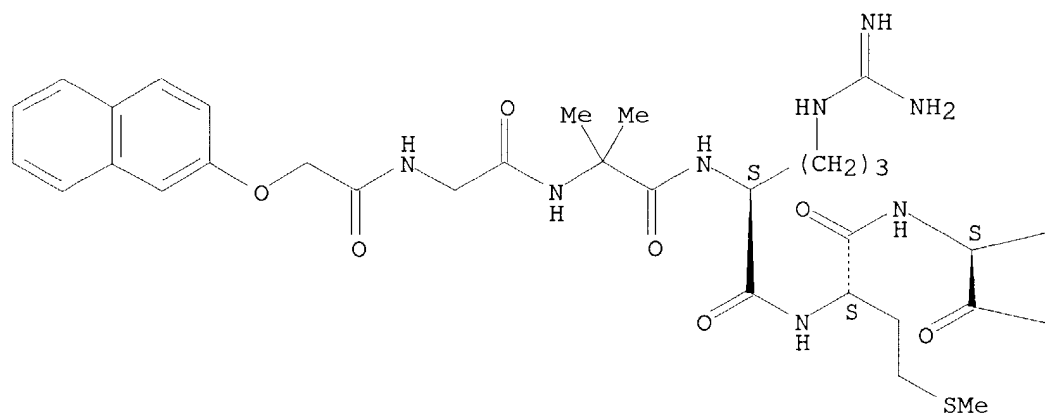
PAGE 1-B

—NH<sub>2</sub>

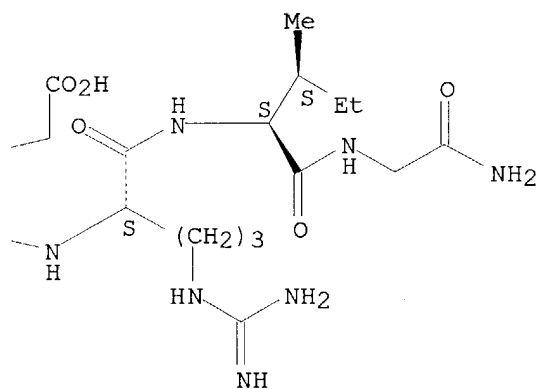
RN 112962-41-1 USPATFULL  
 CN Glycinamide, N-[(2-naphthalenyloxy)acetyl]glycyl-2-methylalanyl-L-arginyl-L-methionyl-L- $\alpha$ -aspartyl-L-arginyl-L-isoleucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



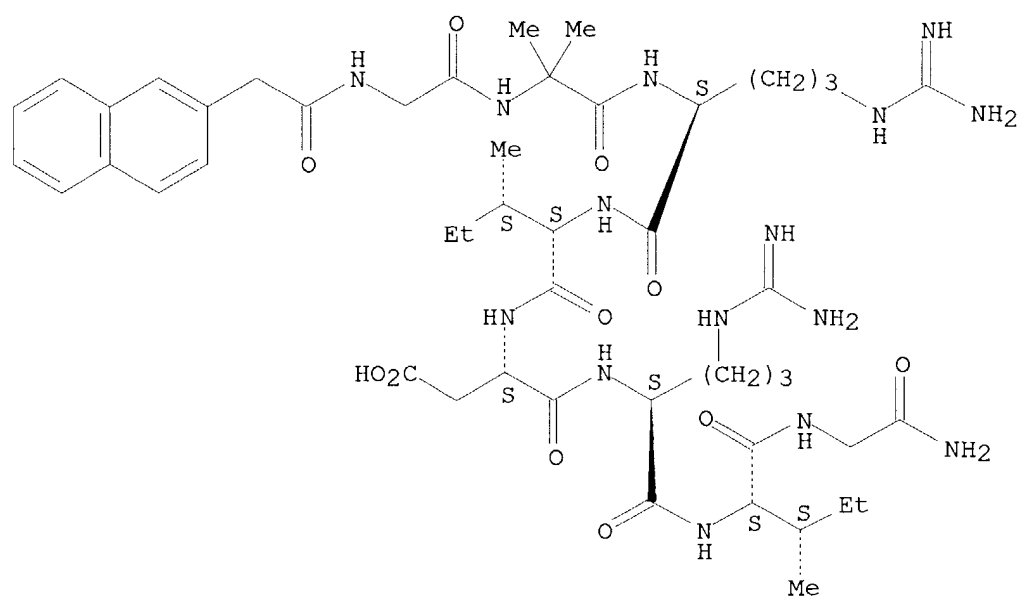
PAGE 1-B



RN 112962-66-0 USPATFULL

CN Glycinamide, N-(2-naphthalenylacetyl)glycyl-2-methylalanyl-L-arginyl-L-isoleucyl-L-α-aspartyl-L-arginyl-L-isoleucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

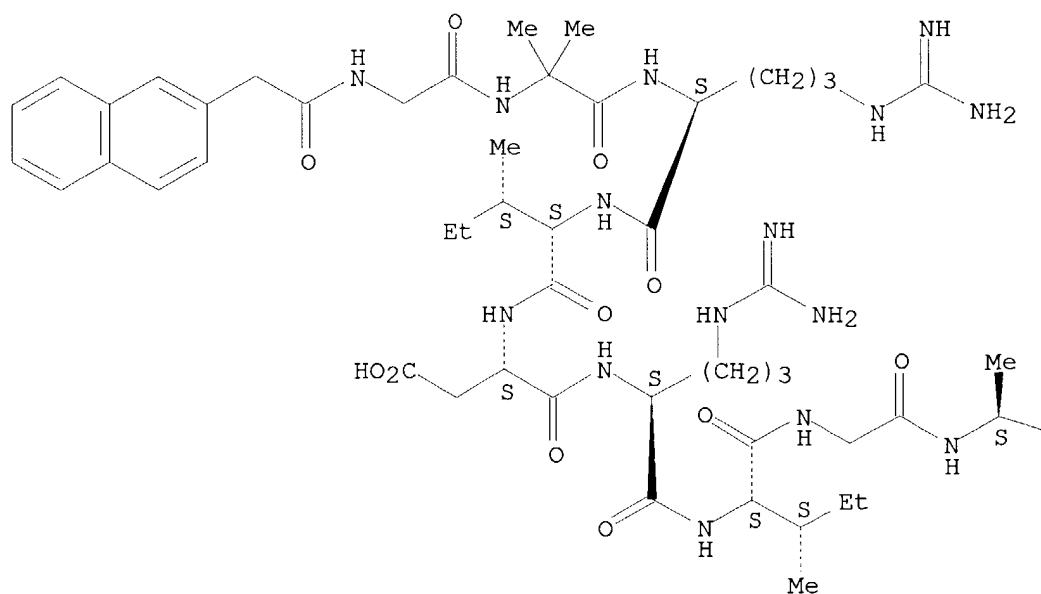


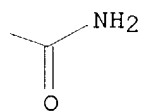
RN 112962-67-1 USPATFULL

CN L-Alaninamide, N-(2-naphthalenylacetyl)glycyl-2-methylalanyl-L-arginyl-L-isoleucyl-L-α-aspartyl-L-arginyl-L-isoleucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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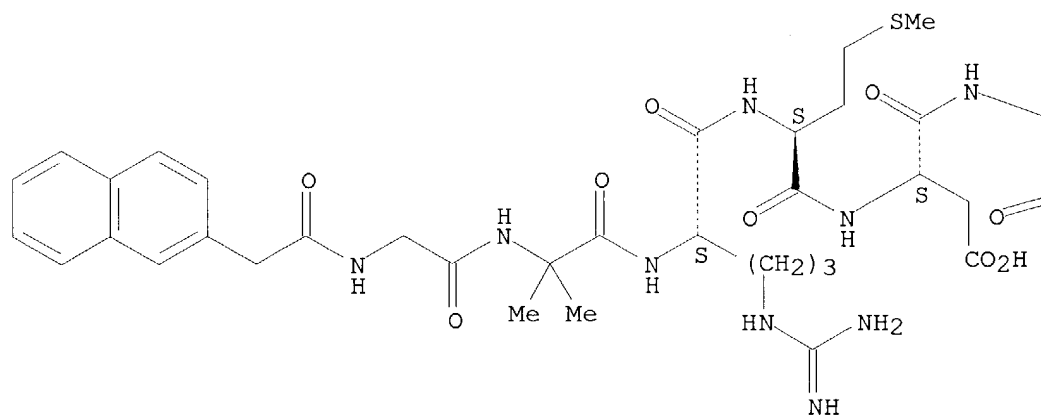


RN 112962-68-2 USPATFULL

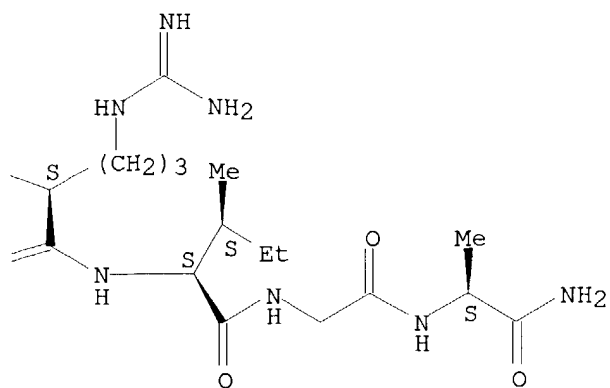
CN L-Alaninamide, N-(2-naphthalenylacetyl)glycyl-2-methylalanyl-L-arginyl-L-methionyl-L- $\alpha$ -aspartyl-L-arginyl-L-isoleucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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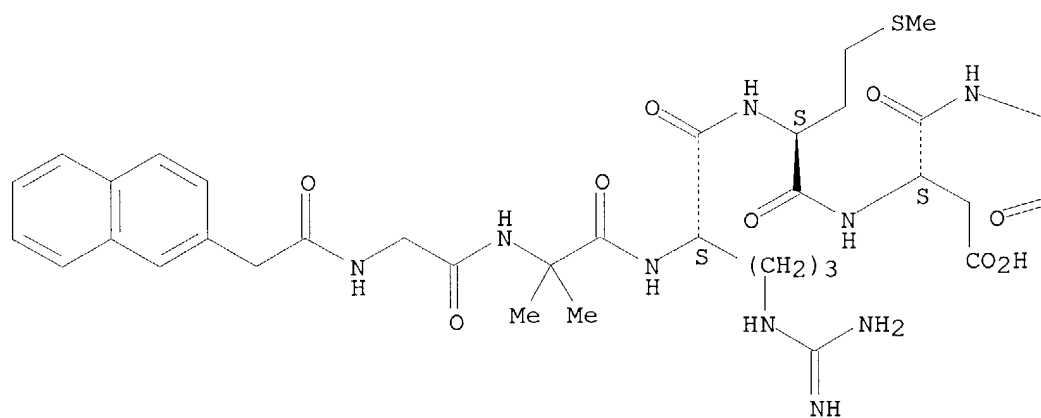


RN 112962-69-3 USPATFULL

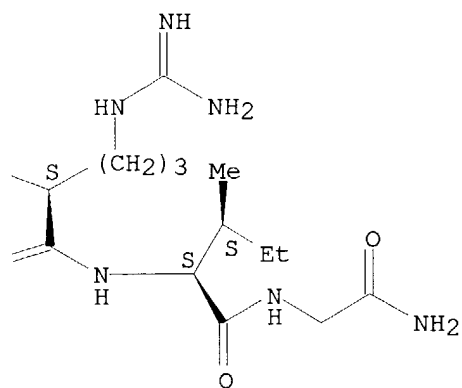
CN Glycinamide, N-(2-naphthalenylacetyl)glycyl-2-methylalanyl-L-arginyl-L-methionyl-L- $\alpha$ -aspartyl-L-arginyl-L-isoleucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

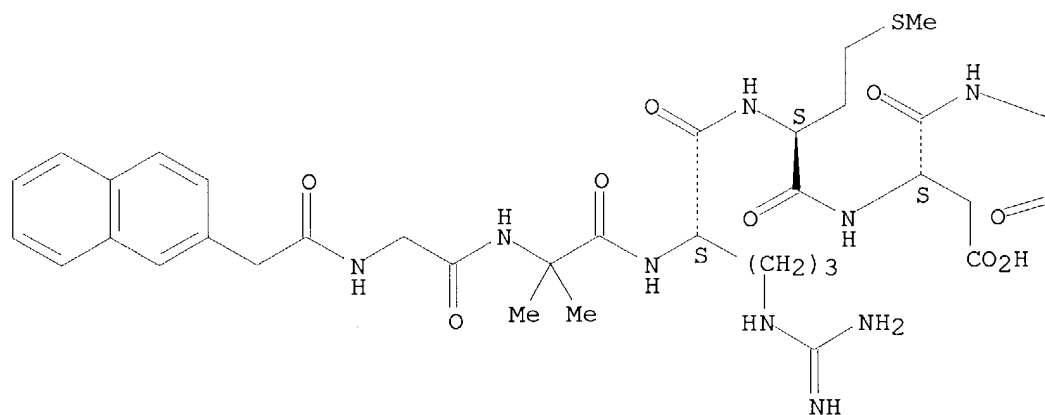


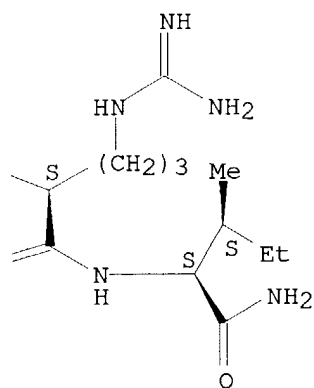
RN 112962-70-6 USPATFULL

CN L-Isoleucinamide, N-(2-naphthalenylacetyl)glycyl-2-methylalanyl-L-arginyl-L-methionyl-L- $\alpha$ -aspartyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

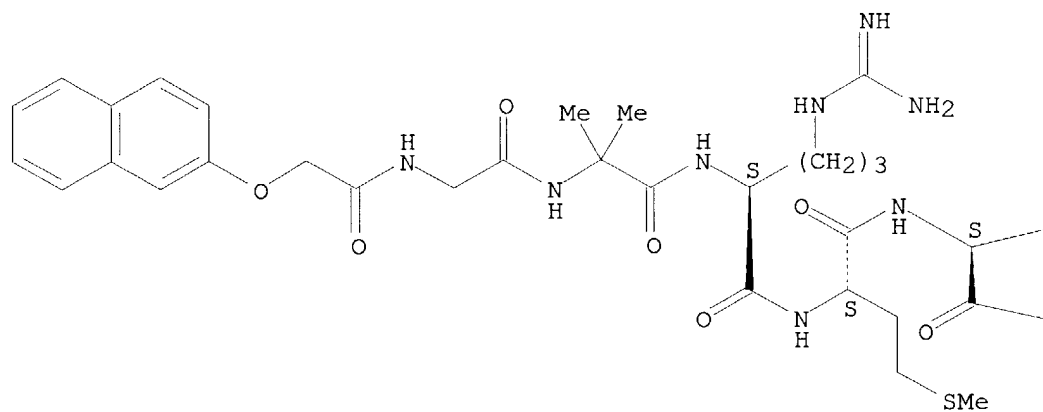




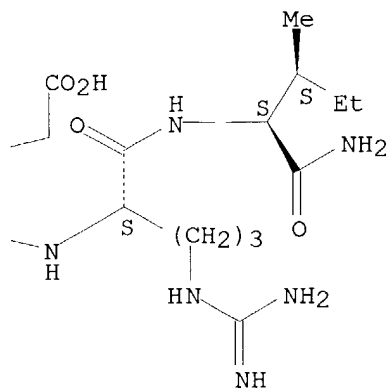
RN 112968-72-6 USPATFULL

CN L-Isoleucinamide, N-[(2-naphthalenyloxy)acetyl]glycyl-2-methylalanyl-L-arginyl-L-methionyl-L- $\alpha$ -aspartyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



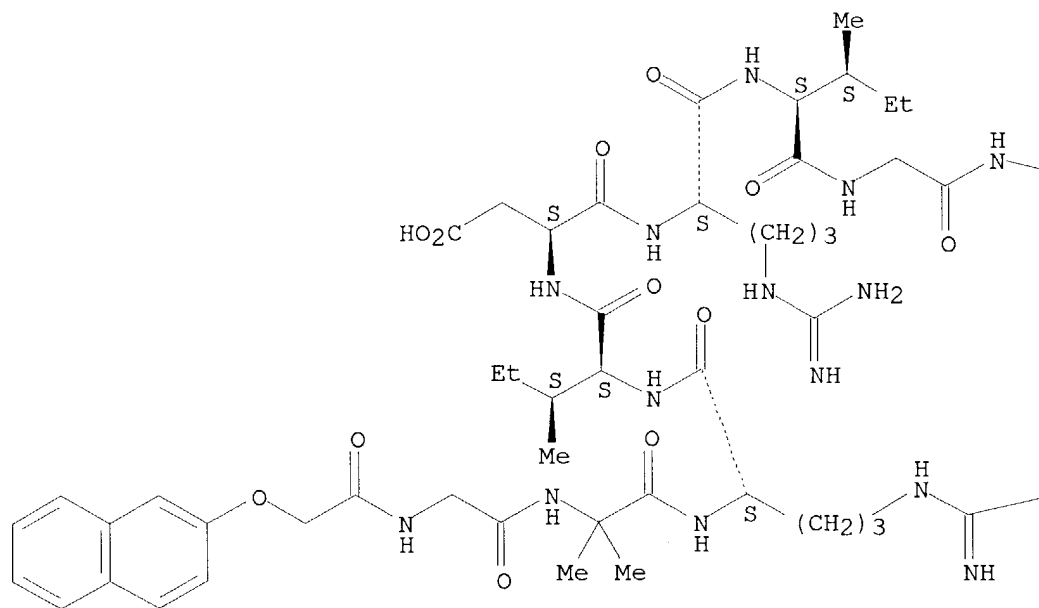


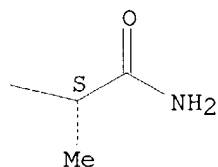


RN 112976-14-4 USPATFULL

CN L-Alaninamide, N-[(2-naphthalenyloxy)acetyl]glycyl-2-methylalanyl-L-  
 arginyl-L-isoleucyl-L- $\alpha$ -aspartyl-L-arginyl-L-isoleucylglycyl-  
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



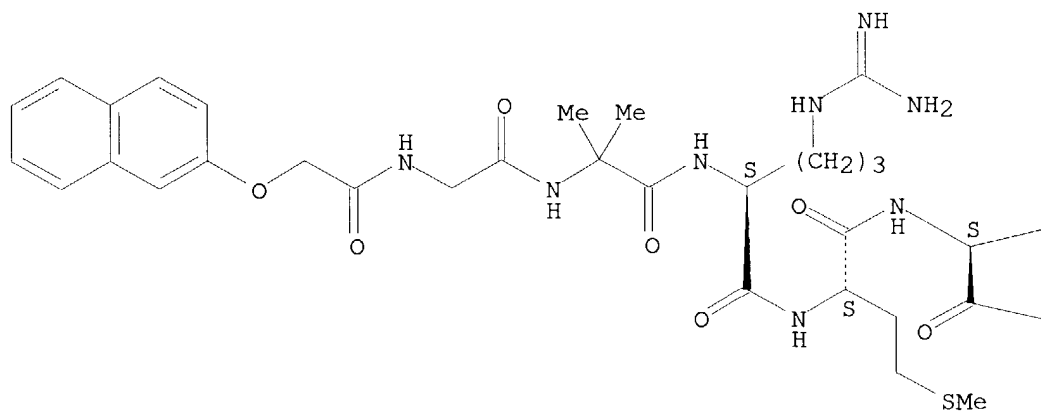


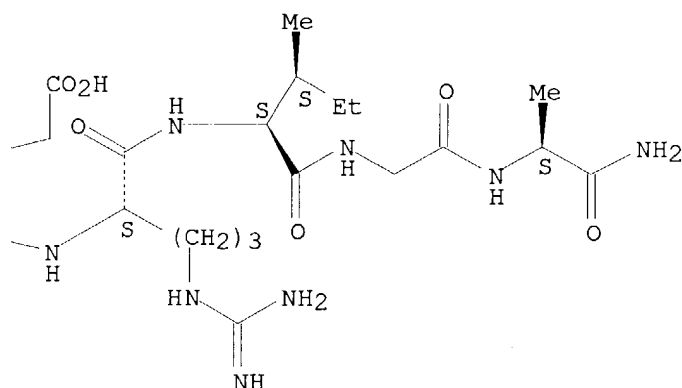
NH<sub>2</sub>

RN 112976-15-5 USPATFULL

CN L-Alaninamide, N-[(2-naphthalenyloxy)acetyl]glycyl-2-methylalanyl-L-arginyl-L-methionyl-L- $\alpha$ -aspartyl-L-arginyl-L-isoleucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





L46 ANSWER 52 OF 58 USPATFULL on STN  
 ACCESSION NUMBER: 86:71556 USPATFULL  
 TITLE: Potent thymopentin analogs  
 INVENTOR(S): Goldstein, Gideon, Short Hills, NJ, United States  
 Heavner, George, Flemington, NJ, United States  
 Kroon, Daniel, Bridgewater, NJ, United States  
 Audhya, Tapan, Bridgewater, NJ, United States  
 PATENT ASSIGNEE(S): Ortho Pharmaceutical Corporation, Raritan, NJ, United States (U.S. corporation)

|                       | NUMBER                                 | KIND | DATE         |     |
|-----------------------|--|------|--------------|-----|
| PATENT INFORMATION:   | US 4629723                             |      | 19861216     | <-- |
| APPLICATION INFO.:    | US 1984-625344                         |      | 19840627 (6) | <-- |
| DOCUMENT TYPE:        | Utility                                |      |              |     |
| FILE SEGMENT:         | Granted                                |      |              |     |
| PRIMARY EXAMINER:     | Phillips, Delbert R.                   |      |              |     |
| LEGAL REPRESENTATIVE: | Dellenbaugh Geoffrey G.                |      |              |     |
| NUMBER OF CLAIMS:     | 14                                     |      |              |     |
| EXEMPLARY CLAIM:      | 1                                      |      |              |     |
| NUMBER OF DRAWINGS:   | 1 Drawing Figure(s); 1 Drawing Page(s) |      |              |     |
| LINE COUNT:           | 1217                                   |      |              |     |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Immunoregulating peptides are disclosed which are more potent than thymopentin or splenin and are useful for their effects on the immune system, especially the treatment of thymic deficiencies.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

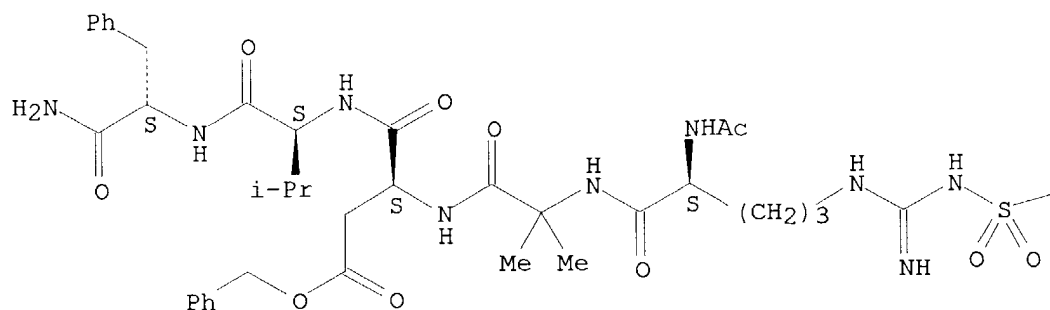
IT **103143-75-5DP**, methylbenzhydrylamine resin-bound (preparation and resin cleavage-deblocking of)

RN 103143-75-5 USPATFULL

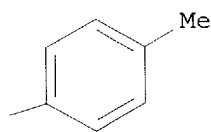
CN L-Phenylalaninamide, N2-acetyl-N5-[imino[[ (4-methylphenyl)sulfonyl]amino]methyl]-L-ornithyl-2-methylalanyl-L-α-aspartyl-L-valyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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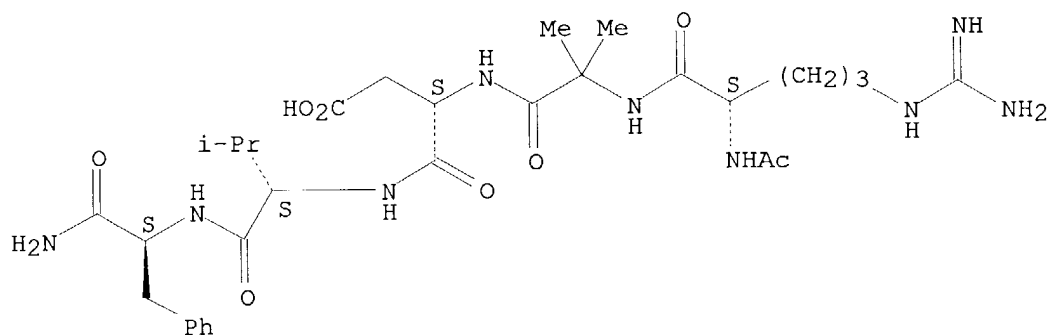
IT 103143-76-6P

(preparation of, as immunoregulator)

RN 103143-76-6 USPATFULL

CN L-Phenylalaninamide, N2-acetyl-L-arginyl-2-methylalanyl-L-α-aspartyl-L-valyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L46 ANSWER 53 OF 58 USPATFULL on STN

ACCESSION NUMBER: 85:16242 USPATFULL

TITLE: Enzyme-resistant immunomodulatory peptides

INVENTOR(S): Goldstein, Gideon, Short Hills, NJ, United States

Heavner, George, Flemington, NJ, United States

Kroon, Daniel, Bridgewater, NJ, United States

Audhya, Tapan, Bridgewater, NJ, United States

PATENT ASSIGNEE(S): Ortho Pharmaceutical Corporation, Raritan, NJ, United

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

(preparation and hydrogenolysis of)

CN L-Tyrosine, N-[N-[N-[N-[N5-[imino[[ (phenylmethoxy) carbonyl] amino] methyl]-N2,N5-bis[ (phenylmethoxy) carbonyl]-L-ornithyl]-2-methylalanyl]-L- $\alpha$ -aspartyl]-L-valyl]-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Chemical structure of compound 10, a complex peptide derivative. The structure features a 4-hydroxybenzyl group attached to a sulfur atom in a cyclic peptide backbone. The backbone includes a proline residue (labeled 'Pr-i') and is substituted with various side chains, including a benzyl ester, a 3-oxobutyl group, and a long chain ending in a benzyl ester and a carbamate group. Stereochemistry is indicated with wedges and dashes.

PAGE 1-B

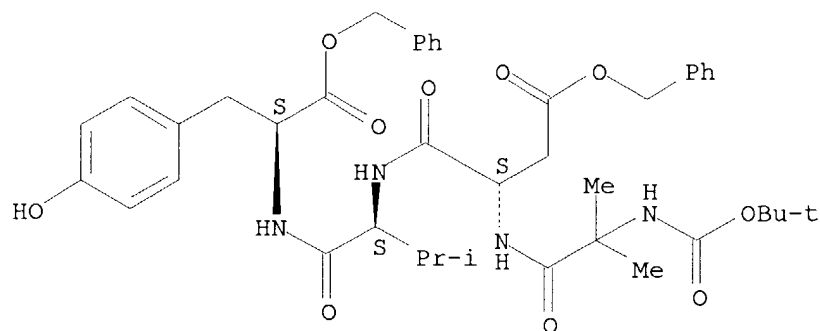


```
(preparation and partial deblocking of)
```

CN L-Tyrosine, N-[N-[N-[N-[(1,1-dimethylethoxy)carbonyl]-2-methylalanyl]-L-

$\alpha$ -aspartyl]-L-valyl]-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



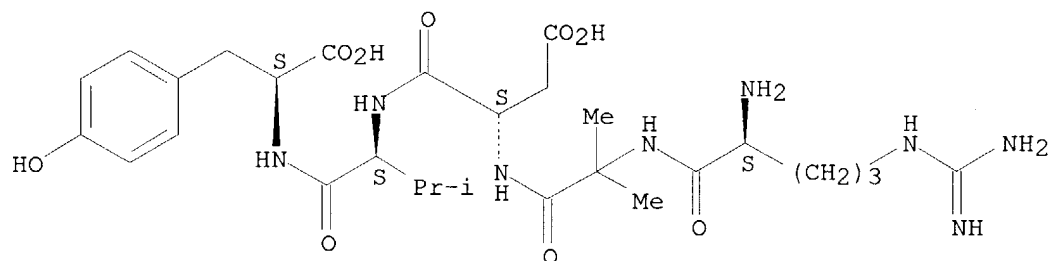
IT 99109-44-1P 99460-21-6P 99460-26-1P

(preparation and stability of, to peptidases)

RN 99109-44-1 USPATFULL

CN L-Tyrosine, N-[N-[N-(N-L-arginyl-2-methylalanyl)-L- $\alpha$ -aspartyl]-L-valyl]- (9CI) (CA INDEX NAME)

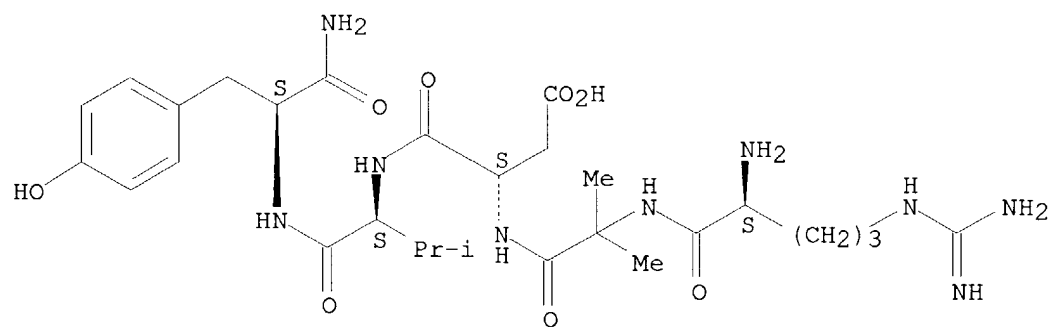
Absolute stereochemistry.



RN 99460-21-6 USPATFULL

CN L-Tyrosinamide, L-arginyl-2-methylalanyl-L- $\alpha$ -aspartyl-L-valyl- (9CI) (CA INDEX NAME)

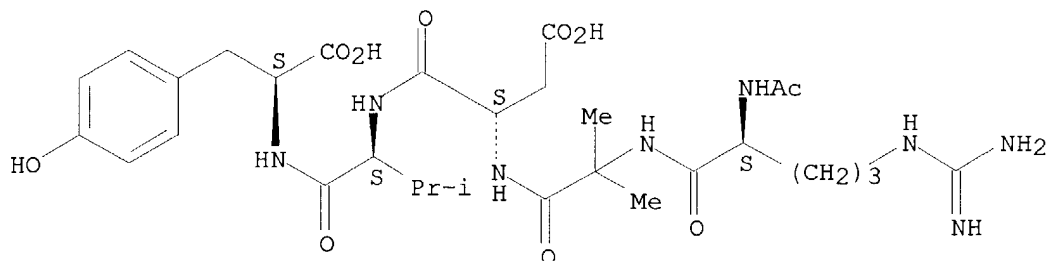
Absolute stereochemistry.



RN 99460-26-1 USPATFULL

CN L-Tyrosine, N-[N-[N-[N-(N2-acetyl-L-arginyl)-2-methylalanyl]-L- $\alpha$ -aspartyl]-L-valyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



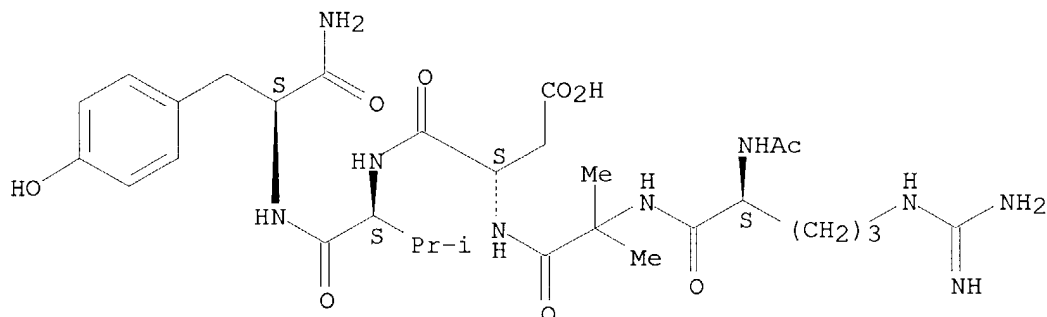
IT 99460-20-5P

(preparation of)

RN 99460-20-5 USPATFULL

CN L-Tyrosinamide, N2-acetyl-L-arginyl-2-methylalanyl-L- $\alpha$ -aspartyl-L-valyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L46 ANSWER 54 OF 58 USPATFULL on STN

ACCESSION NUMBER: 83:57530 USPATFULL

TITLE: Nonapeptide and decapeptide analogs of LHRH, useful as LHRH antagonists

INVENTOR(S): Nestor, John J., San Jose, CA, United States  
Jones, Gordon H., Cupertino, CA, United States  
Vickery, Brian H., Cupertino, CA, United States

PATENT ASSIGNEE(S): Syntex (U.S.A.) Inc., Palo Alto, CA, United States  
(U.S. corporation)

|                       | NUMBER   | KIND | DATE         |     |
|-----------------------|--|------|--------------|-----|
| PATENT INFORMATION:   | US 4419347   |      | 19831206     | <-- |
| APPLICATION INFO.:    | US 1982-366635   |      | 19820408 (6) | <-- |
| DISCLAIMER DATE:      | 19971118   |      |              |     |
| RELATED APPLN. INFO.: | Continuation of Ser. No. US 1980-194180, filed on 6 Oct 1980, now patented, Pat. No. US 4341767, issued on 27 Jul 1982 |      |              |     |
| DOCUMENT TYPE:        | Utility  |      |              |     |
| FILE SEGMENT:         | Granted  |      |              |     |

PRIMARY EXAMINER: Phillips, Delbert R.  
 LEGAL REPRESENTATIVE: Kanagy, James M., Moran, Tom M.  
 NUMBER OF CLAIMS: 28  
 EXEMPLARY CLAIM: 1  
 LINE COUNT: 1298

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Nonapeptide and decapeptide analogs of LHRH which have the formula  
 ##STR1## and the pharmaceutically acceptable salts thereof, wherein: X  
 is a D-alanyl residue wherein one hydrogen on C-3 is replaced by:

(a) a carbocyclic aryl-containing radical selected from the group  
 consisting of phenyl substituted with three or more straight chain lower  
 alkyl groups, naphthyl, anthryl, fluorenyl, phenanthryl, biphenyl and  
 benzhydryl; or

(b) a saturated carbocyclic radical selected from the group consisting  
 of cyclohexyl substituted with three or more straight chain lower alkyl  
 groups, perhydronaphthyl, perhydrobiphenyl, perhydro-2,2-  
 diphenylmethyl, and adamantyl; or

(c) a heterocyclic aryl containing radical selected from the group  
 consisting of radicals represented by the following structural formulas:  
 ##STR2## wherein A" and A' are independently selected from the group  
 consisting of hydrogen, lower alkyl, chlorine, and bromine, and G is  
 selected from the group consisting of oxygen, nitrogen, and sulfur;

A is an aminoacyl residue selected from the group consisting of  
 L-pyroglutamyl, D-pyroglutamyl, N-acyl-L-prolyl, N-acyl-D-prolyl,  
 N-acyl-D-tryptophanyl, N-acyl-D-phenylalanyl, N-acyl-D-p-  
 halophenylalanyl, and N-acyl-X wherein X is as defined previously;

B is an amino acyl residue selected from the group consisting of  
 D-phenylalanyl, D-p-halophenylalanyl, 2,2-diphenylglycyl, and X wherein  
 X is as defined previously;

C is an amino acyl residue selected from the group consisting of  
 L-tryptophanyl, D-tryptophanyl, D-phenylalanyl and X wherein X is as  
 defined above;

E is glycineamide or --NH--R<sup>sup.1</sup>, wherein R<sup>sup.1</sup> is lower alkyl,  
 cycloalkyl, fluoro lower alkyl or ##STR3## wherein R<sup>sup.2</sup> is hydrogen  
 or lower alkyl;

are disclosed. These compounds are LHRH antagonists.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 82778-55-0P

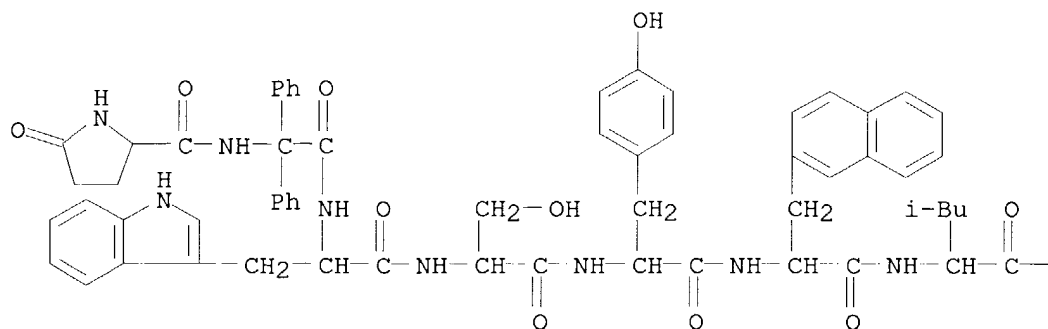
(preparation of)

RN 82778-55-0 USPATFULL

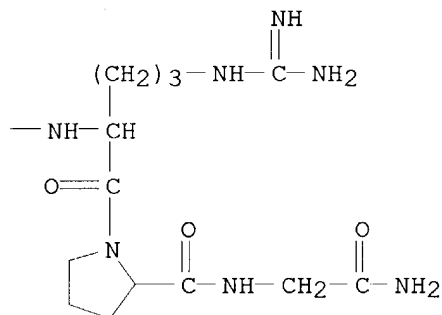
CN Luteinizing hormone-releasing factor (swine), 2-(2,2-diphenylglycine)-6-[3-  
 (2-naphthalenyl)-D-alanine]- (9CI) (CA INDEX NAME)



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PAGE 1-B



L46 ANSWER 55 OF 58 USPATFULL on STN

ACCESSION NUMBER: 82:36461 USPATFULL

TITLE: Nonapeptide and decapeptide analogs of LHRH, useful as LHRH antagonists

INVENTOR(S): Nestor, John J., San Jose, CA, United States  
Jones, Gordon H., Cupertino, CA, United States  
Vickery, Brian H., Cupertino, CA, United States

PATENT ASSIGNEE(S): Syntex Inc., Palo Alto, CA, United States (U.S. corporation)

|                       | NUMBER   | KIND | DATE         |     |
|-----------------------|--|------|--------------|-----|
| PATENT INFORMATION:   | US 4341767   |      | 19820727     | <-- |
| APPLICATION INFO.:    | US 1980-194180                                       |      | 19801006 (6) | <-- |
| DISCLAIMER DATE:      | 19971118   |      |              |     |
| DOCUMENT TYPE:        | Utility  |      |              |     |
| FILE SEGMENT:         | Granted  |      |              |     |
| PRIMARY EXAMINER:     | Phillips, Delbert R.                                 |      |              |     |
| LEGAL REPRESENTATIVE: | Murashige, Kate H., Krubiner, Alan M., Moran, Tom M. |      |              |     |

NUMBER OF CLAIMS: 21  
 EXEMPLARY CLAIM: 1  
 LINE COUNT: 1267

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Nonapeptide and decapeptide analogs of LHRH which have the formula:  
 ##STR1## and the pharmaceutically acceptable salts thereof, wherein: X  
 is a D-alanyl residue wherein one hydrogen on C-3 is replaced by:

(a) a carbocyclic aryl-containing radical selected from the group  
 consisting of phenyl substituted with three or more straight chain lower  
 alkyl groups, naphthyl, anthryl, fluorenyl, phenanthryl, biphenyl and  
 benzhydryl; or

(b) a saturated carbocyclic radical selected from the group consisting  
 of cyclohexyl substituted with three or more straight chain lower alkyl  
 groups, perhydronaphthyl, perhydrobiphenyl, perhydro-2,2-  
 diphenylmethyl, and adamantyl; or

(c) a heterocyclic aryl containing radical selected from the group  
 consisting of radicals represented by the following structural formulas:  
 ##STR2## wherein A" and A' are independently selected from the group  
 consisting of hydrogen, lower alkyl, chlorine, and bromine, and G is  
 selected from the group consisting of oxygen, nitrogen, and sulfur;

A is an aminoacyl residue selected from the group consisting of  
 L-pyroglutamyl, D-pyroglutamyl, N-acyl-L-prolyl, N-acyl-D-prolyl,  
 N-acyl-D-tryptophanyl, N-acyl-D-phenylalanyl, N-acyl-D-p-  
 halophenylalanyl, and N-acyl-X wherein X is as defined previously;

B is an amino acyl residue selected from the group consisting of  
 D-phenylalanyl, D-p-halophenylalanyl, 2,2-diphenylglycyl, and X wherein  
 X is as defined previously;

C is an amino acyl residue selected from the group consisting of  
 L-tryptophanyl, D-tryptophanyl, D-phenylalanyl and X wherein X is as  
 defined above;

E is glycineamide or --NH--R<sup>sup.1</sup>, wherein R<sup>sup.1</sup> is lower alkyl,  
 cycloalkyl, fluoro lower alkyl or ##STR3## wherein R<sup>sup.2</sup> is hydrogen  
 or lower alkyl; are disclosed. These compounds are LHRH antagonists.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

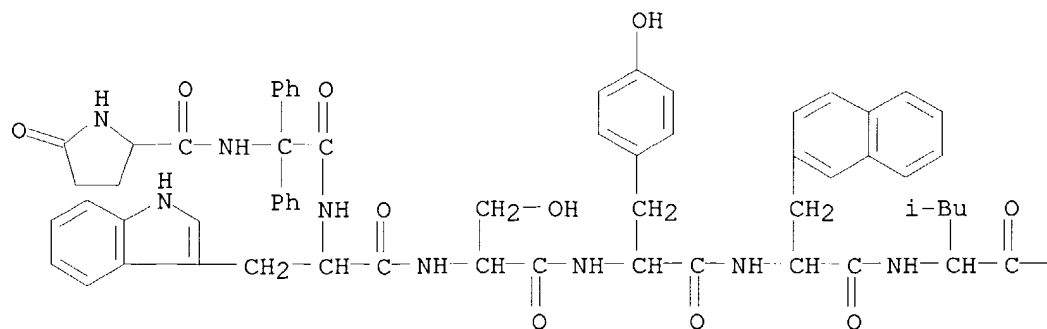
IT 82778-55-0P

(preparation of)

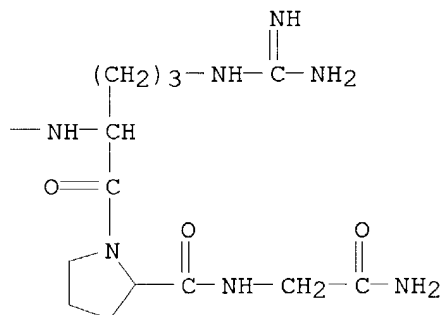
RN 82778-55-0 USPATFULL

CN Luteinizing hormone-releasing factor (swine), 2-(2,2-diphenylglycine)-6-[3-  
 (2-naphthalenyl)-D-alanine]- (9CI) (CA INDEX NAME)

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L46 ANSWER 56 OF 58 USPATFULL on STN  
 ACCESSION NUMBER: 78:62667 USPATFULL  
 TITLE: Antiovolatory polypeptides  
 INVENTOR(S): Lapidus, Milton, Rosemont, PA, United States  
 PATENT ASSIGNEE(S): American Home Products Corporation, New York, NY,  
 United States (U.S. corporation)

|  | NUMBER               | KIND | DATE         |     |
|--|----------------------|------|--------------|-----|
| PATENT INFORMATION:                        | US 4124578           |      | 19781107     | <-- |
| APPLICATION INFO.:                         | US 1978-866727       |      | 19780103 (5) | <-- |
| DOCUMENT TYPE:                             | Utility              |      |              |     |
| FILE SEGMENT:                              | Granted              |      |              |     |
| PRIMARY EXAMINER:                          | Phillips, Delbert R. |      |              |     |
| NUMBER OF CLAIMS:                          | 5                    |      |              |     |
| EXEMPLARY CLAIM:                           | 1                    |      |              |     |
| LINE COUNT:                                | 320                  |      |              |     |
| CAS INDEXING IS AVAILABLE FOR THIS PATENT. |                      |      |              |     |
| AB Peptides of the formula:                |                      |      |              |     |

pGlu-Tyr-Arg-X-Y

wherein:

X is Trp or D-Trp, and

Y is Pro-NH<sub>2</sub> or the peptide segment --Gly--X<sub>sub.1</sub>--X<sub>sub.2</sub>--Arg--Pro--Z, wherein:

X<sub>sub.1</sub> is Ala, D-Ala, or MeAla;

X<sub>sub.2</sub> is Leu or D-Leu; and

Z is --NH<sub>sub.2</sub> or --NH<sub>2</sub>,

Or the non-toxic pharmaceutically acceptable acid addition salts thereof, inhibit ovulation in warm-blooded animals.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **69541-42-0DP**, resin-bound

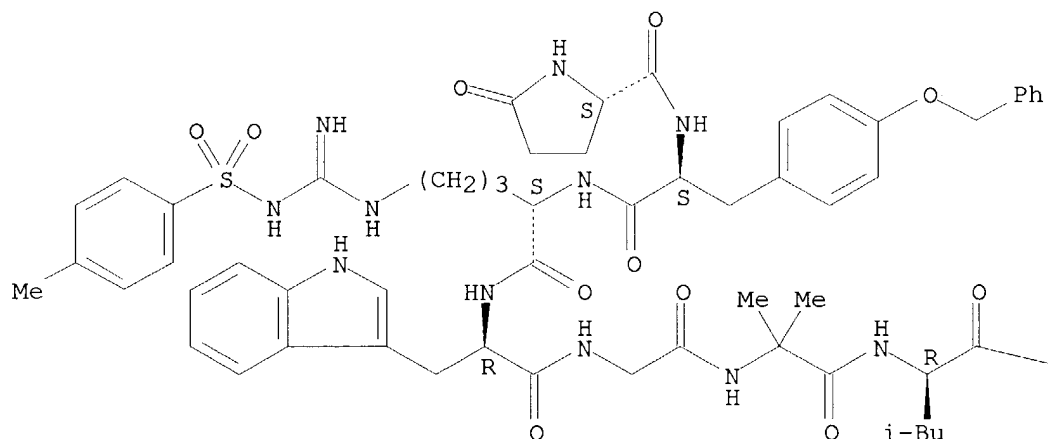
(preparation and amidation of, with ethylamine)

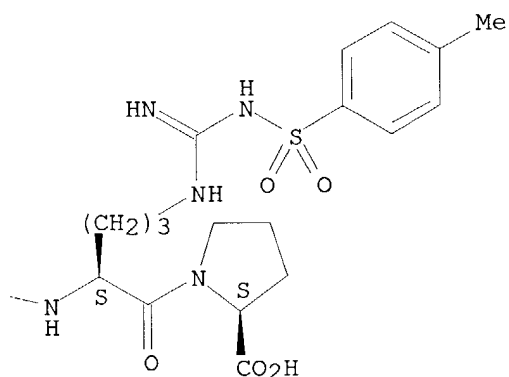
RN 69541-42-0 USPATFULL

CN L-Proline, 1-[N5-[imino[[ (4-methylphenyl) sulfonyl] amino]methyl]-N2-[N-[N-[N-[N5-[imino[[ (4-methylphenyl) sulfonyl] amino]methyl]-N2-[N-(5-oxo-L-prolyl)-O-(phenylmethyl)-L-tyrosyl]-L-ornithyl]-D-tryptophyl]glycyl]-2-methylalanyl]-D-leucyl]-L-ornithyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



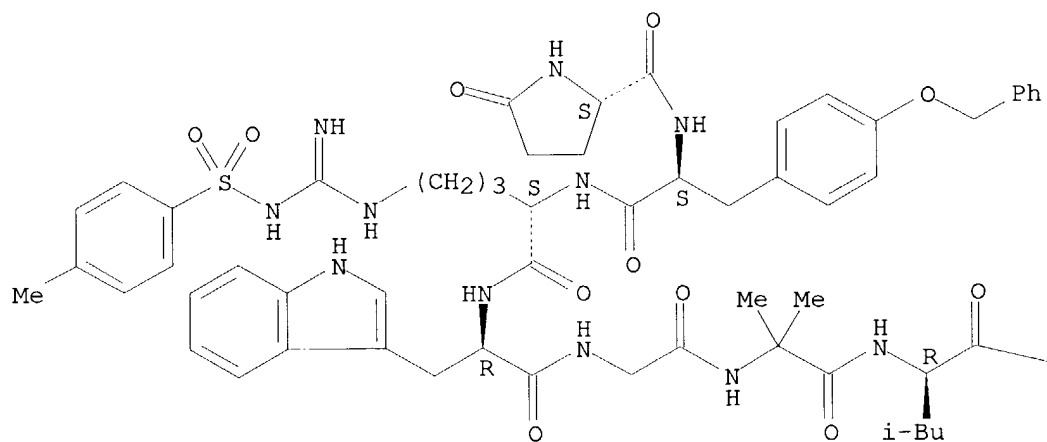
IT **69541-43-1P**

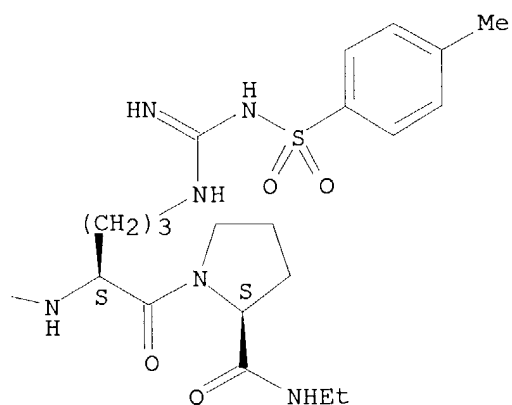
(preparation and deblocking of)

RN 69541-43-1 USPATFULL

CN L-Prolinamide, 5-oxo-L-prolyl-O-(phenylmethyl)-L-tyrosyl-N5-[imino[[ (4-methylphenyl)sulfonyl]amino]methyl]-L-ornithyl-D-tryptophylglycyl-2-methylalanyl-D-leucyl-N5-[imino[[ (4-methylphenyl)sulfonyl]amino]methyl]-L-ornithyl-N-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





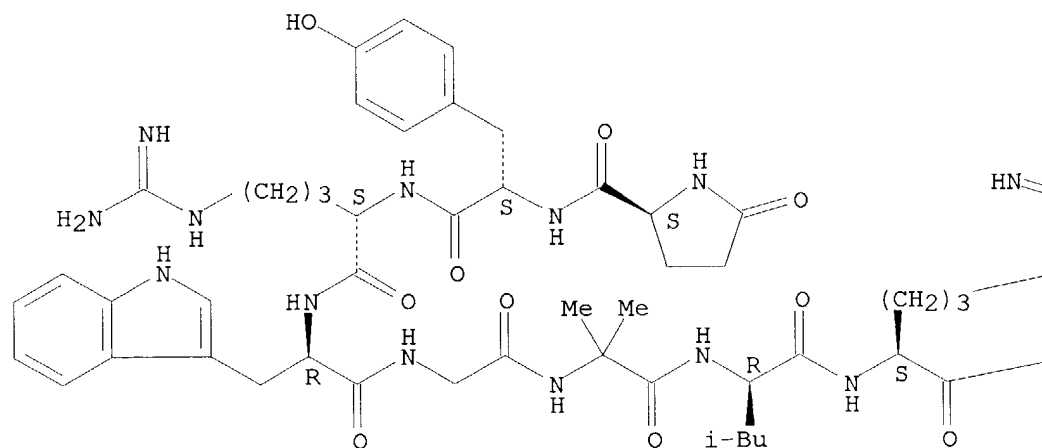
IT 69541-44-2P

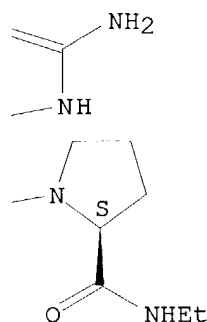
(preparation and ovulation-inhibiting activity of)

RN 69541-44-2 USPATFULL

CN L-Prolinamide, 5-oxo-L-prolyl-L-tyrosyl-L-arginyl-D-tryptophylglycyl-2-methylalanyl-D-leucyl-L-arginyl-N-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





L46 ANSWER 57 OF 58 USPATFULL on STN  
 ACCESSION NUMBER: 78:61422 USPATFULL  
 TITLE: (2-MeAla.sup.5)-somatostatin and analogues thereof  
 INVENTOR(S): Garsky, Victor M., Radnor, PA, United States  
 PATENT ASSIGNEE(S): American Home Products Corporation, New York, NY,  
 United States (U.S. corporation)

|                     | NUMBER               | KIND | DATE     |         |
|---------------------|----------------------|------|----------|---------|
| PATENT INFORMATION: | US 4123425           |      | 19781031 | <--     |
| APPLICATION INFO.:  | US 1977-795686       |      | 19770511 | (5) <-- |
| DOCUMENT TYPE:      | Utility              |      |          |         |
| FILE SEGMENT:       | Granted              |      |          |         |
| PRIMARY EXAMINER:   | Phillips, Delbert R. |      |          |         |
| NUMBER OF CLAIMS:   | 3                    |      |          |         |
| EXEMPLARY CLAIM:    | 1                    |      |          |         |
| LINE COUNT:         | 293                  |      |          |         |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Polypeptides of the formula: ##STR1## wherein:

R is hydrogen, lower alkanoyl, Ala--Gly--, Gly--Gly--Gly--,  
 Ala--D--Ala-- or p-Glu;

And

X.sub.8 is L--Trp or D--Trp;

Or the linear reduced form thereof; or a nontoxic acid addition salt thereof; are described. (2-MeAla.sup.5)-Somatostatin and its analogues inhibit the release of growth hormone without materially affecting the secretion of glucagon and insulin, and are useful in the treatment of acromegaly.

Somatostatin is the cyclic disulfide tetradecapeptide of the formula:  
 ##STR2## This peptide (I) has been identified as the  
 "somatotropin-release inhibiting factor" (SRIF) which is secreted by the

hypothalamus and regulates the secretion of pituitary growth hormone (GH) (somatotropin). [See Brazeau et al., Science, 179, 77 (1973), Burgus et al., Proc. Nat. Acad. Sci. (USA), 70, 684 (1973), and Ling et al., Biochemical and Biophysical Res. Communication, 50, 127 (1973)]. The reduced form of somatostatin (RS) is the linear tetradecapeptide of the formula:

Ala--Gly--Cys--Lys--Asn--Phe--Phe--Trp--Lys--Thr--Phe--Thr--Ser--Cys II

the reduced form (II) has been prepared by total synthesis, [see Rivier et al., C. R. Acad. Sci. Ser. p. Sci. Natur. (Paris), 276, 2737 (1973) and Sarantakis and McKinley, Biochem. and Biophys. Res. Communications, 54, 234 (1973)] and it (II) can be converted to somatostatin (I) by oxidation whereby a bridging bond is formed between the two sulphydryls of the two cysteinyl amino acid residues in the tetradecapeptide.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **69891-42-5P**

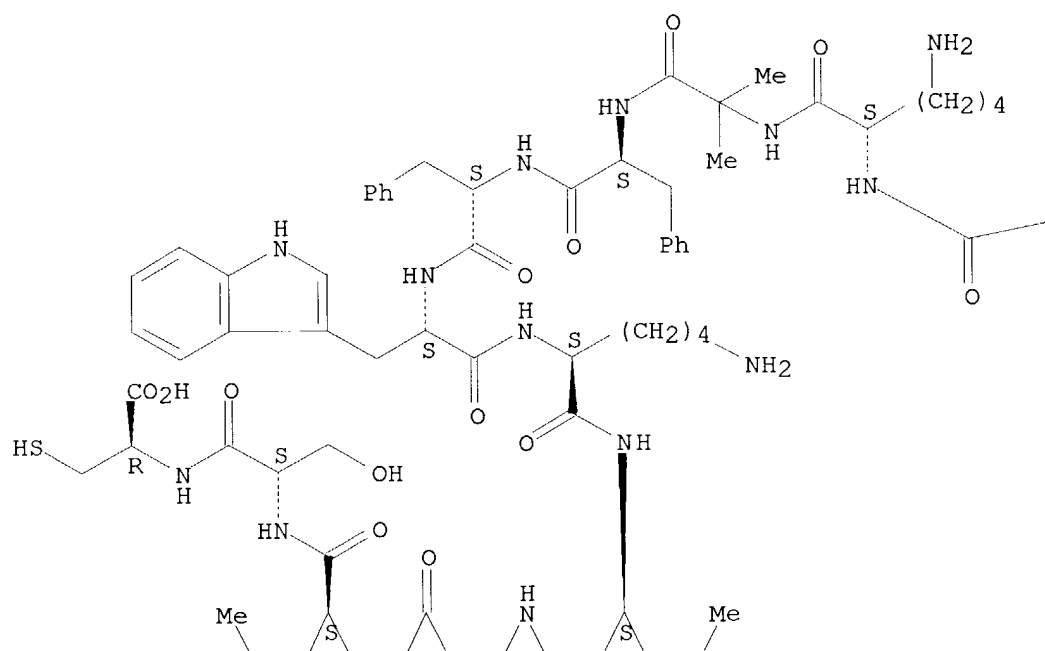
(preparation and oxidative cyclization of)

RN 69891-42-5 USPATFULL

CN Somatostatin (sheep reduced), 5-(2-methylalanine)- (9CI) (CA INDEX NAME)

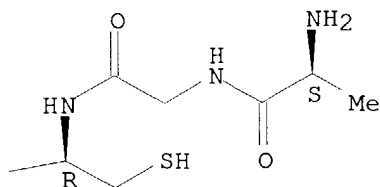
Absolute stereochemistry.

PAGE 1-A

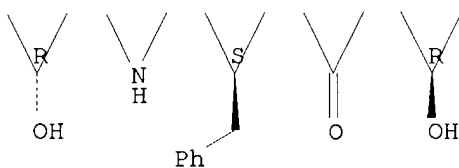




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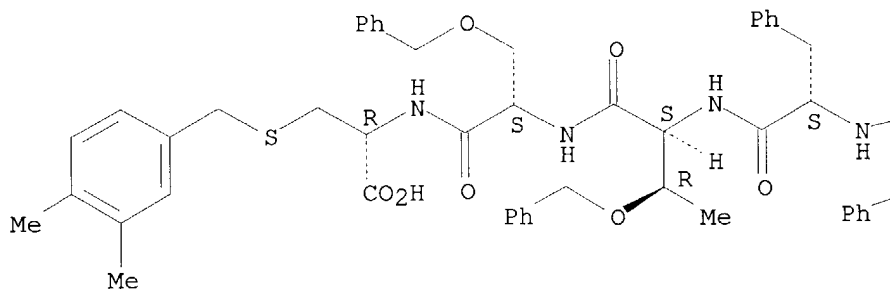
PAGE 2-A



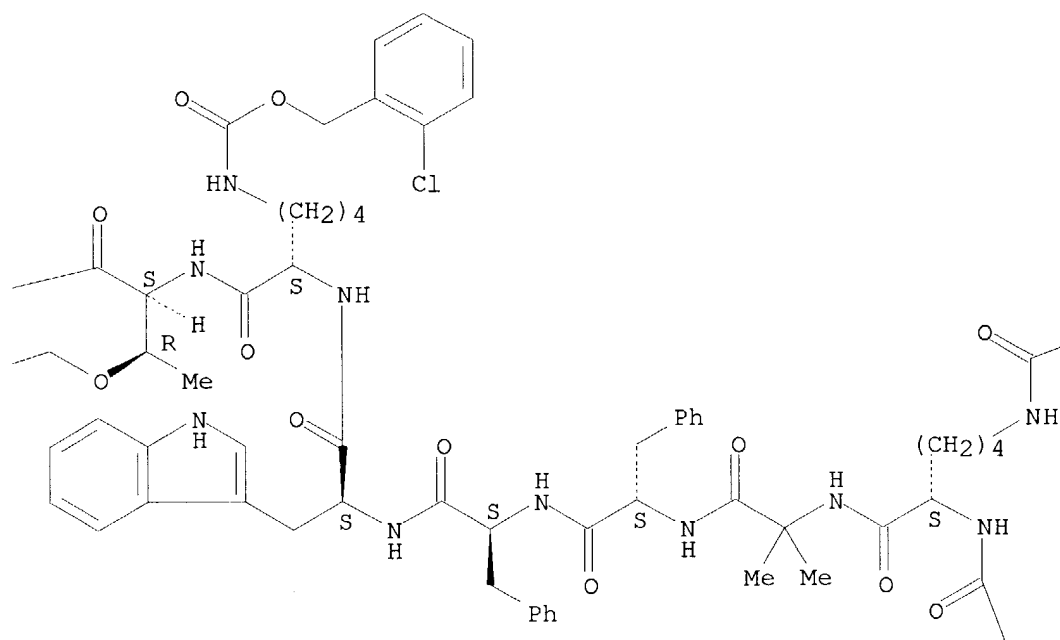
IT **69612-67-5DP**, resin-bound  
 (preparation and resin-cleavage and deblocking of)  
 RN 69612-67-5 USPATFULL  
 CN L-Cysteine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanylglycyl-S-[(4-methoxyphenyl)methyl]-L-cysteinyl-N6-[[[(2-chlorophenyl)methoxy]carbonyl]-L-lysyl-2-methylalanyl-L-phenylalanyl-L-phenylalanyl-L-tryptophyl-N6-[[[(2-chlorophenyl)methoxy]carbonyl]-L-lysyl-O-(phenylmethyl)-L-threonyl-L-phenylalanyl-O-(phenylmethyl)-L-threonyl-O-(phenylmethyl)-L-seryl-S-[(3,4-dimethylphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

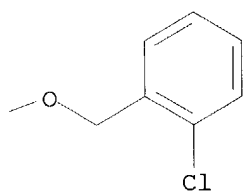
PAGE 1-A



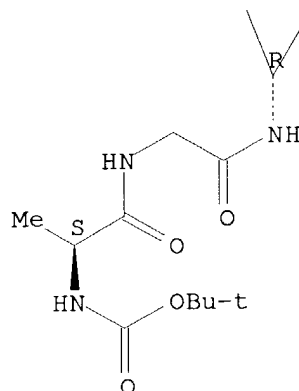
PAGE 1-B



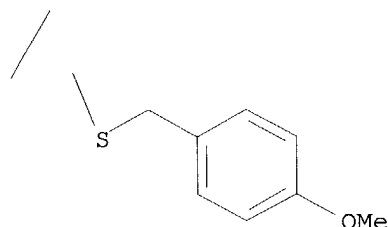
PAGE 1-C



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PAGE 2-C



L46 ANSWER 58 OF 58 USPATFULL on STN  
 ACCESSION NUMBER: 76:10157 USPATFULL  
 TITLE: P-Glu-D-Phe-Trp-Ser-Tyr-2-Me.Alala-Leu-Arg-Pro-NH.sub.2  
 and intermediates  
 INVENTOR(S): Garsky, Victor M., Havertown, PA, United States  
 PATENT ASSIGNEE(S): American Home Products Corporation, New York, NY,  
 United States (U.S. corporation)

|                     | NUMBER             | KIND | DATE     |         |
|---------------------|--------------------|------|----------|---------|
| PATENT INFORMATION: | US 3940380         |      | 19760224 | <--     |
| APPLICATION INFO.:  | US 1974-526344     |      | 19741122 | (5) <-- |
| DOCUMENT TYPE:      | Utility            |      |          |         |
| FILE SEGMENT:       | Granted            |      |          |         |
| PRIMARY EXAMINER:   | Gotts, Lewis       |      |          |         |
| ASSISTANT EXAMINER: | Suyat, Reginald J. |      |          |         |
| NUMBER OF CLAIMS:   | 8                  |      |          |         |
| EXEMPLARY CLAIM:    | 1                  |      |          |         |
| LINE COUNT:         | 366                |      |          |         |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

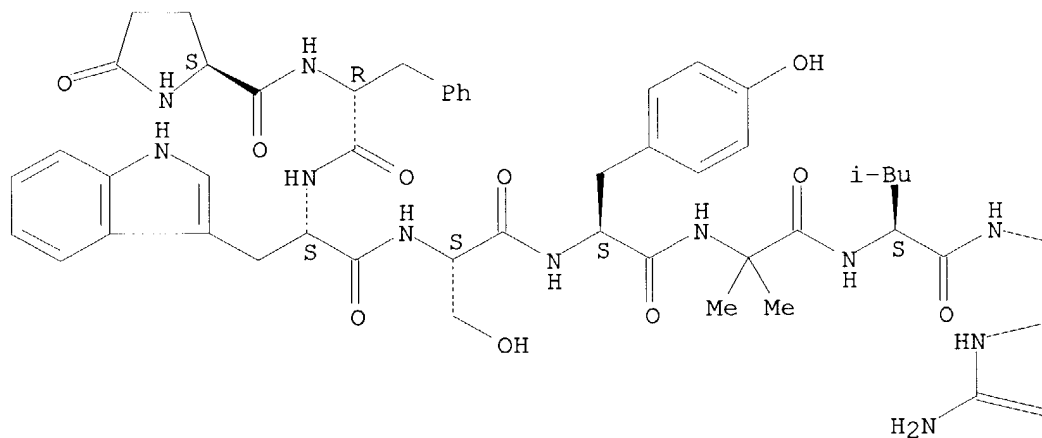
AB D-Phe.sup.2 -2-Me.Alala.sup. 6 -LRF, is described as well as its synthesis by solid phase techniques and novel intermediates formed by such synthesis. The novel decapeptide possess anti-ovulatory activity in mammals.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

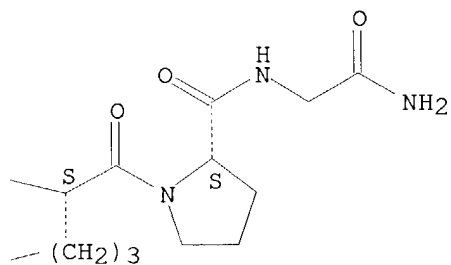
IT 59188-78-2P

CRN 57292-43-0  
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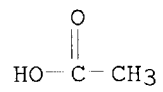
PAGE 1-B



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Lukton 09/827107

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